CHELSEA
THERAPEUTICS

2011 Annual Report

Fellow Stockholders,

Drug development is inherently a lengthy and complex process, combining an array of disciplines from drug discovery, clinical evaluation, regulatory and medical affairs to sales and marketing - all working toward the unified goal of providing a new treatment option to patients in need and their team of healthcare providers. Though effective drug development includes early and thoughtful integration of each of these disciplines, the highly structured nature of drug development generally results in one aspect assuming prominence at any given time and often for a prolonged period of time.

During the course of the past year, Chelsea entered one of the rare periods during which most of these critical development functions operated at the highest level and came together to provide a glimpse at what we hope is still to come: the availability of Northera for patients with symptomatic neurogenic orthostatic hypotension, also known as Neurogenic OH or NOH, associated with primary autonomic failure.

Seeking Approval and Preparing the Market

Through the summer, an intense collaborative effort by our clinical and regulatory teams resulted in the filing of our New Drug Application, or NDA, for Northera™ (droxidopa) in September of 2011. Immediately following the filing of our application, this team refocused their efforts on preparations for an FDA Advisory Committee meeting in February 2012. These back-to-back efforts required a deep dive into the extensive dataset generated by both our clinical program as well as prior work conducted in Japan.

This critical review and assessment provided fresh perspective on the symptomatic improvement demonstrated in our clinical trials of Northera in patients with symptomatic Neurogenic OH and highlighted the significant improvement demonstrated by many patients, including those that experienced complete relief from symptoms such as dizziness, during our studies.

As we neared our advisory committee meeting and target FDA action date, we needed to ready the organization for a potential launch of our first drug candidate.

One of the unique challenges that face companies planning to launch an orphan drug is gaining a thorough understanding of the market dynamics and understanding how to efficiently target those patients and physicians that could benefit most from a new treatment.

Through targeted market research and strategic sales operations planning, the Chelsea commercial and operations teams have developed a detailed understanding of how patients with symptomatic Neurogenic OH are diagnosed and by whom, allowing them to refine a strategy to minimize pre-launch infrastructure while ensuring sales force effectiveness and optimization.

As the commercial team prepared to mobilize, our medical affairs team was already out in the field and spearheading a robust educational effort. While this market is defined by a relatively limited number of treating physicians, the market has been stagnant and without new FDA approved treatments for over a decade. This presented both a challenge and unique educational opportunity for our team of medical science liaisons as they began to reengage physicians and key opinion leaders on the symptomatic assessment of Neurogenic OH. Through their efforts, we believe we can effectively grow the market through increased awareness and diagnosis of Neurogenic OH.

Connecting with Patients and Physicians

Among the unifying threads throughout our efforts has been the dedication, support and inspiration we are able to draw from the patients and physicians that have generously given their time and insight to our clinical program, market research efforts and, perhaps most notably, through their participation and support during our FDA Advisory Committee meeting earlier this year.

As the briefing documents from the FDA highlighted, the challenges associated with characterizing symptomatic benefit in this highly diverse patient population with complex disease states are formidable. However, as the patient testimony during the Advisory Committee meeting underscored, there remains an urgent medical need for many patients that have been unable to achieve symptomatic improvement using currently available treatment options.

Despite the complete response from the FDA and the disappointing delay in our program, we remain fully committed to these patients and to continuing our research efforts so that we may one day provide a new treatment alternative for those in need.

I would like to thank each of our shareholders, employees, physician partners and the patients we hope to serve for their continued support of our efforts.

Dr. Simon Pedder, PhD
President & Chief Executive Officer

UNITED STATES
SECURITIES AND EXCHANGE COMMISSION
WASHINGTON, D.C. 20549

FORM 10-K

☒ **ANNUAL REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**

FOR THE FISCAL YEAR ENDED DECEMBER 31, 2011, OR

☐ **TRANSITION REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**

FOR THE TRANSITION PERIOD FROM TO

Commission file number 000-51462

CHELSEA THERAPEUTICS INTERNATIONAL, LTD.

(Exact name of Registrant as specified in its charter)

Delaware	**20-3174202**
(State or other jurisdiction of incorporation or organization)	**(I.R.S. Employer Identification No.)**

3530 Toringdon Way, Suite 200, Charlotte, North Carolina 28277
(Address of principal executive offices, including zip code)

(704) 341-1516
(Registrant's telephone number, including area code)

Securities registered pursuant to Section 12(b) of the Act:

Title of each class	**Name of each exchange on which registered**
Common Stock, $0.0001 Par Value	**Nasdaq Capital Market**

Securities registered pursuant to Section 12(g) of the Act:

None

Indicate by check mark if the Registrant is a well-known seasoned issuer (as defined in Rule 405 of the Securities Act).
Yes ☐ No ☒

Indicate by check mark if the Registrant is not required to file reports pursuant to Section 13 or Section 15(d) of the Act.
Yes ☐ No ☒

Indicate by check mark whether the Registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities Exchange Act of 1934, as amended, during the preceding 12 months (or for such shorter period that the Registrant was required to file such reports), and (2) has been subject to such filing requirements for the past 90 days. Yes ☒ No ☐

Indicate by check mark whether the registrant has submitted electronically and posted on its corporate Web site, if any, every Interactive Data File required to be submitted and posted pursuant to Rule 405 of Regulation S-T during the preceding 12 months (or for such shorter period that the registrant was required to submit and post such files). Yes ☒ No ☐

Indicate by check mark if disclosure of delinquent filers pursuant to Item 405 of Regulation S-K is not contained herein, and will not be contained, to the best of Registrant's knowledge, in definitive proxy or information statements incorporated by reference in Part III of this Form 10-K or any amendment to this Form 10-K. ☐

Indicate by check mark whether the Registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, or a smaller reporting company. See the definitions of "large accelerated filer," "accelerated filer" and "smaller reporting company" in Rule 12b-2 of the Exchange Act (Check one):

Large accelerated filer ☐	Accelerated filer ☒
Non-accelerated filer ☐	Smaller reporting company ☐

Indicate by check mark whether the Registrant is a shell company (as defined in Rule 12b-2 of the Act). Yes ☐ No ☒

The aggregate market value of the registrant's common stock held by non-affiliates of the Registrant, based on the closing price of the Registrant's common stock on June 30, 2011 ($5.10 per share) was approximately $217,600,000. This determination of affiliate status is not necessarily a conclusive determination for other purposes.

At March 2, 2012, 67,040,569 shares of the Registrant's common stock, $.0001 par value per share, were outstanding.

Documents Incorporated By Reference

Portions of the Registrant's definitive Proxy Statement to be filed for its 2012 Annual Meeting of Stockholders currently scheduled to be held June 12, 2012 are incorporated by reference into Part III of this report.

ANNUAL REPORT ON FORM 10-K

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PART I

Except for the historical information contained herein, the matters set forth in this Report include forward-looking statements within the meaning of the "safe harbor" provisions of the Private Securities Litigation Reform Act of 1995. These forward-looking statements are subject to risks and uncertainties that may cause actual results to differ materially. These risks and uncertainties are detailed throughout the report and will be further discussed from time to time in our periodic reports filed with the Securities and Exchange Commission. The forward-looking statements included in this Report speak only as of the date hereof.

ITEM 1. BUSINESS.

Overview

We are a development-stage pharmaceutical company that seeks to acquire, develop and commercialize innovative products for the treatment of a variety of human diseases. Our strategy is to develop technologies that address important unmet medical needs or offer improved, cost-effective alternatives to current methods of treatment.

We are currently developing droxidopa, a novel therapeutic agent for the treatment of symptomatic neurogenic orthostatic hypotension, or NOH, in patients with primary autonomic failure (Parkinson's Disease, or PD, multiple systems atrophy, or MSA, and pure autonomic failure, or PAF), dopamine ß-hydroxylase, or DBH, deficiency and non-diabetic autonomic neuropathy. We are also evaluating the potential therapeutic applications of droxidopa in reducing the frequency of falls in patients with NOH associated with PD, as well as other potentially norepinephrine related conditions and diseases including intradialytic hypotension, or IDH, fibromyalgia and adult attention deficit hyperactivity disorder, or ADHD. In addition, we are developing a portfolio of metabolically inert antifolates for the treatment of rheumatoid arthritis and that are expected to be suitable for the treatment of multiple other autoimmune disorders, including psoriasis, Crohn's disease, uveitis, ankylosing spondylitis, inflammatory bowel disease, cancer and other immunological disorders.

Product Pipeline Highlights

Northera™ (droxidopa), our most advanced investigational product candidate, is an orally active synthetic precursor of norepinephrine. Northera is being developed for the treatment of symptomatic NOH in primary autonomic failure (PD, MSA and PAF), DBH deficiency and non-diabetic autonomic neuropathy. Northera is also being studied in a Phase III trial evaluating its effect on reducing falls in patients with NOH associated with PD. In 2007, the U.S. Food and Drug Administration, or FDA, granted orphan drug status to Northera for the treatment of symptomatic NOH and the European Medicines Agency, or EMA, granted orphan medicinal product designation for the treatment of orthostatic hypotension in patients with PAF and MSA.

In Japan, droxidopa has been approved since 1989 and is marketed by Dainippon Sumitomo Pharma Co., Ltd., or DSP, for the treatment of frozen gait and dizziness on standing in PD, orthostatic hypotension, syncope and dizziness on standing in MSA (Shy-Drager Syndrome) and familial amyloid polyneuropathy and symptoms of orthostatic hypotension in hemodialytic patients.

In November 2011, the FDA accepted for filing our New Drug Application, or NDA, seeking approval to market Northera in patients with primary autonomic failure, DBH deficiency and non-diabetic autonomic neuropathy that we submitted in September 2011. In addition, the FDA granted our request for a priority review of the NDA and, under the Prescription Drug User Fee Act VI, or PDUFA, the FDA's goal is to review and act on the NDA by March 28, 2012.

On February 23, 2012, at the request of the FDA, a meeting of the FDA's Cardiovascular and Renal Drugs Advisory Committee, or CRDAC, was held, providing the FDA with an independent review and expert advice

related to our NDA filing for Northera. In a 7 to 4 vote, with one abstention and one non-vote, the CRDAC recommended that the FDA approve our NDA to market Northera in the United States. While the FDA is not bound by the recommendations of its advisory committees, the recommendation for approval from the CRDAC will be considered by the FDA in its review of our NDA.

In addition to our clinical and registration programs for Northera, we continue to explore additional therapeutic applications for droxidopa, both as a monotherapy and in combination with dopa decarboxylase inhibitors, such as carbidopa, in both company-sponsored and investigator-led Phase II trials.

We are also developing a portfolio of molecules for the treatment of various autoimmune/inflammatory diseases. The most advanced platform is a portfolio of metabolically inert antifolate molecules engineered to have potent anti-inflammatory and anti-tumor activity to treat a range of immunological disorders, including two clinical stage product candidates: CH-1504 and CH-4051. Both are orally available molecules with anti-inflammatory, autoimmune and anti-tumor properties that potently inhibit several key enzymes required for cell proliferation. Preclinical and clinical data to date suggests superior safety and tolerability, as well as increased potency versus methotrexate, or MTX, currently the leading antifolate treatment and standard of care for a broad range of abnormal cell proliferation diseases. Diseases that may potentially be treated with these compounds include rheumatoid arthritis, psoriasis, Crohn's disease, ankylosing spondylitis, uveitis, psoriatic arthritis and several different kinds of cancer.

Complementing our antifolate program is a second platform consisting of a portfolio of dihydroorotate dehydrogenase, or DHODH, inhibiting compounds known as the I-3D portfolio. Although we are currently performing no work on this portfolio, preclinical animal data has shown potential applications in autoimmune diseases and transplantation.

We also remain active in evaluating potential in-licensing and acquisition candidates to identify and acquire additional drug candidates as available funding might allow.

To date, we have not received approval for the sale of any of our drug candidates in any market and, therefore, have not generated any revenues from our drug candidates.

Our Strategy

Our mission is to create long-term stockholder value by acquiring, developing and commercializing innovative products for the treatment of a variety of human diseases that address important unmet medical needs or offer improved, cost-effective alternatives to current methods of treatment. Since inception in 2002, we have focused primarily on organizing and staffing our company, negotiating in-licensing agreements with our partners, acquiring, developing and securing our proprietary technology, participating in regulatory discussions with the FDA, the EMA and other regulatory agencies, undertaking preclinical and clinical trials of our product candidates, raising capital, and, more recently, preparing for the planned commercial launch in the United States of Northera in anticipation of regulatory approval. We are a development stage company and have generated no revenues since inception. We do not anticipate generating any product revenue until and unless we successfully obtain approval from the FDA or equivalent foreign regulatory bodies to begin selling Northera or any of our other pharmaceutical candidates although we could potentially generate revenue prior to any marketing approval by entering into strategic agreements including out-licensing, co-development or co-promotion of our drug candidates. Currently, operating expenses are being funded with proceeds from equity financings and, to a much lesser extent, through the issuance of our common stock pursuant to option or warrant exercises.

We also continue to discuss our antifolate program with potential partners to gauge their interest in licensing this library of compounds. We believe a partner, with access to more significant resources, may be able to manage Phase III trials and global commercialization more effectively and with less risk than we could and, accordingly, our current strategy is to pursue such a partnership. Similarly, as we are currently not planning to

establish commercial operations outside of the United States, we continue to discuss potential licensing arrangements for Northera in Europe and other markets outside the United States. In the course of these discussions, the program has generated interest among potential partners and we continue to evaluate the licensing and/or partnering potential for Northera in North America. Any such partnership would aim to provide significant value to us and our stockholders, while maximizing the opportunities for Northera in global markets. We also continue to pursue and evaluate potential out-licensing arrangements for our I-3D portfolio of DHODH inhibiting compounds.

We have retained a management team with leading core competencies and expertise in numerous fields, including manufacturing, drug development, including preclinical and clinical, regulatory, sales, marketing, finance and business development. Our management and advisors are comprised of experienced pharmaceutical and biotechnology industry veterans and respected experts. We are led by our Chief Executive Officer, Dr. Simon Pedder, formerly Vice President, Pharmaceutical Business, Oncology at Hoffmann-La Roche Inc., who has over 20 years of senior pharmaceutical management experience, including drug development and business experience. During his time at Roche, Dr. Pedder was responsible for a number of global development programs, successful registrations and product launches.

Plan of Operation

Our plan of operation is to continue implementing our business strategy, especially the planned commercialization of Northera in the United States, and clinical development of our other current drug candidates including Northera, droxidopa for other indications and our portfolio of antifolates. As we have in the past, we plan to continue exploring the feasibility of other licensed or newly developed compounds and to expand our drug candidate portfolio by acquiring additional drug technologies for development as our resources permit. We expect our principal expenditures during 2012 to include:

- operating expenses, including general and administrative and business development expenses;
- marketing, sales and other pre-launch and post-launch commercialization expenses for Northera;
- costs for the purchase of inventory for commercial resale; and
- product development expenses, including the costs incurred with respect to our clinical trials for droxidopa and our antifolates.

As part of meeting our operating needs, we plan to hire approximately 85 sales representatives, contingent on FDA approval for Northera, and may hire additional manufacturing, scientific, regulatory, sales, marketing, finance and operations staff. In addition, we intend to continue using clinical research organizations and third parties to perform our clinical studies and manufacturing.

Corporate History

Our operating company was incorporated in Delaware in April 2002 under the name Aspen Therapeutics, Inc., and changed its name to Chelsea Therapeutics, Inc. in July 2004. On February 11, 2005, Chelsea Therapeutics, Inc. completed a merger with Ivory Capital Corporation, a publicly traded Colorado corporation formed in May 1988. At the time of the transaction, Ivory Capital had only nominal assets and no operating activities. In connection with this merger transaction, a wholly owned subsidiary of Ivory Capital Corporation merged with and into Chelsea Therapeutics, Inc., with Chelsea Therapeutics, Inc. remaining as the surviving corporation and a wholly owned subsidiary of Ivory Capital Corporation. In connection with the merger, the former stockholders of Chelsea Therapeutics, Inc. received 96.75% percent of our outstanding equity on a fully diluted basis. Pursuant to the terms of the merger, the sole officer and director of Ivory Capital Corporation prior to the merger was replaced with the officers and directors of Chelsea Therapeutics, Inc.

On June 17, 2005, Ivory Capital Corporation formed a wholly owned subsidiary in Delaware named Chelsea Therapeutics International, Ltd. for the purposes of reincorporating in Delaware. On July 28, 2005, Ivory Capital

Corporation merged with Chelsea Therapeutics International, Ltd., with Chelsea Therapeutics International, Ltd. as the surviving corporation. As a result, Chelsea Therapeutics International, Ltd. is the public reporting company and is the 100% owner of Chelsea Therapeutics, Inc., its operating subsidiary.

Except where the context provides otherwise, references to "we," "us," "our" and similar terms mean Chelsea Therapeutics International, Ltd., Ivory Capital Corporation and Chelsea Therapeutics, Inc. When we refer to business and financial information relating to periods prior to December 31, 2004, we are referring to the business and financial information of Chelsea Therapeutics, Inc. unless the context requires otherwise. When we refer to business and financial information for periods between January 1, 2005 and July 28, 2005, we are referring to the business and financial information of Ivory Capital Corporation.

Products Under Development

DROXIDOPA

Product Overview

Droxidopa, a synthetic amino acid, is converted by the body into norepinephrine and, as a prodrug of norepinephrine, provides replacement therapy for norepinephrine deficiency. Norepinephrine is both a hormone and a neurotransmitter. As a hormone, secreted by the adrenal gland, it works alongside epinephrine/adrenaline to give the body sudden energy in times of stress, known as the "fight or flight" response. As a neurotransmitter, it passes nerve impulses from one neuron to the next. While norepinephrine, as a catecholamine does not penetrate the blood-brain barrier, droxidopa, as a neutral amino acid, is able to do so thus providing both a peripheral and central effect on circulating norepinephrine levels. By producing and replenishing depleted norepinephrine via endogenous enzymatic pathways, droxidopa is believed to allow for the re-uptake of norepinephrine into peripheral and central nervous system neurons.

Droxidopa is currently approved and marketed by Dainippon Sumitomo Pharma Co., Ltd., or DSP, in Japan for the treatment of frozen gait and dizziness on standing in PD, orthostatic hypotension, syncope and dizziness on standing in MSA (Shy-Drager Syndrome) and familial amyloid polyneuropathy and symptoms of orthostatic hypotension in hemodialytic patients. Droxidopa received initial Japanese marketing approval in 1989 and has historically generated annual revenues of up to approximately $50 million in Japan. In addition to the indications studied by DSP and subsequently approved in Japan, diseases that may potentially be treated with droxidopa include fibromyalgia, ADHD and other indications in which norepinephrine deficiencies are believed to play a role.

Clinical Development

We are currently focusing on the clinical development of droxidopa in symptomatic NOH, the reduction of falls related to NOH in PD, the treatment of fibromyalgia and IDH. In order to maximize the potential therapeutic applications of droxidopa while conserving capital, we have provided support to several investigator-led studies of droxidopa, including a study completed in 2011 in ADHD, and plan to continue exploring opportunities to support additional, investigator-led studies of droxidopa in indications for which we believe a strong clinical rationale exists.

Neurogenic Orthostatic Hypotension

Given the extensive body of clinical data generated by DSP and exclusivity available to us under terms of our licensing agreement, we plan to seek initial marketing approval of droxidopa, under the brand name Northera™, in the United States and European Union for the treatment of symptomatic NOH in patients with primary autonomic failure, an indication for which the drug has been approved in Japan since 1989.

Orthostatic hypotension is a sustained decrease in blood pressure when a person assumes a standing position and is characterized by lightheadedness, dizziness, blurred vision and syncope. There are multiple known causes

for orthostatic hypotension including those that are considered cardiovascular, endocrine and neurological (or neurogenic) in nature. Orthostatic hypotension that is neurogenic in nature results from a deficient release and/or synthesis of norepinephrine, a neurotransmitter used by autonomic nerves to send signals to the blood vessels and the heart. This condition is commonly associated with PD, PAF and MSA, and has a significant impact on sufferers' quality of life, with some patients unable to stand unaided for more than a few minutes a day.

In January 2007, the FDA granted orphan drug status for Northera for the treatment of symptomatic NOH in patients with primary autonomic failure, dopamine-ß-hydroxylase deficiency and non-diabetic autonomic neuropathy. In the United States, orphan drug status provides seven years of marketing exclusivity and may impact FDA requirements for clinical trials, potentially reducing the time and expense required for such trials. The FDA has also granted Fast Track designation to Northera for symptomatic NOH. Fast Track designation is designed to facilitate the review of products that address serious or potentially life-threatening conditions for which there is an unmet medical need.

In August 2007, the EMA granted two orphan medicinal product designations for Northera for the treatment of orthostatic hypotension in patients with PAF and MSA, respectively. Although we can expect 10 years of data exclusivity for droxidopa upon approval in Europe as a new chemical entity, orphan drug status could impact requirements for clinical trials supporting a filing for approval in Europe, potentially impacting the time and costs associated with our development of Northera for this market.

We have previously completed two Phase III efficacy trials, Studies 301 and 302, of Northera for the treatment of symptomatic NOH in patients with primary autonomic failure. The improvements in the symptoms of NOH, as measured by the orthostatic hypotension questionnaire composite score, or OHQ composite, associated with Northera treatment in our pivotal efficacy Study 301 are highly significant ($p<0.003$). Northera showed similar improvements ($p<0.05$) in OHQ composite scores in a post-hoc analysis of Study 302 data. On that basis, we proposed filing our NDA in symptomatic NOH. During our pre-NDA meeting in December of 2010, the FDA agreed that the proposed NDA for Northera could be submitted based on combined data from our two completed Phase III studies of Northera in NOH, Study 301 and Study 302, and their associated safety Studies 303, 304 and 305, without the need for additional efficacy studies. During the meeting, the FDA did request and we have supplied top-line results from a QTc study. A QT interval is a measure of the time between the start of the Q wave and the end of the T wave in the heart's electrical cycle. In general, a prolonged QT interval is a biomarker for ventricular tachyarrhythmias and can be a risk factor for sudden death. In addition, the FDA also requested that we conduct a post-marketing study to evaluate the clinical pharmacology of Northera in renally-impaired patients.

Study 301 and Study 302 were designed to compare Northera to placebo at multiple sites in North America, Europe and Australia. Both Phase III trials were intended to assess the safety and efficacy of up to 600 mg t.i.d. of Northera in patients suffering from symptomatic NOH associated with primary autonomic failure and both were designed to evaluate functional and symptomatic improvements through multiple endpoints including OHQ scores.

In September 2010, we announced that a preliminary analysis of Study 301 showed the study had met its primary endpoint. Treatment with Northera provided clinically-meaningful and statistically-significant improvement ($p=0.003$) in symptoms associated with NOH. Study results also showed that Northera was both safe and very well tolerated. The 167 patients randomized into this double-blind, placebo-controlled study were evaluated for symptomatic and functional improvements using the OHQ composite, which is specifically designed to rate the severity of symptoms resulting from low-blood pressure and the degree to which those symptoms interfere with a patient's ability to perform activities of daily living. In addition to the symptomatic and functional benefits registered on the OHQ composite, the study validated Northera's unique mechanism of action and confirmed the preferential effect of Northera on standing systolic blood pressure, or SBP, versus supine SBP, demonstrating a statistically significant improvement in standing SBP ($p<0.001$) relative to placebo.

The study was conducted under a Special Protocol Assessment, or SPA, granted by the FDA in February 2008, providing an agreement that the study design, including trial size, clinical endpoints and/or data analyses is acceptable to support regulatory approval.

The primary efficacy endpoint for Study 302 was defined as the relative symptomatic change, as measured by the mean score of Item 1 (dizziness or lightheadedness) of the Orthostatic Hypotension Symptom Assessment, or OHSA, 14 days following randomization either to continued therapy with droxidopa or to placebo. In September 2009, we announced preliminary data from Study 302. While strong symptomatic benefit was demonstrated during the open-label dose titration and run-in phase of the trial, results of the trial did not demonstrate a statistically significant improvement relative to placebo, as measured by the study's primary efficacy endpoint. While the study did not meet its primary endpoint, additional analysis confirmed statistically significant symptomatic benefit across multiple, clinically relevant assessment criteria that reflect symptomatic improvements and corroborate other supportive symptom data, including a significant improvement in the OHQ composite ($p<0.05$) over placebo. Data from the trial also supported the safety and tolerability of Northera.

In September of 2011, we submitted our NDA to the FDA seeking approval to market Northera for the treatment of symptomatic NOH in patients with primary autonomic failure, dopamine beta hydroxylase deficiency and non-diabetic autonomic neuropathy, based on the results from our Northera registration program, including data from our two completed Phase III efficacy studies in NOH, two long-term open-label extension studies, a dedicated thorough QTc study, and a 24-hour ambulatory blood pressure monitoring safety study, and supportive data obtained from DSP. In November of 2011, the FDA accepted our filing for review, granting our request for a Priority Review, and, under PDUFA, the FDA's goal is to review and act on the NDA by March 28, 2012. The FDA grants priority review to drugs offering major advances in treatment, or providing a treatment where no adequate therapy exists. In addition, the FDA scheduled a review of the Northera NDA at a February 2012 meeting of its CRDAC. Advisory committees provide independent, expert advice to the agency and are often held as part of the review process for first-in-class drugs. On February 23, 2012, the FDA's CRDAC voted 7 to 4 to recommend approval of Northera for the treatment of symptomatic NOH in patients with primary autonomic failure (PD, MSA and PAF), DBH deficiency and non-diabetic autonomic neuropathy. While the FDA is not bound by the recommendations of its advisory committees, the recommendation of the CRDAC will be considered by the FDA in its review of our NDA in advance of its target action date of March 28, 2012.

Following an initial discussion in 2006, we have conducted only limited discussions of the specifics of our clinical program for Northera with the EMA and we do not know if our current program will be acceptable for marketing approval in the European Union or if we may be required to conduct additional efficacy trials.

Given the anecdotal evidence in the adverse events reported in Study 302, suggesting that Northera treatment was associated with fewer falls, we decided to prospectively assess this benefit as a secondary efficacy parameter in Study 306, a Phase III trial of Northera in PD patients with NOH initiated in 2010. Study 306 was originally intended to support our registration of Northera for the treatment of NOH using the primary endpoint of the relative mean change in OHQ composite between treatment and placebo arms. In February 2011, we announced our plans to modify Study 306 following a futility determination at the planned interim analysis of the study's primary endpoint and an unblinded review of multiple, secondary outcome measures showing a 60% reduction in falls and supportive signs of therapeutic activity associated with Northera in the first 51 patients to complete Study 306. Given the highly significant outcome of Study 301, the FDA agreement that sufficient data exists to support an NDA filing without the results of Study 306 and given the outcome of the interim analysis, we modified Study 306, changing the primary endpoint to the change in patient reported falls from baseline to end of study. We plan to use data from this trial to form the basis for a future, supplemental claim of a reduction in falls associated with NOH in PD.

Having already enrolled 113 patients as of February 2011, we modified and separated Study 306 such that the first 51 patients evaluated in the unblinded interim analysis were considered Part A (Study 306A) and constitute a hypothesis-generating study, and the remaining patients enrolled or to be enrolled in the study will

become Part B (Study 306B) and serve as a distinct, hypothesis-confirming study. Based on the analysis of data from Study 306A, we repowered the study to demonstrate a 45% reduction in falls associated with NOH in PD and plan to enroll a total of approximately 160 patients in Study 306B. Based on current estimates, we anticipate data from Study 306B will likely be available by the third quarter of 2012. Collectively, we believe the results from Studies 306A and 306B should serve as the basis for a supplemental NDA, or sNDA, intended to expand the future labeling of Northera in the United States to include the reduction of falls in NOH associated with PD.

Intradialytic Hypotension

IDH is another indication for which DSP conducted extensive clinical evaluation. Pivotal clinical studies conducted by DSP have demonstrated the efficacy of droxidopa in the prevention of vertigo, dizziness and weakness associated with hypotension in hemodialysis patients. Subsequently, in 2000, after showing benefit in clinical trials, DSP received expanded marketing approval in Japan for this indication.

Intradialytic hypotension is the most common adverse event during routine hemodialysis. IDH is often defined as a decrease in systolic blood pressure by ≥ 20 mm Hg or a decrease in mean arterial pressure by 10 mm Hg. IDH has been reported in 15-25% of all hemodialysis patients, with elderly patients reporting an even higher incidence. Many adverse hemodialysis events, including headaches, lightheadedness, nausea, cramps, and seizures, are associated with IDH. These complications can routinely interrupt dialysis sessions, resulting in insufficient uremia toxin removal and necessitating repetition of the procedure. Interruptions due to IDH increase the costs of both the dialysis treatment sessions and the long-term care of less healthy hemodialysis patients.

In March 2009, we reported results from a double-blind, placebo controlled trial comparing 400mg and 600mg of droxidopa to placebo. Following a two-week run-in period to establish a baseline for all measurements, patients in this three-arm study received a single oral dose of droxidopa or placebo one hour prior to each dialysis treatment over a four-week period. In order to determine useful clinical endpoints for a Phase III program, the trial evaluated the efficacy of droxidopa using multiple clinically relevant measures, including: the change from baseline in average mean arterial blood pressure during dialysis; the change from baseline in average mean nadir (lowest) blood pressure during dialysis; the number of treatment interventions, including early termination, required during dialysis sessions; and the change from baseline in mean postdialytic blood pressure during the final two weeks of the study period. The study recruited 85 patients at 15 sites in the United States. Droxidopa demonstrated a dose dependent, statistically significant benefit across multiple, clinically relevant assessment criteria for IDH. While the study did not achieve an improvement in mean arterial blood pressure during dialysis, the prospective primary endpoint for the study, droxidopa demonstrated a significant benefit in limiting the severity of the drop (nadir) in blood pressure during treatment. The data also showed that droxidopa was well tolerated by patients with the most common treatment-related side effect reported being headache (3%). Results from this study might be used to determine clinical endpoints for a potential future Phase III program that might allow us to file for the first marketing approval of a therapeutic agent for IDH in the United States.

Fibromyalgia

Fibromyalgia is a polysymptomatic syndrome characterized by chronic, widespread musculoskeletal pain, multiple tender points, abnormal pain sensitivity, and is often accompanied by severe fatigue, insomnia and mood symptoms. According to the American College of Rheumatology, fibromyalgia is the second most commonly diagnosed condition in rheumatology clinics in the United States after osteoarthritis and is estimated to affect over six million Americans. While the precise etiology of fibromyalgia remains unknown, current research includes the role of norepinephrine reuptake and availability in the central nervous system. Norepinephrine, a widely used neurotransmitter in the central and peripheral nervous systems, has long been linked to both chronic pain and depression. While norepinephrine, as a catecholamine, does not penetrate the blood-brain barrier, droxidopa, as a neutral amino acid, is able to do so thus providing both a peripheral and central effect on circulating norepinephrine levels. In prior studies conducted by DSP, droxidopa has shown statistically significant dose-dependent analgesia in chronic pain.

In December 2011, we reported results of a Phase II dose-finding study designed to evaluate the safety and determine the potential therapeutic dose range of droxidopa, alone or in combination with carbidopa, which might be effective for the treatment of fibromyalgia. Topline results of the study indicate a dose response with the highest dose of droxidopa, 600 mg three times daily, or TID, demonstrating a 6.2-point average improvement from a baseline score of 23.00 on the Short Form McGill Questionnaire (SF-MPQ) at the end of the nine-week treatment period, the study's primary endpoint. This reflects a 3.2 unit improvement over placebo on the SF-MPQ total pain score. Although the study was not designed to demonstrate statistical significance given the limited number of patients per arm, results of the study show a mean change in pain as measured by the visual analog scale (VAS) of -1.64 for patients treated with droxidopa monotherapy compared to a mean change of -0.90 for placebo. Assessment using the Fibromyalgia Index Questionnaire (FIQ) showed patients treated with droxidopa monotherapy demonstrated a mean change from baseline of -9.72 compared to -4.74 reported by patients in the placebo arm. Interestingly, administration of droxidopa as a monotherapy proved more effective than droxidopa/carbidopa combination therapy in this study. The Phase II trial, conducted in the U.K., was a multi-center, randomized, double-blind, placebo-controlled, dose response, factorial parallel group study evaluating 120 patients equally randomized to receive droxidopa monotherapy, carbidopa monotherapy, droxidopa/carbidopa combination therapy or placebo over a 9-week treatment period. Secondary outcomes of the study include Fibromyalgia Index Questionnaire (FIQ), Patient Global Impression of Change (PGI-C), Multidimensional Fatigue Inventory (MFI), and Hamilton Anxiety Depression survey (HAMA).

Additional Potential Indications for Droxidopa

In addition to the indications for which we have established active clinical programs, we believe there are a significant number of other therapeutic indications in which norepinephrine function plays a key role and for which droxidopa may provide clinical benefit. To facilitate research in additional indications and maximize the long-term development potential, we have initiated an extra-mural development program that enables independent investigators to conduct clinical trials in their respective fields of expertise. Specifically, we have been exploring Phase II clinical studies, under investigator-sponsored investigational new drug applications, or INDs, intended to evaluate the safety and efficacy of droxidopa in ADHD, CFS, freezing of gait in PD, Down syndrome and hypotensive patients with spinal cord injury. For studies conducted under investigator-sponsored INDs, we have limited control over the timing for initiating or completing these studies and, therefore, cannot predict with any certainty when data from these programs will be available.

In July 2011, we announced positive top-line results of an investigator-led Phase II clinical study of droxidopa in combination with carbidopa in 20 adults with ADHD indicating that droxidopa dramatically improved patients' mean score on the adult ADHD Investigator Symptom Rating Scale, or AISRS. The AISRS is a standardized, validated rating scale for assessing symptoms of adult ADHD and for measuring response to treatment. Upon enrollment, patients in the study had a mean AISRS score of 34. After three weeks of open-label droxidopa monotherapy (titration from 200mg-600mg TID), the mean AISRS score decreased by approximately 47% to 19 ($p<0.0001$). The reduction in AISRS score was maintained with the addition of carbidopa (25mg or 50mg) for another three weeks.

In October 2011, an investigator-led, Phase II study began to evaluate droxidopa for the treatment of orthostatic hypotension resulting from spinal cord injury.

In November 2011, we also announced that an investigator-led, open label Phase II study of droxidopa for the treatment of chronic fatigue syndrome, or CFS, initiated at the Hunter-Hopkins Center in Charlotte, North Carolina was closed prior to completion of the trial as a result of slow patient recruitment and enrollment.

We plan to continue working with key opinion leaders to identify and evaluate additional potential indications for droxidopa and may provide droxidopa for future studies when deemed appropriate and as funding and availability of drug substance permits.

Droxidopa Competition

Neurogenic Orthostatic Hypotension

Midodrine (ProAmatine®)

Midodrine is currently the only FDA-approved therapeutic for the treatment of orthostatic hypotension. Midodrine's product label contains a black box warning for the side effect of supine hypertension, along with the statement that midodrine has not shown benefit to patients' Activities of Daily Living, or symptomatic/functional benefit. In August 2010, the FDA proposed removing midodrine from the market because required post-approval studies to verify the clinical benefit of the drug have not been satisfactorily completed by Shire plc, the holder of the NDA for ProAmatine™ (midodrine HCL) . In January 2011, the FDA announced the opening of a public docket (FDA-2010-N-0475) to provide a forum to facilitate communication regarding the conduct of clinical trials needed to support continued marketing authorization for midodrine.

In December 2011, Shire reached an agreement with the FDA and in February 2012, the FDA's Center for Drug Evaluation, or CDER, also agreed to allow Shire to conduct two additional clinical trials to demonstrate the clinical benefit of ProAmatine by the end of 2014. While these trials are ongoing, the proposal to withdraw midodrine from the market has been placed on hold. Should the FDA determine that Shire has failed to adhere to the terms or timeframes specified in this agreement, or the agreed upon trials fail to verify clinical benefit, Shire has agreed to have the FDA withdraw the marketing approval for midodrine and Shire waives the right for a public hearing.

As the only approved compound for orthostatic hypotension in the U.S, midodrine's removal could facilitate higher sales and/or more rapid acceptance of droxidopa in this indication. However, the FDA has never removed a drug under similar circumstances and we can provide no assurance that they will do so in the case of midodrine.

Other than the increase in blood pressure caused by vasoconstriction, additional midodrine side effects include paresthesia (tingling), piloerection (goosebumps), dysuria (painful urination), and pruritus (itching). Annual sales (branded and generic) in 2011 in the United States totaled approximately $61 million. In addition to Shire's ProAmatine brand, Mylan Pharmaceuticals, Impax Laboratories (Global Pharmaceuticals), Sandoz, Apotex and Upsher-Smith are generic manufacturers of the compound.

Fludrocortisone (Florinef®)

Fludrocortisone is also widely used in the treatment of orthostatic hypotension although this specific indication has not been approved by the FDA. Fludrocortisone is a synthetic adrenocortical steroid possessing very potent mineralocorticoid properties and high glucocorticoid activity. Fludrocortisone, in small oral doses (0.1mg.) produces marked sodium retention and increased urinary potassium excretion leading to enhanced plasma volume and a rise in blood pressure. Side effects include hypertension, water and sodium retention and potassium, or K+, loss. Fludrocortisone is not FDA-approved for NOH.

Intradialytic Hypotension

There is currently no FDA-approved drug for treatment or prevention of intradialytic hypotension. Common methods for treating IDH include the manual adjustment of ultrafiltration rate, a cumbersome procedure in daily practice. Some dialysis patients are known to take midodrine prophylactically, either before or during dialysis, to prevent intradialytic hypotension. However, midodrine is known to be eliminated through the kidneys and is removed by dialysis, thereby limiting its widespread use in this indication.

Fibromyalgia

While doctors have used antidepressants and pain drugs for years, in June 2007, the FDA granted its first approval for the treatment of fibromyalgia to Pfizer's Lyrica®, which was already used to treat epilepsy and

neuropathic pain. U.S. sales of Lyrica® in 2011 totaled $2 billion. Eli Lilly received approval in 2008 to market Cymbalta®, a selective serotonin and norepinephrine reuptake inhibitor, to treat fibromyalgia and generated sales in all indications of $3.7 billion in 2011. Cypress Biosciences, with their partner Forest Laboratories, received FDA approval in early 2009 for Savella® for the treatment of fibromyalgia. Savella® is a norepinephrine serotonin reuptake inhibitor that increases the level of norepinephrine more than it does serotonin and had U.S. sales of $137 million in 2011.

Droxidopa Marketing

We currently estimate that nearly 400,000 patients suffer from chronic, symptomatic NOH in the United States and the European Union combined. This condition is commonly associated with PD, PAF and MSA, the latter encompassing disorders previously known as striatonigral degeneration, olivoponto-cerebellar atrophy and the Shy-Drager syndrome. In addition to the broader symptoms and impact on activities of daily living, NOH significantly increases the risk of falls in patients with PD and is believed to be responsible for significant healthcare costs due to the high incidence of falls-related injuries in this patient population, particularly in elderly patients. According to the Centers for Disease Control and Prevention, the cost of medical care for falls-related injuries was estimated to be approximately $19 billion in 2000 and is estimated to grow to $55 billion by 2020. The National Center for Injury Prevention and Control estimates this cost to be between $82 billion and $240 billion with over 500,000 hospitalizations in 2040. Preliminary data from our studies suggests that the use of Northera by patients with NOH associated with PD results in a meaningful reduction in falls in these patients. Reducing serious falls by 30% in this population, by our estimate, could result in a potential annual savings of approximately $5 billion in falls-related costs, including the costs of extended care in skilled nursing facilities.

We are currently in the process of establishing a commercial sales and marketing organization for Northera in the United States but do not currently plan to establish the necessary infrastructure to support future sales outside of the United States. As a result, we would expect to partner with or license Northera to companies with established infrastructure in the European Union and other markets. In the United States, we believe that the market for Northera in NOH, our most immediate commercial opportunity, could be addressed through the establishment of a marketing and sales organization on a stand-alone basis, which we began to establish in 2011. During 2011 and 2010, we conducted studies to further evaluate the marketing potential for Northera in the United States. The favorable results of these studies were suggestive of a potential and possibly significant market opportunity. Given the potential commercial opportunity for Northera, there may exist opportunities for us to effectively pursue co-marketing, co-promotion or other alliances for Northera within the United States.

It is possible that we might directly commercialize or co-promote droxidopa in IDH and other smaller potential therapeutic indications. Given the size of certain markets, the vast sales forces required to compete in those markets, and the necessary infrastructure required, our marketing strategy in those indications is likely to include contracting with or licensing to third parties, particularly for territories outside the United States. Out-licensing arrangements might be negotiated and entered into prior to droxidopa receiving marketing approval in one or more of the indications currently under clinical development.

METABOLICALLY INERT ANTIFOLATES

Product Overview

Our portfolio of novel antifolate compounds was originally developed by Dr. M. Gopal Nair and licensed to us in 2004. A library of orally available and metabolically inert antifolate compounds with potent autoimmune, anti-inflammatory and anti-tumor properties, these compounds are engineered to treat a broad range of immunological disorders with fewer harmful and unpleasant side effects than those typically associated with classical antifolates such as methotrexate, or MTX, currently the leading antifolate treatment and standard of care for a broad range of abnormal cell proliferation diseases.

Drug candidates from this portfolio, including both clinical candidates CH-1504 and CH-4051, inhibit dihydrofolate reductase, an enzyme required for cell proliferation, but, due to the lack of metabolism, are devoid of the metabolites believed to play a significant role in the liver and kidney toxicities associated with long-term use of MTX and show a clinically relevant decrease in toxicity compared to MTX.

We believe these unique antifolates might have clinical advantages over MTX as they might have less toxicity and increased tolerability while maintaining equal or potentially greater efficacy. Potential advantages over existing therapies, supported by our preclinical and clinical work to date, include:

- higher response rate, including efficacy in patients that have failed MTX therapy;
- faster onset of action;
- better tolerability; and
- superior toxicity profile.

Diseases that may potentially be treated with metabolically inert antifolates include rheumatoid arthritis, psoriasis, Crohn's disease, uveitis, ankylosing spondylitis, inflammatory bowel disease, cancer and other immunological disorders.

Clinical Development

Our portfolio of drug candidates includes multiple molecules for the treatment of various autoimmune/ inflammatory diseases. The most advanced platform is a portfolio of metabolically-inert antifolate molecules engineered to have potent anti-inflammatory and anti-tumor activity to treat a range of immunological disorders, including two clinical stage product candidates designated as CH-1504 and CH-4051. CH-1504 has completed Phase II trials in rheumatoid arthritis. While we do not intend to conduct additional trials or make further investments in the development of CH-1504, clinical work related to this compound might provide meaningful informative data supporting the development of additional compounds in this portfolio. Based on preclinical and clinical findings to date, we intend to focus our clinical resources on the continued development of CH-4051, the second clinical stage compound in this portfolio and the more potent L-enantiomer of CH-1504. CH-4051 is currently being developed with a lead indication of rheumatoid arthritis, having completed a Phase I trial in April 2009 and currently being evaluated in a Phase II trial for the treatment of rheumatoid arthritis initiated in September 2010.

Rheumatoid arthritis is a chronic inflammatory disease that leads to pain, stiffness, swelling and limitation in the motion and function of multiple joints. If left untreated, rheumatoid arthritis can produce serious destruction of joints that frequently leads to permanent disability. Though the joints are the principal body part affected by rheumatoid arthritis, inflammation can develop in organs and other body parts as well. The disease currently affects over two million Americans, almost 1% of the population, and is two to three times more prevalent in women. Onset can occur at any point in life with most patients developing the disease between the ages of 35 and 50.

Given the variation in the metabolism of MTX, we believe that our novel antifolates might have significant clinical advantages over MTX in rheumatoid arthritis patients due to metabolic stability. Because of this stability, it can be hypothesized that in those patients who fail to achieve a sufficient therapeutic response to MTX as a result of either a slower or more rapid metabolism of MTX, a non-metabolized antifolate might be clinically efficacious since it is not deactivated by these enzymatic processes.

CH-4051

In parallel to our clinical development of CH-1504, we continued additional preclinical evaluation, including formulation work on the enantiomers of CH-1504. After conducting studies to determine the relative potency of the L- and D-isomers, we found that the L-isomer, now identified as CH-4051, was the more potent of the two thus prompting additional preclinical evaluation of CH-4051.

In April 2008, we reported findings from a 17-day preclinical study of CH-4051 designed to test the efficacy of CH-4051 in a rat collagen-induced arthritis, or CIA, model. The results reveal efficacy in delaying the onset of the disease, significantly decreasing the severity and, at certain doses, completely blocking all development of rheumatoid arthritis. The most significant finding from this study was that once daily dosing of 10mg/kg of CH-4051 administered from day 0 completely prevented the onset of arthritis. Similarly, twice daily 5mg/kg doses of CH-4051 reduced the severity of disease in all animals and prevented disease onset in some. Both the once-daily dose of 10mg/kg and the twice-daily dose of 5mg/kg dose of CH-4051 demonstrated better prevention of disease than 0.25mg/kg of methotrexate (a known maximally tolerated dose, or MTD, in this model) administered every three days.

In April 2009, we announced positive findings from our Phase I study of CH-4051. Data from this single and multiple ascending dose study demonstrated that CH-4051 is safe and well tolerated up to a MTD of 7.5mg. This randomized, double-blind, placebo-controlled study was conducted at Kendle International's Clinical Pharmacology Unit in the Netherlands. The primary objective of the study was to evaluate the safety, tolerability and pharmacokinetics of single and multiple ascending doses of CH-4051 in healthy male volunteers and to determine the MTD.

The single ascending dose, or SAD, phase of the study evaluated 5mg, 10mg, 20mg and 40mg doses of CH-4051. Each group contained 6 volunteers randomized 5:1 to receive either CH-4051 or placebo. In this escalating dose study, each cohort of subjects received a higher dose of the drug than the preceding cohort.

Based on the findings from the SAD study, we selected 5mg, 7.5mg, 10mg and 20mg of CH-4051 for evaluation in a multiple ascending dose, or MAD, study with the objective of exploring a wide range of doses, including and exceeding those believed to be therapeutically relevant. In the MAD study, 32 subjects in 4 cohorts of 8 volunteers were randomized 6:2 to receive repeat daily oral doses of CH-4051 or placebo for 14 consecutive days.

Results demonstrated that CH-4051 was well tolerated at doses up to and including 7.5mg, a dose range likely to be effective for multiple autoimmune disorders. The 5mg dose was as well tolerated as placebo. High doses of CH-4051 demonstrated mostly mild toxicities, with the 10mg and 20mg doses groups reporting both gastrointestinal side-effects and reversible liver enzyme elevations. No serious adverse events occurred during the study. The dose range determined to be safe and well tolerated in this study is substantially higher than the 0.25mg to 1mg dose range of the less potent CH-1504 that demonstrated comparable efficacy and improved safety and tolerability to methotrexate in the recent Phase II rheumatoid arthritis trial.

Based on these findings, in September 2010 we initiated a double-blind, multiple-arm randomized Phase II study, with a primary efficacy endpoint of the American College of Rheumatology, or ACR, hybrid score that combines a continuous scale of percentage improvement with the well-known ACR20/50/70.

In November 2011, we announced results from an interim analysis of unblinded efficacy data from the lower two of three doses of CH-4051 and half of the patients enrolled into the MTX control arm in this exploratory Phase II trial. Preliminary results from the unblinded interim suggest a dose-dependent therapeutic response in which patients treated with the mid-range, or 1.0 mg daily oral dose, of CH-4051 experienced similar efficacy to patients treated with a standard 20.0 mg weekly dose of MTX. This response suggests that patients currently receiving triple the dose, or 3.0 mg, of CH-4051 in the ongoing study may experience greater therapeutic benefits than patients treated with MTX.

CH-1504

In June 2005, we commenced Phase I single and multiple dose escalation clinical trials of CH-1504 in healthy volunteers. These trials were conducted at Guy's Hospital in London under the Clinical Trial Authorization, issued by the Medicines and Healthcare Products Regulatory Agency, the United Kingdom's health authority. The in vivo portion and preliminary analysis of these trials were completed in December 2005.

Continuing evaluation of these results in light of additional preclinical data suggested that the bioavailability of CH-1504 was low and had significant pharmacokinetic variability. Following a review of available data suggesting the bioavailability of our free-acid formulation of CH-1504 could be improved, we reformulated CH-1504 utilizing a disodium-salt formulation. Subsequent human bioequivalence studies showed 1mg of the new formulation to be comparable to 15mg of the original free-acid formulation and demonstrated an 11.4-fold improvement in relative bioavailability, as measured by area under the curve with an 8.9-fold increase in peak plasma levels (Cmax).

In March 2009, we announced the results of a Phase II proof of concept study for CH-1504 in rheumatoid arthritis. The study was a multi-national, 12-week double-blind and randomized study in Russia, Ukraine, Poland and Canada with 200 MTX-naive rheumatoid arthritis patients. The 4-arm trial included a 0.25mg, 0.5mg or 1mg daily dose of CH-1504 versus a 20 mg weekly dose of MTX. Results showed comparable ACR 20/50/70 response rates among patients treated with CH-1504 compared to methotrexate. In addition, the efficacy of CH-1504 was associated with improved tolerability and reduced liver enzyme elevations compared with methotrexate.

Because Phase I data suggests CH-4051 retains the superior safety and tolerability profile of CH-1504 while preclinical data suggests both an enhanced potency compared to CH-1504 and significant superiority to methotrexate, we have no additional trials planned for CH-1504.

Other Potential Indications for our Antifolate Portfolio

As we proceed in our clinical development of our antifolate portfolio for rheumatoid arthritis, we expect to continue our evaluation of its potential in other indications. Additional potential indications for our antifolates include rheumatoid arthritis, psoriasis, Crohn's disease, uveitis, ankylosing spondylitis, inflammatory bowel disease, certain cancers and other immunological disorders. If and as our antifolates advance in rheumatoid arthritis studies, we will begin to focus on the timing of clinical programs for our antifolate compounds in these additional indications. Notwithstanding the foregoing, because of our limited funding, clinical studies will initially be pursued in rheumatoid arthritis.

Antifolate Competition

There are many different drugs that are used to treat rheumatoid arthritis, including hormones, small molecules and biologics, which are manufactured using recombinant technology. The normal course of therapy for rheumatoid arthritis begins with analgesics, such as aspirin, and non-steroidal anti-inflammatory agents, followed by disease modifying anti-rheumatic drugs, or DMARDs, including low dose steroids, MTX, dihydroorotate dehydrogenase, or DHODH, inhibitors and biologics, and, finally, reconstructive joint surgery for patients failing all therapies. DMARDs are the only drugs that have been shown to alter the course of the disease.

Currently Available Antifolates. MTX, a classical antifolate, was originally used as a chemotherapy drug to treat certain kinds of cancer, but was also found to be beneficial in treating inflammatory arthritis and psoriasis. MTX is generic and marketed in both injectable and oral formulations by multiple companies including Barr Laboratories, Boehringer Ingelheim Pharma, Mayne Pharma and Mylan Laboratories. Traditional oral DMARDs include MTX, leflunomide, auranofin, sulfaszlazine, cyclosporine, hydroxychloroquine, azathioprine and penicillamine.

Currently Available Biologics. Although there have been positive results for biologics, we believe physicians are likely to reserve anti-tumor necrosis factor, or anti-TNF, and other biologic therapies for patients who have failed or had a limited response to initial MTX monotherapy. Despite increased aggressiveness of treating physicians and easier reimbursement, we believe front line use with biologics either in monotherapy or in combination with MTX is unlikely to occur due to their high costs and side effect profile. Enbrel®, Humira®, Remicade® and Simponi® are TNF blockers that have been approved by the FDA and are the top selling biologics for rheumatoid arthritis. These three TNF blockers are administered to patients by injection and can be used alone or in combination with other DMARDs, such as MTX, or NSAIDs such as aspirin or ibuprofen.

Abbot Laboratories' Humira® is the top selling biologic for rheumatoid arthritis and is also indicated for psroriatic arthritis, ankylosing spondylitis, Crohn's disease and plaque psoriasis. Humira had 2011 U.S. sales of $3.5 billion. Enbrel®, which was developed by Amgen for rheumatoid arthritis is also indicated for juvenile rheumatoid arthritis, early rheumatoid arthritis, psoriatic arthritis and ankylosing spondylitis. Enbrel® had U.S. sales of $3.1 billion in 2011. Remicade® is a chimeric anti-TNF monoclonal antibody, developed by Johnson & Johnson and co-marketed with Merck, for the treatment of rheumatoid arthritis and Crohn's disease with combined U.S. sales of $3.5 billion in 2011. Simponi® is a fully human anti-TNG monoclonal antibody, developed by Johnson & Johnson and co-marketed with Merck, for the treatment of rheumatoid arthritis, psoriatic arthritis and ankylosing spondylitis, with total U.S. sales of $258 million in 2011. All anti-TNF biologics contain black box warnings for tuberculosis and malignancies. Rituxan®, an anti-CD20 monoclonal antibody, is currently marketed by Genentech and Roche for rheumatoid arthritis in patients refractory to other DMARD therapy. Orencia® (abatacept), a CTLA-4 fusion protein, developed by Bristol-Myers Squibb, is marketed as a once-monthly infusion for rheumatoid arthritis as a mono- and combination therapy with sales of $63 million in 2011. UCB is currently marketing Cimzia®, an injectable, pegylated anti-TNF antibody fragment for the treatment of rheumatoid arthritis in the United States with sales of $318 million in 2011. Other biologics approved for the treatment of rheumatoid arthritis include Swedish Orphan Biovitrum's Kineret®, an IL-1 receptor antagonist, and Roche's Actemra®, a humanized anti-IL-6 receptor monoclonal antibody.

DMARDs and Biologics in Development. Rigel Pharmaceuticals' R788 (fostamatinib disodium), licensed by AstraZeneca in February 2010, showed proof of concept in a Phase II clinical trial in rheumatoid arthritis and is currently in Phase III trials for the same indication. An oral syk kinase inhibitor, R788 demonstrated statistically significant results in treating rheumatoid arthritis patients. Pfizer is in pre-registration of an oral tablet formulation of CP-690550 (tasocitinib), a Janus kinase (JAK)-3 inhibitor, for the potential treatment of rheumatoid arthritis, psoriasis, asthma and inflammatory bowel disorders, including Crohn's disease and ulcerative colitis, and the treatment of transplant rejection. We believe that with significant ACR scores and good tolerability as observed in clinical trials to date, and with the benefit of oral delivery, R788 and CP-690550 may be favorable alternatives to the currently approved biological agents. Additionally, AB Science SA has masitinib, an inhibitor of c-kit, Lyn and PDGF-R in Phase IIb/III for rheumatoid arthritis. However, we anticipate that, like most biologics, these compounds would work best in combination with MTX or similar antifolates and should not significantly impact the opportunity available to our antifolate portfolio. Numerous biologics, including tabalumab, ixekizumab, secukinumab, neutrazumab, pateclizumab and sarilumab are currently in various stages of clinical development for rheumatoid arthritis.

Antifolate Marketing

Given the size of the rheumatoid arthritis market, the vast sales forces required to compete in this market, and the necessary infrastructure required, our marketing strategy for our antifolates is likely to include contracting with or licensing to third parties, particularly for territories outside the United States. It is possible that we might directly commercialize or co-promote our antifolate compounds in the smaller therapeutic indications such as psoriasis or irritable bowel disease. Out-licensing arrangements might be negotiated and entered into prior to one or more of our antifolate drug candidates being approved for marketing.

I-3D PORTFOLIO

In May 2006, we signed an agreement with Active Biotech AB for the co-development and commercialization of the I-3D portfolio, a group of orally active compounds that inhibit the enzyme DHODH for the treatment of autoimmune diseases and transplant rejection. At the time of the agreement, Active Biotech had already isolated more than 15 compounds and conducted extensive preclinical modeling resulting in the identification of two potential lead compounds.

Having previously demonstrated proof of concept in both rheumatoid arthritis and transplant rejection in animal models, the joint development committee selected AB-224050 as the first I-3D compound to undergo

IND-enabling toxicology studies during the third quarter of 2006. As part of the ongoing evaluation and preparation for Phase I trials, the joint development committee initiated a Phase 0 (micro-dosing) study to evaluate the half-life of AB-224050 in humans in the first quarter of 2007. Based on the results of the micro-dosing study and other ongoing preclinical activity, it was determined that, while demonstrating a significantly shorter half-life than Arava®, AB-224050 would require additional work prior to the commencement of Phase I clinical trials. In 2007, the joint development committee continued preclinical optimization of AB-224050 and conducted further comparisons of AB-224050 versus other compounds in the I-3D.

In April 2008, following a decision to focus its resources on its immunomodulatory compounds, Active Biotech AB discontinued its participation in the I-3D co-development program and granted us exclusive global rights to the portfolio in exchange for royalties on future sales. As a result of our limited funding and strategic development efforts associated with the development of droxidopa and our antifolate drug candidates, we currently do not have any active clinical or preclinical programs associated with compounds from this portfolio.

In addition to therapeutic applications in rheumatoid arthritis, compounds from the I-3D portfolio are believed to have broad clinical application in immune-mediated inflammatory disorders including transplant rejection, psoriasis and systemic lupus erythematosus.

Government Regulation

The FDA and foreign regulatory agencies regulate many aspects of product development and marketing of our product candidates including research, development, manufacture, labeling, promotion, advertising, distribution, and marketing. Meeting the various U.S. and international regulatory requirements often takes several years, and the actual time required can vary substantially based upon the type, complexity and novelty of the pharmaceutical product and the therapeutic indication. Furthermore, meeting the regulatory requirements as well as maintaining compliance often necessitates implementing costly procedures. Failure to comply with the applicable requirements mandated by the FDA and other regulatory agencies can result in administrative or judicial sanctions and/or fines. In the United States, such sanctions may include the FDA's refusal to approve pending NDAs, warning letters, product recalls, product seizures, total or partial suspension of production or distribution, injunctions and/or criminal prosecution.

Success in preclinical or early-stage clinical trials does not ensure success in late-stage clinical trials. Data obtained from preclinical and early stage clinical activities are not always conclusive and are susceptible to varying interpretations that could negatively impact our trials and delay, limit or prevent regulatory approval. In addition, we cannot be certain that the FDA or any other international regulatory agency will grant approval for any of our products under development on a timely basis, if at all. Delays in obtaining, or failures to obtain, regulatory approvals would have a material adverse effect on our business. Even if a product receives regulatory approval, the approval might be significantly limited to specific indications or uses. After regulatory approval is obtained and the product becomes available on the market, the later discovery, over time, of previously unknown problems with a product might result in restrictions on the product or even complete withdrawal of the product from the market.

Drug Approval Process in the United States

In the United States, the FDA regulates drugs under the Federal Food, Drug, and Cosmetic Act, or FDCA, and those regulations are published in the Federal Register. None of our drugs may be marketed in the United States until the drug has received FDA approval. The process required before a drug can be marketed in the United States includes:

- preclinical laboratory tests, animal pharmacology and toxicology studies, and formulation studies;
- submission to the FDA of an investigational new drug application, or IND, for human clinical testing, which must be cleared by the FDA before human clinical trials can begin in the United States;

- adequate and well-controlled human clinical trials to establish the safety and efficacy of the drug for each indication;
- submission to the FDA of a new drug application, or NDA;
- satisfactory completion of any FDA inspections of the manufacturing facility or facilities at which the drug is produced to assess compliance with current good manufacturing practices, or cGMPs;
- FDA review and approval of the NDA; and
- the completion of any contingent requirements of the FDA as a condition to maintaining marketing approval once granted.

Preclinical tests include laboratory tests and animal studies. The conduct of the preclinical tests as well as the formulation of the compounds must comply with FDA regulations. The preclinical test data, together with manufacturing information and analytical data of product chemistry are submitted to the FDA as part of an IND, which must become effective before human clinical trials can begin. Human clinical trials submitted to the FDA as part of an IND will automatically become effective 30 days after receipt by the FDA, unless, within those 30 days, the FDA raises concerns or questions regarding the clinical trials, or places a clinical hold on the IND. In such a case, the IND sponsor and the FDA must resolve any outstanding FDA concerns or questions before clinical trials can proceed. We cannot be certain that submission of an IND will result in clearance by the FDA to allow clinical trials to begin.

Clinical trials involve the administration of the investigational drug to human subjects under the supervision of qualified clinical investigators. Clinical trials are conducted under protocols detailing the objectives of the study, the parameters to be used in monitoring safety, and the effectiveness criteria to be evaluated. Each clinical trial protocol must be submitted to the FDA as part of an IND.

Clinical trials typically are conducted in three sequential phases, but the phases might overlap. The study protocol and informed consent information for study subjects in clinical trials must also be approved by an Institutional Review Board for each institution where the trials will be conducted. Study subjects must sign an informed consent form before participating in a clinical trial. The normal clinical trial phases are:

- Phase I usually involves the initial introduction of the investigational drug into healthy volunteers to evaluate its short-term safety, dosage tolerance, metabolism, pharmacokinetics and pharmacologic actions, and, if possible, to gain an early indication of its effectiveness.
- Phase II usually involves trials in a small patient population to evaluate dosage tolerance and appropriate dosage, identify possible adverse effects and safety risks, and evaluate preliminarily the efficacy of the drug for specific indications.
- Phase III trials usually involve further evaluation of clinical safety and efficacy by using the drug in its final form in a larger patient population.

There can be no assurance that Phase I, Phase II, or Phase III testing will be completed successfully within any specified period of time, if at all. Furthermore, clinical trials might be suspended by us or the FDA at any time on various grounds, including a finding that the subjects or patients are being exposed to an unacceptable health risk.

Once the required clinical testing is successfully completed, the results of the preclinical studies and of the clinical studies, as well as information on the manufacture and composition of the drug, are submitted to the FDA in an NDA. If the FDA grants NDA approval, the product can then be marketed for one or more approved indications. On the other hand, if the FDA reviews the application and deems it to be inadequate to support the NDA approval, and hence, marketing approval, we cannot ensure that any approval will be granted on a timely basis, if at all. The FDA might also refer the application to the appropriate advisory committee, typically a panel of clinicians and scientists, for review, evaluation and a recommendation as to whether the application should be approved. The FDA is not bound by the recommendations of the advisory committee.

The overall drug development process, including preclinical testing, clinical trials through to marketing approval requires substantial time, effort and financial resources.

The FDA has various programs, including fast track, priority review, and accelerated approval, that are intended to expedite or simplify the process for reviewing drugs, and/or provide for approval on the basis of surrogate endpoints. Generally, drugs that might be eligible for one or more of these programs are those for serious or life-threatening conditions, those with the potential to address unmet medical needs, and those that provide meaningful benefit over existing treatments. While Northera has qualified as Fast Track and our NDA for Northera has been accepted for priority review, we cannot ensure that any of our other drugs will qualify for any of these programs, or, to the extent that a drug does qualify, that the review time will be reduced.

Section 505b2 of the FDCA allows the FDA to approve a follow-on drug on the basis of data in the scientific literature or data used by the FDA in the approval of other drugs. This procedure potentially makes it easier for drug manufacturers to obtain rapid approval of new forms of drugs based on proprietary data of the original drug manufacturer.

Before approving an NDA, the FDA usually will inspect the facility or the facilities at which the drug is manufactured, and may not approve the product unless the manufacturing site is good manufacturing practices, or cGMP, compliant. Similarly, the FDA may inspect clinical sites and analytical laboratories to determine compliance with good clinical and laboratory practices.

If the FDA evaluates the NDA, the FDA might issue an approval letter, or in some cases, an approvable letter followed by an approval letter. Both letters usually contain a number of conditions that must be met in order to secure final approval of the NDA. When and if those conditions have been met to the FDA's satisfaction, the FDA will issue a final approval letter. The final approval letter authorizes commercial marketing of the drug for specific indications. As a condition of NDA approval, the FDA might require post-marketing testing and surveillance to monitor the drug's safety or efficacy, or they may impose other conditions.

After approval, certain changes to the approved product, such as adding new indications, making certain manufacturing changes, or making certain additional labeling claims, are subject to further FDA review and approval and may require the submission of a supplemental NDA. Before we could market our product candidates for additional indications, we must obtain additional approvals from the FDA. Obtaining approval for a new indication generally requires that additional clinical studies be conducted. We cannot ensure that any additional approval for new indications, if any, for any product candidate will be approved.

Post-Approval Requirements

Often, even after a drug has been approved by the FDA for sale, the FDA might require that certain post-approval requirements be satisfied, including the conduct of additional clinical studies. If such post-approval conditions are not satisfied, the FDA might withdraw its approval of the drug. In addition, holders of an approved NDA are required to:

- report certain adverse reactions to the FDA;
- comply with certain requirements concerning advertising and promotional labeling for their products; and
- continue to have quality control and manufacturing procedures conform to cGMP after approval.

The FDA periodically inspects the sponsor's records related to safety reporting and/or manufacturing facilities, including an assessment of compliance with cGMP. Accordingly, manufacturers must continue to expend time, money, and effort in the area of production and quality control to maintain cGMP compliance. We intend to use, or have contracted with, third party manufacturers to produce our products in clinical quantities

and in commercial quantities for Northera, and we intend to do so with any future manufacturing needs. Future FDA inspections might identify compliance issues at the facilities of our contract manufacturers that might disrupt production or distribution, or require substantial resources to correct. In addition, discovery of problems with a product after approval might result in restrictions on a product, manufacturer, or holder of an approved NDA, including withdrawal of the product from the market.

Orphan Drug Designations

The FDA can grant orphan drug designation to drugs intended to treat a rare disease or condition, which generally is a disease or condition that affects fewer than 200,000 individuals in the United States. Orphan drug designation must be requested before submitting an NDA. If the FDA grants orphan drug designation, the identity of the therapeutic agent and its potential orphan use are publicly disclosed by the FDA. Orphan drug designation does not necessarily convey an advantage in, or shorten the duration of, the review and approval process. If a product which has an orphan drug designation subsequently receives the first FDA approval for the indication for which it has such designation, the product is entitled to orphan exclusivity, meaning that the FDA will not approve any other applications to market the same drug for the same indication for a period of seven years from approval, except in certain very limited circumstances. Orphan drug designation does not prevent competitors from developing or marketing different drugs for that indication.

Regulations Outside the United States

Before our products can be marketed outside of the United States, they are subject to regulatory approval similar to that required in the United States, although the requirements governing the conduct of clinical trials, including additional clinical trials that might be required, product licensing, pricing and reimbursement vary widely from country to country. No action can be taken to market any product in a country until an appropriate application has been approved by the regulatory authorities in that country. The current approval process varies from country to country, and the time spent in gaining approval varies from that required for FDA approval. In certain countries, the sales price of a product must also be approved. The pricing review period often begins after market approval is granted. Even if a product is approved by a regulatory authority, satisfactory prices might not be approved for such product.

In Europe, marketing authorizations may be submitted via a centralized, decentralized or mutual recognition approach (or at a national level). The centralized procedure is mandatory for the submission of high technology/ biotechnology products, products with an orphan medicinal product designation, if filing for indications contained in such designation, and certain therapeutic areas of community interest. This procedure provides for the grant of a single marketing authorization that is valid in all European Union member states. It is optional for those products and indications deemed innovative and also to generic products where the originator product was authorized via a centralized procedure. The decentralized and mutual recognition procedures are available for those products not subject to a mandatory centralized procedure. There can be no assurance that the chosen regulatory strategy will secure regulatory approvals on a timely basis or at all.

Manufacturing

We own no manufacturing facilities, quality control laboratories or warehouses for storage and distribution of our product candidates. We intend to use, or have contracted with, third-party contractors for manufacturing drug substances under development or planned for commercialization. We also use contractors for preformulation, formulation and analytical development as well as manufacturing of drug products used for clinical studies. If any of our products are approved by the FDA for marketing, we plan to use third-party contractors for producing the commercial product and have contracted with manufacturers to do so for Northera when it is launched. This strategy enables us to direct our financial resources to product development without devoting resources to the time and costs associated with building manufacturing plants and laboratories and we plan on continuing this strategy for the foreseeable future.

We obtain the active pharmaceutical ingredient for droxidopa from DSP, pursuant to our exclusive license agreement with DSP. See "Management's Discussion and Analysis of Financial Condition and Results of Operations—License Agreement and Development Agreement Obligations" in Part II, Item 7 of this Report for a description of that agreement. In October 2011, we committed to the purchase of active pharmaceutical ingredient from DSP to be used in the production of commercial inventory in preparation for the market launch of Northera in the United States. We will rely on Patheon Inc. with whom we entered into a manufacturing services agreement to manufacture and package Northera. Patheon has the sole right to manufacture and package Northera in the U.S. for the initial term of the agreement, which is three years from the date that Northera is approved, if at all, by the FDA. Pursuant to the agreement, we have the right to qualify a single alternative manufacturer.

Intellectual Property

We actively seek to obtain, maintain and enforce patent protection for our products, formulations, processes, methods and other proprietary technologies, preserve our trade secrets, and operate without infringing on the proprietary rights of other parties, both in the United States and in other key markets. Our goal is to obtain, where appropriate, the broadest intellectual property protection possible for our current product candidates, including CH-1504, CH-4051 and droxidopa, and any future product candidates and proprietary technologies through a combination of contractual arrangements and patents, both in the United States and other countries.

Our patent estate for the antifolate portfolio, including CH-1504 and CH-4051, includes three issued U.S. patents, one issued patent in each of the European Union, Japan, New Zealand and Australia, ten pending U.S. patent applications, three pending Patent Cooperation Treaty (PCT) patent applications and several related patent applications pending in countries outside the United States, including Europe and Japan. The issued U.S. patents are U.S. Patent No. 5,912,251, issued June 15, 1999, and U.S. Patent No. 7,829,708, issued November 9, 2010. In addition, on May 31, 2011, we were issued U.S. Patent No. 7,951,812 entitled "Substituted Pyrrolo[2,3-D]Pyridines as Antifolates." The pending applications are directed to compositions, methods of use and certain new antifolate compounds.

The issued U.S. and European patents cover our current product candidates, CH-1504 and CH-4051, as well as certain other antifolate compounds, including claims to these compounds as compositions of matter, in pharmaceutical formulations and for use in treatment of certain diseases. The pending U.S. patent applications and international applications expand our proprietary position, claiming additional compounds and their uses as well as new uses of CH-4051. We plan to continue to strengthen our patent estate on our antifolate portfolio by filing and pursuing additional patents.

Our patent estate for droxidopa includes one issued U.S. patent, an issued New Zealand patent, eight pending U.S. patent applications and related patent applications pending in countries outside the United States, including Europe and Japan which are directed to pharmaceutical compositions comprising droxidopa and therapeutic methods of treatment using droxidopa. The issued U.S. patent is U.S. Patent No. 8,008,285, issued August 31, 2011 and entitled "Droxidopa and Pharmaceutical Compositions Therof for the Treatment of Fibromyalgia." We plan to continue to strengthen our patent estate on droxidopa by filing and pursuing additional patents.

The patent estate for the I-3D portfolio includes U.S. Patent No. 7,074,831, issued July 11, 2006, related issued patents in Europe, China, New Zealand, Australia, Israel, South Africa and Mexico, as well as a pending U.S. patent application and a number of related patent applications pending in countries outside the United States.

Our success also depends upon the skills, knowledge and experience of our scientific and technical personnel, our consultants and advisors, as well as our licensors and contractors. To help protect our proprietary know-how and our inventions for which patents are unobtainable or difficult to obtain, we rely on trade secret

protection and confidentiality agreements. To this end, it is our policy to require all of our employees, consultants, advisors and contractors to enter into agreements that prohibit the disclosure of confidential information and, where applicable, require disclosure and assignment to us of the ideas, developments, discoveries and inventions important to our business.

Employees

We have attracted and retained a management team with core competencies and expertise in numerous fields, including manufacturing, research, clinical, regulatory, sales, marketing and business development. Our management and advisors are comprised of experienced pharmaceutical and biotechnology industry veterans and respected experts. We are led by our Chief Executive Officer, Dr. Simon Pedder, formerly Vice President, Pharmaceutical Business, Oncology at Hoffmann-La Roche Inc., who has over 20 years of senior pharmaceutical management experience, including drug development and business experience. During his time at Roche, Dr. Pedder was responsible for a number of global development programs, successful registrations and product launches.

At March 2, 2012, we had a total of 49 employees. We believe the relationships with our employees are satisfactory. We anticipate that we will need to identify, attract, train and retain other highly skilled personnel as we continue to develop our product candidates and commercialize Northera in the United States. In particular, we are currently in the process of recruiting approximately 85 sales representatives to address the market opportunity in the United States should our NDA for Northera be approved. Hiring for such personnel is competitive, and there can be no assurance that we will be able to retain our key employees or attract, assimilate or retain the qualified personnel necessary for the development of our business.

Where you can find additional information

Our website address is www.chelseatherapeutics.com. We make available free of charge through our website our annual report on Form 10-K, quarterly reports on Form 10-Q, current reports on Form 8-K, and all amendments to those reports as soon as reasonably practicable after such material is electronically filed with or furnished to the SEC.

Executive Officers of the Registrant

The following table sets forth the name, age and position of each of our executive officers as of March 2, 2012.

Name	Age	Position
Simon Pedder	51	President, Chief Executive Officer and Director
J. Nick Riehle	59	Vice President, Administration and Chief Financial Officer
William D. Schwieterman	54	Vice President, Chief Medical Officer
L. Arthur Hewitt	58	Vice President, Chief Scientific Officer
Keith Schmidt	61	Vice President, Sales and Marketing
Joseph Oliveto	44	Vice President, Operations
Michael J. Roberts	42	Vice President, Business Development

Simon Pedder, Ph.D.—President, Chief Executive Officer and Director. Dr. Pedder joined us from Hoffmann-La Roche Inc. in April 2004 where he was Vice President of Pharmaceutical Business, Oncology and an executive officer since February 2003. Prior to that he served as the Vice President, Drug Development at Shearwater Corporation from May 2001 until December 2002. Prior to that Dr. Pedder served in a number of positions at Hoffmann-La Roche, including as Director, Pharmaceutical Business, Pharmaceutical Development and Project Management from May 1994 until May 2001. While at Hoffmann-La Roche, Dr. Pedder was in charge of the development of Pegasys and Copegus, which have combined annual worldwide sales of over $1 billion, and oversaw a number of successful NDAs. Dr. Pedder has his Ph.D. in Pharmacology from the College of Medicine at the University of Saskatchewan in Canada.

J. Nick Riehle, MBA—Vice President, Administration and Chief Financial Officer. Mr. Riehle has been our Vice President, Administration and Chief Financial Officer since July 2004. Prior to that he served as Chief Financial Officer at HAHT Commerce, Inc., a software company, from August 1996 until June 2003 and as an independent contractor from July 2003 until July 2004. Prior to that, Mr. Riehle served in various roles at Nortel Networks and IBM. Mr. Riehle has his Bachelor of Commerce from McGill University, his MBA from York University and earned a Certified Management Accountant (CMA) designation from Ontario, Canada.

William D. Schwieterman, M.D.—Vice President, Chief Medical Officer. Dr. Schwieterman joined the company as an employee and officer in October 2009 after serving for more than a year on our Board of Directors and several years as a consultant and member of our Scientific Advisory Board for rheumatology. He is a rheumatologist and board-certified internist who was formerly Chief of the Medicine Branch and Chief of the Immunology and Infectious Disease Branch in the Division of Clinical Trials at the FDA. In these capacities and others, Dr. Schwieterman spent 10 years at the FDA in the Center for Biologics overseeing a wide range of clinical development plans for a large number of different types of molecules. Dr. Schwieterman helped author the FDA's "Good Review Practices" for investigational products, and was instrumental in developing several guidance documents for the industry. After leaving the FDA, he acted as an independent consultant to biotechnology and pharmaceutical companies, focusing on clinical drug development and regulatory matters. He currently serves on the board of directors of OXiGENE, Inc., a publicly traded company, and Neumedics, Inc., a privately held drug development company. Dr. Schwieterman holds a B.S. and M.D. from the University of Cincinnati.

L. Arthur Hewitt, Ph.D.—Vice President, Chief Scientific Officer. Dr. Hewitt was named our Chief Scientific Officer in January 2010 after serving as our Vice President, Drug Development since May 2004. Prior to that he served as an independent contractor from January 2003 to May 2004, as Director of Scientific Affairs at Shearwater Corporation, a drug delivery company, from October 2002 until January 2003 and as Director of Scientific Affairs for Amgen Canada from July 1991 until November 2000. During his years at Amgen, Dr. Hewitt oversaw the approval of Neupogen, Stemgen and Infergen. Dr. Hewitt obtained his Ph.D. in Pharmacology from the Medical School at the University of Montreal.

Keith Schmidt, MBA—Vice President, Marketing and Sales. Mr. Schmidt has served as our Vice President, Marketing and Sales since July 2006. In February 2007, Mr. Schmidt became one of our executive officers. Prior to that he was President of his biotech consulting company, Tellico Pharma LLC from June 2005 and served as Vice President of Thomson Healthcare Advanced Therapeutics Communications, a medical education company, from February 2002 until May 2005. From 1996 until January 2002, Mr. Schmidt served as an International Business Leader for Hoffmann-La Roche where he developed and led the global sales and marketing launch efforts for Pegasys and Copegus. Mr. Schmidt earned a Bachelor of Science from South Dakota State University and an MBA from the University of San Francisco.

Joseph Oliveto, MBA—Vice President, Operations. Mr. Oliveto joined us in June 2008 following a two-year assignment as Executive in Residence at Pappas Ventures, a life sciences venture capital firm. Prior to Pappas Ventures, he served in a number of progressively senior positions at Hoffmann-La Roche, most recently as the Global Alliance Director for Roche's licensing organization. Previous experience at Roche includes clinical development, project management, manufacturing process improvement and global business. During his tenure, he played an integral part in the success of multiple NDA filings, developed comprehensive launch programs, including those for both Pegasys and Copegus, and closed multiple licensing deals. Mr. Oliveto obtained a BA in Chemistry and an MBA from Rutgers University.

Michael J. Roberts, Ph.D.—Vice President, Business Development. Dr. Roberts was named an officer of Chelsea in January 2010 after having served since August 2004 as Senior Director of Business Development. He joined us from Nektar Therapeutics where he was Director of Business Development for their Molecule Engineering technology. Prior to this, he was Manager of Biopharmaceutical Research at Shearwater Corporation where he led and was successful in the development of preclinical drug candidates from initial stages of research through Phase I clinical study. Dr. Roberts obtained his Ph.D. in Materials Science from the University of Alabama in Huntsville and B.S. in Chemical Engineering from Pennsylvania State University.

ITEM 1A. RISK FACTORS.

This Report contains forward-looking statements that involve risks and uncertainties. Our actual results could differ materially from those discussed in this Report. Factors that could cause or contribute to these differences include, but are not limited to, those discussed below and elsewhere in this Report and in any documents incorporated in this Report by reference.

Risks Related to Our Business

We currently have no product revenue and may need to raise additional capital to operate our business.

To date, we have generated no product revenue. Until, and unless, we receive approval from the FDA and other regulatory authorities for our product candidates, we cannot sell our drugs and will not have product revenue. Currently, our primary product candidates are droxidopa and our antifolates portfolio, and none are approved by the FDA nor, with the exception of droxidopa which has Japanese approval, any other regulatory agency for sale. Therefore, until we are able to successfully and profitably commercialize our drugs, we will have to fund all of our operations and development expenditures from cash on hand, equity or debt financings, licensing fees and grants. Operating expenses for 2011 totaled approximately $50.6 million, including non-cash items, and we anticipate that 2012 operating expenses will increase further with our significant spending related to marketing and commercialization activities for the planned launch of Northera in the United States. Should we be unable to commercialize Northera in 2012, we will continue to have significant ongoing costs in other areas of the business and, given our initial spending and cost commitments for commercialization activities, would anticipate substantial expenses early in 2012 as we wind down such commercialization activities.

In order to fund operations and increase our cash reserves, we may seek to out-license our products or seek additional sources of financing and such opportunities might not be available on favorable terms, if at all. If we do not succeed in raising additional funds on acceptable terms, we might not be able to complete planned preclinical and clinical trials, obtain approval of any product candidates from the FDA and other regulatory authorities, or successfully complete the commercialization of our drug products. In addition, we could be forced to discontinue product development, reduce or forego sales and marketing efforts, forego attractive business opportunities or curtail operations. Any additional sources of financing could involve the issuance of our equity securities, which would have a dilutive effect on our stockholders.

While we are hopeful that we will be able to commence marketing Northera in 2012, we may not receive FDA approval of our NDA or such approval might be delayed. If approval is not received, we will have no product revenue and, if delayed, product revenue will not adequately contribute to the funding of operating expenses.

We are not currently profitable and might never become profitable.

We have a history of losses and expect to incur substantial losses and negative operating cash flow for the foreseeable future, and we might never achieve or maintain profitability. Even if we succeed in commercializing Northera, we might not become profitable and could continue to incur substantial losses for the foreseeable future. From inception through December 31, 2011 we had losses of $183.3 million. We had net losses of $50.5 million, $37.3 million and $25.8 million for the years ended December 31, 2011, 2010 and 2009, respectively. Actual losses will depend on a number of considerations, including:

- the pace and success, if any, of commercialization and marketing efforts for Northera in the U.S.;
- the pace and success of preclinical development and clinical trials for droxidopa, antifolates and other product candidates;
- possible out-licensing of our product candidates;
- regulatory review and approval for our various product candidates, particularly our NDA for Northera which is being reviewed by the FDA;

- discussions with regulatory agencies concerning the design of our clinical trials and/or the adequacy of prior trials and pre-clinical studies for regulatory approval for any of our product candidates;
- requirements for post-approval trials and safety monitoring programs, particularly for Northera;
- our ability to identify and recruit patients into our clinical trials at costs consistent with our current estimates;
- the pace of development of new intellectual property for our existing product candidates;
- in-licensing and development of additional product candidates;
- implementing additional internal systems and infrastructure; and
- hiring additional personnel.

To fund our ongoing development activities, we will need to generate significant revenue in order to achieve and maintain profitability. Even if Northera is approved for marketing in the U.S., we might not be able to generate revenue or achieve profitability in the future and are unlikely to do so in the near term. Our failure to achieve or maintain profitability could negatively impact the value of our securities.

We are a development-stage company and might not be able to commercialize any product candidates.

We are a development-stage company and have not demonstrated our ability to perform the functions necessary for the successful commercialization of any product candidates. The successful commercialization of any product candidates will require us to perform a variety of functions, including:

- continuing to undertake preclinical development and clinical trials;
- participating in regulatory approval processes;
- formulating and manufacturing products;
- conducting sales, marketing and distribution activities; and
- adding administrative and operational infrastructure, as required, to remain compliant with regulations governing pharmaceutical sales and marketing programs.

Our operations have been limited to organizing and staffing our company, negotiating in-licensing agreements with our partners, acquiring, developing and securing our proprietary technology, participating in regulatory discussions with the FDA, the EMA and other regulatory agencies, undertaking preclinical trials and clinical trials of our product candidates, and, more recently, preparing for the planned commercial launch in the United States of Northera in anticipation of regulatory approval. These operations provide a limited basis for you to assess our ability to commercialize our product candidates and the advisability of investing in our securities.

Our potential future earnings may be reduced should we decide to out-license one or more of our drug product candidates.

We may decide to out-license one or more of our drug product candidates, reducing future profits available to us. Should we license our drug product candidates to another pharmaceuticals company, it would allow the partner to market and sell our compounds in one or more markets around the world. If either the antifolates or droxidopa are licensed to a strategic partner, the profit available to us may be substantially reduced from what might otherwise be possible should we retain all rights to the products and market and sell them directly.

We might not obtain the necessary U.S. or worldwide regulatory approvals to commercialize any product candidates.

We cannot assure you that we will receive the approvals necessary to commercialize our product candidates including Northera, our antifolates, or any other product candidate either currently in our drug candidate portfolio

or which we might acquire or develop in the future. We will need FDA approval to commercialize our product candidates in the United States and approvals from equivalent regulatory authorities in foreign jurisdictions to commercialize our product candidates in those jurisdictions. In order to obtain FDA approval of any product candidate, we must submit to the FDA an NDA demonstrating that the product candidate is safe for humans and effective for its intended use. This demonstration requires significant research and animal tests, which are referred to as preclinical studies, as well as human tests, which are referred to as clinical trials. Satisfaction of the FDA's regulatory requirements typically takes many years, depends upon the type, complexity and novelty of the product candidate and requires substantial resources for research, development and testing. We cannot predict whether our research and clinical approaches will result in drugs that the FDA considers safe for humans and effective for indicated uses. The FDA has substantial discretion in the drug approval process and may require us to conduct additional preclinical and clinical testing or to perform post-marketing studies. The approval process might also be delayed by changes in government regulation, future legislation or administrative action or changes in FDA policy that occur prior to or during our regulatory review. Delays in obtaining regulatory approvals might:

- delay commercialization of, and our ability to derive product revenue from, a product candidate;
- reduce available time during which our intellectual property is protected under various U.S. and foreign patents;
- impose costly procedures on us; and
- diminish any competitive advantages that we might otherwise enjoy.

Even if we comply with all FDA requests, the FDA may ultimately reject one or more of our NDAs. We cannot be sure that we will ever obtain regulatory approval for any of our product candidates. Failure to obtain FDA approval of product candidates, particularly Northera, droxidopa for other indications or our antifolates, will severely undermine our business and could substantially extend the period before we have a saleable product, leaving us without any source of revenue until another product candidate can successfully be developed and commercialized. There is no guarantee that we will ever be able to develop and commercialize or acquire another product candidate or to obtain approval for any such additional product candidate that might be acquired.

In foreign jurisdictions, we must receive approval from the appropriate regulatory authorities before we can commercialize any drugs. Foreign regulatory approval processes generally include all of the risks associated with the FDA approval procedures described above. We cannot assure you that we will receive the approvals necessary to commercialize product candidates for sale outside the United States.

Although we made changes to Study 306 based on results of an interim analysis, there can be no assurance that the results of this Phase III trial will be successful.

In February 2011, we announced that an interim analysis of Study 306 indicated that further recruiting into this study was likely to be futile with respect to the original primary endpoint of the study, namely, the OHQ composite. Subsequently we unblinded these 51 patients (now designated as the Study 306A population) and determined that favorable trends were seen in secondary outcome measures including falls, OHQ Item 1 (dizziness), the Movement Disorder Society sponsored revised version of the Unified Parkinson's Rating Scale, or MDS-UPDRS, and Hoehn Yahr scores. We believe that these favorable trends, particularly in the objective endpoint of falls, are supportive of prior study results related to symptomatic endpoints. The failure to produce favorable results in the OHQ composite is not believed to be contradictory to prior studies due to differences in the characteristics of the specific populations and the length of the study treatment period. Nonetheless, we cannot predict whether the FDA will agree with this assessment. Specifically:

- Although we changed the Study 306B primary endpoint to the reduction of falls and although this endpoint trended favorably in 306A patients, there is no guarantee that the results for the Study 306B population will show statistical significance in this endpoint; and

- The targeted geographic distribution of patients as well as the targeted patient indication in Study 306B are different than in Study 302 and Study 301 and we cannot be certain that we will be able to meet our timeline for this study, particularly with respect to patient recruitment.

The FDA may not approve our NDA for Northera.

In November 2011, the FDA accepted for filing our NDA, filed in September 2011, seeking approval to market Northera for the treatment of symptomatic NOH in patients with primary autonomic failure, dopamine-ß-hydroxylase deficiency and non-diabetic autonomic neuropathy. The NDA was prepared based on the results from our Northera registration program, including data from our two completed Phase III efficacy studies in NOH, two long-term open-label extension studies, a dedicated thorough QTc study, and a 24-hour ambulatory blood pressure monitoring safety study, and supportive data obtained from DSP. The FDA also granted our request for a Priority Review, and, under PDUFA, the FDA's goal is to review and act on the NDA by March 28, 2012.

In addition, the FDA scheduled a review of the Northera NDA at a February 2012 meeting of its CRDAC. On February 23, 2012, the FDA's CRDAC voted 7 to 4 to recommend approval of Northera.

While we believe that the design, performance and results of Study 302 and Study 301 are adequate to meet the FDA's expectations and we received a recommendation for approval from the CDARC, we continue to face risks associated with our NDA filing, including, but not limited to, the following:

- while the CRDAC recommended that the FDA approve our NDA to market Northera in the United States, the recommendation is non-binding on the FDA and though the FDA will take the recommendation into consideration in its review of the NDA, we cannot be assured that the FDA will agree with the recommendation and approve the NDA;
- the FDA may fail to accept as an appropriate primary endpoint of Study 301 the relative improvement in the OHQ composite score between Northera and placebo;
- the FDA may find that the safety database information contained in the NDA is inadequate to effectively establish the clinical safety of Northera or that certain adverse events reported in our clinical trials are not adequately resolved;
- the FDA may find that certain adverse events in the Japanese post-approval safety monitoring programs, particularly those related to neuroleptic malignant syndrome, or NMS, are not adequately resolved;
- the FDA may find that the clinical data submitted in the NDA is not sufficient to establish the clinical efficacy of Northera; and
- while the FDA previously agreed, during a December 2010 pre-NDA assessment meeting, that our NDA for Northera could be submitted based on combined data from Study 301 and Study 302 and that results from Study 306 would not be required as part of the integrated summary of efficacy for the indication claimed in the filing, there can be no assurance that the FDA will not require additional clinical efficacy to support approval of our NDA.

Any program delays resulting from the risks as outlined above might require additional financing for the Northera NOH program. If we require additional capital for the continued development of droxidopa, we may not be able to raise capital on favorable terms or at all. If we issue equity in such financing, it would cause dilution for our stockholders, that could be significant depending on the price and the amount of stock sold. We may determine that it is in our best interest to out-license droxidopa. However, given the regulatory and financing uncertainties, we may not be able to complete an out-licensing agreement on terms beneficial to us, or at all.

We have not determined any additional requirements that may be needed in order to meet the expectations of the European Medicines Agency, or EMA, or other foreign regulatory agencies in order to obtain marketing approval for Northera outside the United States.

Since an initial discussion several years ago, we have only conducted limited discussions of the specifics of our clinical program with the EMA, and several individual European Union, or EU, countries' regulatory agencies, and we have not yet determined if our current program for Northera in NOH will be acceptable for approval in EU, or any particular member country. While we continue to believe that the safety and efficacy data from Study 306B with an extended period of placebo control will better meet the requirements as expressed by the EMA previously, this data is now expected to be available in the third quarter of 2012, thus delaying the EMA filing until at least that timeframe. In 2011, we conducted discussions with several EU member country regulatory agencies to better understand their and, derivatively, the EMA's expectations with regard to their efficacy requirements to determine if it might be appropriate to file for marketing approval prior to availability of Study 306B safety data. However, until we proceed further with those discussions it will not be clear that the existing Study 301 and Study 302 data, along with data from the related extension studies, will be sufficient for filing in the EU and if not, whether Study 306B data, with reduction of falls in patients with PD as a primary endpoint, will be adequate to complete the efficacy and safety packages to support such a filing. If not, we may be required to conduct additional efficacy trials and, regardless, we cannot guarantee that Study 306B data will show a significant symptomatic benefit for patients with NOH or that any subsequent trials will provide adequately favorable data.

Our product candidate CH-4051 has had only limited formal clinical trials.

Our product candidate CH-4051 is in an early stage of development and requires extensive clinical testing. In April 2009, we announced positive findings from our Phase I dose escalation clinical trials of CH-4051 in humans in Kendle International's Clinical Pharmacology Unit, Utrecht, Netherlands under the authority of Stichting Therapeutische Evaluatie Geneesmiddelen—Medisch Ethische Toetsingscommissie, an Independent Ethics Committee. In November 2011, we announced preliminary results from a planned unblinded, interim efficacy analysis for the lower dose patients in our Phase II trial of CH-4051. The analysis included unblinded data from the lower two of three doses of CH-4051 and half the patients enrolled into the MTX control arm. Preliminary results suggest a dose-dependent therapeutic response in which patients treated with the mid-range, or 1.0mg daily oral dose, of CH-4051 experienced similar efficacy to patients treated with a standard 20.0mg weekly dose of MTX. This response suggests that patients currently receiving triple the dose, or 3.0mg, of CH-4051 in the ongoing study may experience greater therapeutic benefits than patients treated with MTX; however, there can be no assurance that such benefits will be observed in the study. In addition, we might encounter toxicity or other safety issues at the higher dose levels in the study. We currently intend to seek a partner to assist us in the development of CH-4051 and our portfolio of antifolates after the completion of Phase II proof-of-concept studies for CH-4051 in rheumatoid arthritis, results of which are expected to be available in the second quarter of 2012. After the completion of those trials and depending on available funding, we may also initiate additional Phase II studies in rheumatoid arthritis and other indications as appropriate. We currently estimate a global filing of the NDA no sooner than 2013. However, at any point during the process we might decide to focus our efforts on a different lead compound, and we cannot predict with any certainty the success or timing of our clinical trials, whether there might be sufficient interest by others to license the compounds, if or when we might submit an NDA for regulatory approval of this product candidate or whether such an NDA will be accepted. We do not expect to conduct additional trials or make further investments in the development of CH-1504, formerly our lead antifolate product candidate.

There has been only very limited testing of our I-3D product candidates.

Our I-3D product candidates are early in their development. None of the candidates have had adequate toxicology testing in animals to permit clinical testing and there is no clinical evidence of efficacy for any of these candidates, despite limited similarities with compounds currently marketed by others. Animal toxicology

trials on our I-3D compounds may not permit further development of these drugs or we may have to carry out toxicology trials on several compounds before we find one that is appropriate for clinical testing, if at all. Once clinical trials are undertaken, the compound or compounds may not prove adequately safe and efficacious in humans and may not be approved by the FDA or other regulatory agencies. Moreover, because of the scarcity of capital and competing priorities within our development program we do not know when we will be able to continue any such testing or commence clinical trials.

Clinical trials are very expensive, time-consuming and difficult to design and implement.

Human clinical trials are very expensive and difficult to design and implement, in part because they are subject to rigorous regulatory requirements. The clinical trial process is also time-consuming. For example, because we did not receive orphan drug status from the EMA for droxidopa as a treatment for Parkinson's disease, our clinical trials for that indication might have to be more involved and take longer to complete and get reviewed than otherwise would have been the case. Furthermore, failure can occur at any stage of the trials, and we could encounter problems that cause us to abandon or repeat clinical trials. The commencement and completion of clinical trials might be delayed by several factors, including:

- unforeseen safety issues;
- clarification of dosing issues;
- lack of effectiveness during clinical trials;
- slower than expected rates of patient recruitment for our ongoing trials;
- inability to monitor patients adequately during or after treatment;
- inability or unwillingness of medical investigators to follow our clinical protocols; and
- unexpected emergence of competitive drugs against which our compounds might compete for clinical trial resources or need to be tested.

In addition, we or the FDA or another governing regulatory agency may suspend our clinical trials at any time if it appears that we are exposing participants to unacceptable health risks or if the regulatory agency finds deficiencies in the conduct of these trials or our regulatory submissions. Therefore, we cannot predict with any certainty the schedule for our current or any future clinical trials.

The results of our clinical trials might not support our product candidate claims.

Even if our clinical trials are completed as planned, we cannot be certain that their results will support our product candidate claims. Success in preclinical testing and early clinical trials does not ensure that later clinical trials will be successful, and we cannot be sure that the results of later clinical trials will replicate the results of prior clinical trials and preclinical testing. The clinical trial process might fail to demonstrate that our product candidates are safe for humans and effective for indicated uses. This failure would cause us to abandon a product candidate and might delay development of other product candidates. Any delay in, or termination of, our clinical trials will delay the filing of our NDAs with the FDA and, ultimately, our ability to commercialize our product candidates and generate product revenue.

We intend to explore additional indications for droxidopa; however these programs may not prove successful.

We have announced our exploration of certain additional indications for droxidopa and we may make similar announcements in the future. While trials conducted by our partner DSP for the Japanese market provide evidence of efficacy for certain indications, other indications may be explored for which we have no existing clinical evidence of efficacy. Such trials are likely to be very costly. We do not have market approval from the FDA or other regulatory agencies for any of the indications we are exploring and there are no guarantees that additional clinical trials will provide new evidence of efficacy in the targeted indications or permit us to gain market approval from regulatory agencies.

Physicians and patients might not accept and use our drugs.

Even if the FDA approves any of our product candidates, physicians and patients might not accept and use them. Acceptance and use of our products will depend upon a number of factors including:

- perceptions by members of the healthcare community, including physicians, about the safety and effectiveness of our drug;
- cost-effectiveness of our product relative to competing products;
- understanding by prescribing physicians of the medical conditions we are attempting to address;
- availability of reimbursement for our product from government or other healthcare payers; and
- effectiveness of marketing and distribution efforts by us and our licensees and distributors, if any.

Because we expect that sales of our product candidates could, if approved, generate a substantial portion of our product revenue for an extended period, the failure of such a drug to find market acceptance would harm our business and could require us to seek additional financing or curtail our operations.

Our drug development program depends upon third-party researchers who are outside our control.

We depend upon independent clinical research organizations, investigators and other collaborators, such as universities and medical institutions, to conduct our preclinical and clinical trials under agreements with us. These collaborators are not our employees and we cannot control the amount or timing of resources that they devote to our programs. They might not assign as great a priority to our programs or pursue them as diligently as we would if we were undertaking such programs ourselves. If outside collaborators fail to devote sufficient time and resources to our drug development programs, if their performance is substandard or the FDA determines there are issues upon review of the study data, the approval of our FDA applications, if any, and our introduction of new drugs, if any, will be delayed. If we cannot successfully enter into new agreements with outside collaborators on acceptable terms, or if we encounter disputes over or cannot renew or, if necessary, amend existing agreements, the development of our drug candidates could be delayed. These collaborators might also have relationships with other commercial entities, some of which might compete with us. If our collaborators assist our competitors at our expense, our competitive position would be harmed.

Our drug development program also depends upon our partners who are outside our control.

We have licensed certain rights related to droxidopa from DSP and depend upon them for data and support in advancing our clinical program for this compound. In addition, DSP is currently the preferred manufacturer of this compound for our clinical program and commercialization efforts. Without the timely support of DSP or any other partners, our drug development programs could suffer significant delays, require significantly higher spending or face cancellation.

We rely exclusively on third parties to formulate and manufacture our product candidates.

We have only limited experience in drug formulation and no experience in drug manufacturing and do not intend to establish our own manufacturing facilities. We lack the resources and expertise to formulate or manufacture our own product candidates. While we have a contract in place with DSP and another manufacturer to supply the droxidopa active pharmaceutical agreement for our clinical trials and a contract with a third-party manufacturer to encapsulate, package and label our commercial product in anticipation of FDA approval, we currently have no contract for the commercial scale manufacture of our antifolates or I-3D compounds. We have contracted with one or more manufacturers to manufacture, supply, store and distribute drug supplies for our antifolate clinical trials. If any of our current product candidates or any other product candidates that we may develop or acquire in the future receive FDA approval, we will rely on one or more third-party contractors to manufacture our drugs. Our anticipated future reliance on a limited number of third-party manufacturers exposes us to the following risks:

- We might not be able to identify manufacturers on acceptable terms or at all because the number of potential manufacturers is limited and the FDA must approve any replacement contractor. This approval would require new testing and compliance inspections. In addition, a new manufacturer would have to be educated in, or develop substantially equivalent processes for, production of our products after receipt of FDA approval, if any.
- Our third-party manufacturers might be unable to formulate and manufacture our drugs in the volume and of the quality required to meet our clinical needs and commercial needs, if any, or limitations in their capacity could limit the timely availability of our product, which could alienate prescribing physicians and/or their patients if we cannot meet their demand for our drugs.
- Our contract manufacturers might not perform as agreed or might not remain in the contract manufacturing business for the time required to supply our clinical trials or to successfully produce, store and distribute our products.
- Our contract manufacturers might require financial assistance to increase their capacity levels required for our markets.
- Drug manufacturers are subject to ongoing periodic unannounced inspection by the FDA, the Drug Enforcement Administration, or DEA, and corresponding state agencies to ensure strict compliance with good manufacturing practice and other government regulations and corresponding foreign standards. We do not have control over third-party manufacturers' compliance with these regulations and standards.

Each of these risks could delay our clinical trials, the approval, if any, of our product candidates by the FDA or the commercialization of our product candidates or result in higher costs or deprive us of potential product revenue.

We have limited experience selling, marketing and distributing products and only limited internal capability to do so.

Although we have limited sales, marketing and distribution capabilities, we have been adding personnel in this area in anticipation of commercializing Northera in the United States. In addition to our Vice President of Sales and Marketing we have hired personnel with expertise in marketing and brand management, market research and sales. We currently anticipate continued expansion of our marketing and sales capabilities over the next several months in anticipation of commercializing Northera, including the hiring of employees and the negotiating of collaborative arrangements with third parties. However, we might not be successful in hiring or developing the necessary expertise and capacity or developing the necessary collaborative arrangements for the successful commercialization of Northera.

To commercialize droxidopa for any other indication or commercialize any other product candidate, we will need to enter into and maintain collaborative relationships or maintain and/or develop internal resources, which

could require significant development, expenditures, management resources and time. We are currently pursuing a number of such collaborative relationships which might increase our risks in reliance upon outside resources.

Moreover, our limited experience might allow us to misjudge the potential of our product candidates, make decisions that prove less than optimal for pricing, marketing, selling or other aspects of commercialization, or provide imprecise or inaccurate financial guidance.

While the FDA has announced it might seek to remove midodrine from the market, midodrine is expected to continue to be available to patients until at least the end of 2014.

In August 2010, the FDA proposed removing midodrine from the market because required post-approval studies to verify the clinical benefit of the drug have not been satisfactorily completed by the manufacturer. In January 2011, the FDA opened a public docket to provide a forum to facilitate communication regarding the conduct of clinical trials needed to support the continued marketing authorization for midodrine. In December 2011, Shire reached an agreement with the FDA to conduct a new set of clinical trials to demonstrate the clinical benefit of midodrine. Accordingly, Shire indicated its intent to complete two additional clinical trials by the end of 2014. Should the FDA determine that Shire has failed to adhere to the terms or timeframes specified in this agreement, or the agreed upon trials fail to verify clinical benefit, Shire has agreed to have FDA withdraw the marketing approval for midodrine and Shire waives the right for a public hearing.

Midodrine is the only approved compound for orthostatic hypotension in the U.S. It is still not clear what action the FDA will take following the conclusions of the renewed clinical trials; however, the recent agreement with Shire is likely to ensure the availability of midodrine for at least two years. Furthermore, the FDA has never removed a drug under similar circumstances and we can provide no assurance that they will do so in the case of midodrine.

If we cannot compete successfully for market share against other drug companies, we might not achieve sufficient product revenue and our business will suffer.

The market for our antifolate product candidates is characterized by intense competition and rapid technological advances. The initial market for Northera, while smaller, has well established generic competition. Markets for other indications for droxidopa, such as fibromyalgia, are emerging with new and heavily marketed offerings. If Northera, droxidopa for other indications, our antifolates or other product candidates receive FDA approval, they will compete with a number of existing and future drugs and therapies developed, manufactured and marketed by others. Existing or future competing products might provide greater therapeutic convenience, efficacy or other benefits for a specific indication than our products or might offer comparable performance at a lower cost. If our products fail to capture and maintain market share, we will not achieve sufficient product revenue and our business will suffer.

We will compete against fully integrated pharmaceutical companies and smaller companies that are collaborating with larger pharmaceutical companies, academic institutions, government agencies and other public and private research organizations. Many of these competitors have compounds already approved or in development. In addition, many of these competitors, either alone or together with their collaborative partners, operate larger research and development programs or have substantially greater financial resources than we do, as well as significantly greater experience in:

- developing drugs;
- undertaking preclinical testing and human clinical trials;
- obtaining FDA and other regulatory approvals of drugs;
- formulating and manufacturing drugs;
- launching, marketing and selling drugs; and
- post-marketing safety surveillance.

Our ability to generate product revenue will be diminished if our drugs sell for inadequate prices or patients are unable to obtain adequate levels of reimbursement.

Our ability to commercialize our drugs, alone or with collaborators, will depend in part on the extent to which reimbursement will be available from:

- government and health administration authorities;
- private health maintenance organizations and health insurers; and
- other healthcare payers.

Significant uncertainty exists as to the reimbursement status of newly approved healthcare products. Healthcare payers, including Medicare and Medicaid, are challenging the prices charged for medical products and services. Government and other healthcare payers increasingly attempt to contain healthcare costs by limiting both coverage and the level of reimbursement for drugs. Even if a product candidate is approved by the FDA, insurance coverage might not be available and reimbursement levels might be inadequate to cover the cost of our drug. If government and other healthcare payers do not provide adequate coverage and reimbursement levels for our product, once approved, market acceptance and our revenue could be reduced.

Specifically, not all physicians recognize a separate indication for symptomatic NOH and we cannot provide assurances that reimbursement will be approved by the relevant decision makers even if droxidopa receives market approval from the FDA or other regulatory authorities.

In addition, the U.S. and international healthcare industry is subject to changing political, economic and regulatory influences that may significantly affect the purchasing and pricing of pharmaceuticals. In March 2010, the U.S. Congress passed landmark healthcare legislation. While we cannot predict what impact on federal reimbursement policies this legislation will have in general or on our business specifically the legislation may result in downward pressure on pharmaceutical reimbursement, which could negatively affect market acceptance of Northera or any future products. Members of the U.S. Congress and some state legislatures are seeking to overturn at least portions of the legislation and we expect they will continue to review and assess this legislation and possibly introduce alternative health care reform proposals. We cannot predict whether new proposals will be made or legislation adopted, when they may be adopted or what impact they may have on us if they are adopted. Cost-containment measures, whether instituted by healthcare providers or imposed by federal or state government agencies, could result in greater selectivity in the purchase of drugs. As a result, healthcare payers might challenge the price and cost effectiveness of our products. In addition, in many major markets outside the United States, pricing approval is required before sales of new drugs may commence. As a result, significant uncertainty exists as to the reimbursement status of approved products.

Developments by competitors might render our products or technologies obsolete or non-competitive.

Companies that currently sell both generic and proprietary compounds for the treatment of rheumatoid arthritis include, but are not limited to, Abbott Laboratories, Amgen, Pfizer, Sanofi-Aventis, Teva Pharmaceuticals, Boehringer Ingelheim Pharma, Hoffmann-La Roche, Johnson & Johnson, Bristol-Myers Squibb, Mylan Laboratories and UCB. Alternative technologies are being developed to treat rheumatoid arthritis by numerous companies including Pfizer, Rigel, Astra Zeneca and GSK which are in advanced clinical trials or filed with regulatory agencies. Companies that currently sell compounds used for the treatment of orthostatic hypotension include Mylan Pharmaceuticals, Impax Laboratories (Global Pharmaceuticals), Sandoz, Apotex, Upsher-Smith Laboratories and Pfizer. In addition, companies pursuing different but related fields represent substantial competition. Many of these organizations competing with us have substantially greater capital resources, larger research and development staffs and facilities, longer drug development history in obtaining regulatory approvals and greater manufacturing and marketing capabilities than we do. These organizations also compete with us to attract qualified personnel and parties for acquisitions, joint ventures or other collaborations.

Our success, competitive position and future revenue will depend in part on our ability to obtain and maintain intellectual property, including patent protection for our products, methods, processes and other technologies, to preserve our trade secrets, to prevent third parties from infringing on our proprietary rights and to operate without infringing the proprietary rights of third parties.

We do not know whether any of our pending patent applications or those patent applications that we may file or license in the future will result in the issuance of any patents. Moreover, we cannot predict the degree of patent protection that will be afforded by those patent applications that do result in issuance. Although we generally seek the broadest patent protection available for our proprietary compounds, we may not be able to obtain patent protection for the actual composition of any particular compound and may be limited to protecting a new method of use for the compound or otherwise restricted in our ability to prevent others from exploiting the compound. If our patent protection for any particular compound is limited to a particular method of use or indication such that, if a third party were to obtain approval of the compound for use in another indication, we could be subject to competition arising from that third party.

Moreover, our issued patents and those that may issue in the future, or those licensed to us, may be challenged, invalidated, rendered unenforceable or circumvented, any of which could limit our ability to stop competitors from marketing related products. In addition, the rights granted under any issued patents may not provide us with competitive advantages against competitors with similar compounds or technologies. Furthermore, our competitors may independently develop similar technologies in a manner that does not infringe our patents or other intellectual property.

If a third party legally challenges our patents or other intellectual property rights that we own or license, we could lose certain of these rights. For example, third parties may challenge the validity of our U.S. or foreign patents through reexaminations, oppositions or other legal proceedings. If successful, a challenge to our patents or other intellectual property rights could deprive us of competitive advantages and permit our competitors to use our technology to develop similar products.

In addition, we do not anticipate having patent protection on droxidopa when and if it receives market approval by the FDA for NOH under the brand name Northera™. While the orphan drug designation for this compound by the FDA will provide seven years of market exclusivity, we will not be able to exclude other companies from manufacturing and/or selling this compound beyond that timeframe.

Claims by third parties that we infringe their proprietary rights may result in liability for damages or prevent or delay our developmental and commercialization efforts.

If a third party were to file a patent infringement suit against us, we could be forced to stop or delay research, development, manufacturing or sales of any infringing product in the country or countries covered by the patent infringed, unless we can obtain a license from the patent holder. Any necessary license may not be available on acceptable terms or at all, particularly if the third party is developing or marketing a product competitive with the infringing product. Even if we are able to obtain a license, the rights may be nonexclusive, which would give our competitors access to the same intellectual property. We also may be required to pay substantial damages to the patent holder in the event of an infringement. If we have supplied infringing products to third parties for marketing or have licensed third parties to manufacture, use or market infringing products, we may be obligated to indemnify these third parties for any damages they may be required to pay to the patent holder and for any losses they may sustain themselves as a result.

We may initiate patent litigation against third parties to protect or enforce our patent rights. Failure to protect our patents and other proprietary rights may materially harm our business, financial condition and results of operations.

Legal or administrative proceedings may be necessary to defend against claims of infringement or to enforce our intellectual property rights. If we become involved in any such proceeding, irrespective of the

outcome, we may incur substantial costs, and the efforts of our technical and management personnel may be diverted, which could materially harm our business. Furthermore, because of the substantial amount of discovery required in connection with intellectual property litigation, there is a risk that disclosure of some of our confidential information could be compelled and the information compromised. In addition, during the course of this kind of litigation, there could be public announcements of the results of hearings, motions or other interim proceedings or developments that, if perceived as negative by securities analysts or investors, could have a substantial adverse effect on the trading price of our common stock.

Existing patents and proprietary rights could harm our competitive position.

Other entities may have or obtain patents or proprietary rights that could limit our ability to manufacture, use, sell, offer for sale or import products or impair our competitive position. In addition, to the extent that a third party develops new technology that covers our products, we may be required to obtain licenses to that technology, which licenses might not be available or may not be available on commercially reasonable terms, if at all. Our failure to obtain a license to any technology that we require may materially harm our business, financial condition and results of operations.

Changes in either patent laws or in interpretations of patent laws in the United States and other countries may diminish the value of our intellectual property or narrow the scope of our patent protection.

The laws of foreign countries may not protect our rights to the same extent as the laws of the United States. Therefore, enforceability or scope of our patents in the United States or in foreign countries cannot be predicted with certainty, and, as a result, any patents that we own or license may not provide sufficient protection against competitors.

Some jurisdictions have laws that permit the government to force a patentee to grant a license to a third party for commercialization of a patented product if the government concludes that the product is not sufficiently developed or not meeting the health needs of the population. Such compulsory licensing laws are very rarely invoked outside of South America and Africa. In addition, a number of countries limit the enforceability of patents against government agencies or government contractors. In these countries, the patent owner may be limited to monetary relief and may be unable to enjoin infringement, which could materially diminish the value of the patent. Such compulsory licenses could be extended to include some of our product candidates, which may limit our potential revenue opportunities.

Because of the extensive time required for development, testing and regulatory review of a new drug, it is possible that any related patent may expire before any of our product candidates can be commercialized or remain in force for only a short period following commercialization. In either case, this would reduce any advantages of the patent.

If we are unable to satisfy our obligations under current and future license agreements, we could lose license rights which would adversely affect our business.

We license patent and/or certain other rights from DSP for droxidopa. Similarly, we are a party to a license agreement with Dr. M. Gopal Nair under which we license patent rights for our product candidate CH-4051 and other antifolates. We may enter into additional licenses in the future. Our existing licenses impose, and we expect future licenses will impose, various milestone payments, royalty payments and other obligations on us. If we fail to comply with our obligations in our intellectual property licenses with third parties, we could lose license rights that are important to our business. If a licensor challenges our license position, our competitive position and business prospects could be harmed.

Our license agreement with DSP reserves rights to the licensor in Japan, Korea, China and Taiwan which preclude our commercialization of droxidopa in those markets. Our license agreement with Dr. Nair reserves rights to the licensor in India. Therefore, we will not commercialize our licensed antifolates in India.

If we are unable to enforce trade secret protection and confidentiality agreements with our employees, our competitive position might be harmed.

Our success also depends upon the skills, knowledge and experience of our scientific and technical personnel, our consultants and advisors, as well as our licensors and contractors. To help protect our proprietary know-how and our inventions for which patents are unobtainable or difficult to obtain, we rely on trade secret protection and confidentiality agreements. To this end, it is our policy to require all of our employees, consultants, advisors and contractors to enter into agreements that prohibit the disclosure of confidential information and, where applicable, require disclosure and assignment to us of the ideas, developments, discoveries and inventions important to our business. These agreements might not provide adequate protection for our trade secrets, know-how or other proprietary information in the event of any unauthorized use or disclosure or the lawful development by others of such information. If any of our trade secrets, know-how or other proprietary information is disclosed, the value of our trade secrets, know-how and other proprietary rights would be significantly impaired and our business and competitive position would suffer.

If we infringe the rights of third parties we could be prevented from selling products, forced to pay damages and defend against litigation.

If our products, methods, processes and other technologies infringe the proprietary rights of other parties, we could incur substantial costs and we might have to:

- obtain licenses, which might not be available on commercially reasonable terms, if at all;
- abandon an infringing drug candidate;
- redesign our products or processes to avoid infringement;
- stop using the subject matter claimed in the patents held by others;
- pay damages; or
- defend litigation or administrative proceedings, which might be costly whether we win or lose, and which could result in a substantial diversion of valuable management resources.

We might not successfully manage our growth.

We are a small, development stage company. Assuming FDA approval of Northera as well as approval of our other product candidates, our success will depend upon the expansion of our operations and the effective management of our growth, which will place a significant strain on our management and on our administrative, operational and financial resources. As of March 2, 2012, we had 49 full-time, permanent employees. We anticipate that we will need to identify, attract, train and retain other highly skilled personnel as we continue to develop our product candidates and commercialize Northera in the United States. In particular, we are currently in the process of recruiting approximately 85 sales representatives to address the market opportunity in the United States should our NDA for Northera be approved. Hiring for such personnel is competitive, and there can be no assurance that we will be able to retain our key employees or attract, assimilate or retain the qualified personnel necessary for the development of our business. If we are unable to manage our growth effectively, our business would be harmed.

We might be exposed to liability claims associated with the use of hazardous materials and chemicals.

Our research and development activities might involve the controlled use of hazardous materials and chemicals. Although we believe that our safety procedures, and those of our partners, for using, storing, handling and disposing of these materials comply with federal, state, local and, where applicable, foreign laws and regulations, we cannot completely eliminate the risk of accidental injury or contamination from these materials. In the event of such an accident, we could be held liable for any resulting damages and any liability could

materially adversely affect our business, financial condition and results of operations. In addition, the laws and regulations governing the use, manufacture, storage, handling and disposal of hazardous or radioactive materials and waste products might require us to incur substantial compliance costs that could materially adversely affect our business, financial condition and results of operations.

We rely on key executive officers and scientific and medical advisors, and their knowledge of our business and technical expertise would be difficult to replace.

As a small, development stage, company, we are highly dependent on our executive officers, including particularly our Chief Executive Officer, Simon Pedder, Ph.D., and our principal scientific, regulatory, sales, marketing and medical officers and advisors. Dr. Pedder is the only executive officer whose employment with us is governed by an employment agreement, and the term of employment under that agreement expires on December 31, 2014. We do not have "key person" life insurance policies for any of our officers. The loss of the technical knowledge and management and industry expertise of any of our key personnel could result in delays in product development, loss of any future customers and sales and diversion of management resources, which could adversely affect our operating results.

If we are unable to hire additional qualified personnel, our ability to grow our business will be harmed.

As a small, development stage company, we will need to hire additional qualified personnel with expertise in preclinical testing, clinical research and testing, government regulation, formulation and manufacturing, distribution, administration and sales and marketing. We compete for qualified individuals with numerous pharmaceutical and biopharmaceutical companies, universities and other research institutions. Competition for such individuals is intense, and we cannot be certain that our search for such personnel will be successful. Attracting and retaining qualified personnel is critical to our success.

We might incur substantial liabilities and might be required to limit commercialization of our products in response to product liability lawsuits.

The testing and marketing of medical products entail an inherent risk of product liability. If we cannot successfully defend ourselves against product liability claims, we might incur substantial liabilities or be required to limit commercialization of our products. Our inability to obtain sufficient product liability insurance at an acceptable cost to protect against potential product liability claims could prevent or inhibit the commercialization of our products. Although we carry clinical trial insurance, we might not be able to renew such insurance at a reasonable cost, if at all, or our intended collaborators may be unable to obtain such insurance at a reasonable cost, if at all. Even if our agreements with any future collaborators entitle us to indemnification against losses, that indemnification might not be available or adequate should any claim arise.

Risks Related to Our Securities

The trading volume of our common stock is limited and our investors may encounter difficulties selling significant quantities of our stock without adversely impacting the price at which they can sell.

Since listing with the NASDAQ in May of 2006, the trading volume for our stock has varied significantly from day to day and often the number of shares traded has been low. Any large transactions in our common stock might be difficult to conduct and may cause significant fluctuations in the price of our common stock.

The prices at which shares of our common stock are traded will likely be volatile.

You should expect the prices at which our common stock is traded to be highly volatile. Since the commencement of NASDAQ trading in May 2006, the price has varied from a low of $1.09 to a high of $8.41. The expected volatile price of our stock will make it difficult to predict the value of your investment, to sell your shares at a profit at any given time, or to plan purchases and sales in advance. A variety of other factors might also affect the market price of our common stock. These include, but are not limited to:

- approval, failure to obtain approval or delays in obtaining approval for our NDA for Northera, currently under review by the FDA, or for any of our other product candidates;
- ability to out-license our products in the United States or elsewhere and the terms of such potential agreements;
- publicity regarding actual or potential clinical results relating to products under development by our competitors or us;
- delays or failures in initiating, completing or analyzing preclinical or clinical trials or the unsatisfactory design or results of these trials;
- pricing of our product candidates;
- success or delays in commercialization of our product candidates;
- market acceptance of our product candidates;
- approvals, delays in or rejection of regulatory approvals for our competitor's products by U.S. or foreign regulators;
- announcements of technological innovations or new commercial products by our competitors or us;
- developments concerning proprietary rights, including patents;
- developments concerning our collaborations;
- regulatory developments in the United States and foreign countries;
- economic or other crises and other external factors;
- period-to-period fluctuations in our results of operations;
- changes in financial estimates by securities analysts; and
- sales of our common stock.

We will not be able to control many of these factors, and we believe that period-to-period comparisons of our financial results will not necessarily be indicative of our future performance.

In addition, the stock market in general, and the market for pharmaceutical companies in particular, has experienced extreme price and volume fluctuations that might have been unrelated or disproportionate to the operating performance of individual companies. These broad market and industry factors might seriously harm the market price of our common stock, regardless of our operating performance.

We have never paid dividends and do not intend to pay cash dividends.

We currently anticipate that no cash dividends will be paid on our common stock in the foreseeable future. While our dividend policy will be based on the operating results and capital needs of the business, it is anticipated that all earnings, if any, will be retained to finance our future operations.

If securities analysts downgrade our stock or cease coverage of us, the price of our stock could decline.

The trading market for our common stock relies in part on the research and reports that industry or financial analysts publish about us or our business. Currently, six financial analysts publish reports about us and our business. We do not control these or any other analysts. Furthermore, there are many large, well-established, publicly traded companies active in our industry and market, which may mean that it is less likely that we will receive widespread analyst coverage. If any of the analysts who cover us downgrade our stock, our stock price would likely decline rapidly. If these analysts cease coverage of our company, we could lose visibility in the market, which in turn could cause our stock price to decline.

Substantial future sales of our common stock in the public market may depress our stock price and make it difficult for you to recover the full value of your investment in our shares of common stock.

As of March 2, 2012, we had 67,040,569 shares of common stock outstanding. Substantially all of these shares are available for public sale, subject in some cases to volume and other limitations or delivery of a prospectus. The market price of our common stock may decline if our common stockholders sell a large number of shares of our common stock in the public market, or the market perceives that such sales may occur. In addition, we have outstanding options and warrants to purchase an aggregate of 7,101,430 and 2,818,022 shares, respectively, of our common stock. If these options or warrants are exercised and the shares issued upon exercise are sold, the market price of our securities may also decline. These factors also could impair our ability to raise needed capital by depressing the price at which we could sell our securities.

ITEM 1B. UNRESOLVED STAFF COMMENTS.

None.

ITEM 2. PROPERTIES.

We currently lease 13,979 square feet of office space in Charlotte, North Carolina. This lease, as amended in October 2010, currently requires monthly payments of approximately $29,000. The lease will expire in March 2016. The agreement calls for annual rent increases of 3%. A security deposit equal to two months' rent per the initial lease agreement, or approximately $38,000, is being held in escrow by the landlord. While we believe that our current facilities are adequate to meet our needs to mid-2012, we are currently negotiating to acquire additional space in anticipation of the approval of our NDA for Northera.

ITEM 3. LEGAL PROCEEDINGS.

We are not subject to any material pending legal proceeding, nor are we aware of any threatened claims against us.

ITEM 4. MINE SAFETY DISCLOSURES.

Not applicable.

PART II

ITEM 5. MARKET FOR THE REGISTRANT'S COMMON EQUITY, RELATED STOCKHOLDER MATTERS AND ISSUER PURCHASES OF EQUITY SECURITIES.

Our common stock is traded on the National Association of Securities Dealers Automatic Quotation System ("NASDAQ") National Market under the symbol "CHTP". The following table sets forth the high and low prices of our common stock for the reported periods, as reported by NASDAQ. These quotations reflect inter-dealer prices, without retail mark-up, markdown, or commission and may not represent actual transactions.

	High	Low
Fiscal year ended December 31, 2010		
First Quarter	$4.19	$2.39
Second Quarter	$4.50	$1.94
Third Quarter	$7.00	$2.73
Fourth Quarter	$8.10	$4.59
Fiscal year ended December 31, 2011		
First Quarter	$8.15	$3.52
Second Quarter	$5.34	$3.83
Third Quarter	$5.89	$3.56
Fourth Quarter	$5.63	$3.37

As of March 2, 2012, the last sale price of our common stock on NASDAQ was $3.62 per share. As of March 2, 2011, there were approximately 3,400 stockholders of record.

We have neither paid nor declared dividends on our common stock since our inception and do not plan to pay dividends in the foreseeable future. Any earnings that we may realize will be reinvested to finance our operations.

The market prices for securities of pharmaceutical companies, including ours, have historically been highly volatile, and the market has from time to time experienced significant price and volume fluctuations that are unrelated to the operating performance of particular companies. Factors, such as regulatory actions, clinical trial results, public concern as to the safety of drugs developed by us or others, fluctuations in our operating results, announcements of technological innovations or new therapeutic products by us or others, developments concerning agreements with collaborators, governmental regulation, developments in patent or other proprietary rights, future sales of substantial amounts of common stock by existing stockholders and general market conditions, can have an adverse effect on the market price of our common stock.

ITEM 6. SELECTED FINANCIAL DATA.

The following table sets forth financial data with respect to us as of and for the five years ended December 31, 2011 and the period from April 3, 2002 (inception) through December 31, 2011. The selected financial data below should be read in conjunction with the audited financial statements and related notes included elsewhere in this Annual Report on Form 10-K and "Management's Discussion and Analysis of Financial Condition and Results of Operations" included in Item 7.

	Years ended December 31,					Period from April 3, 2002 (Inception) through December 31,
	2011	2010	2009	2008	2007	2011
	(In thousands, except share and per share data)					
Statement of Operations Data:						
Operating expenses:						
Research and development	$ 37,270	$ 30,871	$ 23,985	$ 27,109	$ 12,336	$ 145,760
Sales and marketing	8,068	2,476	2,289	1,561	1,294	17,025
General and administrative	5,276	4,155	4,076	3,727	2,875	25,224
Total operating expenses	50,614	37,502	30,350	32,397	16,505	188,009
Operating loss	(50,614)	(37,502)	(30,350)	(32,397)	(16,505)	(188,009)
Interest income, net of expense	162	172	188	1,701	1,423	4,683
Other income (expense)	—	—	4,390	(4,390)	—	—
Net loss	$ (50,452)	$ (37,330)	$ (25,772)	$ (35,086)	$ (15,082)	$(183,326)
Net loss per basic and diluted share of common stock	$ (0.84)	$ (0.91)	$ (0.82)	$ (1.17)	$ (0.66)	
Weighted average number of basic and diluted common shares outstanding	60,136,326	41,184,623	31,549,739	30,027,031	22,936,780	

	As of December 31,				
	2011	2010	2009	2008	2007
	(in thousands)				
Balance Sheet Data:					
Cash and cash equivalents	$ 41,106	$ 47,593	$ 22,295	$ 21,533	$ 34,076
Short-term investments, net	4,500	—	11,450	10,306	28,638
Working capital	33,336	34,970	12,671	20,260	57,910
Long-term investments, net	—	—	—	11,329	—
Total assets	46,903	48,374	34,349	44,130	63,163
Line of credit payable	—	—	11,466	7,277	—
Deficit accumulated during the development stage	(183,326)	(132,873)	(95,543)	(69,771)	(34,685)
Total stockholders' equity	33,665	35,188	12,852	24,548	57,967

ITEM 7. MANAGEMENT'S DISCUSSION AND ANALYSIS OF FINANCIAL CONDITION AND RESULTS OF OPERATIONS.

The following discussion of our financial condition and results of operations should be read in conjunction with the financial statements and the notes to those statements included elsewhere in this Annual Report on Form 10-K. This discussion contains predictions, estimates and other forward-looking statements that involve a number of risks and uncertainties, including those discussed under "Risk Factors" and elsewhere in this Annual Report on Form 10-K. These risks could cause our actual results to differ materially from those anticipated in these forward-looking statements.

Overview

We are a development stage pharmaceutical company that seeks to acquire, develop and commercialize innovative products for the treatment of a variety of human diseases. Our strategy is to develop technologies that address important unmet medical needs or offer improved alternatives to current methods of treatment. Specifically, we are developing droxidopa, a novel therapeutic agent for the treatment of symptomatic neurogenic orthostatic hypotension, or NOH, in patients with primary autonomic failure, dopamine ß-hydroxylase, or DBH, deficiency and non-diabetic autonomic neuropathy. We are also evaluating the potential therapeutic applications of droxidopa in reducing the frequency of falls in patients with NOH associated with Parkinson's disease, or PD, as well as other potentially norepinephrine related conditions and diseases including intradialytic hypotension, or IDH, fibromyalgia and adult attention deficit hyperactivity disorder. We are also developing pharmaceutical products for multiple autoimmune disorders, including rheumatoid arthritis, psoriasis, inflammatory bowel disease and cancer.

Northera™ (droxidopa), our most advanced investigational product candidate, is an orally-active synthetic precursor of norepinephrine being developed for the treatment of symptomatic NOH. In Japan, Northera has been approved since 1989 and is marketed by Dainippon Sumitomo Pharma Co., Ltd., or DSP, for the treatment of frozen gait and dizziness on standing in PD, orthostatic hypotension, syncope and dizziness on standing in multiple systems atrophy (Shy-Drager Syndrome) and familial amyloid polyneuropathy and symptoms of orthostatic hypotension in hemodialytic patients. During 2007, the FDA granted orphan drug status to Northera for the treatment of symptomatic NOH and the European Medicines Agency, or EMA, granted orphan medicinal product designation for the treatment of patients with Pure Autonomic Failure, or PAF, and patients with multiple system atrophy, or MSA.

In November 2011, the FDA accepted for filing our NDA seeking approval to market Northera in patients with primary autonomic failure, DBH deficiency and non-diabetic autonomic neuropathy that we submitted in September 2011. In addition, the FDA granted our request for a priority review of the NDA and, under PDUFA, the FDA's goal is to review and act on the NDA by March 28, 2012. In addition, a meeting of the Cardiovascular and Renal Drugs Advisory Committee was held on February 23, 2012, as requested by the FDA, to discuss the Northera NDA. The committee recommended, in a 7 to 4 vote, that the FDA approve our NDA to market Northera in the United States. This recommendation is non-binding on the FDA.

The clinical portion of the NDA includes combined safety and efficacy data from our two completed Phase III efficacy studies in NOH, Study 301 and Study 302, two long-term open-label extension studies, Study 303 and Study 304, a dedicated thorough QTc study and a 24-hour ambulatory blood pressure monitoring study, Study 305. During our pre-NDA meeting with the FDA in December 2010 and in subsequent communication with the agency, the FDA agreed that the proposed NDA for Northera could be submitted based on combined data from these studies without the need for any further efficacy studies. Also during the pre-NDA meeting, the FDA requested we complete a thorough QTc study of Northera During the second quarter of 2011, we announced the successful completion of this dedicated QTc study of Northera to formally evaluate and confirm the cardiac safety of Northera. As such, we included top-line data in the NDA and provided the full study report at the time of the 90-day safety update. The results of this ECG trial showed that Northera, at either therapeutic or supra-therapeutic doses, did not increase heart rate or prolong AV conduction or cardiac polarization times as measured

by the PR interval, QT interval and duration of the QRS complex. The FDA has also requested that we conduct a post-marketing study to evaluate the clinical pharmacology of Northera in renally-impaired patients. If approved, the FDA could request additional studies be completed post-approval or they could delay approval pending the completion of such studies.

Upon review of anecdotal evidence in the adverse events reported in Study 301 and Study 302 suggesting that Northera treatment was associated with fewer falls, we decided to prospectively assess this benefit as a secondary efficacy parameter in Study 306, a Phase III trial initiated in 2010. Since Study 306 was originally intended to support our registration of Northera for the treatment of NOH, the primary endpoint for Study 306 was the relative mean change in the OHQ composite between treatment and placebo arms. In February 2011, we announced our plans to modify Study 306 following a determination of futility at the planned interim analysis of the study's primary endpoint. Our subsequent unblinded review of multiple, secondary outcome measures showed an approximate 60% reduction in the rate of patient reported falls and supportive signs of therapeutic activity associated with Northera in the first 51 patients to complete Study 306.

We modified and separated Study 306 such that the first 51 patients evaluated in the unblinded interim analysis are considered Part A (Study 306A) while the remaining 62 patients already enrolled in the study at the time of the interim analysis but not unblinded as part of that analysis would form the basis for Part B (Study 306B). Based on the analysis of the unblinded interim data from Study 306A, we modified Study 306B, changing the primary endpoint to the change in patient-reported falls from baseline to end of study, to demonstrate an approximate 45% reduction in the rate of falls per patient, per week in patients with NOH associated with PD and plan to enroll a total of approximately 160 patients. Based on current estimates, we anticipate data from Study 306B will likely be available during the third quarter of 2012. In keeping with the FDA's recommendations, we did not seek a falls claim in the initial labeling at the time we filed for approval of Northera for the treatment of symptomatic NOH, but we intend to continue an ongoing clinical evaluation of the effects of Northera in reducing the number of falls in patients with NOH associated with PD, including additional clinical trials as necessary, with the intent of pursuing future label expansion opportunities for Northera post-approval.

In December 2011, we announced that we had received a notice of allowance from the U.S. Patent and Trademark Office for our patent application "Threo-DOPS Controlled Release Formulation," U.S. Patent Application No. 11/698,974. Upon issuance, the patent will expire no earlier than 2026. The newly allowed claims relate to certain oral, controlled release formulations of Northera that include an extended release component and an immediate release component. Although we are not currently seeking regulatory approval for such a controlled release formulation of Northera, if we were to do so, the patent would provide protection for the claimed formulation beyond the seven-year marketing exclusivity afforded by its orphan designation in the U.S. Also, in September 2011, we announced that we had been issued U.S. Patent No. 8,008,285 entitled "Droxidopa and pharmaceutical composition thereof for the treatment of fibromyalgia." The claims of the patent are related to methods of reducing pain associated with fibromyalgia by administering droxidopa alone, or in combination with other specified medications.

In December 2011, we announced top-line results from our Phase II trial of droxidopa, alone and in combination with carbidopa, for the treatment of fibromyalgia. Top-line results of the study indicate a dose response with the highest dose of droxidopa, 600mg three times daily, demonstrating a 6.2-point average improvement from a baseline score of 23.00 on the Short Form McGill Questionnaire, or SF-MPQ, at the end of the nine-week treatment period, the study's primary endpoint. This reflects a 3.2 unit improvement over placebo on the SF-MPQ total pain score. Although the study, conducted under approval from the United Kingdom's Medicines and Healthcare Products Regulatory Agency, was not designed to demonstrate statistical significance given the limited number of patients per arm, results of the study show a mean change in pain, as measured by the visual analog scale, or VAS, of -1.64 for patients treated with droxidopa monotherapy compared to a mean change of -0.90 for placebo. Assessment using the Fibromyalgia Index Questionnaire, or FIQ, showed patients treated with droxidopa monotherapy demonstrated a mean change from baseline of -9.72 compared to -4.74 reported by patients in the placebo arm. Administration of droxidopa monotherapy proved more effective than droxidopa/carbidopa combination therapy in the study.

In July 2011, we announced that an investigator-led Phase II study of droxidopa, in combination with carbidopa, for the treatment of adult attention deficit hyperactivity disorder, or ADHD, initiated in February 2010, had been completed. Preliminary results from this study suggest that droxidopa rapidly improved ADHD symptoms during open-label treatment and was well tolerated with no serious adverse events.

In October 2011, an investigator-led, Phase II study began to evaluate droxidopa for the treatment of orthostatic hypotension resulting from spinal cord injury.

In addition to droxidopa, we are currently developing a portfolio of molecules for the treatment of various autoimmune/inflammatory diseases. The most advanced platform is a portfolio of metabolically-inert antifolate molecules engineered to have potent anti-inflammatory and anti-tumor activity to treat a range of immunological disorders, including two clinical stage product candidates designated as CH-1504 and CH-4051. In March 2009, we announced positive results from the completed Phase II head-to-head clinical trial of CH-1504 for the treatment of rheumatoid arthritis, designed to compare the efficacy and tolerability of CH-1504 against methotrexate, or MTX, currently the leading antifolate treatment and standard of care for a broad range of abnormal cell proliferation diseases. Results of the study showed comparable American College of Rheumatology efficacy criteria, or ACR20/50/70 response rates, to patients treated with daily 0.25mg, 0.50mg and 1.0mg of CH-1504 against patients treated with a standard weekly 20mg oral dose of MTX. In addition, the efficacy of CH-1504 was associated with improved tolerability and reduced hepatotoxicity compared with MTX. In April 2009, we announced positive findings from our Phase I study of CH-4051, the L-isomer of CH-1504. Data from this single and multiple ascending dose study demonstrated that CH-4051 is safe and well tolerated up to a maximally tolerated dose of 7.5mg.

Based on these findings, in September 2010 we initiated a multinational, 12-week, double-blind Phase II trial designed to compare the efficacy and tolerability of CH-4051 against MTX in 250 patients with rheumatoid arthritis who experience an inadequate response to MTX treatment. The primary efficacy analysis will be conducted using the ACR hybrid score, an endpoint that combines a continuous scale of percentage improvement with the well-known ACR20/50/70. The trial was initiated with a staggered start wherein the first patients were randomized to receive either 0.3mg or 1.0mg of CH-4051 daily or 20mg MTX weekly in combination with a folate supplement. Enrollment in the high dose arms of the study was deferred until May 2011, when we announced that an independent Data Safety Monitoring Board had met to review patient safety data from this study and, finding no signals that precluded proceeding, recommended that each on-going arm of the study continue as planned and that enrollment be initiated in the 3.0mg dose groups of the trial.

In November 2011, we announced preliminary results from a planned unblinded, interim efficacy analysis for the lower dose patients. The analysis included unblinded data from the lower two of three doses of CH-4051 and half the patients enrolled into the MTX control arm. Preliminary results suggest a dose-dependent therapeutic response in which patients treated with the mid-range, or 1.0mg daily oral dose, of CH-4051 experienced similar efficacy to patients treated with a standard 20.0mg weekly dose of MTX. This response suggests that patients currently receiving triple the dose, or 3.0mg, of CH-4051 in the ongoing study may experience greater therapeutic benefits than patients treated with MTX. Full study results are currently expected to become available in the second quarter of 2012.

Complementing our autoimmune/inflammatory program is a second platform consisting of a portfolio of therapeutics targeting immune-mediated inflammatory disorders and transplantation, known as our I-3D portfolio. We currently have no work underway related to this portfolio.

Since inception we have focused primarily on organizing and staffing our company, negotiating in-licensing agreements with our partners, acquiring, developing and securing our proprietary technology, participating in regulatory discussions with the FDA, the EMA and other regulatory agencies, undertaking preclinical trials and clinical trials of our product candidates, and, more recently, preparing for the planned commercial launch in the United States of Northera in anticipation of regulatory approval. We are a development stage company and have

generated no revenue since inception. We do not anticipate generating any product revenue until and unless we successfully obtain approval from the FDA or equivalent foreign regulatory bodies to begin selling Northera or any of our other pharmaceutical candidates although we could potentially generate revenue prior to any marketing approval by entering into strategic agreements including out-licensing, co-development or co-promotion of our drug candidates. Developing pharmaceutical products is a lengthy and expensive process. If we do not encounter unforeseen safety issues or regulatory or other delays during the course of developing Northera, we would anticipate receiving regulatory approval to market Northera late in the first quarter of 2012, at the earliest. Assuming FDA approval of Northera for marketing in the United States, we currently anticipate launching the product and having initial sales or royalty revenue from it in the second quarter of 2012. Currently, development and commercialization expenses are being funded with proceeds from equity financings completed in December 2004, February 2006, March 2007, November 2007, July 2009, March 2010, October 2010, February 2011 and January 2012 and, to a lesser extent, proceeds from the exercise of warrants and options. In addition, we received additional proceeds under a controlled equity offering for sales made during September 2010. Given our intent to move our products into additional clinical trials and expand our commercialization and marketing efforts for Northera, along with the uncertainty regarding the approval of Northera and potential product revenue should approval be obtained, our need to finance operating costs might continue. Accordingly, our success depends not only on the safety and efficacy of our product candidates, but may also depend on our ability to finance the development and/or commercialization of the products.

Revenue and Cost of Revenue

We have not generated any revenue from licensing, milestones or product sales through December 31, 2011. We do not expect to generate product revenue until and unless we receive approval from the FDA or other regulatory authorities to market our product candidates. We may also decide to out license one or more of our drug product candidates and, if successful, we would anticipate revenue to be recorded from such a transaction. However, we might never be able to generate revenue or generate revenue sufficient to fund ongoing operations. Other than Northera, which, if approved by the FDA, might be launched in the second quarter of 2012, at the earliest, none of our other product candidates are expected to be commercially available until, at the earliest, 2015, if at all.

Research and Development

Research and development expenses consist primarily of costs associated with determining feasibility, licensing and preclinical and clinical testing of our licensed pharmaceutical candidates, including salaries and related personnel costs, fees paid to consultants and outside service providers for drug manufacture and development, certain legal expenses and other expenses. All of our major research and development projects subject us to drug development and regulatory risks, including specifically risks of delays and cost over-runs that could be material to our financial condition and results of operations. For certain programs, we might rely on collaborative partners or our ability to enter into collaborations on favorable terms in order to advance a product candidate and pay a portion of the research and development expenses. See “Item 1A. Risk Factors.” We expense our research and development costs as they are incurred. Research and development expenses, related to our major research and development projects, for the years ended December 31, 2011, 2010 and 2009 were approximately $37.3 million, $30.9 million and $24.0 million, respectively, and are detailed as follows:

	Years ended December 31,		
(in thousands)	**2011**	**2010**	**2009**
Antifolates	$ 7,350	$ 6,100	$ 2,300
Droxidopa	29,950	24,800	21,700
I-3D	—	—	—
	$37,300	$30,900	$24,000

Sales and Marketing

Selling and marketing expenses consist primarily of salaries and related expenses that support our business development activity, including programs related to our patents and intellectual property, promotional initiatives, activities related to the branding, pricing and market analysis of our pharmaceutical compounds and the establishment of our Northera sales force in the United States.

General and Administrative

General and administrative expenses focus on the support of administrative activities and consist primarily of salaries and related expenses for executive, finance and other administrative personnel, recruitment expenses for such personnel, consulting and professional fees and other corporate expenses, including general legal and accounting activities, certain taxes and other government fees and facilities-related expenses.

Corporate History

Our operating company, Chelsea Therapeutics, Inc., or Chelsea Inc., was incorporate in Delaware in April 2002 under the name Aspen Therapeutics, Inc. Its name was changed in July 2004. In February 2005, we completed a merger with Ivory Capital Corporation, or Ivory, a publicly traded Colorado corporation, in which a wholly owned subsidiary of Ivory Capital was merged with and into Chelsea Inc. and Chelsea Inc. became a wholly owned subsidiary of Ivory. The merger resulted in a change of control of Ivory, with the former stockholders of Chelsea Inc. owning approximately 96.75% of the resulting entity, after assuming the conversion of all outstanding options and warrants. In addition, the terms of the merger provided that the sole officer and director of Ivory would be replaced by the officers and directors of Chelsea Inc. The transaction was accounted for as a reverse acquisition with Chelsea Inc. as the acquiring party and Ivory as the acquired party, in substance, a reorganization of Chelsea Inc. Accordingly, when we refer to our business and financial information relating to periods prior to the merger, we are referring to the business and financial information of Chelsea Inc. unless the context indicates otherwise. On July 28, 2005, Ivory merged with Chelsea Therapeutics International, Ltd., or Chelsea Ltd., with Chelsea Ltd. as the surviving corporation. As a result, Chelsea Ltd. is the public reporting company and is the 100% owner of Chelsea Inc., its operating subsidiary.

When we refer to business and financial information for periods between January 1, 2005 and July 28, 2005, we are referring to the business and financial information of Ivory. Except as noted, all share numbers included herein reflect the conversion of every nine shares of Ivory Capital Corporation common stock for one share of Chelsea Ltd. common stock that occurred in connection with our Delaware reincorporation on July 28, 2005.

Results of Operations

The tables below set forth, for the periods indicated, certain items in our consolidated statements of operations and other pertinent financial and operating data.

Comparison of Years ended December 31, 2011 and 2010

(in thousands, except percentages)	For the year ended December 31, 2011	For the year ended December 31, 2010	$ Increase	% Change
Research and development expense	$37,270	$30,871	$6,399	21%
Sales and marketing expense	8,068	2,476	5,592	226%
General and administrative expense	5,276	4,155	1,121	27%
Interest income	162	243	(81)	-33%
Interest expense	—	(70)	70	-100%

Research and development expenses. In 2011, we continued to incur costs for Study 306A, Study 306B and our open-label extension study, Study 304. We also incurred expenses for a Phase II trial of our antifolate, CH-4051, in rheumatoid arthritis for which enrollment was initiated in September 2010. In 2010, we completed our second pivotal Phase III trial in NOH, Study 301, and initiated Study 306. Also, during 2011, we had costs for the preparation and filing of our NDA for Northera. Specifically, 2011 expenses included approximately $2.7 million related to the preparation and filing of our NDA, $5.2 million related to our ongoing Phase II trial of CH4051, $7.0 million related to NOH306 and $3.5 million for NOH extension studies. Additionally, we incurred costs during the period related to medical affairs activities related to the planned launch of Northera, including hiring, on a contract basis, a team of Medical Science Liaison professionals, generating period costs of $1.4 million. We also incurred expenses for the purchase and validation of active pharmaceutical ingredient to be used in the manufacture of commercial product, expenses for our Phase II trial of droxidopa in fibromyalgia and the costs of manufacturing, packaging, labeling and distributing clinical trial material. During 2010, primary expenditures were associated with our Phase III NOH studies, NOH extension studies, our Phase II trial of droxidopa in fibromyalgia and initial costs related to our Phase II trial of our antifolates in rheumatoid arthritis. Also contributing to our expenses in both periods were compensation and related costs. As a percentage of operating expenses, research and development costs were 74% for 2011 and 82% for 2010.

Droxidopa. From inception through December 31, 2011, we had spent approximately $103.8 million in research and development expenses on droxidopa. Based on our earlier discussions with the FDA, the pre-clinical and clinical programs required to complete and file our NDA seeking marketing approval of Northera (droxidopa) for the treatment of symptomatic NOH are essentially complete. Additional research and development costs for the Northera NOH core program through our anticipated PDUFA date at the end of March 2012 include our access and safety program, Study 304, which remains in place until participants have the opportunity to access commercial supplies of Northera; regulatory activity to support our NDA filing and advisory committee meeting; and commercial supply purchases and related drug manufacture (which are expensed prior to NDA approval). These expenses are expected to total approximately $3.6 million and do not include license fees of approximately $1.5 million to be paid if we receive approval of our NDA from the FDA, which would be capitalized as a long lived intangible asset. Additional droxidopa-related clinical research and development costs during 2012 are estimated at $9.6 million and include our ongoing Phase III study 306B, winding down of the access and safety programs for NOH patients, finalization of our Phase II study of droxidopa in fibromyalgia, continued development of a second source for drug supply, advancement of our once-daily formulation for NOH and initial activity on the renal impairment study previously requested by the FDA. In addition to this, we anticipate continuing costs for ongoing launch preparations related to medical information, medical affairs and commercial operations, including quality, compliance and related activities.

Antifolates. From inception through December 31, 2011, we had spent approximately $39.5 million in research and development expenses on our portfolio of antifolates. We currently intend to seek a partner to assist us in the development of our antifolates after the completion of our ongoing Phase II proof-of-concept study for CH-4051 in rheumatoid arthritis. We estimate that we will spend approximately $4.7 million on this program in 2012 and estimate preliminary data will be available in the second quarter of 2012 with final study activities completed in the third quarter of 2012. Assuming an approval for marketing, we currently estimate launch of this product and initial royalty revenue from it no sooner than 2015.

I-3D Portfolio. From inception through December 31, 2011, we had spent approximately $2.5 million in research and development expenses on the I-3D portfolio of compounds. We have conducted compound discovery work on the portfolio to try and identify one or more lead compounds. All of the work completed to date was performed before 2008 and we do not expect to incur significant additional expenses for these compounds until we select a partner or obtain additional financing.

Sales and marketing expenses. Although we had no formalized selling activities, during the year ended December 31, 2011 sales and marketing expenses increased significantly when compared to 2010. A significant component of this increase is related to increased compensation and related costs as we added personnel with the

appropriate expertise in this area to support our planned commercialization of Northera. In addition, we also have begun to see the expected ramp up in the costs of developing and implementing our sales and marketing initiatives for Northera. Such costs include market research, sales force strategy and planning, planning for advertising and promotional campaigns, website development, sales operations planning, employee training programs and public relations. In addition, we also had increases in travel costs, promotional costs that include conference sponsorships and legal expenses related to our intellectual property. During 2010, primary expenditures were related to compensation and related expenses and legal expenses related to our intellectual property. We anticipate that significant growth in expenditures will continue for sales and marketing spending in 2012 as we complete preparation for and, assuming approval is received from the FDA, launch Northera in the United States. We currently expect such spending will be between $35 and $45 million, assuming we are able to launch Northera in 2012 and depending on the timing of FDA approval.

General and administrative expenses. During 2011, general and administrative expenses increased by approximately $1.1 million when compared to 2010. Contributing to this increase were increases in compensation and related costs, primarily for stock-based compensation, professional fees, including audit, tax and legal fees, rent expense, related to the expansion of our headquarters office space, financial printing, depreciation, taxes/government fees and transfer agent fees.

Interest income and interest expense. At December 31, 2011, we had cash and cash equivalents of $41.1 million and short-term investments of $4.5 million. The decrease in interest income is primarily related to the loss of interest income on auction rate securities, or ARS, investments that were fully liquidated by June 30, 2010 but that paid premium interest rates during the first six months of 2010 combined with continued softness in the interest rate market in the United States. Interest expense decreased as the line of credit associated with our investments in ARS held at UBS was fully paid on June 30, 2010.

Comparison of Years ended December 31, 2010 and 2009

(in thousands, except percentages)	For the year ended December 31, 2010	For the year ended December 31, 2009	$ Increase (Decrease)	% Change
Research and development expense	$30,871	$23,985	$ 6,886	29%
Sales and marketing expense	2,476	2,289	187	8%
General and administrative expense	4,155	4,076	79	2%
Interest income	243	337	(94)	-28%
Interest expense	(70)	(149)	79	-53%
Other income (expense)	—	4,390	(4,390)	-100%

Research and development expenses. Based on the results of our meeting with the FDA in the fourth quarter of 2009, much of our efforts for Northera (droxidopa) during 2010 were focused on implementing the approved changes to and completing Study 301while also designing and initiating Study 306 upon the recommendation of the FDA. We incurred expenses associated with these and other NOH programs during 2010, along with costs related to our ongoing Phase II trial of droxidopa in fibromyalgia, costs related to the Phase II trial of our antifolates in rheumatoid arthritis, including licensing fees, and the costs of manufacturing, packaging and labeling appropriate clinical trial material for these trials. Other activities for droxidopa included manufacturing and formulation costs in support of the clinical efficacy and safety programs and activities related to validation of active pharmaceutical ingredient batches for potential commercialization. For 2009, we incurred significant expenses associated with our pivotal Phase III clinical and registration program for Northera in symptomatic NOH, along with costs related to our ongoing Phase II trial of droxidopa in fibromyalgia. In addition, we incurred costs related to our Phase II trial of CH-1504 and our Phase I trial of CH-4051, our antifolates. Also contributing to our expenses in both periods were compensation and related costs. As a percentage of operating expenses, research and development costs were 82% for the year ended December 31, 2010 compared with 79% for 2009.

Sales and marketing expenses. Although we had no formalized selling activities, in 2010 we incurred increases in sales and marketing expenses for compensation and related expenses and promotional costs that include conference sponsorships offset by decreases in legal expenses related to our intellectual property and market research costs. During 2009, we incurred expenses of a similar nature, with more market research activity and less promotional activity than in 2010.

General and administrative expenses. During 2010, we incurred small increases in compensation and related costs, computer and software expenses and insurance expenses, offset by decreases in professional fees for legal and accounting services and travel expenses.

Interest income. At December 31, 2010, we had cash and cash equivalents of $47.6 million. We received interest income on ARS during the first six months of 2010 for ARS that were redeemed on June 30, 2010 and during 2009 for ARS that were redeemed in the second quarter of 2009 as well as those redeemed in 2010. The decrease reflects the loss of the premium interest rates for those investments. Interest expense decreased as the line of credit associated with our investments in ARS held at UBS was fully paid on June 30, 2010.

Other (income) expense. During the year ended December 31, 2009, we recorded a gain of $4.4 million on the recovery of previously recorded impairment losses for ARS that were redeemed at par and an increase in the fair value of our ARS Rights.

Liquidity and Capital Resources

From inception to December 31, 2011, we have incurred an aggregate net loss of approximately $183.3 million as a result of expenses similar in nature to those described above.

As of December 31, 2011, we had working capital of approximately $33.3 million including cash and cash equivalents of approximately $41.1 million, short-term investments of $4.5 million and current liabilities of $13.2 million. We have financed our operations primarily through sales of our stock and, to a much lesser extent, through the issuance of our common stock pursuant to option or warrant exercises. Cash on hand results primarily from previous financing activities offset by funds utilized for operating and investing activities. Our financing activities are more fully described in “Financings” below.

We invest our cash in a variety of financial instruments in order to preserve principal and liquidity while seeking reasonable returns. To limit market risk, investments are restricted to high quality instruments with relatively short maturities including, but not limited to, fully liquid interest-bearing money market accounts, commercial paper, certificates of deposit, money market funds and Treasury funds typically with a maturity of six months or less.

During 2010, we successfully redeemed, at full par value, all of our holdings in ARS. At January 1, 2010, we held short-term investments of $11.45 million, consisting of principal invested in certain ARS and the fair value of the related ARS rights. Our investments in these securities represented interests in collateralized debt obligations supported by pools of structured credit instruments consisting of student loans. None of the collateral for the ARS held by us included mortgage, credit card or insurance securitizations. During 2010, approximately $5.3 million of our investments in ARS were redeemed at full par value and on June 30, 2010, we exercised our right, as outlined under the settlement agreement with UBS, to sell the remaining ARS investments of approximately $6.2 million, along with our ARS rights, to UBS at par value. In addition, we repaid the related line of credit provided by UBS in conjunction with the settlement agreement with the proceeds from redemptions.

Per the terms of a settlement agreement executed in May 2009, all of our ARS holdings that were then classified as available-for-sale and had been purchased from Banc of America Securities, or BA, were redeemed at 100% of par value, or $11.6 million, in June 2009. In addition, BA also refunded to us the $0.4 million realized

loss we incurred in January 2009 upon the sale of our $2.5 million par value ARS holding in Mississippi Higher Ed Assistance Corp. As such, we recorded a gain of approximately $4.4 million related to the recovery of the previously recorded other-than-temporary impairment for these ARS holdings.

General

We have incurred negative cash flows from operations since inception. We have spent, and expect to continue to spend, substantial amounts in connection with implementing our business strategy, including our planned product development efforts, our clinical trials, our commercialization and marketing activities for Northera and our efforts to secure opportunities for strategic alliances.

Notwithstanding our plan to launch Northera in 2012, our continued operations may depend on our ability to raise funds through various potential sources, such as equity and debt financing, the exercise of warrants or strategic alliances. Such strategic relationships or out-licensing arrangements might require us to relinquish rights to certain of our technologies, product candidates or products that we would otherwise seek to develop or commercialize ourselves. Such additional funds might not become available on acceptable terms, or at all, and there can be no assurance that any additional funding that we do obtain will be sufficient to meet our needs.

We believe that our capital resources available at December 31, 2011, when combined with net proceeds of approximately $22.1 million from our equity offering completed in January 2012 and our initial revenues projected on the planned launch of Northera in the United States, which we expect no sooner than the second quarter of 2012, along with a working capital line of credit, will be sufficient to meet our operating needs through 2012 and into 2013, including an increasing level of commercialization activity and expenses related to the anticipated market launch of Northera.

From inception through December 31, 2011 we had losses of $183.3 million. We had net losses of $50.5 million, $37.3 million and $25.8 million for the years ended December 31, 2011, 2010 and 2009, respectively, and we anticipate losses at least through 2012 unless we should successfully negotiate a strategic agreement earlier that might include out-licensing, co-development or co-promotion of one or more of our drug candidates. Actual losses will depend on a number of considerations including:

- the timing of FDA approval of our NDA for Northera, if at all;
- the pace of commercialization and marketing efforts for Northera;
- acceptance by the medical community for any approved products and demand for the products among patients;
- pricing for any approved products and decisions by payers, including private insurance carriers, government agencies and other healthcare payers concerning the coverage and reimbursement for such products;
- possible out-licensing of our product candidates;
- continuing discussions with regulatory agencies concerning the requirements for and design of our clinical trials and safety monitoring programs;
- the pace and success of development activities, including programs for droxidopa, antifolates and other product candidates;
- our ability to identify and recruit patients into our clinical trials at costs consistent with our current estimates;
- seeking regulatory approval for our various product candidates;
- the pace of development of new intellectual property for our existing product candidates;
- in-licensing and development of additional product candidates;

- implementing additional internal systems and infrastructure; and
- hiring additional personnel.

Should we raise additional funds by selling shares of common stock or other securities convertible into common stock, the ownership interest of our existing stockholders will be diluted. If we are not able to obtain financing when needed, we may be required to delay, reduce the scope of, or eliminate one or more of our development programs or curtail operations. As a result, our business, financial condition and results of operations would be materially harmed.

Financings

2012 Shelf Registration Statement

On February 8, 2012, the Company filed, with the Securities and Exchange Commission, or SEC, an amendment to its shelf registration statement on Form S-3 that was originally filed on January 26, 2012, under which the Company may offer shares of its common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of $100,000,000. Such registration statement, as amended, became effective as of February 9, 2012.

2011 Shelf Registration Statement

In January 2012, we raised gross proceeds of approximately $23.7 million through the sale of 4,989,275 shares of our common stock in a publicly-marketed offering. These shares were offered pursuant to our shelf registration statement, amended pursuant to Rule 462(b), as filed with the SEC, under which we could offer shares of common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of $63,950,000. The registration statement, as amended, became effective as of January 19, 2011. In connection with this offering, we paid commissions and other offering-related costs of approximately $1.6 million, resulting in net proceeds of approximately $22.1 million.

In February 2011, we raised gross proceeds of approximately $40.3 million through the sale of 10,062,500 shares of our common stock in a publicly-marketed offering. These shares were offered pursuant to our 2011 shelf registration statement. In connection with this offering, we paid commissions and other offering-related costs of approximately $2.5 million, resulting in net proceeds of approximately $37.8 million.

There are no more securities available under the 2011 shelf registration statement.

2009 Shelf Registration Statement

In October 2010, we raised gross proceeds of approximately $40.3 million through the sale of 8,214,286 shares of common stock in a publicly-marketed offering pursuant to our shelf registration statement, as amended pursuant to Rule 462(b), as filed with the SEC under which we could offer shares of our common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of $61,566,686. Such registration statement became effective as of August 20, 2009. In connection with this offering, we paid commissions and other offering-related costs of approximately $2.5 million.

In July 2010, we filed the required documents and became eligible to use an at-the-market common equity sales program for the sale of up to 3,000,000 shares of common stock pursuant to our 2009 shelf registration statement. In September 2010, we sold 634,500 shares of common stock under this program resulting in net proceeds, after expenses for the program, of approximately $2.9 million.

On March 5, 2010, we raised gross proceeds of approximately $18.2 million through the sale of 6,700,000 shares of common stock plus warrants for the purchase of 2,345,000 shares of common stock. These warrants had an aggregate fair value of approximately $3.9 million, permit the holders to purchase the underlying common shares at $2.79 each or elect a net share settlement and are exercisable in whole at any time, or in part from time to time, during the period commencing six months after the date of issuance and ending three years from the date of issuance. These shares were offered pursuant to our 2009 shelf registration statement. In connection with this offering, we paid commissions and other offering-related costs of approximately $1.5 million.

There are no more securities available under the 2009 shelf registration statement.

2007 Shelf Registration Statement

On July 28, 2009, we raised gross proceeds of approximately $13.3 million through the sale of 3,325,000 shares of common stock. These shares were offered pursuant to our shelf registration statement filed with the SEC that became effective October 11, 2007, as amended pursuant to Rule 462(b), effective July 22, 2009, to increase the dollar amount of securities available for sale, as filed with the SEC under which we could offer shares of common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of $62,218,060. In connection with the July 2009 offering, we received net proceeds, after deducting placement fees and offering expenses, of approximately $12.4 million.

On November 8, 2007, we raised gross proceeds of approximately $48.9 million through the sale of 7,388,172 shares of our common stock in a registered direct offering. These shares were offered pursuant to our 2007 shelf registration statement as filed with the SEC, under which we were able to offer shares of our common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of $60.0 million, prior to its amendment. Such registration statement became effective as of October 11, 2007. In connection with this offering, we paid commissions and other offering-related costs of approximately $3.2 million.

There are no more securities available under the 2007 shelf registration statement.

Private Placements

On March 22, 2007, we raised gross proceeds of approximately $12.5 million through the private placement of 2,648,306 shares of our common stock plus warrants for the purchase of 794,492 shares of our common stock. The aggregate fair value of these warrants was approximately $1.3 million. The warrants permit the holders to purchase the underlying common shares at $5.66 each and are exercisable in whole at any time, or in part from time to time, for cash, for five years from the date of issuance. The warrants are redeemable at par value at our option in the event that the volume weighted-average closing price of our common stock is greater than $12.00 per share for any 20 consecutive trading days provided we give 60 business days' written notice to the holders and simultaneously call all warrants on the same terms. Under the terms of the placement agreement, we agreed to and filed a registration statement with the SEC within 30 days of the closing for the shares of common stock sold and the shares of common stock underlying the warrants and such registration became effective on August 7, 2007. In connection with this offering, we paid commissions and other offering-related costs of approximately $1.0 million in cash.

On February 13, 2006, we raised gross proceeds of approximately $21.5 million through the private placement of 7,166,666 shares of our common stock plus warrants for the purchase of 2,149,999 shares of our common stock. The aggregate fair value of these warrants was approximately $1.1 million. The warrants permitted the holders to purchase the underlying common shares at $4.20 each and were exercisable in whole at any time, or in part from time to time, for cash, for five years from the date of issuance. In addition, these warrants were redeemable at our option in the event that the volume weighted average closing bid price of our

common stock for any 20 consecutive trading days is at least $9.00 per share. In connection with this offering, we paid commissions and other offering-related costs of approximately $1.6 million in cash and issued warrants to the placement agent for the purchase of 716,666 shares of our common stock with an exercise price of $3.30 per share, or 110% of the price of the shares sold in the offering and an aggregate fair value of approximately $0.7 million. These warrants are exercisable in whole at any time, or in part from time to time, for cash or in a net share settlement, for seven years from the date of issuance. Under the terms of the financing, we filed a registration statement with the SEC within 30 days of the closing for the shares of common stock sold and the shares of common stock underlying the warrants and such registration became effective on March 29, 2006.

In December 2004, we raised gross proceeds of approximately $14.5 million through the private placement of 5,532,994 shares of our common stock. The amount raised included the conversion of a $1.7 million stockholder loan along with accrued interest, for which a total of 677,919 shares of common stock were issued. In connection with this offering, we paid commissions and other offering-related costs of approximately $1.0 million in cash and issued warrants to the placement agent for the purchase of 483,701 shares of our common stock with an aggregate fair value of approximately $14,000. The warrants permit the holders to purchase the underlying common shares at $2.88 per share, and are exercisable in whole at any time, or in part from time to time, for cash or in a net share settlement, for seven years from the date of issuance.

License Agreement and Development Agreement Obligations

In March 2004, we entered into a License Agreement with Dr. M. Gopal Nair, Ph.D., of the University of South Alabama College of Medicine, for rights to use, produce, distribute and market products derived from an invention by Dr. Nair, claimed in US Patent # 5,912,251, entitled “metabolically inert anti-inflammatory and antitumor antifolates”, designated by us as CH-1504 and related compounds. The license provides us exclusive, worldwide (excluding India) rights for these compounds.

In 2004, as consideration for these rights, we paid $150,000 and issued Dr. Nair and his designees 471,816 shares of common stock at an estimated aggregate value of $402. As additional consideration, we agreed to pay to Dr. Nair and or his designees: (1) royalties on the sales should any compounds be approved for commercial sale; (2) milestone payments, payable upon achievement of clinical milestones; and (3) payments to be made on specified anniversary dates, some of which were payable in equity, at our discretion. There are no minimum royalties under the agreement. We made milestone payments as required by the agreement of $100,000 each in March 2006 and 2005. In April 2007, we issued 26,643 shares of our common stock, subject to trading restrictions, at a value of approximately $5.63 per share, in settlement of the $150,000 annual milestone payment for 2007. In March 2008, we made a milestone payment of $100,000 related to patient dosing in a Phase II study as required by the agreement. In April 2008, we issued 30,612 shares of common stock, subject to trading restrictions, at a value of approximately $4.90 per share, in settlement of the 2008 anniversary milestone payment of $150,000. In April 2009, we made the 2009 anniversary milestone payment of $150,000. In October 2010, we made a milestone payment of $100,000 related to patient dosing in a Phase II study as required by the agreement. We are also obligated to make future potential milestone payments based on the achievement of specific development and regulatory approval milestones. Based on our current development plans for compounds licensed under this agreement, approximately $1.5 million of payments may become due if specific milestones are achieved, subject to our right to terminate the license agreement. In addition, should we enter into an out-licensing agreement, such payments could be offset by revenue received from the sub-licensee.

The license agreement includes certain other covenants, which require us to, among other things, maintain and prosecute patents related to the license; use commercially reasonable best efforts to bring the licensed product to market as soon as reasonably practicable and continue active, diligent marketing efforts; and prepare and provide to the licensor certain reports concerning our development and commercialization efforts. In the event we fail to carry out our responsibilities under the license agreement, the licensors may terminate the license. We may elect to abandon the maintenance and prosecution of any patent applications or issued patents and we retain the right to terminate the license agreement in whole or as to any portion by providing written notice of such intentions to the licensor. The license agreement may also be terminated in the event we fail to

make a scheduled milestone or royalty payment, we otherwise materially breach the license agreement, or if we become involved in a bankruptcy, insolvency or similar proceeding, provided that we are entitled to notice of such intention to terminate and an opportunity to cure. Regardless, the license agreement shall expire concurrent with the date of the last to expire claim contained in the patent rights.

In May 2006, we entered into an agreement with Dainippon Sumitomo Pharma Co., Ltd., or DSP, for a worldwide, exclusive, sub-licensable license and rights to certain intellectual property and proprietary information relating to droxidopa including, but not limited to all information, formulations, materials, data, drawings, sketches, designs, testing and test results, records and regulatory documentation. As consideration for these rights, we paid DSP $100,000 and issued 63,131 shares of our common stock, with a value of approximately $4.35 per share, or $274,621. As additional consideration, we agreed to pay DSP and or its designees: (1) royalties on the sales should any compound be approved for commercial sale; and (2) milestone payments, payable upon achievement of milestones as defined in the agreement. In January 2007, we received notification that the FDA had granted orphan drug designation for droxidopa for the treatment of symptomatic neurogenic orthostatic hypotension. Based on the terms of the DSP agreement, the granting of orphan drug designation for droxidopa triggered a milestone payment to DSP of $250,000. We made such payment in February 2007. In February 2008, we made a milestone payment under the agreement of $500,000 related to patient dosing in a Phase III study. In September 2011, we filed our NDA with the FDA seeking approval to market Northera in the United States, triggering a milestone payment to DSP of $750,000. We made such payment in December 2011. At December 31, 2011, remaining potential future milestone payments, subject to our right to terminate the license agreement, totaled $2.5 million.

Subsequent to execution of the agreement, we agreed that DSP would initiate, and we would fund, activities focused on modifying the manufacturing capabilities of DSP in order to expand capacity and comply with cGMP regulations and all existing manufacturing requirements of the FDA. Based on work performed by DSP as of December 31, 2011, we had recorded expense of approximately $3.1 million and had a remaining liability of approximately $60,000 at December 31, 2011.

In May 2006, we entered into a development and commercialization agreement with Active Biotech AB to co-develop and commercialize the I-3D portfolio of orally active, dihydroorotate dehydrogenase (DHODH) inhibiting compounds for the treatment of autoimmune diseases and transplant rejection. Under the terms of the development agreement, an initial payment of $1.0 million was made to Active Biotech during 2006 with such funds utilized to cover the initial costs of research and development efforts jointly approved by both parties. At December 31, 2006, we had expensed the entire $1.0 million payment. At December 31, 2007, we had expensed cumulative costs of $1.0 million under the program, in excess of the initial payment of $1.0 million, related to costs of research and development. During 2008, we ceased joint discovery efforts with Active Biotech on this portfolio and, accordingly, recorded no costs related to this program during 2011, 2010 or 2009. In April 2008, we entered into a termination and assignment agreement with Active Biotech, whereby Active Biotech discontinued its participation in the I-3D co-development program and assigned its entire right, title and interest in the portfolio to us in exchange for royalties on future sales. The termination agreement also eliminated our obligation related to payment of potential future development milestones under the development agreement.

Current and Future Financing Needs

We have incurred negative cash flow from operations since inception. We have spent, and expect to continue to spend, substantial amounts in connection with implementing our business strategy, including our planned product development efforts, our clinical trials, our research and discovery efforts and our marketing and branding initiatives. Based on capital resources available at December 31, 2011, proceeds of approximately $22.1 million from the January 2012 stock offering, projected initial revenues from the planned U.S. launch of Northera, expected no sooner than the second quarter of 2012, and a planned working capital line of credit, we believe that we have sufficient capital resources to meet our operating needs through 2012 and into 2013, including an increasing level of commercialization activity.

Potential sources of additional liquidity include strategic relationships, out-licensing of our products, public or private sales of equity or debt, the exercise of warrants by our warrant holders and other sources. We might seek to access the public or private equity markets again when and if conditions are favorable However, it is uncertain whether additional funding will be available when we need it on terms that will be acceptable to us, or at all. If we raise funds by selling additional shares of common stock or other securities convertible into common stock, the ownership interest of our existing stockholders will be diluted. If we are not able to obtain financing when needed, we might be unable to carry out our business plan. As a result, we might have to significantly delay certain activities or limit our operations and our business, financial condition and results of operations would be materially harmed.

Off-Balance Sheet Arrangements

We do not have any unconsolidated entities, and accordingly, we have not entered into any transactions with unconsolidated entities whereby we have financial guarantees, subordinated retained interests, derivative instruments or other contingent arrangements that expose us to material continuing risks, contingent liabilities, or any other obligations under a variable interest in an unconsolidated entity that provides us with financing, liquidity, market risk or credit risk support.

Contractual Obligations and Commitments

As of December 31, 2011, we have known contractual obligations and commitments of approximately $22.1 million, primarily related to contracted research and development activities and contracted commercialization activities in anticipation of the launch of Northera in 2012. To facilitate an understanding of our contractual obligations and commercial commitments, the following data is provided as of December 31, 2011:

	Payments due by period				
Category	Total	< 1 Year	1-3 Years	3-5 Years	More than 5 Years
Operating lease obligations	$ 1,612,476	$ 370,959	$ 776,303	$465,214	$—
Purchase obligations	20,491,462	20,113,002	303,461	75,000	—
Total	$22,103,938	$20,483,960	$1,079,764	$540,214	$—

We have also entered into certain other agreements that, based on our development and commercialization plans as of December 31, 2011, might require us to make contingent milestone payments of up to approximately $4 million over the life of the agreements upon the achievement of certain clinical or commercial milestones. Such future payments are subject to our right to terminate the agreements. In the event that the milestones are not achieved, we elect not to pursue further testing of the drug candidate or we terminate such agreements, we will have no further obligations under the agreements. The uncertainty relating to the timing and occurrence of the commitments described prevents us from including them in the table above.

In addition, in October 2011, we committed to the purchase of additional active pharmaceutical ingredient from DSP to be used in the production of commercial inventory in preparation for the market launch of Northera in the United States. The value of this obligation is approximately $7.2 million. A small initial shipment of this material was delivered in the first quarter of 2012. Although the remainder of this material could be shipped at any time within a two-year period following the completion of its manufacture, it is not anticipated to be shipped prior to the commercial launch of Northera in the United States.

Critical Accounting Policies

Our management's discussion and analysis of our financial condition and results of operations is based on our financial statements, which have been prepared in accordance with accounting principles generally accepted

in the United States of America. Our significant accounting policies are more fully described in Note 1 to the consolidated financial statements included in this Annual Report on Form 10-K. The following accounting policies are critical in fully understanding and evaluating our reported financial results.

Use of Estimates

The preparation of financial statements in conformity with accounting principles generally accepted in the United States of America requires management to make estimates and assumptions that affect the reported amounts of assets and liabilities and the disclosure of contingent liabilities at the date of the financial statements as well as the reported revenue and expenses during the reporting periods. On an ongoing basis, management evaluates its estimates and judgments. Management bases estimates on historical experience and on various other factors that it believes are reasonable under the circumstances, the results of which form the basis for making judgments about the carrying value of assets and liabilities that are not readily apparent from other sources. Actual results might differ from these estimates under different assumptions or conditions.

Research and Development

Research and development expenditures are expensed as incurred. We often contract with third parties to facilitate, coordinate and perform agreed upon research and development activities. To ensure that research and development costs are expensed as incurred, we measure expense based on work performed for the underlying contract, typically utilizing a percentage-of-completion approach, and record prepaid assets or accrue expenses on a monthly basis for such activities based on the measurement of liability from expense recognition and the receipt of invoices.

These contracts typically call for the payment of fees for services at the initiation of the contract and/or upon the achievement of certain milestones. In the event that we prepay fees for future milestones, we record the prepayment as a prepaid asset and amortize the asset into research and development expense over the period of time the contracted research and development services are performed. Most fees are incurred throughout the contract period and are expensed based on their percentage of completion at a particular date.

These contracts generally include pass through fees. Pass through fees include, but are not limited to, regulatory expenses, investigator fees, travel costs, and other miscellaneous costs including shipping and printing fees. Because these fees are incurred at various times during the contract term and they are used throughout the contract term, we record a monthly expense allocation to recognize the fees during the contract period. Fees incurred to set up the clinical trial are expensed during the setup period.

We have contracted with a third-party to manufacture commercial quantities of Northera prior to the date we anticipate that Northera will receive final regulatory marketing approval and might perform similar activities with other product candidates in the future. The scale-up and commercial production of pre-launch inventories involves the risk that such products may not be approved for marketing by the appropriate regulatory agencies on a timely basis, or ever. As such, until final approval to market any our product candidates is received from the appropriate regulatory agencies, such costs are expensed to research and development.

Costs related to the acquisition of technology rights and patents for which development work is still in process are expensed as incurred and considered a component of research and development costs.

Accounting for Stock-Based Compensation

We account for our stock options utilizing the fair value based method of accounting for stock options or similar equity instruments. In determining the fair value of the equity instrument, we consider, among other factors, (i) the risk-free interest rate, (ii) the expected life of the options granted, (iii) the anticipated dividend yield, (iv) the estimated future volatility of the underlying shares and (v) anticipated future forfeitures. To determine the risk-free interest rate, we utilize the U.S. Treasury yield curve in effect at the time of grant with a

term consistent with the expected life of our awards. We estimate the expected life of the options granted based on anticipated exercises in future periods assuming the success of our business model as currently forecasted. The expected dividends reflect our current and expected future policy for dividends on our common stock. To determine the expected stock price volatility for our stock options, we analyze the historical volatility of our stock price over a period equal to the expected life of the options. We plan to continue to analyze the expected stock price volatility and expected term assumption at each grant date. Given our low historical rate of attrition and the senior nature of the roles for a significant portion of our employees, beginning in 2011 we estimated that our rate of anticipated future forfeitures will be 3% or less. In prior periods, our rate of forfeiture was immaterial to the recognition of compensation expense for options. Our results of operations include non-cash compensation expense as a result of the issuance of stock option grants utilizing this method. We expect to record additional non-cash compensation expense in the future, which might be significant. Due to the limited amount of historical data available to us, particularly with respect to stock-price volatility, employee exercise patterns and forfeitures, actual results could differ from our assumptions.

ITEM 7A. QUANTITATIVE AND QUALITATIVE DISCLOSURES ABOUT MARKET RISK.

We invest our cash in a variety of financial instruments in order to preserve principal and liquidity while maximizing returns and we do not invest in financial instruments or their derivatives for trading or speculative purposes. To minimize the exposure due to adverse shifts in interest rates, we maintain investments of shorter maturities. Our investment guidelines include security type, credit quality and maturity and are intended to limit market risk by restricting our investments to high quality debt instruments with relatively short maturities. A portion of our cash is maintained in non-interest bearing accounts at federally insured financial institutions that, under the Transaction Account Guarantee Program of the Federal Deposit Insurance Corporation, or FDIC, are fully insured until December 31, 2012. In addition, we maintained and continue to maintain funds on deposit in commercial accounts that include non-interest bearing commercial checking accounts, fully liquid interest-bearing money market accounts, certificates of deposit, or CDs, money market funds and Treasury funds typically with maturities of six months or less. Investments in CDs are made through the Certificate of Deposit Account Registry Service, or CDARS®, through a single CDARS Network member. When a large deposit is made, the CDARS network member uses the CDARS service to place funds into CDs issued by other members of the CDARS Network. Investments occur in increments at or below the standard FDIC insurance maximum ($250,000) at each participating member institution so that both principal and interest are eligible for FDIC insurance. In January 2012, we redeemed the last of our holdings in the CDARS program and currently have no plans to reinvest funds into the program. All deposits and investments to date have been made in U. S. dollars and, accordingly, have no exposure to foreign currency rate fluctuations on these investments.

Our interest income is sensitive to changes in the general level of interest rates in the United States, particularly since our investments are and will be in short-term investments. Currently, the returns on such liquid, short-term investments are at historic lows. Accordingly, we estimate that any sensitivity experienced due to fluctuations of interest rates in the United States for such investments would have no material impact on our consolidated financial position or results of operations.

ITEM 8. FINANCIAL STATEMENTS AND SUPPLEMENTARY DATA.

(a) The financial statements required to be filed pursuant to this Item 8 are appended to this Annual Report on Form 10-K. An index of the financial statements filed herewith is found on page 73.

(b) The unaudited quarterly financial data for the two-year period ended December 31, 2011 is as follows:

	Year ended December 31, 2010			
	First Quarter	Second Quarter	Third Quarter	Fourth Quarter
Operating expenses	$ 6,266,607	$ 9,990,867	$ 8,803,675	$ 12,441,414
Loss from operations	(6,266,607)	(9,990,867)	(8,803,675)	(12,441,414)
Other income (expense)	34,598	66,542	16,948	54,405
Net loss	(6,232,009)	(9,924,325)	(8,786,727)	(12,387,009)
Basic and diluted net loss per share (a)	(0.18)	(0.25)	(0.22)	(0.25)

	Year ended December 31, 2011			
	First Quarter	Second Quarter	Third Quarter	Fourth Quarter
Operating expenses	$ 13,902,789	$ 13,322,703	$ 10,899,460	$ 12,489,041
Loss from operations	(13,902,789)	(13,322,703)	(10,899,460)	(12,489,041)
Other income (expense)	34,582	51,316	45,222	30,536
Net loss	(13,868,207)	(13,271,387)	(10,854,238)	(12,458,333)
Basic and diluted net loss per share (a)	(0.25)	(0.21)	(0.18)	(0.20)

(a) Basic and diluted net loss per share for each of the quarters presented above is based on the respective weighted average number of common shares for the quarters. As such, the sum of the quarters may not necessarily be equal to the full year loss per share amount. Basic and diluted net loss per share are identical since potentially dilutive securities are excluded from the calculations, as the effect would be anti-dilutive for all periods presented.

ITEM 9. CHANGES IN AND DISAGREEMENTS WITH ACCOUNTANTS ON ACCOUNTING AND FINANCIAL DISCLOSURE.

None.

ITEM 9A. CONTROLS AND PROCEDURES.

Disclosure Controls and Procedures

Disclosure controls and procedures as defined in Rule 13a-15(e) under the Securities Exchange Act of 1934, or the Exchange Act, are designed only to provide reasonable assurance that they will meet their objectives that information required to be disclosed in our Exchange Act reports is recorded, processed, summarized and reported within the time periods specified in the SEC's rules and forms, and that such information is accumulated and communicated to our management, including our Chief Executive Officer and Chief Financial Officer, as appropriate, to allow timely decisions regarding required disclosures. As of the end of the period covered by this report, we carried out an evaluation, under the supervision and with the participation of our management, including our Chief Executive Officer and Chief Financial Officer, of the effectiveness of the design and operation of our disclosure controls and procedures (as defined in Rule 13a-15(e)) pursuant to Exchange Act Rule 13a-15. Based upon that evaluation and subject to the foregoing, our Chief Executive Officer and Chief Financial Officer have concluded that our disclosure controls and procedures were effective as of December 31, 2011.

Changes in internal control over financial reporting

Management has determined that, as of December 31, 2011, there were no changes in our internal control over financial reporting that occurred during our fiscal quarter then ended that has materially affected, or is reasonably likely to materially affect, our internal control over financial reporting.

Management's Report on Internal Control Over Financial Reporting

Our management is responsible for establishing and maintaining adequate internal control over financial reporting, as such term is defined in Rule 13a-15(f) under the Exchange Act. Our internal control over financial reporting is designed to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with accounting principles generally accepted in the United States. However, all internal control systems, no matter how well designed, have inherent limitations. Therefore, even those systems determined to be effective can provide only reasonable assurance with respect to financial statement preparation and reporting.

Management assessed the effectiveness of our internal control over financial reporting as of December 31, 2011. In making this assessment, management used the criteria set forth by the Committee of the Sponsoring Organizations of the Treadway Commission (COSO) in Internal Control-Integrated Framework and the Guidance for Smaller Public Companies as published by COSO in June 2006. Based on that assessment, management believes that we maintained effective internal control over financial reporting as of December 31, 2011, based on those criteria.

Ernst & Young LLP, our independent registered public accounting firm, which has audited the financial statements included in Part IV, Item 15 of this report, has also audited our internal control over financial reporting as of December 31, 2011, as stated in their report, which is included below.

Report of Independent Registered Public Accounting Firm on Internal Control over Financial Reporting

The Board of Directors and Stockholders of
Chelsea Therapeutics International, Ltd. and Subsidiary

We have audited Chelsea Therapeutics International, Ltd. and Subsidiary's internal control over financial reporting as of December 31, 2011, based on criteria established in Internal Control—Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission (the COSO criteria). Chelsea Therapeutics International, Ltd. and Subsidiary's management is responsible for maintaining effective internal control over financial reporting, and for its assessment of the effectiveness of internal control over financial reporting included in the accompanying Management's Report on Internal Control over Financial Reporting. Our responsibility is to express an opinion on the Company's internal control over financial reporting based on our audit.

We conducted our audit in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether effective internal control over financial reporting was maintained in all material respects. Our audit included obtaining an understanding of internal control over financial reporting, assessing the risk that a material weakness exists, testing and evaluating the design and operating effectiveness of internal control based on the assessed risk, and performing such other procedures as we considered necessary in the circumstances. We believe that our audit provides a reasonable basis for our opinion.

A company's internal control over financial reporting is a process designed to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles. A company's internal control over financial reporting includes those policies and procedures that (1) pertain to the maintenance of records that, in reasonable detail, accurately and fairly reflect the transactions and dispositions of the assets of the company; (2) provide reasonable assurance that transactions are recorded as necessary to permit preparation of financial statements in accordance with generally accepted accounting principles, and that receipts and expenditures of the company are being made only in accordance with authorizations of management and directors of the company; and (3) provide reasonable assurance regarding prevention or timely detection of unauthorized acquisition, use or disposition of the company's assets that could have a material effect on the financial statements.

Because of its inherent limitations, internal control over financial reporting may not prevent or detect misstatements. Also, projections of any evaluation of effectiveness to future periods are subject to the risk that controls may become inadequate because of changes in conditions, or that the degree of compliance with the policies or procedures may deteriorate.

In our opinion, Chelsea Therapeutics International, Ltd. and Subsidiary maintained, in all material respects, effective internal control over financial reporting as of December 31, 2011, based on the COSO criteria.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), the consolidated balance sheets of Chelsea Therapeutics International, Ltd. and Subsidiary (a development stage company) as of December 31, 2011 and 2010, and the related consolidated statements of operations, changes in stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2011, and for the period from April 3, 2002 (inception) through December 31, 2011 of Chelsea Therapeutics International, Ltd. and Subsidiary and our report dated March 7, 2012 expressed an unqualified opinion thereon.

/s/ Ernst & Young LLP

Raleigh, North Carolina
March 7, 2012

ITEM 9B. OTHER INFORMATION.

On March 2, 2012, we entered into an employment agreement with our current President and Chief Executive Officer, Dr. Simon Pedder. The new employment agreement replaces the agreement under which Dr. Pedder had been serving in the same capacity and which was set to expire on May 1, 2012. The prior agreement was filed on May 7, 2009 with the Securities and Exchange Commission as Exhibit 10.17 to our Current Report on Form 8-K.

The new employment agreement is substantially similar to the prior agreement with the following material changes:

- Dr. Pedder's base annual salary was increased to $491,000, an increase of $16,600 over his salary in effect immediately prior to the effectiveness of the new agreement;
- Dr. Pedder is eligible for an annual incentive bonus of up to 50% of his base salary upon achievement of corporate goals, which can be increased to up to 75% of his annual salary if corporate goals are exceeded or for exemplary performance. Under the prior agreement, Dr. Pedder was eligible for an incentive bonus of 45% of his salary, which could be increased to up to 67.5%;
- Dr. Pedder's vacation compensation was increased to five weeks, an increase of one week; and
- In the event of a "change of control" (as defined in the agreement), Dr. Pedder will receive severance only if, following the change of control, we terminate him without "cause" (as defined in the agreement) or he terminates the agreement for "good reason" (as defined in the agreement).

The new agreement is effective as of January 1, 2012, and as with the prior agreement, the new agreement has a term of three years. The term may be extended for additional one year periods if we and Dr. Pedder agree. If either we or Dr. Pedder wish to terminate the agreement, the party wishing to terminate must provide at least 90 days prior written notice.

If Dr. Pedder's employment terminates as a result of his death or disability, we will pay him or his estate his base salary for a period of one year following the date of termination and any earned but unpaid incentive bonus. If Dr. Pedder's employment is terminated by us for "cause," we will pay him his then base salary through the date of termination. If his employment is terminated by us other than for "cause" or by him for "good reason", including following a change of control, then subject to him executing a general release of any employment-related claims in our favor, we will pay him his base salary until the end of the term or for a period of one year after termination, whichever is longer, and any earned but unpaid incentive bonus and will also pay any excess COBRA premiums (to the extent that those premiums exceed his premiums as an active employee) for a period of 12 months after termination. In addition, (i) all of his stock options will vest in full as of the date of termination and (ii) for all stock options granted during the term of the agreement, Dr. Pedder will have 180 days to exercise such options after the date of termination, provided that the exercise date cannot exceed the option's original termination date. Notwithstanding the above and unless otherwise agreed, if Dr. Pedder's employment is terminated by us within 90 days of the occurrence of a change of control and on the date of the change of control the fair market value of our common stock, in the aggregate, as reported by NASDAQ Capital Markets or otherwise as determined in good faith by our board of directors on the date of the change of control, is less than $50,000,000, then we will pay Dr. Pedder his base salary and the excess COBRA premiums until the end of the term or for a period of one year following his termination, whichever is shorter. In addition, all of his stock options will vest in full as of the date of termination. These provisions are similar to those in the prior agreement.

As in the prior agreement, Dr. Pedder also agreed to non-compete and non-solicitation covenants during the course of and following termination of his employment.

The description of the employment agreement set forth above is not complete and is qualified in its entirety by reference to the agreement, which is attached as Exhibit 10.6 to this Report and is incorporated by reference.

Dr. Pedder has served as Chelsea's President and Chief Executive Officer since April 2004. Except for the new employment agreement, the only transactions between us and Dr. Pedder are his prior employment agreements. There are no family relationships between Dr. Pedder and any of our directors or executive officers.

PART III

ITEM 10. DIRECTORS, EXECUTIVE OFFICERS AND CORPORATE GOVERNANCE.

Incorporated by reference from the information under the headings "Proposal One – Election of Directors" and "Section 16(a) Beneficial Ownership Reporting Compliance" in our proxy statement for the 2012 Annual Meeting of Stockholders, which we will file with the SEC within 120 days of the end of the fiscal year to which this report relates.

The information required by Item 10 with respect to identification of our executive officers has been included in Item 1 of this Form 10-K in reliance on General Instruction G of Form 10-K and Instruction 3 to Item 401(b) of Regulation S-K.

ITEM 11. EXECUTIVE COMPENSATION.

Compensation Committee Report

The Compensation Committee has reviewed and discussed the Compensation Discussion and Analysis contained in this report with management and, based on that review and discussion, the Compensation Committee recommended to our Board of Directors that the Compensation Discussion and Analysis be included in this report.

The Compensation Committee:
Kevan Clemens, Chair
Roger Stoll
Michael Weiser

Compensation Committee Interlocks and Insider Participation

No member of our Compensation Committee serves or in the past has served as a member of another entity's board of directors or compensation committee, which entity has one or more executive officers serving as a member of our Board or Compensation Committee.

Compensation Discussion and Analysis

Compensation Objectives

We refer to our Chief Executive Officer, our Chief Financial Officer, and our other most highly compensated executive officers as our "named executive officers." For all named executive officers, compensation is intended to be performance-based. Our Compensation Committee believes that compensation paid to executive officers should be closely aligned with our performance on both a short-term and long-term basis to create value for stockholders, and that such compensation should assist us in attracting and retaining key executives critical to our long-term success.

In establishing compensation for named executive officers, the following are the Compensation Committee's objectives:

- Attract and retain individuals of superior ability and managerial talent;
- Ensure officer compensation is aligned with our corporate strategies, business objectives and the long-term interests of our stockholders; and
- Enhance the officers' incentive to increase our stock price and maximize stockholder value, as well as promote retention of key people, by providing a portion of total compensation for management in the form of direct ownership in us through stock options.

To achieve these objectives, our overall compensation program aims to pay our named executive officers competitively, consistent with our success and their contribution to that success. To accomplish this we rely on programs that provide compensation in the form of both cash and equity. Although our Compensation Committee has not adopted any formal guidelines for allocating total compensation between cash and equity, the Compensation Committee reviews the allocation of compensation of several biopharmaceutical companies that are similarly situated to us with respect to size, product pipeline and development activities to help its determination. The Compensation Committee also considers the balance between providing short-term incentives and long-term parallel investment with stockholders to align the interests of management with stockholders.

Our stockholders approved by a significant majority at our 2011 annual meeting of stockholders the non-binding advisory proposal on our executive compensation for fiscal 2010. As a result of this approval, the Compensation Committee did not believe there were any stockholder-related concerns regarding our executive compensation.

Determination of Compensation Awards

The Compensation Committee has the primary authority to determine and recommend to our Board of Directors the compensation awards available to our named executive officers other than Dr. Simon Pedder, our Chief Executive Officer. To aid the Compensation Committee in making its determination, Dr. Pedder provides recommendations annually to the Compensation Committee regarding the compensation of all named executive officers other than himself. Each named executive officer, in turn, participates in an annual performance review for goals and objectives with Dr. Pedder and the Compensation Committee to provide input about their contributions to our business for the period being assessed. Named executive officers do not participate in salary or stock option discussions. The Compensation Committee, subject to board approval, has the authority to determine the compensation of Dr. Pedder. The performance of each of our named executive officers is reviewed annually by the Compensation Committee.

The Compensation Committee has the authority pursuant to its charter to retain the services of third-party executive compensation specialists from time to time, as it sees fit, in connection with the establishment of cash and equity compensation and related policies. Pursuant to this authority, during 2011, the Compensation Committee determined to retain an independent third party compensation committee, Pearl Meyer & Partners, to assist in the establishment of a list of comparable companies and to conduct an initial review of our compensation practices. The focus of the review was to provide context for compensation decisions for 2012 and beyond, especially to assist in the process of assessing what changes might be appropriate as part of our expected transformation from a development stage company to a company with commercial revenues as a result of the anticipated launch in 2012 of Northera™ (droxidopa). The Compensation Committee expects to continue its assessment process in 2012, both before and after the anticipated launch of Northera.

The Compensation Committee has not delegated any of its functions to others in determining executive and/or director compensation and, other than as described above, has not engaged any other consultants with respect to executive and/or director compensation matters.

Compensation Benchmarking and Peer Group

We conduct an annual benchmark review of the aggregate level of our executive compensation, as well as the mix of elements used to compensate our named executive officers. In addition, our Compensation Committee has historically taken into account:

- input from other independent members of our Board of Directors;
- in late 2011, the information and advice provided by our third-party compensation consultant in setting 2012 compensation policies;

- survey information on compensation in our industry which we purchase as appropriate; and
- publicly available data relating to the compensation practices and policies of other companies within and outside our industry.

The Compensation Committee believes that it is important when making its compensation decisions to be informed as to the current practices of comparable, publicly-held companies. To that end, we benchmark our executive compensation against the compensation paid by several biopharmaceutical companies that are similarly situated to us with respect to size, product pipeline and development activities. Prior to 2011, we assembled this group of comparable companies on our own and selected companies against which to measure our compensation practices in an informal manner and did not establish a definitive group of peer companies against which we measured ourselves. The companies we selected at any time depended on the data that was available to us, publically or otherwise, at the time we reviewed our compensation practices. In 2011, our independent compensation consultant, with the input of the Compensation Committee, developed a peer group of comparable companies. While benchmarking may not always be appropriate as a stand-alone tool for setting compensation due to the aspects of our business and objectives that may be unique to us, we generally believe that gathering this information is an important part of our compensation-related decision-making process.

We recognize that to attract, retain and motivate key individuals, such as our named executive officers, the Compensation Committee may determine that it is in our best interests to negotiate total compensation packages with our executive management that may deviate from the general principle of targeting total compensation at the median level for the peer group. Actual pay for each named executive officer is determined around this structure, driven by the performance of the executive over time, as well as our annual performance.

Elements of Compensation

Base Salary

Base salaries for our named executive officers are established based on the scope of their responsibilities and individual experience, taking into account competitive market compensation paid by other companies for similar positions within our industry, which for 2012 was based on the peer group developed by our independent compensation consultant. Base salaries are reviewed annually, and adjusted from time to time to realign salaries with market levels after taking into account individual responsibilities, performance and experience. Based upon the annual reviews of our named executive officers during 2011, the Compensation Committee approved the following base salary increases, effective January 1, 2012:

		Salary Adjustment		
Name	**2011 Salary ($)**	**($)**	**(%)**	**2012 Salary ($)**
Simon Pedder	$474,400	16,600	3.5%	491,000
J. Nick Riehle	$221,300	7,700	3.5%	229,000
L. Arthur Hewitt	$261,600	9,200	3.5%	270,800
Keith W. Schmidt	$229,500	8,000	3.5%	237,500
Joseph G. Oliveto	$232,700	8,100	3.5%	240,800
William D. Schwieterman	$334,800	11,700	3.5%	346,500
Michael J. Roberts	$206,300	7,200	3.5%	213,500

Performance-Based Compensation and Special Bonuses

We have a well-documented and structured annual incentive bonus program to reward named executive officers, as well as other employees, based on our performance and the individual's contribution to that performance. Pursuant to our annual incentive bonus program, named executive officers are eligible for bonuses to be paid annually in cash, typically early in our first quarter, as was the case this year, based on the prior year's performance. The criteria used to determine the bonus for Dr. Pedder is based wholly on corporate goals

established by the Compensation Committee. The criteria used to determine the bonus amounts for our other named executive officers includes the corporate goals as well as individual goals established by the Compensation Committee with the input of Dr. Pedder. The Compensation Committee believes that the payment of the annual incentive bonus in cash provides incentives necessary to retain and motivate our named executive officers and reward them for short-term company performance.

The corporate and individual goals established by the Compensation Committee for evaluating our performance and the performance of our named executive officers include several strategic and financial indicators which the Compensation Committee considers to be fair drivers of stockholder value creation. For 2011, our corporate and individual goals fell generally in the following categories: reaching certain regulatory, development, commercialization and partnering milestones, achieving or maintaining certain financial criteria (for example, stock price performance) and compliance with our 2011 budget. The corporate and individual goals for 2012 are similar in nature to those for 2011, although there is significant additional focus related to commercialization and revenue milestones related to the anticipated launch of Northera.

While using general criteria to evaluate performance, we do rely on formulaic determination of the annual bonus amounts. Under the annual incentive bonus program and as provided in his employment agreement, based upon the performance criteria set forth above, Dr. Pedder was eligible to earn a cash bonus targeted at 45% of his base salary during 2011, while the remaining named executive officers were eligible to earn a cash bonus targeted at 20% of their respective base salaries. Based upon our performance and the performance of the individual named executive officers, each named executive officer can earn up to 150% of the specified target bonus if all corporate and individual goals are exceeded beyond the highest specified threshold. If none of the corporate or individual goals are achieved at the minimum threshold, the named executive officer would not be eligible to receive any bonus under our annual incentive bonus program. The goals are reviewed periodically during the course of the year to evaluate their ongoing relevance and the Compensation Committee retains discretion to increase or decrease bonuses based on individual or company-wide circumstances not addressed or contemplated at the time when the performance goals were established or subsequently reviewed.

For 2011, the Compensation Committee determined the performance by our named executive officers against their goals to be generally positive with the primary and significant exception of our stock price, which failed to meet even our minimum criteria necessary to contribute toward executive bonuses. In other areas, the Compensation Committee determined that the company and our named executive officers made significant and important progress. The most significant goal for 2011 was the submission and acceptance of our NDA for Northera. With the submission of the NDA in September 2011 and FDA acceptance in November 2011, this goal was assessed as having fully met expectations. Goals related to various clinical, commercial and partnering milestones were generally positive, although in some areas the performance was assessed at somewhat less than 100%. Performance against budget was favorable as the management team carefully evaluated the timing for new areas of commercial spending and minimized or delayed these wherever possible.

Based on these and other performance considerations and as shown below in the “Summary Compensation Table,” Dr. Pedder, Dr. Hewitt, Mr. Riehle, Mr. Schmidt, Mr. Oliveto, Dr. Schwieterman and Dr. Roberts earned performance-based cash bonuses of $181,992, $49,717, $37,732, $39,130, $39,675, $63,629 and $41,827, respectively, for their services in 2011. These amounts represent 85%, 95%, 85%, 85%, 85%, 95% and 101% of the targeted bonus amounts for Dr. Pedder, Dr. Hewitt, Mr. Riehle, Mr. Schmidt, Mr. Oliveto, Dr. Schwieterman and Dr. Roberts, respectively.

During the spring and summer of 2011, much of the company was heavily engaged in the drafting and preparation of our NDA for Northera while during the final months of the year there was extended activity related to responding to FDA enquiries and preparing for the FDA advisory committee meeting held on February 23, 2012. During this period, seven-day weeks with ongoing activity through long evenings became the norm for several of our named executive officers, at considerable personal and family sacrifice. Accordingly, in

addition to the bonus calculated against goal performance as described above, a one-time special bonus of $25,000 was paid to each of Dr. Pedder, Dr. Hewitt, Dr. Schwieterman and Mr. Oliveto for their extended contributions during 2011.

Also related to and in recognition of the company-wide efforts on the Northera NDA, in January 2012, the Compensation Committee recommended and the Board approved that in the event the Northera NDA receives FDA approval on or before June 30, 2012, each employee will receive a cash bonus equal to 20% of the corporate performance-based portion of the employee's bonus target for 2011. As an example, if the corporate target was 20%, which is the maximum for a named executive officer other than the Chief Executive Officer, the resulting payout would equal 4% of the named executive officer's 2011 base salary.

Discretionary Long-Term Equity Incentive Awards

Our named executive officers and all of our employees are eligible to participate in our annual award of stock option grants. We believe that the issuance of stock options sets in place a process by which the officers and employees can reward their own performance by driving the value of our stock price over the long term.

Guidelines for the number of stock options granted to each named executive officer are determined using a procedure approved by the Compensation Committee based upon several factors, including such officer's level of responsibility, performance and the value of the stock option at the time of grant. As a result, additional grants other than the annual award may be made following a significant change in job responsibility or in recognition of a significant achievement. In addition, the Compensation Committee approves the awarding of an initial grant of stock options at the time of hire to attract talented executive officers.

Stock options granted under our stock plan generally have a four-year vesting schedule in order to provide an incentive for continued employment and generally expire ten years from the date of the grant. We grant options at or above the fair market value of the underlying stock on the date of grant.

We do not have any programs, plans or practices with respect to the timing of stock option grants in coordination with the release of material nonpublic information and the Compensation Committee generally grants all stock options at regularly-scheduled meetings or upon the commencement of employment of new employees. Likewise, we do not time the release of material nonpublic information for the purpose of affecting the value of equity or other compensation granted to our named executive officers. With respect to annual incentive stock option grants for our named executive officers, the Compensation Committee generally grants stock options to our named executive officers at the first regularly-scheduled meeting of each fiscal year.

Defined Contribution Plans

We have a Section 401(k) Savings/Retirement Plan, or 401(k) Plan, that covers all of our employees. The 401(k) Plan permits our eligible employees to defer compensation, subject to certain limitations imposed by the Internal Revenue Code. The employees' elective deferrals are immediately vested and non-forfeitable upon contribution to the 401(k) Plan. We currently make matching contributions to the 401(k) Plan in an amount equal to 100% of the participants' contributions, up to a maximum of 4% of the participant's annual cash compensation and subject to certain other limits. Plan participants vest immediately in the amounts contributed by us. Our employees are eligible to participate in the 401(k) Plan immediately upon hire.

Other Benefits

We believe that establishing competitive benefit packages for our employees is an important factor in attracting and retaining highly-qualified personnel. Named executive officers are eligible to participate in all of our employee benefit plans, such as medical, dental, group life and short-term and long-term disability insurance,

in each case generally on the same basis as other employees. We, at our sole cost, provide to each named executive officer, the named executive officer's spouse and eligible children such health and dental insurance as we may from time to time make available to all employees. In addition, beginning in 2007, we provide additional life and disability coverage for our named executive officers. The costs for such incremental benefits are included in the Summary Compensation Table and highlighted in Note 2 of the same table.

Recoupment Policy

On March 18, 2011, our Board adopted a recoupment policy that requires any executive officer to repay or return cash bonuses and/or equity awards in the event: (i) we issue a material restatement of our financial statements and where the restatement was caused by the employee's intentional misconduct; (ii) the executive officer was found to be in violation of non-compete provisions of any plan or agreement; or (iii) the executive officer has committed ethical or criminal violations.

SUMMARY COMPENSATION TABLE

The following table sets forth compensation paid by us for services rendered to us in all capacities for the fiscal years ended December 31, 2009, 2010 and 2011 to our named executive officers.

Name and Principal Position	Year	Salary ($)	Bonus ($)	Option Awards ($)[1]	Incentive Plan Compen-sation ($)	All Other Compen-sation ($)[2]	Total ($)
Simon Pedder, Ph.D.	2011	474,408	25,000	252,297	181,992	20,708	954,405
(President and Chief Executive Officer)	2010	460,608	—	376,443	267,600	20,604	1,245,307
	2009	447,164	—	262,115	231,405	21,086	1,169,018
L. Arthur Hewitt, Ph.D.	2011	261,600	25,000	252,297	49,717	20,596	609,210
(Vice President, Chief Scientific Officer)	2010	244,512	—	107,555	68,200	20,432	461,817
	2009	232,872	—	57,779	52,394	20,723	424,112
J. Nick Riehle	2011	221,304	—	252,297	37,732	16,885	528,218
(Vice President, Administration	2010	214,897	—	107,555	55,500	16,795	415,865
and Chief Financial Officer)	2009	199,007	—	57,779	45,770	16,375	379,275
Keith W. Schmidt	2011	229,512	—	252,297	39,130	17,589	538,528
(Vice President, Marketing and Sales)	2010	222,792	—	107,555	57,500	17,569	445,110
	2009	216,313	—	57,779	49,754	17,328	403,755
Joseph G. Oliveto	2011	232,704	25,000	252,297	39,675	15,186	564,862
(Vice President, Operations)	2010	225,912	—	107,555	58,300	19,942	405,307
	2009	219,310	—	57,779	50,439	34,684	378,698
William D. Schwieterman	2011	334,800	25,000	252,297	63,629	17,478	693,204
(Vice President, Chief Medical Officer)	2010	325,008	—	21,511	90,700	15,426	475,172
	2009	56,630	—	154,642	—	34,487	97,560
Michael J. Roberts	2011	206,304	—	252,297	41,827	12,167	512,595
(Vice President, Business Development)	2010	200,304	—	107,555	30,200	12,011	339,387
	2009	182,100	—	34,262	38,600	10,630	311,925

(1) The reported amounts represent the aggregate grant date fair value computed in accordance with ASC 718. All assumptions made regarding the valuation of equity awards can be referenced in Note 1 to the financial statements contained in this report. Amounts disclosed for Dr. Roberts for the fiscal year ended December 31, 2009 are prior to his becoming an officer of the company on January 1, 2010.

(2) Other compensation includes our 401(k) program matching contributions, our group term and executive life programs, our group and executive disability insurance programs, signing bonus and pre-employment consulting fees. The 401(k) related amounts reflects a 100% matching of employee contributions, up to 4%

of total cash compensation, within limits set by the IRS for such programs. Consulting fees reflect amounts paid to Dr. Schwieterman for the fiscal year ended December 31, 2009 for consulting services, not including any compensation for services provided as a member of our Board of Directors, provided prior to commencing his employment on October 29, 2009. Amounts disclosed for Dr. Roberts for the fiscal year ended December 31, 2009 are prior to his becoming an officer of the company on January 1, 2010.

Name and Principal Position	Year	401(k) Company Match ($)	Life Insurance Premiums ($)	Disability Insurance Premiums ($)	Signing Incentive ($)	Consulting Fees ($)
Simon Pedder, Ph.D.	2011	9,800	3,258	7,650	—	—
(President and Chief Executive Officer)	2010	9,800	3,200	7,604	—	—
	2009	9,800	3,915	7,371	—	—
L. Arthur Hewitt, Ph.D.	2011	9,800	5,347	5,449	—	—
(Vice President and Chief Scientific Officer)	2010	9,800	5,300	5,332	—	—
	2009	9,800	5,705	5,218	—	—
J. Nick Riehle	2011	9,800	3,299	3,786	—	—
(Vice President, Administration	2010	9,800	3,272	3,723	—	—
and Chief Financial Officer)	2009	9,123	3,614	3,638	—	—
Keith W. Schmidt	2011	9,800	6,265	1,524	—	—
(Vice President, Marketing and Sales)	2010	9,800	6,245	1,524	—	—
	2009	9,167	6,637	1,524	—	—
Joseph G. Oliveto	2011	9,800	1,990	3,395	—	—
(Vice President, Operations)	2010	9,800	1,799	3,344	5,000	—
	2009	9,800	1,590	3,294	20,000	—
William D. Schwieterman	2011	9,800	2,752	4,926	—	—
(Vice President, Chief Medical Officer)	2010	9,800	797	4,829	—	—
	2009	2,265	1,585	2,173	—	28,464
Michael J. Roberts	2011	9,521	1,131	1,515	—	—
(Vice President, Business Development)	2010	9,577	919	1,515	—	—
	2009	8,406	810	1,413	—	—

Excluded from the above tables:

Name and Principal Position	Year	Board Fees ($)	Option Awards ($)
William D. Schwieterman	2011	—	—
(Vice President, Chief Medical Officer)	2010	—	—
	2009	38,833	34,668

GRANTS OF PLAN-BASED AWARDS FOR FISCAL YEAR 2011

The following table sets forth information concerning all plan-based awards granted during the year ended December 31, 2011 to the named executive officers.

Name	Grant Date	Estimated Possible Payouts Under Non-Equity Incentive Plan Awards			All Other Option Awards; Number of Securities Underlying Options	Exercise or Base Price of Option Awards ($/sh)	Stock Awards and Options Awards: Grant Date Fair Value ($)
		Threshold ($)	Target ($)	Maximum ($)			
Simon Pedder	01/11/11	—	213,480	320,220	—	—	—
	01/11/11	—	—	—	175,000	7.72	883,041
J. Nick Riehle	01/11/11	—	44,260	66,390	—	—	—
	01/11/11	—	—	—	50,000	7.72	252,297
L. Arthur Hewitt	01/11/11	—	52,320	78,480	—	—	—
	01/11/11	—	—	—	50,000	7.72	252,297
Keith W. Schmidt	01/11/11	—	45,900	68,850	—	—	—
	01/11/11	—	—	—	50,000	7.72	252,297
Joseph G. Oliveto	01/11/11	—	46,540	69,810	—	—	—
	01/11/11	—	—	—	50,000	7.72	252,297
William D. Schwieterman	01/11/11	—	66,960	100,440	—	—	—
	01/11/11	—	—	—	50,000	7.72	252,297
Michael J. Roberts	01/11/11	—	41,260	61,890	—	—	—
	01/11/11	—	—	—	50,000	7.72	252,297

All options granted to named executive officers in 2011 were granted pursuant to compensation objectives as described above and issued under our 2004 Stock Plan. All options granted vest over a four-year period, beginning on the first anniversary after grant. Options have a term of ten years.

OUTSTANDING EQUITY AWARDS AT FISCAL YEAR-END FOR FISCAL YEAR 2011

The following table sets forth information concerning the number and value of unexercised options held by each named executive officer as of December 31, 2011. None of the named executive officers held restricted stock or other equity awards at December 31, 2011.

	Option Awards				Stock Awards			
	Number of Securities Underlying Unexercised Options						Equity Incentive Plan Awards; Unearned Shares, Unit or Other Rights That Have Not Vested	
Name	Exercisable (#)	Unexercisable (#)	Option Exercise Price ($)	Option Expiration Date [1]	Number of Shares or Units of Stock That Have Not (#)	Market Value of Shares or Units of Stock That ($)[1]	(#)	($)
Simon Pedder	478,726	—	2.62	1/10/2015	n/a	n/a	n/a	n/a
	100,000	—	3.50	2/1/2016	n/a	n/a	n/a	n/a
	167,585	—	3.90	6/19/2016	n/a	n/a	n/a	n/a
	175,000	—	5.68	2/6/2017	n/a	n/a	n/a	n/a
	131,250	43,750	6.50	1/24/2018	n/a	n/a	n/a	n/a
	87,500	87,500	1.78	1/22/2019	n/a	n/a	n/a	n/a
	23,945	23,945	1.85	5/1/2019	n/a	n/a	n/a	n/a
	43,750	131,250	2.96	1/19/2020	n/a	n/a	n/a	n/a
	—	175,000	7.72	1/11/2021	n/a	n/a	n/a	n/a
J. Nick Riehle	68,923	—	2.62	1/10/2015	n/a	n/a	n/a	n/a
	50,000	—	3.26	1/19/2016	n/a	n/a	n/a	n/a
	50,000	—	5.68	2/6/2017	n/a	n/a	n/a	n/a
	37,500	12,500	6.50	1/24/2018	n/a	n/a	n/a	n/a
	25,000	25,000	1.78	1/22/2019	n/a	n/a	n/a	n/a
	12,500	37,500	2.96	1/19/2020	n/a	n/a	n/a	n/a
	—	50,000	7.72	1/11/2021	n/a	n/a	n/a	n/a
L. Arthur Hewitt	68,923	—	2.62	1/10/2015	n/a	n/a	n/a	n/a
	50,000	—	3.26	1/19/2016	n/a	n/a	n/a	n/a
	50,000	—	5.68	2/6/2017	n/a	n/a	n/a	n/a
	37,500	12,500	6.50	1.24/2018	n/a	n/a	n/a	n/a
	25,000	25,000	1.78	1/22/2019	n/a	n/a	n/a	n/a
	12,500	37,500	2.96	1/19/2020	n/a	n/a	n/a	n/a
	—	50,000	7.72	1/11/2021	n/a	n/a	n/a	n/a
Keith W. Schmidt	75,000	—	5.45	1/31/2017	n/a	n/a	n/a	n/a
	37,500	12,500	6.50	1/24/2018	n/a	n/a	n/a	n/a
	25,000	25,000	1.78	1/22/2019	n/a	n/a	n/a	n/a
	12,500	37,500	2.96	1/19/2020	n/a	n/a	n/a	n/a
	—	50,000	7.72	1/11/2021	n/a	n/a	n/a	n/a
Joseph G. Oliveto	56,250	18,750	5.63	6/13/2018	n/a	n/a	n/a	n/a
	25,000	25,000	1.78	1/22/2019	n/a	n/a	n/a	n/a
	12,500	37,500	2.96	1/19/2020	n/a	n/a	n/a	n/a
	—	50,000	7.72	1/11/2021				
William D. Schwieterman [2]	26,250	8,750	5.07	6/26/2018	n/a	n/a	n/a	n/a
	15,000	15,000	1.78	1/22/2019	n/a	n/a	n/a	n/a
	37,500	37,500	2.82	10/29/2019	n/a	n/a	n/a	n/a
	2,500	7,500	2.96	1/19/2020	n/a	n/a	n/a	n/a
	—	50,000	7.72	1/11/2021				
Michael J. Roberts (3)	21,078	—	0.18	8/19/2014	n/a	n/a	n/a	n/a
	48,247	—	2.62	1/10/2015	n/a	n/a	n/a	n/a
	35,000	—	3.50	2/1/2016	n/a	n/a	n/a	n/a
	35,000	—	5.68	2/6/2017	n/a	n/a	n/a	n/a
	26,250	8,750	6.34	1/29/2018	n/a	n/a	n/a	n/a
	17,500	17,500	1.50	2/9/2019	n/a	n/a	n/a	n/a
	12,500	37,500	2.96	1/19/2020	n/a	n/a	n/a	n/a
	—	50,000	7.72	1/11/2021				

(1) Each option was granted 10 years prior to the expiration date and vests in four equal annual installments after the date of grant.

(2) Options granted to Dr. Schwieterman in June 2008 and January 2009 were made in his capacity as a member of the Board of Directors.

(3) Options granted to Dr. Roberts prior to January 1, 2010 were made prior to his becoming an officer of the company.

OPTION EXERCISES AND STOCK VESTED FOR FISCAL YEAR 2011

The table below sets forth information concerning the exercise of stock options for each named executive officer during 2011. None of our named executive officers held restricted stock or other equity awards in the year ended December 31, 2011.

	Option Awards		Stock Awards	
Name	Number of Shares Acquired on Exercise (#)	Value Realized on Exercise ($)[1]	Number of Shares Acquired on Vesting (#)	Value Realized on Vesting ($)
Simon Pedder	—	—	n/a	n/a
L. Arthur Hewitt	—	—	n/a	n/a
J. Nick Riehle	—	—	n/a	n/a
Keith W. Schmidt	—	—	n/a	n/a
Joseph G. Oliveto	—	—	n/a	n/a
William D. Schwieterman	—	—	n/a	n/a
Michael J. Roberts	—	—	n/a	n/a

Employment Agreement and Potential Payments upon Termination or Change in Control

On March 2, 2012, we entered into an employment agreement with Dr. Pedder, our Chief Executive Officer. This agreement is effective as of January 1, 2012 and expires on December 31, 2014. This agreement replaced the prior agreement we had with Dr. Pedder. The following discussion concerns Dr. Pedder's employment agreement.

The compensation program agreed to in the agreement is consistent with the compensation philosophies discussed above. The agreement provides for a base salary, initially set at $491,000, and an incentive bonus targeted at 50% of base salary (which had been 45% under the prior agreement). Dr. Pedder's actual incentive bonus amount is determined pursuant to our annual incentive bonus program and is contingent upon the achievement of pre-established performance goals.

Under the agreement, Dr. Pedder is prohibited for 12 months after termination (other than expiration and non-renewal of the agreement at the end of its term) from (a) engaging in any business within the restricted territory, which is the U.S. and Canada, that develops or commercializes products designed to treat immunological diseases or other products that compete with products we are developing or selling at the time of his termination, (b) soliciting or accepting employment, whether as an employee, consultant or contractor, within the restricted territory with any of our customers or other business associates at the time of his termination that could benefit from Dr. Pedder's use of our confidential information, (c) becoming financially interested with one of our competitors within the restricted territory, (d) soliciting or accepting business from any of our customers with whom he worked or whom he solicited in the last 12 months of his employment with us, and (e) soliciting or inducing any employee, consultant or independent contractor of ours to terminate employment or a contractual relationship with us. If after expiration and non-renewal of the agreement at the end of its term, we wish Dr. Pedder to be subject to the non-competition and non-solicitation provisions of the agreement, we must pay him his then current base salary for a period of one year.

Set forth below is a description of the principal terms of the agreement and the potential payments we will need to make upon termination of Dr. Pedder's employment, including upon a change in control of Chelsea.

Termination due to Death or Disability

If Dr. Pedder's employment is terminated as a result of his death or disability, we must pay him or his estate, as applicable, his then current base salary for a period of one year following the date of termination, currently $491,000, and any earned but unpaid incentive bonus, currently targeted at $245,500, plus any unpaid expense reimbursement amounts through the date of his death or disability.

Termination by us For Cause

If we terminate Dr. Pedder's employment for cause (as defined in the agreement; see below), we must pay his then current base salary through the date of his termination and any expense reimbursement amounts owed through the date of termination.

Termination by us other than For Cause or by Dr. Pedder for Good Reason, including after a Change in Control

If Dr. Pedder's employment is terminated (i) by us other than for cause or (ii) by Dr. Pedder for good reason (as defined in the agreement; see below), including termination after a change in control (as defined in the agreement; see below), then we must (1) continue to pay to Dr. Pedder (or his estate in the event of his death) his then current base salary, currently $491,000, for the longer of the agreement's remaining term or one year following such termination, (2) pay him any earned but unpaid incentive bonus, currently targeted at $245,500 for 2012, (3) pay him excess COBRA premiums (group health premiums paid on behalf of Dr. Pedder in 2011 totaled $15,255 for the year) for 12 months after termination, and (4) pay him any expense reimbursement amounts owed through the date of termination. All unvested stock options granted to Dr. Pedder will be accelerated and deemed to have vested as of the termination date. If termination had occurred on December 31, 2011, a total of 461,445 options that were unvested at December 31, 2011 would have vested in full, with a market value of $1,245,026, based on the exercise price of any of those options that are less than $5.13, which was the closing price per share of our common stock on December 31, 2011. Dr. Pedder will have until the earlier of 180 days after termination or the latest date upon which the respective options expire to exercise the options.

In the event that Dr. Pedder's employment is terminated by us (or our successor) without cause or is terminated by Dr. Pedder for good reason, in either case within 90 days after the occurrence of a change of control and the fair market value of our common stock, in the aggregate, on the date of such change of control, is less than $50,000,000, then we (or our successor, as applicable) must continue to pay to Dr. Pedder (or his estate in the event of his death) his then current base salary, currently $491,000, and excess COBRA premiums (group health premiums paid on behalf of Dr. Pedder in 2011 totaled $15,255 for the year) for the shorter of the agreement's remaining term or one year following such termination, as well as any expense reimbursement amounts owed through the date of termination. All unvested stock options granted to Dr. Pedder will be accelerated and deemed to have vested as of the termination date. If termination had occurred on December 31, 2011, a total of 461,445 options that were unvested at December 31, 2011 would have vested in full, with a market value of $1,245,026, based on the exercise price of any of those options that are less than $5.13, which was the closing price per share of our common stock on December 31, 2011.

In the agreement, the term "cause" is defined generally as:

- willful failure, disregard or refusal by Dr. Pedder to perform his duties;
- willful, intentional or grossly negligent act by Dr. Pedder that injures, in a material way, our business or reputation;
- willful misconduct by Dr. Pedder, in respect of his duties, including insubordination with respect to lawful directions received from our Board of Directors;
- conviction of any felony;

- engaging in some form of harassment prohibited by law;
- any misappropriation or embezzlement of our property;
- willful violation of the noncompetition, nonsolicitation and confidentiality provisions of the agreement; and/or
- breach by Dr. Pedder of any other provision of the agreement that, if capable of being cured, is not cured by him within 30 days.

In the agreement, the term "good reason" is defined generally as:

- the assignment to Dr. Pedder of duties materially inconsistent with his position and duties as described in the agreement;
- any material reduction by us of Dr. Pedder's duties, responsibilities, compensation or benefits; and/or
- the occurrence of any of the events described in the prior two bullets after the occurrence of a change in control.

In the agreement, the term "change in control" is defined generally as:

- the acquisition by any person of more than 50% of the voting power of our then outstanding securities;
- the merger or consolidation of our company or the sale of all or substantially all of our assets; or
- the members of our Board of Directors on February 29, 2012 and subsequent Board approved directors cease to constitute a majority of our Board.

DIRECTOR COMPENSATION FOR FISCAL YEAR 2011

Director Compensation Philosophy

The general policy of our Board of Directors is that compensation for independent directors should be a mix of cash and equity-based compensation. For fiscal years 2011 and 2012, the Compensation Committee evaluated the appropriate level and form of compensation for independent directors and recommended changes to the Board when appropriate. The Compensation Committee will make such compensation evaluations and recommendations annually. The Board reviews the Committee's recommendations and then determines the amount of director compensation.

Fees Earned or Paid in Cash

In 2011 we made quarterly payments of the annual cash retainer due to each director and also made cash payments for Board and committee meetings. The base annual retainer for our non-employee Chairman of the Board of Directors is $35,000 and the base annual retainer for our other non-employee directors is $25,000. Additional annual retainers of $5,000 are paid to non-employee board members for each Board committee on which he serves in the capacity of chairman, with $2,500 to non-employee director for each of the Board committees on which he serves in a capacity other than chairman. We also pay $1,500 and $1,000 to non-employee directors for each in-person attendance at Board of Directors and Board committee meetings, respectively, and $750 and $500 to non-employee directors for each participation in Board of Directors and Board committee meetings by telephone, respectively. Non-employee members of our Board of Directors were also entitled to receive $500 per hour for ad hoc consultancy, as approved in writing by our Chief Executive Officer or the Chairman of our Board of Directors except as otherwise negotiated.

We also reimburse each member of our Board of Directors for out-of-pocket expenses incurred in connection with attending Board of Directors and Board committee meetings.

Equity Compensation

Our annual equity compensation for our non-employee directors in 2011 and for 2012 is as follows: (1) the non-employee Chairman of the Board of Directors receives an option to purchase 30,000 shares; (2) our other non-employee directors receive an option to purchase 25,000 shares; (3) the non-employee chairman of each of our Board committees receives an option to purchase 5,000 shares; and (4) each non-employee director receives an option to purchase 2,500 shares for each Board committee on which he serves in a capacity other than as the chairman. New directors receive an option to purchase 30,000 shares upon joining our Board. Each option vests in four equal annual installments from the date of grant.

The following table shows 2011 compensation for our non-employee directors.

Name	Fees Earned or Paid in Cash ($)[1]	Option Awards ($)[2][3]	Total ($)
Kevan Clemans	56,250	201,838	258,088
Norman Hardman	42,750	151,378	194,128
Johnson Y. N. Lau	52,500	176,608	229,108
Roger Stoll	46,000	151,378	197,378
Michael Weiser	42,250	151,378	193,628
William Rueckert	45,750	151,378	197,128

(1) Fees reflect amounts expensed in 2011 for fee payments made in 2011 or subsequently.

(2) The reported amounts represent the aggregate grant date fair value computed in accordance with ASC 718. All assumptions made regarding the valuation of equity awards can be referenced in Note 1 to the financial statements contained in this report.

(3) At December 31, 2011, Dr. Clemens had 270,210 options outstanding, Dr. Hardman had 125,000 options outstanding, Dr. Lau had 245,210 options outstanding, Dr. Stoll had 125,000 options outstanding, Dr. Weiser had 183,802 options outstanding and Mr. Rueckert had 95,000 options outstanding. There were no forfeitures of options held by directors in 2011.

ITEM 12. SECURITY OWNERSHIP OF CERTAIN BENEFICIAL OWNERS AND MANAGEMENT AND RELATED STOCKHOLDER MATTERS.

Incorporated by reference from the information under the headings “Security Ownership of Certain Beneficial Owners and Management” and “Equity Compensation Plan Information” in our proxy statement for the 2012 Annual Meeting of Stockholders, which we will file with the SEC within 120 days of the end of the fiscal year to which this report relates.

ITEM 13. CERTAIN RELATIONSHIPS AND RELATED TRANSACTIONS, AND DIRECTOR INDEPENDENCE.

Incorporated by reference from the information under the headings “Certain Transactions with Related Persons”, “Proposal One – Election of Directors” and “Corporate Governance Matters” in our proxy statement for the 2012 Annual Meeting of Stockholders, which we will file with the SEC within 120 days of the end of the fiscal year to which this report relates.

ITEM 14. PRINCIPAL ACCOUNTING FEES AND SERVICES

Incorporated by reference from the information under the heading “Audit Committee Report” in our proxy statement for the 2012 Annual Meeting of Stockholders, which we will file with the SEC within 120 days of the end of the fiscal year to which this report relates.

PART IV

ITEM 15. EXHIBITS AND FINANCIAL STATEMENTS

(a) Financial Statements.

The following statements are filed as part of this report:

Schedules not listed above have been omitted because the information required to be set forth therein is not applicable or is shown in the financial statements or notes thereto.

(b) Exhibits.

Exhibit Number	Description of Document	Registrant's Form	Dated	Exhibit Number	Filed Herewith
1.1	Placement Agency Agreement dated November 1, 2007 by and among Chelsea Therapeutics International, Ltd., Leerink Swann LLC, Oppenheimer & Co. Inc. and Punk Ziegel & Company.	8-K	11/02/07	1.1	
1.2	Placement Agency Agreement dated July 22, 2009 by and among Chelsea Therapeutics International, Ltd., Wedbush Morgan Securities, Inc. and Ladenburg Thalmann & Co. Inc.	8-K	07/23/09	1.1	
1.3	Placement Agency Agreement dated February 26, 2010 by and among the Company, Leerink Swann LLC and Needham & Company, LLC.	8-K	02/26/10	1.1	
1.4	Equity Underwriting Agreement, dated October 1, 2010, between Chelsea Therapeutics International, Ltd. and Deutsche Bank Securities Inc., as representative of the several underwriters.	8-K	10/01/10	1.2	
1.5	Equity Underwriting Agreement, dated February 18, 2011, between Chelsea Therapeutics International, Ltd. and Deutsche Bank Securities Inc., as representative of the several underwriters.	8-K	02/18/11	1.3	
1.6	Underwriting Agreement, dated January 6, 2012, between Chelsea Therapeutics International, Ltd. and Leerink Swann LLC, as representative of several underwriters.	8-K	01/06/12	1.5	
2.1	Agreement and Plan of Merger by and among Ivory Capital Corporation, Chelsea Therapeutics, Inc. and Chelsea Acquisition Corp, dated as of January 17, 2005.	8-K+	01/21/05	2.1	
2.2	Agreement and Plan of Merger between Ivory Capital Corporation and Chelsea Therapeutics International, Ltd., dated as of June 17, 2005.	14A+	07/28/05	Appendix A	
3.1	Certificate of Incorporation for Chelsea Therapeutics International, Ltd., as amended on June 1, 2010	10-Q	11/01/11	3.1	
3.2	Bylaws of Chelsea Therapeutics International, Ltd.	S-1/A	08/18/05	3.2	
4.1	Form of Registered Direct Warrant issued to investors on March 5, 2010.	8-K	02/26/10	4.1	
10.1*	License Agreement dated as of March 24, 2004 between M. Gopal Nair and Chelsea Therapeutics, Inc. (f/k/a Aspen Therapeutics, Inc.)	8-K+	02/16/05	10.1	
10.2	Form of Subscription Agreement for the purchase of Series A Convertible Preferred Stock of Chelsea Therapeutics, Inc.	8-K+	02/16/05	10.3	

Exhibit Number	Description of Document	Registrant's Form	Dated	Exhibit Number	Filed Herewith
10.3	Chelsea Therapeutics International, Ltd. 2004 Stock Plan, as amended, and forms of Notice of Stock Option Grant and Stock Option Agreement, as amended January 25, 2012.				X
10.4	Form of Subscription Agreement and Warrant for the purchase of common stock, par value $0.0001 per share, of Chelsea Therapeutics International, Ltd.	8-K	02/17/06	10.5	
10.5	Placement Agency Agreement dated November 28, 2005 between Chelsea Therapeutics International, Ltd. and Paramount BioCapital, Inc.	10-K	03/08/06	10.6	
10.6	Employment Agreement between Chelsea Therapeutics International, Ltd. and Dr. Simon Pedder, dated March 2, 2012.				X
10.7*	Development and Commercialization Agreement dated as of May 5, 2006 between Active Biotech AB and Chelsea Therapeutics International, Ltd.	10-Q	08/14/06	10.8	
10.8*	Exclusive License Agreement effective May 26, 2006 between Dainippon Sumitomo Pharma Co., Ltd. and Chelsea Therapeutics, Inc.	10-Q	08/14/06	10.9	
10.9*	Finder's Agreement dated May 26, 2006 between Paramount BioCapital, Inc. and Chelsea Therapeutics International, Ltd.	10-Q	08/14/06	10.10	
10.10	Form of Subscription Agreement for the purchase of common stock of Chelsea Therapeutics International, Ltd. dated March 19, 2007 and related form of Warrant, dated March 22, 2007.	8-K	03/20/07	10.11	
10.11	Form of Subscription Agreement for the purchase of common stock of Chelsea Therapeutics International, Ltd. dated November 1, 2007.	8-K	11/02/07	10.12	
10.12	Form of Subscription Agreement for the purchase of common stock of Chelsea Therapeutics International, Ltd.	8-K	07/23/09	10.14	
10.13	Form of Subscription Agreement for the purchase of common stock and warrants to purchase common stock of Chelsea Therapeutics International, Ltd.	8-K	02/26/10	10.15	
10.14	Sales Agreement, dated July 2, 2010, between Chelsea Therapeutics, Ltd. and Cantor Fitzgerald & Co.	S-3	01/10/11	10.16	
10.15	Amendment No. 1, dated July 26, 2011, to Sales Agreement, dated July 2, 2010, between Chelsea Therapeutics International, Ltd. and Cantor Fitzgerald & Co.	8-K	07/26/11	10.15	
10.16	Amendment No. 2, dated December 28, 2011, to Sales Agreement, dated July 2, 2010, as amended July 26, 2011, between Chelsea Therapeutics International, Ltd. and Cantor Fitzgerald & Co.	8-K	12/29/11	10.16	

Exhibit Number	Description of Document	Registrant's Form	Dated	Exhibit Number	Filed Herewith
10.17**	Manufacturing Services Agreement, dated November 7, 2011, between Chelsea Therapeutics, Inc. and Patheon Inc.				X
21.1	Subsidiaries of Chelsea Therapeutics International, Ltd.	10-K	03/12/07	21.1	
23.1	Consent of Independent Registered Public Accounting Firm.				X
23.2	Consent of Independent Registered Public Accounting Firm.				X
31.1	Certification by the Chief Executive Officer pursuant to Section 240.13a-14 or section 240.15d-14 of the Securities and Exchange Act of 1934, as amended.				X
31.2	Certification by the Chief Financial Officer pursuant to Section 240.13a-14 or section 240.15d-14 of the Securities and Exchange Act of 1934, as amended.				X
32.1	Certification by the Chief Executive Officer pursuant to 18 U.S.C. 1350 as adopted pursuant to Section 906 of the Sarbanes-Oxley Act of 2002.				X
32.2	Certification by the Chief Financial Officer pursuant to 18 U.S.C. 1350 as adopted pursuant to Section 906 of the Sarbanes-Oxley Act of 2002.				X
101	Financials submitted in XBRL format.				X

* The registrant received confidential treatment with respect to certain portions of this exhibit. Such portions have been omitted from this exhibit and have been filed separately with the SEC.

** The registrant has requested confidential treatment with respect to certain portions of this exhibit, which portions have been omitted from this exhibit and have been filed separately with the SEC.

\+ Filed by Ivory Capital Corporation, predecessor in interest.

SIGNATURES

Pursuant to the requirements of Section 13 or 15(d) of the Securities Exchange Act of 1934, as amended, the registrant has duly caused this report to be signed on its behalf by the undersigned, thereunto duly authorized this 7th day of March 2012.

CHELSEA THERAPEUTICS INTERNATIONAL, LTD.

By: /s/ SIMON PEDDER
Simon Pedder, Ph.D.
President and Chief Executive Officer

Pursuant to the requirements of the Securities Exchange Act of 1934, as amended, this report has been signed below by the following persons on behalf of the Registrant and in the capacities and on the 7th day of March 2012.

Name	Title
/s/ SIMON PEDDER **Simon Pedder, Ph.D.**	President, Chief Executive Officer and Director (Principal Executive Officer)
/s/ J. NICK RIEHLE **J. Nick Riehle**	Vice President, Administration and Chief Financial Officer (Principal Financial and Accounting Officer)
/s/ MICHAEL WEISER **Michael Weiser, M.D., Ph.D.**	Director
/s/ KEVAN CLEMENS **Kevan Clemens, Ph.D.**	Director
/s/ JOHNSON Y.N. LAU **Johnson Y.N. Lau, M.D.**	Director
/s/ NORMAN HARDMAN **Norman Hardman, Ph.D.**	Director
/s/ WILLIAM D. RUECKERT **William D. Rueckert**	Director
/s/ ROGER STOLL **Roger Stoll, Ph.D.**	Director

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Report of Independent Registered Public Accounting Firm

The Board of Directors and Stockholders of
Chelsea Therapeutics International, Ltd. and Subsidiary

We have audited the accompanying consolidated balance sheets of Chelsea Therapeutics International, Ltd. and Subsidiary (a development stage company) as of December 31, 2011 and 2010, and the related consolidated statements of operations, changes in stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2011, and for the period from April 3, 2002 (inception) through December 31, 2011. These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these financial statements based on our audits. The financial statements for the period from April 3, 2002 (inception) through December 31, 2007, were audited by other auditors whose report dated March 10, 2008 expressed an unqualified opinion on those statements. The financial statements for the period from April 3, 2002 (inception) through December 31, 2007 include total operating expenses and net loss of $37,144,571 and $34,685,202, respectively. Our opinion on the consolidated statements of operations, changes in stockholders' equity, and cash flows for the period from April 3, 2002 (inception) through December 31, 2011, insofar as it relates to amounts for prior periods through December 31, 2007, is based solely on the report of other auditors.

We conducted our audits in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement. An audit includes examining, on a test basis, evidence supporting the amounts and disclosures in the financial statements. An audit also includes assessing the accounting principles used and significant estimates made by management, as well as evaluating the overall financial statement presentation. We believe that our audits provide a reasonable basis for our opinion.

In our opinion, based on our audits and the report of other auditors, the financial statements referred to above present fairly, in all material respects, the consolidated financial position of Chelsea Therapeutics International, Ltd. and Subsidiary at December 31, 2011 and 2010, and the consolidated results of their operations and their cash flows for each of the three years in the period ended December 31, 2011, and the period from April 3, 2002 (inception) through December 31, 2011, in conformity with U.S. generally accepted accounting principles.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), Chelsea Therapeutics International, Ltd. and Subsidiary's internal control over financial reporting as of December 31, 2011, based on criteria established in Internal Control-Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission and our report dated March 7, 2012 expressed an unqualified opinion thereon.

/s/ Ernst & Young LLP

Raleigh, North Carolina
March 7, 2012

Report of Independent Registered Public Accounting Firm

The Board of Directors and Stockholders
Chelsea Therapeutics International, Ltd.

We have audited the consolidated statements of operations (not presented separately herein), changes in stockholders' equity and cash flows (not presented separately herein) of Chelsea Therapeutics International, Ltd. and Subsidiary (a development stage company) for the period from April 3, 2002 (inception) to December 31, 2007. These consolidated financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these consolidated financial statements based on our audits.

We conducted our audits in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement. An audit includes examining, on a test basis, evidence supporting the amounts and disclosures in the financial statements. An audit also includes assessing the accounting principles used and significant estimates made by management, as well as evaluating the overall financial statement presentation. We believe that our audits provide a reasonable basis for our opinion.

In our opinion, the consolidated financial statements referred to above present fairly, in all material respects, the results of operations and cash flows of Chelsea Therapeutics International, Ltd. and Subsidiary for the period from April 3, 2002 (inception) to December 31, 2007, in conformity with accounting principles generally accepted in the United States of America.

/s/ J.H. Cohn LLP

Roseland, New Jersey
March 10, 2008

CHELSEA THERAPEUTICS INTERNATIONAL, LTD. AND SUBSIDIARY
(A Development Stage Company)

CONSOLIDATED BALANCE SHEETS

	December 31, 2011	December 31, 2010
Assets		
Current assets:		
Cash and cash equivalents	$ 41,106,301	$ 47,593,055
Short-term investments, net	4,500,000	—
Prepaid contract research and manufacturing	173,592	316,363
Other prepaid expenses and other current assets	793,521	246,374
Total current assets	46,573,414	48,155,792
Property and equipment, net	291,024	180,021
Other assets	38,267	38,095
	$ 46,902,705	$ 48,373,908
Liabilities and Stockholders' Equity		
Current liabilities:		
Accounts payable	$ 4,866,356	$ 2,288,241
Accrued compensation and related expenses	1,419,437	1,167,082
Accrued contract research and manufacturing	5,245,339	8,950,469
Other accrued expenses	1,706,763	780,352
Total current liabilities	13,237,895	13,186,144
Commitments		
Stockholders' equity:		
Preferred stock, $0.0001 par value, 5,000,000 shares authorized, no shares issued and outstanding	—	—
Common stock, $0.0001 par value, 100,000,000 shares authorized and 62,034,146 and 49,790,975 shares issued and outstanding, respectively	6,203	4,979
Additional paid-in capital	216,984,108	168,056,121
Deficit accumulated during the development stage	(183,325,501)	(132,873,336)
Total stockholders' equity	33,664,810	35,187,764
	$ 46,902,705	$ 48,373,908

See accompanying notes to consolidated financial statements.

CHELSEA THERAPEUTICS INTERNATIONAL, LTD. AND SUBSIDIARY
(A Development Stage Company)

CONSOLIDATED STATEMENTS OF OPERATIONS

	For the years ended December 31,			Period from April 3, 2002 (inception) through December 31,
	2011	2010	2009	2011
Operating expenses:				
Research and development	$ 37,270,138	$ 30,871,125	$ 23,985,118	$ 145,760,423
Sales and marketing	8,067,709	2,476,494	2,289,451	17,024,576
General and administrative	5,276,146	4,154,944	4,075,663	25,223,673
Total operating expenses	50,613,993	37,502,563	30,350,232	188,008,672
Operating loss	(50,613,993)	(37,502,563)	(30,350,232)	(188,008,672)
Interest income	161,828	242,883	336,850	4,941,519
Interest expense	—	(70,389)	(149,019)	(258,348)
Other income (expense)	—	—	4,390,487	—
Net loss	$(50,452,165)	$(37,330,069)	$(25,771,914)	$(183,325,501)
Net loss per basic and diluted share of common stock	$ (0.84)	$ (0.91)	$ (0.82)	
Weighted average number of basic and diluted common shares outstanding	60,136,326	41,184,623	31,549,739	

See accompanying notes to consolidated financial statements.

CHELSEA THERAPEUTICS INTERNATIONAL, LTD. AND SUBSIDIARY
(A Development Stage Company)

CONSOLIDATED STATEMENTS OF CHANGES IN STOCKHOLDERS' EQUITY

	Common stock		Additional Paid-In Capital	Unpaid Subscription on common stock	Deferred stock-based compensation	Deficit accumulated during the development stage	Total stockholders' equity
	Shares	Amount					
Issuance of common stock to founders in April 2002	5,428,217	$ 542	$ 4,083	$(4,625)	$ —	$ —	$ —
Balance at December 31, 2003	5,428,217	542	4,083	(4,625)	—	—	—
Common stock issued in March 2004, at approximately $0.0009 per share, for license fee	471,816	47	355	—	—	—	402
Sale and issuance of common stock in April 2004, at approximately $0.0009 per share to chief executive	478,330	48	360	—	—	—	408
Receipt of cash for stock subscription receivable	—	—	—	4,625	—	—	4,625
Sale and issuance of common stock in December 2004 at approximately $2.45 per share, net of issuance costs	5,532,994	554	13,550,255	—	—	—	13,550,809
Deferred stock-based compensation	—	—	33,525	—	(33,525)	—	—
Amortization of deferred stock-based compensation	—	—	—	—	1,529	—	1,529
Net loss	—	—	—	—	—	(3,016,559)	(3,016,559)
Balance at December 31, 2004	11,911,357	1,191	13,588,578	—	(31,996)	(3,016,559)	10,541,214
Recapitalization of the Company (See Note 1)	457,168	46	(400,046)	—	—	—	(400,000)
Employee stock options exercised	14,663	1	998	—			999
Adoption of SFAS 123R	—	—	(31,996)	—	31,996	—	—
Amortization of deferred stock-based compensation	—	—	99,319	—	—	—	99,319
Variable accounting for stock options granted to third party	—	—	58,594	—	—	—	58,594
Net loss	—	—	—	—	—	(7,915,722)	(7,915,722)
Balance at December 31, 2005	12,383,188	1,238	13,315,447	—	—	(10,932,281)	2,384,404
Sale and issuance of common stock with detachable warrants in February 2006 at approximately $2.77 per share, net of issuance costs	7,166,666	717	19,854,935	—	—	—	19,855,652
Common stock issued in March 2006, at par, pursuant to net-share (cashless) exercise of common stock warrants	15,461	2	(2)	—	—	—	—
Common stock issued in May 2006, at approximately $4.35 per share, for license fee	63,131	6	274,615	—	—	—	274,621
Employee stock options exercised	78,683	8	5,072	—	—	—	5,080
Stock-based compensation	—	—	283,983	—	—	—	283,983
Variable accounting for stock options granted to third party	—	—	4,192	—	—	—	4,192
Net loss	—	—	—	—	—	(8,671,376)	(8,671,376)
Balance at December 31, 2006	19,707,129	1,971	33,738,242	—	—	(19,603,657)	14,136,556

See accompanying notes to consolidated financial statements.

CHELSEA THERAPEUTICS INTERNATIONAL, LTD. AND SUBSIDIARY
(A Development Stage Company)

CONSOLIDATED STATEMENTS OF CHANGES IN STOCKHOLDERS' EQUITY (continued)

	Common stock		Additional Paid-In Capital	Unpaid Subscription on common stock	Deferred stock-based compensation	Deficit accumulated during the development stage	Total stockholders' equity
	Shares	Amount					
Balance at December 31, 2006	19,707,129	1,971	33,738,242	—	—	(19,603,657)	14,136,556
Common stock issued during 2007, at par, pursuant to net-share (cashless) exercises of common stock warrants	68,136	6	(6)	—	—	—	—
Fair value of warrants issued in May 2006 in consideration of finders fee at approximately $1.75 per share for which vesting was conditioned on an even that occurred in January 2007	—	—	433,750	—	—	—	433,750
Sale and issuance of common stock with detachable warrants in March 2007 at approximately $4.33 per share, net of issuance costs	2,648,306	265	11,476,412	—	—	—	11,476,677
Common stock issued in April 2007, at approximately $5.63 per share, for license fee	26,643	3	149,997	—	—	—	150,000
Common stock issued in June 2007, at $4.20 per share, pursuant to exercise of common stock warrants, net of fees	60,000	6	246,994	—	—	—	247,000
Common stock issued in October 2007, at $4.20 per share, pursuant to exercise of common stock warrants	1,200	—	5,040	—	—	—	5,040
Sale and issuance of common stock in November 2007 at approximately $6.19 per share, net of issuance costs	7,388,172	739	45,754,030	—	—	—	45,754,769
Employee stock options exercised	17,868	2	15,704	—	—	—	15,706
Stock-based compensation	—	—	828,626	—	—	—	828,626
Net loss	—	—	—	—	—	(15,081,545)	(15,081,545)
Balance at December 31, 2007	29,917,454	2,992	92,648,789	—	—	(34,685,202)	57,966,579

See accompanying notes to consolidated financial statements.

CHELSEA THERAPEUTICS INTERNATIONAL, LTD. AND SUBSIDIARY
(A Development Stage Company)

CONSOLIDATED STATEMENTS OF CHANGES IN STOCKHOLDERS' EQUITY (continued)

	Common stock		Additional Paid-In Capital	Unpaid Subscription on common stock	Deferred stock-based compensation	Deficit accumulated during the development stage	Total stockholders' equity
	Shares	Amount					
Balance at December 31, 2007	29,917,454	2,992	92,648,789	—	—	(34,685,202)	57,966,579
Common stock issued during 2008, at par, pursuant to net-share (cashless) exercises of common stock warrants	57,983	6	(6)	—	—	—	—
Common stock issued in 2008, at $4.20 per share, pursuant to exercise of common stock warrants	11,200	1	47,039	—	—	—	47,040
Final adjustment to issuance costs accrued in conjunction with the sale and issuance of common stock in November 2007 at approximately $6.19 per share	—	—	5,733	—	—	—	5,733
Common stock issued in April 2008, at approximately $4.90 per share, for license fee	30,612	3	149,997	—	—	—	150,000
Employee stock options exercised	94,230	9	58,935	—	—	—	58,944
Stock-based compensation	—	—	1,405,752	—	—	—	1,405,752
Net loss	—	—	—	—	—	(35,086,151)	(35,086,151)
Balance at December 31, 2008	30,111,479	3,011	94,316,239	—	—	(69,771,353)	24,547,897
Common stock issued during 2009, at par, pursuant to net-share (cashless) exercises of common stock warrants	63,927	6	(6)	—	—	—	—
Sale and issuance of common stock in July 2009 at approximately $3.73 per share, net of issuance costs	3,325,000	333	12,402,425	—	—	—	12,402,758
Stock-based compensation	—	—	1,673,165	—	—	—	1,673,165
Net loss	—	—	—	—	—	(25,771,914)	(25,771,914)
Balance at December 31, 2009	33,500,406	3,350	108,391,823	—	—	(95,543,267)	12,851,906

See accompanying notes to consolidated financial statements.

CHELSEA THERAPEUTICS INTERNATIONAL, LTD. AND SUBSIDIARY
(A Development Stage Company)

CONSOLIDATED STATEMENTS OF CHANGES IN STOCKHOLDERS' EQUITY (continued)

	Common stock		Additional Paid-In Capital	Unpaid Subscription on common stock	Deferred stock-based compensation	Deficit accumulated during the development stage	Total stockholders' equity
	Shares	Amount					
Balance at December 31, 2009	33,500,406	3,350	108,391,823	—	—	(95,543,267)	12,851,906
Sale and issuance of common stock with detachable warrants in March 2010 at approximately $2.50 per share, net of issuance costs	6,700,000	670	16,762,253	—	—	—	16,762,923
Sale and issuance of common stock in controlled at-the-market equity offering in September 2010 at approximately $4.49 per share, net of issuance costs	634,500	63	2,851,313	—	—	—	2,851,376
Sale and issuance of common stock in October 2010 at approximately $4.60 per share, net of issuance costs	8,214,286	821	37,788,721	—	—	—	37,789,542
Common stock issued in 2010 at par, pursuant to net-share (cashless) exercises of common stock warrants	676,228	68	(68)	—	—	—	—
Common stock issued in 2010 at $4.20 per share pursuant to exercise of common stock warrants	65,555	7	275,324	—	—	—	275,331
Stock-based compensation	—	—	1,986,755	—	—	—	1,986,755
Net loss	—	—	—	—	—	(37,330,069)	(37,330,069)
Balance at December 31, 2010	49,790,975	$4,979	$168,056,121	$—	$—	$(132,873,336)	$ 35,187,764

See accompanying notes to consolidated financial statements.

CHELSEA THERAPEUTICS INTERNATIONAL, LTD. AND SUBSIDIARY
(A Development Stage Company)

CONSOLIDATED STATEMENTS OF CHANGES IN STOCKHOLDERS' EQUITY (continued)

	Common stock		Additional Paid-In Capital	Unpaid Subscription on common stock	Deferred stock-based compensation	Deficit accumulated during the development stage	Total stockholders' equity
	Shares	Amount					
Balance at December 31, 2010	49,790,975	4,979	168,056,121	—	—	(132,873,336)	35,187,764
Sale and issuance of common stock in February 2011 at approximately $3.75 per share, net of issuance costs	10,062,500	1,006	37,725,532	—	—	—	37,726,538
Recovery of short-swing profits, net of expenses	—	—	73,797	—	—	—	73,797
Common stock issued in 2011 at $4.20 per share pursuant to cash exercises of common stock warrants	1,993,444	199	8,372,265	—	—	—	8,372,464
Common stock issued in 2011 at $2.88 per share pursuant to cash exercises of common stock warrants	37,277	4	107,667	—	—	—	107,671
Common stock issued in 2011 at par, pursuant to net-share (cashless) exercises of common stock warrants	149,950	15	(15)	—	—	—	—
Stock-based compensation	—	—	2,648,741	—	—	—	2,648,741
Net loss	—	—	—	—	—	(50,452,165)	(50,452,165)
Balance at December 31, 2011	62,034,146	$6,203	$216,984,108	$—	$—	$(183,325,501)	$ 33,664,810

See accompanying notes to consolidated financial statements.

CHELSEA THERAPEUTICS INTERNATIONAL, LTD. AND SUBSIDIARY
(A Development Stage Company)

CONSOLIDATED STATEMENTS OF CASH FLOWS

	For the years ended December 31,			Period from April 3, 2002 (inception) through December 31,
	2011	2010	2009	2011
Operating activities:				
Net loss	$(50,452,165)	$(37,330,069)	$(25,771,914)	$(183,325,501)
Adjustments to reconcile net loss to net cash used in operating activities:				
Non-cash stock-based compensation	2,648,741	1,986,755	1,673,165	8,990,656
Depreciation and amortization	116,465	75,610	69,431	421,979
Stock issued for license fee	—	—	—	575,023
Non-cash interest expense	—	—	—	34,020
Other-than temporary impairment (gain on recovery) of short-term and long-term investments	—	—	(4,390,487)	—
Gain on disposition of fixed assets	—	—	—	(2,208)
Fair value of warrants for finder's fee	—	—	—	433,750
Changes in operating assets and liabilities:				
Prepaid contract research and manufacturing expenses, other prepaid expenses and other assets	(404,377)	(139,164)	303,665	(967,114)
Accounts payable, accrued contract research and manufacturing expenses and other accrued expenses	(200,603)	2,882,709	(2,588,850)	11,818,460
Accrued compensation and related expenses	252,355	272,386	314,821	1,419,437
Net cash used in operating activities	(48,039,584)	(32,251,773)	(30,390,169)	(160,601,498)
Investing activities:				
Acquisitions of property and equipment	(227,468)	(151,836)	(14,037)	(714,473)
Proceeds from sale of assets	—	—	—	3,677
Purchases of short-term investments	(65,605,570)	—	—	(115,143,906)
Redemptions of short-term investments	61,105,570	11,450,000	14,575,000	110,643,906
Security deposits	(172)	38,855	—	(38,267)
Net cash (used in) provided by investing activities	(4,727,640)	11,337,019	14,560,963	(5,249,063)
Financing activities:				
Proceeds from borrowings from affiliate	—	—	—	1,745,000
(Repayment of) borrowings from line of credit	—	(11,466,012)	4,188,544	—
Proceeds from exercise of stock options	—	—	—	80,729
Proceeds from exercise of common stock warrants	8,480,135	275,331	—	9,054,546
Proceeds from sales of equity securities, net of issuance costs	37,726,538	57,403,841	12,402,758	196,398,165
Receipt of recovery of short-swing profits	73,797			73,797
Recapitalization of the Company	—	—	—	(400,000)
Receipt of cash for stock subscription receivable	—	—	—	4,625
Net cash provided by financing activities	46,280,470	46,213,160	16,591,302	206,956,862
Net (decrease) increase in cash and cash equivalents	(6,486,754)	25,298,406	762,096	41,106,301
Cash and cash equivalents, beginning of period	47,593,055	22,294,649	21,532,553	—
Cash and cash equivalents, end of period	$ 41,106,301	$ 47,593,055	$ 22,294,649	$ 41,106,301
Supplemental disclosure of cash flow information:				
Cash paid for interest	$ —	$ 70,389	$ 149,019	$ 224,328

See accompanying notes to consolidated financial statements.

Supplemental disclosure of non-cash investing and financing activities:

During 2002, the Company issued 5,428,217 shares of its common stock for a subscription receivable of $4,625.

During 2004, the Company converted a loan with an affiliate for aggregate principal of $1,745,000 and accrued interest of $34,020 into shares of its common stock, issuing 677,919 shares, at approximately $2.62 per share in lieu of repayment of this obligation.

In December 2004, in conjunction with and as compensation for activities related to the December 2004 sale of equity securities, the Company issued warrants to purchase 483,701 shares of its common stock, with a purchase price of approximately $2.88 per share and an aggregate fair value of $14,400. All of these warrants were exercised by the holders prior to expiration in December 2011.

In conjunction with the merger and recapitalization of the Company effective February 11, 2005, the Company issued 11,911,357 shares of its common stock in exchange for all of the issued and outstanding shares of Chelsea Therapeutics, Inc. In addition, in conjunction with and as compensation for facilitating the merger, the Company issued warrants for the purchase of 105,516 shares of its common stock at an exercise price of $2.62 per share and an aggregate fair value of $26,700. As of December 31, 2011, all of these warrants had been exercised.

In February 2006, in conjunction with and as compensation for activities related to the February 2006 sale of equity securities, the Company issued warrants to purchase 716,666 shares of its common stock, with a purchase price of $3.30 per share and an aggregate fair value of approximately $705,000. Of these, warrants for the purchase of 543,766 remain unexercised and outstanding as of December 31, 2011 and, if they remain unexercised, will expire in February 2013.

In May 2006, in conjunction with and as compensation for activities related to a licensing agreement and under a Finder's Agreement, the Company issued warrants to purchase 250,000 shares of its common stock, with an exercise price of $4.31 per share. The exercise of these warrants was conditioned on an event that occurred in January 2007 and, accordingly, the Company recorded a charge based on the warrants' fair value determined at January 2007 of $433,750. As of December 31, 2011, all of these warrants remain unexercised and outstanding and are scheduled to expire in May 2013.

See accompanying notes to consolidated financial statements.

CHELSEA THERAPEUTICS INTERNATIONAL, LTD. AND SUBSIDIARY
(A Development Stage Company)

NOTES TO CONSOLIDATED FINANCIAL STATEMENTS

1. The Company, Basis of Presentation and Summary of Significant Accounting Policies

The Company

Chelsea Therapeutics International, Ltd. ("Chelsea Ltd." or the "Company") is a development stage pharmaceutical company focused on the acquisition, development and commercialization of innovative pharmaceutical products. Specifically, the Company is developing Northera™ (droxidopa), a novel therapeutic agent for the treatment of symptomatic neurogenic orthostatic hypotension, or NOH, in patients with primary autonomic failure, dopamine ß-hydroxylase, or DBH, deficiency, non-diabetic autonomic neuropathy, and the reduction of falls in patients with NOH associated with Parkinson's disease, or PD, as well as other potentially norepinephrine related conditions and diseases including intradialytic hypotension, fibromyalgia and adult attention deficit hyperactivity disorder. The Company is also developing pharmaceuticals for multiple autoimmune disorders, including rheumatoid arthritis, psoriasis, inflammatory bowel disease and cancer. The Company's operating subsidiary, Chelsea Therapeutics, Inc. ("Chelsea Inc."), was incorporated in the State of Delaware on April 3, 2002 as Aspen Therapeutics, Inc., with the name changed in July 2004. In February 2005, Chelsea Inc. merged with a wholly-owned subsidiary of Chelsea Ltd.'s predecessor company, Ivory Capital Corporation ("Ivory"), a Colorado public company with no operations (the "Merger"). The Company reincorporated into the State of Delaware in July 2005, changing its name to Chelsea Therapeutics International, Ltd.

As a result of the Merger of Ivory and Chelsea Inc. in February 2005, and the reincorporation in Delaware in July 2005, Chelsea Ltd. is the reporting company and is the 100% owner of Chelsea Inc. The separate existence of Ivory ceased in connection with the Delaware reincorporation in July 2005. Except where the context provides otherwise, references to the "Company" and similar terms mean Ivory, Chelsea Ltd. and Chelsea Inc.

Basis of Presentation

Since inception, the Company has focused primarily on organizing and staffing, negotiating in-licensing agreements with partners, acquiring, developing and securing its proprietary technology, participating in regulatory discussions with the United States Food and Drug Administration, or FDA, the European Medicines Agency, or EMA and other regulatory agencies, undertaking preclinical trials and clinical trials of product candidates and, more recently, preparing for the planned commercial launch in the United States of one of its product candidates in anticipation of regulatory approval. The Company is a development stage company and has generated no revenue since inception.

The Company has sustained operating losses since its inception and expects that such losses could continue at least through the anticipated launch of Northera in 2012. Management plans to continue financing the Company's operations, as necessary, with equity issuances, debt arrangements, strategic alliances or other arrangements of a collaborative nature. If adequate funds are not available, the Company may be required to delay, reduce the scope of, or eliminate one or more of its research or development programs, delay or scale back certain activities including its commercialization program, or limit or cease operations in which event its business, financial condition and results of operations would be materially harmed.

For presentation purposes, the Company has restated all information contained in this report related to shares authorized, issued and outstanding and related disclosures of weighted average shares and loss per share to reflect the results of the Delaware reincorporation in July 2005 as if the Delaware reincorporation had occurred at the beginning of each of the periods presented.

Basis of Consolidation

The accompanying financial statements present, on a consolidated basis, the financial position and results of operations of Chelsea Ltd. and its subsidiary. All significant intercompany transactions and balances have been eliminated in consolidation.

Use of Estimates

The preparation of financial statements in conformity with accounting principles generally accepted in the United States of America requires management to make estimates and assumptions that affect the reported amounts of assets and liabilities and the disclosure of contingent liabilities at the date of the financial statements as well as the reported revenues and expenses during the reporting periods. On an ongoing basis, management evaluates its estimates and judgments. Management bases estimates on its historical experience and on various other factors that it believes are reasonable under the circumstances, the results of which form the basis for making judgments about the carrying value of assets and liabilities that are not readily apparent from other sources. Actual results may differ from these estimates under different assumptions or conditions.

Cash and Cash Equivalents

Cash and cash equivalents consist of cash and other highly-liquid investments with maturities of three months or less at the date of purchase.

Short-Term Investments

During 2011, the Company held short-term investments consisting of investments in certificates of deposit, or CD's, with maturities of 26-weeks as of the dates of purchase, that were purchased through the Certificate of Deposit Account Registry Service, or CDARS®. Investments are made through a single CDARS Network member and when a large deposit is made, that institution uses the CDARS service to place funds into CDs issued by other members of the CDARS Network. Investments occur in increments below the standard Federal Deposit Insurance Corporation, or FDIC, insurance maximum ($250,000) so that both principal and interest are eligible for FDIC insurance. The Company also held, at various points during 2011, short-term investments in commercial paper and corporate bonds, all of which had been redeemed as of December 31, 2011. In addition, the Company held additional CDARS investments during the year that were classified as cash equivalents based on their 13-week maturities at the dates of purchase.

During 2010, short-term investments consisted of investments in auction rate securities, or ARS. ARS are generally long-term debt instruments for which interest rates are reset through a Dutch auction process that occurs at pre-determined calendar intervals, generally each 28 or 35 days. All of the Company's remaining investments in ARS during 2010 were classified as trading securities and were redeemed as planned under an executed settlement agreement on June 30, 2010. The Company elected the fair value option in accounting for its trading securities and, accordingly, accounted for such investments at their determined fair value, with changes in the fair value recorded in the statement of operations.

Concentration of Credit Risk

Financial instruments that potentially subject the Company to a significant concentration of credit risk consist primarily of cash and cash equivalents and short-term investments. A portion of the Company's cash has been maintained in non-interest bearing accounts at federally insured financial institutions that, under the

Transaction Account Guarantee Program, or TAGP, of the Federal Deposit Insurance Corporation, or FDIC, are fully insured until December 31, 2012. Previously, the Company maintained deposits in federally insured financial institutions that, under the Temporary Liquidity Guarantee Program, were fully insured through December 31, 2010 by the FDIC. In addition, the Company maintains deposits in commercial accounts in excess of federally insured amounts, primarily in fully liquid interest-bearing money market accounts, certificates of deposit, or CDs, money market funds and Treasury funds. However, while giving consideration to the expiration of the TAGP at December 31, 2012, management believes the Company is not exposed to significant credit risk for its cash and cash equivalents due to the financial position of the depository institutions in which those deposits are held and the nature of the investments.

Fair Value of Financial Instruments

The carrying value of the Company's financial instruments, including cash and cash equivalents and accounts payable approximates fair value given their highly-liquid and short-term nature.

For financial assets and liabilities and any other assets and liabilities carried at fair value, the Company completes analyses of fair value and provides certain disclosures about fair value measurements. Fair value is based on the price that would be received to sell an asset or paid to transfer a liability in an orderly transaction between market participants at the measurement date. Under the fair value hierarchy that prioritizes observable and unobservable inputs used to measure fair value, the Company performs analyses on a consistent basis and designs its disclosures surrounding such analyses and the fair value determined at the balance sheet date to meet required presentation and disclosure requirements.

Property and Equipment

Property and equipment, which consists of furniture and fixtures, software and equipment, is stated at cost and depreciated or amortized using the straight-line method over the estimated useful lives of the related assets. The useful life for all classes of assets other than leasehold improvements is three years. The useful life for leasehold improvements is the shorter of the expected life of the leasehold improvement or the remaining term of the lease.

Impairment of Long-Lived Assets

The Company reviews long-lived assets, including property and equipment, for impairment whenever events or changes in business circumstances indicate that the carrying amount of the assets may not be fully recoverable. An impairment loss would be recognized when estimated undiscounted future cash flows expected to result from the use of the asset and its eventual disposition are less than its carrying amount. The impairment loss, if recognized, would be based on the excess of the carrying value of the impaired asset over its respective fair value. Impairment, if any, is assessed using undiscounted cash flows. Through December 31, 2011, there has been no such impairment.

Research and Development

Research and development expenditures are expensed as incurred. The Company often contracts with third parties to facilitate, coordinate and perform agreed upon research and development activities. To ensure that research and development costs are expensed as incurred, the Company measures expense based on work performed for the underlying contract, typically utilizing a percentage-of-completion approach, and records prepaid assets or accrues expenses on a monthly basis for such activities based on the measurement of liability from expense recognition and the receipt of invoices.

These contracts typically call for the payment of fees for services at the initiation of the contract and/or upon the achievement of certain milestones. In the event that the Company prepays fees for future milestones, it records the prepayment as a prepaid asset and amortizes the asset into research and development expense over the period of time the contracted research and development services are performed. Most fees are incurred throughout the contract period and are expensed based on their percentage of completion at a particular date.

These contracts generally include pass through fees. Pass through fees include, but are not limited to, regulatory expenses, investigator fees, travel costs, and other miscellaneous costs including shipping and printing fees. Because these fees are incurred at various times during the contract term and they are used throughout the contract term, the Company records an estimated monthly expense allocation to recognize the fees during the contract period. Fees incurred to set up the clinical trial are expensed during the setup period.

Costs related to the acquisition of technology rights and patents for which development work is still in process are expensed as incurred and considered a component of research and development costs.

The Company has contracted with a third-party to manufacture commercial quantities of Northera prior to the date it anticipates that Northera will receive final regulatory marketing approval and might perform similar activities with other product candidates in the future. The scale-up and commercial production of pre-launch inventories involves the risk that such products may not be approved for marketing by the appropriate regulatory agencies on a timely basis, or ever. As such, until final approval to market any of the Company's product candidates is received from the appropriate regulatory agencies, such costs are expensed to research and development.

Loss per Share

Basic net loss per common share is calculated by dividing net loss by the weighted-average number of common shares outstanding for the period, without consideration for potentially dilutive securities. For the periods presented, basic and diluted net loss per common share are identical as potentially dilutive securities from stock options and stock warrants would have an antidilutive effect since the Company incurred a net loss. The number of shares of common stock potentially issuable at December 31, 2011, 2010 and 2009 upon exercise or conversion that were not included in the computations of net loss per share were 8,687,452, 9,917,518 and 7,873,688, respectively.

Income Taxes

The Company determines deferred tax assets or liabilities based on the difference between the financial statement and the tax bases of assets and liabilities as measured by the enacted tax rates, which will be in effect when these differences reverse. The Company provides a valuation allowance against net deferred tax assets unless, based upon the available evidence, it is more likely than not that the deferred tax assets will be realized.

The Company also recognizes, in its consolidated financial statements, the impact of a tax position if that position is more likely than not to be sustained upon examination, based on the technical merits of the position and provides explicit disclosure about the Company's uncertainties related to the income tax position, including a detailed roll-forward of tax benefits taken that do qualify for financial statement recognition.

Stock-Based Compensation

The Company accounts for its stock options using a fair value based method of accounting for stock options or similar equity instruments and requires the measurement and recognition of compensation expense for all share-based payment awards made to employees and non-employee directors based on estimated fair values determined using an option-pricing model. The value of the portion of the award that is ultimately expected to vest is recognized as expense over the requisite service periods in the Company's statements of operations.

The fair value of each option award made to employees and directors during the years ended December 31, 2011, 2010 and 2009 was estimated on the date of grant using the Black-Scholes closed-form option valuation model utilizing the assumptions noted in the following table. To determine the risk-free interest rate, the Company utilized the U.S. Treasury yield curve in effect at the time of grant with a term consistent with the expected term of the Company's awards. The Company estimated the expected life of the options granted based on anticipated exercises in future periods assuming the success of its business model as currently forecasted. The expected dividends reflect the Company's current and expected future policy for dividends on its common stock. Effective January 1, 2011, the Company began relying exclusively on the trading and price history of the Company's stock in order to determine the expected volatility given that, as of that date, there existed sufficient trading history to be able to determine historical volatility. Prior to that, the Company examined historical volatilities for industry peers closely related to the current status of its business, but with sufficient trading history to be able to determine volatility. The Company plans to continue to analyze the expected stock price volatility and expected term assumption at each grant date as more historical data for its common stock becomes available. As of January 1, 2011, taking into consideration hiring completed and planned by the Company and the potential impact of forfeitures given the roles of these newly filled positions, the Company estimated a forfeiture rate of 3%. Prior to 2011, given the Company's low historical rate of attrition and the senior nature of the roles for a significant portion of the Company's employees, the Company estimated that it would experience no forfeitures or that the rate of forfeiture would be immaterial to the recognition of compensation expense for those options outstanding. Due to the limited amount of historical data available to the Company, particularly with respect to stock-price volatility, employee exercise patterns and forfeitures, actual results could differ from the Company's assumptions. The table below summarizes the assumptions utilized in estimating the fair value of the stock options granted during the years ended December 31, 2011, 2010 and 2009:

	For the years ended December 31,		
	2011	2010	2009
Weighted-average risk-free interest rate	1.83%	2.39%	1.75%
Weighted-average expected life of options	5 years	5 years	5 years
Expected dividend yield	0%	0%	0%
Weighted-average expected volatility	87.82%	93.95%	82.71%
Anticipated forfeiture rate	3%	n/a	n/a

The Company records compensation expense on a straight-line method over the vesting period of its options and recorded compensation expense of $2,648,741, $1,986,755 and $1,673,165 for the years ended December 31, 2011, 2010 and 2009, respectively, in conjunction with option grants made to employees and non-employee directors. As of December 31, 2011, the Company had total unrecognized compensation expense related to options granted to employees and non-employee directors of approximately $5.7 million, which will be

recognized over a weighted-average remaining period of two years. The expected future amortization expense for unrecognized compensation expense for stock option grants to employees and non-employee directors at December 31, 2011 is as follows:

Year ending December 31, 2012	$2,225,708
Year ending December 31, 2013	1,892,672
Year ending December 31, 2014	1,410,621
Year ending December 31, 2015	150,287
	$5,679,288

To date, option awards to consultants, advisors or other independent contractors have been granted with an exercise price equal to the market price of the Company's stock at the date of the grant, have 10-year contractual terms and vest dependent upon the completion of performance commitments. As such, the value of stock options is measured at the then-current market value as of financial reporting dates and compensation cost is recognized for the net change in the fair value of the options for the reporting period, until such performance commitments are met. Once each commitment is met, the options that vest in association with that commitment are adjusted, for the last time, to the then-current fair value and compensation cost is recognized accordingly.

In determining the fair value of options granted to consultants, advisors and other independent contractors, the Company uses the Black-Scholes closed-form option valuation model in a manner consistent with its use in determining the fair value of options granted to employees and directors. However, the expected life of the options is based on the contractual lives as defined in agreements with the third parties. No such grants were made during 2011, 2010 or 2009.

2. Short-term Investments

As of December 31, 2011, the Company held short-term investments of $4.5 million consisting of investments in CD's with maturities of 26-weeks as of the dates of purchase. Such investments, made at various times during 2011, were purchased through CDARS. During 2011, the Company also held short-term investments in commercial paper and corporate bonds that, at maturity, were fully redeemed.

During 2010, the Company liquidated its remaining investments in auction rate securities, or ARS, by exercising the ARS Rights received under a settlement agreement, finalized in the fourth quarter of 2008, with UBS Financial Services, Inc., or UBS. At January 1, 2010, the Company held total investments in ARS with a par value of approximately $11.45 million that were classified as trading securities and held at UBS. These ARS investments represented interests in collateralized debt obligations supported by pools of student loans and none were collateralized by mortgage, credit card or insurance securitizations. The ARS Rights provided the Company with the ability to sell the ARS, along with the ARS Rights, to UBS at the par value of the ARS no earlier than June 30, 2010 and were to expire on July 2, 2012. The ARS Rights were not transferable, not tradable, were not quoted or listed on any securities exchange or any other trading network, were recorded at fair value and were classified as short-term investments. Additionally, UBS also agreed that an affiliate would provide the Company with a no net-cost line of credit. Under the terms of the line of credit agreements, the Company received funds in December 2008 and March 2009 equivalent to 100% of the par value of the Company's ARS investments, providing the Company with full liquidity for all its investments in ARS held with UBS. Upon exercise of the ARS Rights on June 30, 2010 and, after applying the proceeds of the redemptions of those ARS Rights, the Company had no remaining liability under the line of credit. During 2010, based upon analysis of fair value, the Company recorded no additional trading loss related to its trading securities or any corresponding adjustment to the fair value of its ARS or ARS Rights, prior to redemption on June 30, 2010.

During the year ended December 31, 2009, the Company recorded a gain of approximately $4.1 million from the recovery of the other-than-temporary impairment that the Company had recorded against investments with an aggregate par value of $11.6 million, classified as available-for-sale, that were redeemed during 2009. Also, during the year ended December 31, 2009, the Company recorded a gain of approximately $0.2 million related to the increased value of the ARS Rights due to the additional funding received under the line of credit and the resulting elimination of any performance risk associated with the settlement. In addition, the Company recorded the recovery of $0.1 million of previously recorded other-than-temporary impairment losses related to $0.3 million in partial redemptions at par of its available-for-sale ARS investments during 2009.

3. Fair Value Measurements

In determining fair value, the Company utilizes techniques that optimize the use of observable inputs, when available, and minimize the use of unobservable inputs to the extent possible. At December 31, 2011, assets measured at fair value on a recurring basis consisted of cash and cash equivalents of approximately $41.1 million and short-term investments (see Note 2) of $4.5 million. Based on the short-term liquid nature of these assets, the fair value, determined using level 1 inputs, is equivalent to the recorded book value.

At December 31, 2010, with the redemption of the Company's ARS investments (see Note 2), assets measured at fair value on a recurring basis consisted only of cash and cash equivalents of approximately $47.6 million. Based on the short-term liquid nature of these assets, the fair value, determined using level 1 inputs, was equivalent to the recorded book value.

4. Property and equipment:

Property and equipment consist of the following:

	December 31,	
	2011	2010
Furniture and fixtures	$ 283,231	$ 186,119
Software	57,563	42,569
Leasehold improvements	39,909	24,142
Computer and office equipment	302,530	202,935
	683,233	455,765
Less—accumulated depreciation and amortization	(392,209)	(275,744)
	$ 291,024	$ 180,021

Depreciation and amortization expense was $116,465, $75,610 and $69,431 for the years ended December 31, 2011, 2010 and 2009, respectively.

5. Common Stock Offerings

On February 24, 2011, the Company raised gross proceeds of approximately $40.3 million through the sale of 10,062,500 shares of its common stock in a publicly-marketed offering. These shares were offered pursuant to the Company's 2011 shelf registration statement, amended pursuant to Rule 462(b), filed with the SEC under which the Company could offer shares of its common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of $63,950,000.

Such registration statement became effective as of January 19, 2011. In connection with this offering, the Company paid commissions and other offering-related costs of approximately $2.5 million, resulting in net proceeds to the Company of approximately $37.7 million.

On October 6, 2010, the Company raised gross proceeds of approximately $40.3 million through the sale of 8,214,286 shares of its common stock in a publicly-marketed offering. These shares were offered pursuant to the Company's shelf registration statement, as amended effective October 1, 2010 pursuant to Rule 462(b) to increase the dollar amount of securities available for sale, as filed with the SEC under which it may offer shares of its common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of $61,566,686. Such registration statement became effective as of August 20, 2009.

In July 2010, the Company filed the required documents and became eligible to use an at-the-market common equity sales program for the sale of up to 3,000,000 shares of common stock. In September 2010, the Company sold 634,500 shares of common stock under this program resulting in net proceeds, after expenses, of approximately $2.9 million, or $4.49 per share. These shares were offered pursuant to the Company's 2009 shelf registration statement.

On March 5, 2010, the Company raised gross proceeds of approximately $18.2 million through the sale of 6,700,000 shares of its common stock plus warrants for the purchase of 2,345,000 shares of its common stock (the "2010 Offering"). These warrants had an aggregate fair value of approximately $3.9 million, permit the holders to purchase the underlying common shares at $2.79 each or elect a net share settlement and are exercisable in whole at any time, or in part from time to time, during the period commencing six months after the date of issuance and ending three years from the date of issuance. These shares were offered pursuant to the Company's 2009 shelf registration statement. In connection with this offering, the Company paid commissions and other offering-related costs of approximately $1.5 million.

There are no more securities available under the Company's 2009 shelf registration.

On July 28, 2009, the Company raised gross proceeds of approximately $13.3 million through the sale of 3,325,000 shares of its common stock. These shares were offered pursuant to the Company's prior shelf registration statement, as amended effective July 22, 2009 pursuant to Rule 462(b) to increase the dollar amount of securities available for sale, as filed with the SEC under which the Company could offer shares of its common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of $62,218,060. Such registration statement became effective as of October 11, 2007. In connection with the July 2009 offering, the Company received net proceeds, after deducting placement fees and offering expenses, of approximately $12.4 million.

On November 8, 2007, the Company raised gross proceeds of approximately $48.9 million through the sale of 7,388,172 shares of its common stock in a registered direct offering. These shares were offered pursuant to the Company's 2007 shelf registration statement. In connection with this offering, the Company paid commissions and recorded or accrued other offering-related costs of approximately $3.2 million.

There are no more securities available under the Company's 2007 shelf registration.

On March 22, 2007, the Company raised gross proceeds of approximately $12.5 million through the sale of 2,648,306 shares of its common stock plus warrants for the purchase of 794,492 shares of its common stock

(the "2007 Placement"). The aggregate fair value of these warrants was approximately $1.3 million. The warrants permit the holders to purchase the underlying common shares at $5.66 each and are exercisable in whole at any time, or in part from time to time, for cash, for five years from the date of issuance. The warrants are redeemable at par value at the Company's option in the event that the volume weighted-average closing price of the Company's common stock is greater than $12.00 per share for any 20 consecutive trading days provided the Company gives 60 business days' written notice to the holders and simultaneously call all warrants on the same terms. Under the terms of the 2007 Placement, the Company agreed to and filed a registration statement with the SEC within 30 days of the closing for the shares of common stock sold and the shares of common stock underlying the warrants and such registration became effective on August 7, 2007. In connection with this offering, the Company paid commissions and other offering-related costs of approximately $1.0 million in cash.

On February 13, 2006, the Company raised gross proceeds of approximately $21.5 million through the sale of 7,166,666 shares of its common stock plus warrants for the purchase of 2,149,999 shares of its common stock (the "2006 Placement"). The allocated aggregate fair value of these warrants was approximately $1.1 million. The warrants permitted the holders to purchase the underlying common shares, for cash only, at $4.20 each and were exercisable in whole at any time, or in part from time to time, for five years from the date of issuance. (See Note 10) The warrants were redeemable at par value at the Company's option in the event that the Company's volume weighted-average closing bid price of its common stock was greater than $9.00 per share for any 20 consecutive trading days provided that the Company gave 30 business days' written notice to the holders and simultaneously called all warrants on the same terms. In connection with the 2006 Placement, the Company paid commissions and other offering-related costs of approximately $1.6 million in cash and issued warrants to the placement agent for the purchase of 716,666 shares of the Company's common stock with an exercise price of $3.30 per share, or 110% of the price of the shares sold in the offering and an aggregate fair value of approximately $0.7 million. These warrants are exercisable in whole at any time, or in part from time to time, for cash or in a net share settlement, for seven years from the date of issuance. Under the terms of the 2006 Placement, the Company agreed to and filed a registration statement with the SEC within 30 days of the closing for the shares of common stock sold and the shares of common stock underlying the warrants and such registration became effective on March 29, 2006.

In December 2004, Chelsea, Inc. raised gross proceeds of approximately $14.5 million through the sale of 5,532,994 shares of its common stock (the "2004 Placement"). The amount raised includes the conversion of a $1.7 million stockholder loan along with accrued interest, for which a total of 677,919 shares of common stock were issued. In connection with this offering, Chelsea, Inc. paid commissions and other offering-related costs of approximately $1.0 million in cash and issued warrants to the placement agent for the purchase of 483,701 shares of its common stock with an aggregate fair value of approximately $14,000. The warrants permit the holders to purchase the underlying common shares at $2.88 per share, and are exercisable in whole at any time, or in part from time to time, for cash or in a net share settlement, for seven years from the date of issuance.

6. Commitments

Facility Lease

On October 21, 2010, the Company entered into an amendment to its lease agreement, dated March 7, 2008, to increase the office space in Charlotte, North Carolina that serves as its corporate headquarters. Occupancy of the additional space occurred in March 2011. Occupancy for the originally leased space occurred in May 2008. Upon taking delivery of the newly added space and upon expiration of a free rental period of six months from the date of delivery anticipated, or September 2011, the monthly payments increased by approximately $8,000 per month to a total of approximately $29,000. The lease, as amended, expires on or about March 11, 2016 and calls

for annual rent increases of 3%. A security deposit of approximately $38,000 is being held by the lessor in conjunction with the lease. In addition, the lease initially provided an option to rent additional adjacent space. Such option expired in November 2009. The future aggregate minimum lease payments under non-cancellable operating leases are approximately $1.6 million through the lease expiration date of March 2016.

Rent expense for the years ended December 31, 2011, 2010 and 2009 was $339,963, $202,267 and $248,404, respectively.

License Agreements

In March 2004, the Company entered into a license agreement with Dr. M. Gopal Nair, Ph.D., of the University of South Alabama College of Medicine, for the rights to use, produce, distribute and market products derived from an invention by Dr. Nair, claimed in US Patent # 5,912,251, entitled "metabolically inert anti-inflammatory and antitumor antifolates", designated by the Company as CH-1504 and related compounds. The license provides the Company exclusive, worldwide (excluding India) rights for CH-1504 and related compounds. The Company made an upfront payment in May 2004 of $150,000 and milestone payments as required by the agreement of $100,000 each in March 2006 and 2005. In April 2007, the Company issued 26,643 shares of its common stock, subject to trading restrictions, at a value of approximately $5.63 per share, in settlement of the $150,000 annual milestone payment liability. In March 2008, the Company made a milestone payment of $100,000 related to patient dosing in a Phase II study as required by the agreement. In April 2008, the Company issued 30,612 shares of its common stock, subject to trading restrictions, at a value of approximately $4.90 per share, in settlement of the 2008 anniversary milestone payment. In April 2009, the Company made the 2009 anniversary milestone payment of $150,000. In September 2010, the Company made a milestone payment of $100,000 related to patient dosing in a Phase II study as required by the agreement. The Company is obligated to pay royalties under the agreement until the later of the expiration of the applicable patent or the applicable last date of market exclusivity after the first commercial sale, on a country-by-country basis. There are no minimum royalties required under the agreement. The Company is also obligated to make future potential milestone payments based on the achievement of specific development and regulatory approval milestones. Based on the Company's current development plans for compounds licensed under this agreement, approximately $1.5 million of payments may become due if specific milestones are achieved, subject to the Company's right to terminate the license agreement. In addition, should the Company enter into an out-licensing agreement, such payments could be offset by revenue received from the sub-licensee.

In May 2006, the Company entered into an agreement with Dainippon Sumitomo Pharma Co., Ltd. ("DSP") for a worldwide, exclusive, sub-licensable license and rights to certain intellectual property and proprietary information (the "DSP Agreement") relating to L-threo-3,4-dihydroxyphenylserine ("L-DOPS" or "droxidopa") including, but not limited to all information, formulations, materials, data, drawings, sketches, designs, testing and test results, records and regulatory documentation. As consideration for these rights, the Company paid DSP $100,000 and issued 63,131 shares of its common stock, with a value of approximately $4.35 per share, or $274,621. As additional consideration, the Company agreed to pay DSP and/or its designees (1) royalties on the sales should any compound be approved for commercial sale, and (2) milestone payments, payable upon achievement of milestones as defined in the DSP Agreement. In February 2008, the Company made a milestone payment under the DSP Agreement of $500,000 related to patient dosing in a Phase III study. In December 2011, the Company made a milestone payment under the DSP Agreement of $750,000 related to submission of an NDA to the FDA and has remaining potential future milestone payments, subject to the Company's right to terminate the DSP Agreement, totaling $2.5 million. The Company and DSP also initiated, and the Company agreed to fund, activities focused on modifying the manufacturing capabilities of DSP in order to expand

capacity and comply with regulations and requirements of the FDA. Based on work performed by DSP as of December 31, 2011, the Company had recorded expense of approximately $3.1 million and had a remaining liability of approximately $60,000 at December 31, 2011.

In conjunction with and as consideration for activities related to the execution of the DSP Agreement, the Company entered into a Finder's Agreement with Paramount BioCapital, Inc. ("Paramount"). In May 2006, pursuant to the Finder's Agreement, the Company issued warrants for the purchase of 250,000 shares of its common stock at an exercise price of $4.31 per share. The exercise of these warrants was conditioned on an event that occurred in January 2007 and, accordingly, the Company recorded a charge for the fair value of the warrants at January 2007 of $433,750. The Company utilized the Black-Scholes-Merton valuation model for estimating the fair value of the warrants at the date the condition lapsed, based on a risk-free interest rate of 4.79%, an expected life of three years, an expected dividend yield of 0%, an expected volatility of 66.01% and no estimated forfeitures. As additional consideration, the Company agreed to (1) make future milestone payments to Paramount, upon achievement of milestones as defined in the Finder's Agreement, (2) pay royalties on sales should any licensed compound become available for commercial sale, and (3) compensate a stated third-party consultant for services rendered in the evaluation of the transaction with DSP. The Company has remaining potential future milestone payments under the Finder's Agreement of $150,000.

The amount expended under these agreements and charged to research and development expense was $750,000 during the year ended December 31, 2011, $100,000 during the year ended December 31, 2010 and $150,000 during the year ended December 31, 2009.

Development and Commercialization Agreement

Effective May 2006, the Company entered into a development and commercialization agreement (the "Development Agreement") with Active Biotech AB ("AB") to co-develop and commercialize the I-3D portfolio of orally active, dihydroorotate dehydrogenase ("DHODH") inhibiting compounds for the treatment of autoimmune diseases and transplant rejection. Under the terms of the Development Agreement, an initial payment of $1.0 million was made to AB at the time of the Development Agreement with such funds utilized to cover the initial costs of research and development efforts jointly approved by both parties. At December 31, 2006, the Company had expensed the entire $1.0 million payment and expensed additional costs of $0.3 million. During 2007, the Company expensed costs of $0.6 million under the program related to costs of research and development. During 2008, the Company and AB ceased joint discovery efforts on this portfolio.

In April 2008, the Company and AB entered into a termination and assignment agreement (the "Termination Agreement"), whereby AB discontinued its participation in the I-3D co-development program and assigned its entire right, title and interest in the portfolio to the Company in exchange for royalties on future sales. The Termination Agreement also eliminated the Company's obligation related to payment of potential future development milestones under the Development Agreement. The Company has recorded no costs related to this program during 2011, 2010 or 2009.

Contract Research and Manufacturing Purchase Obligations

The Company often contracts with third parties to facilitate, coordinate and perform agreed upon research and development and manufacturing activities. These contracts typically call for the payment of fees for services at the initiation of the contract and/or upon the achievement of certain milestones. The Company currently intends to continue its research and manufacturing activities as contracted at December 31, 2011. However, should a need arise to cancel activities under these contracts, there can be cancellation fees that could be punitive in nature.

In addition, the Company has contracted with a third party for the manufacture of commercial quantities of Northera prior to the date it anticipates that Northera will receive final marketing approval and might perform similar activities for other of its product candidates in the future. The scale-up and commercial production of pre-launch inventories involves the risk that such products may not be approved for marketing by the appropriate regulatory agencies on a timely basis, or ever. This risk notwithstanding, the Company initiated such activities with its primary supplier of active pharmaceutical ingredient of Northera in December 2010 and had incurred expenses of approximately $3.8 million and approximately $1.9 million related to these activities during 2011 and 2010, respectively. Until final approval to market any of the Company's product candidates is received from the appropriate regulatory agencies, such costs are expensed to research and development. The Company intends to continue such activities during 2012 in order to build pre-launch inventories of Northera prior to final governmental approval. In addition, in October 2011, the Company committed to the purchase of active pharmaceutical ingredient from DSP to be used in the production of commercial inventory in preparation for the market launch of Northera in the United States. The value of this obligation is approximately $7.2 million. A small initial shipment of this material was delivered in the first quarter of 2012. Although the remainder of this material could be shipped at any time within a two-year period following the completion of its manufacture, it is not anticipated to be shipped prior to the commercial launch of Northera in the United States.

Commitments under research and development programs represent contractual commitments entered into for materials and services in the normal course of business and totaled approximately $8.3 million at December 31, 2011.

Other Contractual Obligations

During 2011, the Company contracted with various third parties to facilitate, coordinate and perform agreed upon commercialization support activities in anticipation of the planned launch of Northera in the United States in 2012. These contracts typically call for the payment of fees for services at the initiation of the contract and/or upon the achievement of certain milestones. The Company currently intends to continue these activities as contracted at December 31, 2011. However, should a need arise to cancel activities under these contracts, there can be cancellation fees that could be punitive in nature.

Business activities being performed under these contracts include, but are not limited to, market research, marketing and advertising planning and development, contracted Medical Science Liaison professionals, sales territory mapping, publication planning, sales force recruiting, sales operations support and planning, messaging and website development, public relations and information technology support and planning.

Commitments under these programs represent contractual commitments entered into for materials and services in the normal course of business and totaled approximately $12.2 million at December 31, 2011.

7. Stockholders' Equity

On June 1, 2010, the Company's Certificate of Incorporation was amended to increase the number of authorized shares of capital stock from 65,000,000 shares to 105,000,000 shares and to increase the number of authorized shares of common stock from 60,000,000 shares to 100,000,000 shares.

Preferred Stock

The Company's Certificate of Incorporation provides that the Board of Directors of the Company has the authority to issue up to an aggregate of 5,000,000 shares of preferred stock in one or more classes or series and to

determine, with respect to any such class or series, the designations, powers, preferences and rights of such class or series, and the qualifications, limitations and restrictions thereof, including dividend rights, dividend rates, conversion rights, voting rights, terms of redemption (including sinking fund provisions), redemption prices, liquidation preferences and the number of shares constituting any class or series or the designation of such class or series, without further vote or action by the stockholders.

As of December 31, 2011, no shares of preferred stock were issued and outstanding.

Common Stock

In April 2008, the Company issued 30,612 shares of its common stock, subject to trading restrictions, at a value of approximately $4.90 per share, as consideration for the $150,000 anniversary milestone payment due under its product license agreement with Dr. M. Gopal Nair (see Note 6).

In April 2007, the Company issued 26,643 shares of its common stock, subject to trading restrictions, at a value of approximately $5.63 per share, as consideration for the $150,000 anniversary milestone payment due under its product license agreement with Dr. M. Gopal Nair (see Note 6).

In May 2006, the Company issued 63,131 shares of its common stock as consideration for a product license agreement with DSP (see Note 6), with a value of approximately $4.35 per share, or $274,621.

During April 2004, the Company issued 471,816 common shares as consideration in the product license agreement (see Note 6) and 478,330 shares were sold to Simon Pedder, the Company's President and Chief Executive Officer under the terms of his employment agreement. These shares were valued at what was, at that time, Chelsea's common stock's estimated aggregate fair value of $402 and $408, respectively, with such nominal values reflecting an asset-based valuation methodology.

During 2002, the Company issued 5,428,217 shares of its common stock for a subscription receivable of $4,625.

Warrants

At December 31, 2011 and 2010, the Company had outstanding warrants to purchase 2,875,022 and 5,255,588 shares, respectively, of the Company's common stock. Warrants outstanding as of December 31, 2011 were issued at prices ranging from $2.79 to $5.66 per share.

On March 5, 2010, in conjunction with the 2010 Offering, the Company issued warrants for the purchase of 2,345,000 shares of its common stock. These warrants had an aggregate fair value of approximately $3.9 million, permit the holders to purchase the underlying common shares at $2.79 each or elect a net share settlement and are exercisable in whole at any time, or in part from time to time, during the period commencing six months after the date of issuance and ending three years from the date of issuance. At December 31, 2011, warrants for the purchase of 1,286,764 shares of the Company's stock remained outstanding.

In March 2007, in conjunction with the 2007 Placement (see Note 5), the Company issued warrants for the purchase of 794,492 shares of its common stock. The aggregate fair value of these warrants was approximately $1.3 million. The warrants permit the holders to purchase the underlying common shares at $5.66 each and are exercisable in whole at any time, or in part from time to time, for cash, for five years from the date of issuance.

The warrants are redeemable at par value at the Company's option in the event that the volume weighted-average closing price of the Company's common stock is greater than $12.00 per share for any 20 consecutive trading days provided the Company gives 60 business days' written notice to the holders and simultaneously call all warrants on the same terms. At December 31, 2011, all of these warrants remained outstanding.

In May 2006, in conjunction with and as compensation for activities related to the product license agreement with DSP (see Note 6) and under a finder's agreement, the Company issued warrants to purchase 250,000 shares of its common stock, with an exercise price of $4.31 per share. The exercise of these warrants was conditioned on an event that did not occur until January 2007. As such, in January 2007, the Company recorded a charge based on the warrants' aggregate fair value at that date of $433,750. The warrants permit the holders to purchase the underlying common shares at $4.31 per share, and are exercisable in whole at any time, or in part from time to time, for cash or in a net share settlement, for seven years from the date of issuance. At December 31, 2011, all of these warrants remained outstanding.

In February 2006, in conjunction with the 2006 Placement (see Note 5), the Company issued warrants for the purchase of 2,149,999 shares of its common stock. The allocated aggregate fair value of these warrants was approximately $1.1 million. The warrants permitted the holders to purchase the underlying common shares at $4.20 each and were exercisable in whole at any time, or in part from time to time, for cash, for five years from the date of issuance. In addition, these warrants were redeemable at the Company's option in the event that the volume weighted average closing bid price of its common stock for any 20 consecutive trading days was at least $9.00 per share. These warrants were scheduled to expire in February 2011 and, prior to that date, warrants for the purchase of 2,131,399 shares of common stock had been exercised by the holders. The remaining warrants for the purchase of 18,600 share of common stock remained unexercised and expired in February 2011.

The Company also issued warrants to its placement agent for the 2006 Placement to purchase 716,666 shares of its common stock with an exercise price of 110% of the purchase price per share based on shares sold in the 2006 Placement, or $3.30 per share and an aggregate fair value of approximately $705,000. These warrants are exercisable in whole at any time, or in part from time to time, for cash or in a net share settlement, for seven years from the date of issuance. At December 31, 2011, warrants for the purchase of 543,766 shares of the Company's tock remained outstanding.

In February 2005, in conjunction with and as compensation for facilitating the Merger (see Note 1), the Company issued warrants for the purchase of 105,516 shares of its common stock at an exercise price of approximately $2.62 per share. The aggregate fair value of these warrants was approximately $26,700. These warrants were exercisable in whole at any time, or in part from time to time, for cash or in a net share settlement, for seven years from the date of issuance. At December 31, 2011, all of these warrants had been exercised by the holders.

In December 2004, as compensation for fundraising efforts related to the 2004 Placement (see Note 5), the Company issued warrants to purchase 483,701 shares of its common stock, with a purchase price of 110% of the purchase price per share based on shares sold in the 2004 Placement, or, as converted under terms of the Merger Agreement, approximately $2.89 per share. The aggregate fair value of these warrants was approximately $14,000. The warrants permit the holders to purchase the underlying common shares at $2.88 per share, and were exercisable in whole at any time, or in part from time to time, for cash or in a net share settlement, for seven years from the date of issuance. These warrants were scheduled to expire in December 2011 and, prior to that date, all had been exercised by the holders.

Exercise of Common Stock Warrants

During January and February 2011, various warrant holders exercised their rights to purchase an aggregate of 1,993,444 shares of the common stock of the Company, with an exercise price of $4.20 per share, pursuant to cash exercises whereby the Company received proceeds of approximately $8.4 million. In addition, in December 2011, a warrant holder exercised its right to purchase 37,277 shares of the common stock of the Company, with an exercise price of approximately $2.89 per share, pursuant to a cash exercise whereby the Company received proceeds of approximately $0.1 million.

During 2011, various warrant holders exercised the rights to purchase 331,245 shares of the common stock of the Company, with exercise prices of $2.89 per share, pursuant to cashless exercises whereby the Company, in net share settlements, issued 149,950 shares of its common stock to the various warrant holders based on the excess of the market price over the exercise price on the dates of exercise.

During 2010, a warrant holder exercised the right to purchase 26,379 shares of the common stock of the Company, with an exercise price of $2.62 per share, pursuant to a cashless exercise whereby the Company, in a net share settlement, issued 14,298 shares of its common stock to the warrant holder based on the excess of the market price over the exercise price on the date of exercise. Also in 2010, a warrant holder exercised the right to purchase 1,058,236 shares of the common stock of the Company, with an exercise price of $2.79 per share, pursuant to a cashless exercise whereby the Company, in a net share settlement, issued 661,930 shares of its common stock to the warrant holder based on the excess of the market price over the exercise price on the date of exercise.

During 2010, various warrant holders exercised their rights to purchase an aggregate of 65,555 shares of the common stock of the Company at an exercise price of $4.20 per share pursuant to cash exercises whereby the Company recorded proceeds of approximately $275,000.

During 2009, various warrant holders exercised rights to purchase 119,691 shares of the common stock of the Company, with an average exercise price of approximately $3.27 per share, pursuant to cashless exercises whereby the Company, in net share settlements, issued 63,927 shares of its common stock to the warrant holders based on the excess of the market price over the exercise price on the respective dates of exercise.

During 2008, various warrant holders, on various dates, exercised rights to purchase 100,487 shares of the common stock of the Company, with an average exercise price of approximately $2.91 per share, pursuant to cashless exercises whereby the Company, in net share settlements, issued 57,983 shares of its common stock to the warrant holders based on the excess of the market price over the exercise price on the respective dates of exercise.

During 2008, various warrant holders, on various dates, exercised rights to purchase 11,200 shares of the common stock of the Company at an exercise price of $4.20 per share pursuant to a cash exercise whereby the Company recorded proceeds of $47,040.

During 2007, various warrant holders, on various dates, exercised rights to purchase 116,596 shares of the common stock of the Company, with an average exercise price of approximately $2.90 per share, pursuant to cashless exercises whereby the Company, in net share settlements, issued 68,136 shares of its common stock to the warrant holders based on the excess of the market prices over the exercise prices on the respective dates of exercise.

During 2007, various warrant holders, on various dates, exercised rights to purchase 61,200 shares of the common stock of the Company at an exercise price of $4.20 per share pursuant to a cash exercise whereby the Company recorded cash proceeds, net of expenses, of $252,040.

During 2006, various warrant holders, on various dates, exercised rights to purchase 30,422 shares of the Company's common stock, with an exercise price of approximately $2.89 per share, pursuant to cashless exercises whereby the Company, in net share settlements, issued 15,461 shares of its common stock to the warrant holders based on the excess of the market prices over the exercise prices on the respective dates of exercise.

Stock Options

The Company has a stock incentive plan (the "Plan") under which incentive stock options for 7,400,000 shares of the Company's common stock may be granted. Grants under the Plan may be made to employees (including officers), directors, consultants, advisors or other independent contractors who provide services to the Company or its subsidiary.

Options awards to employees and directors are granted with an exercise price equal to or greater than the market price of the Company's stock at the date of the grant and generally have 10-year contractual terms.

During the years ended December 31, 2011, 2010 and 2009, the Company granted stock options to employees and non-employee directors for the purchase of 1,190,500, 861,000 and 938,290 shares of its common stock, respectively. The grants made during the year ended December 31, 2011 had a weighted-average exercise price of $6.91 per share, a weighted average grant date fair value of $4.60 per share and an aggregate intrinsic value at December 31, 2011 of approximately $0.1 million. The grants made during the year ended December 31, 2010 had a weighted-average exercise price of $3.10 per share, a weighted average grant date fair value of $2.24 per share and an aggregate intrinsic value at December 31, 2011 of approximately $1.7 million. The grants made during the year ended December 31, 2009 had a weighted-average exercise price of $1.99 per share, a weighted average grant date fair value of $1.33 per share and an aggregate intrinsic value at December 31, 2011 of approximately $2.9 million. The aggregate intrinsic value is calculated as the difference between the exercise prices of the underlying awards and the quoted closing price of the common stock of the Company as of December 31, 2011 for those awards that have an exercise price below the quoted closing price. Each option granted to employees and non-employee directors during 2011, 2010 and 2009 vests as to 25% of the shares on each of the first, second, third and fourth anniversary of the vesting commencement date. Following the vesting periods, options are exercisable by employees until the earlier of 90 days after the employee's termination with the Company or the ten-year anniversary of the initial grant, subject to adjustment under certain conditions. Following the vesting periods, options are exercisable by non-employee directors until the earlier of 180 days after they cease to be a member of the Board of Directors or the ten-year anniversary of the initial grant, subject to adjustment under certain conditions.

A summary of the Company's stock option activity and related information since inception is as follows:

	Available For Grant	Activity/ Balance	Wtd Avg Exercise Price
Establish 2002 Option Plan	1,085,648	—	$ —
Balance at December 31, 2002	1,085,648	—	
2003 Activity	—	—	$ —
Balance at December 31, 2003	1,085,648	—	
Cancel 2002 Stock Option Plan	(1,085,648)	—	$ —
Establish 2004 Stock Option Plan	1,085,648	—	$ —
2004 Option grants	(363,835)	363,835	$0.56
Balance at December 31, 2004	721,813	363,835	
2005 Plan Amendment	410,784	—	
2005 Option grants	(761,451)	761,451	$2.66
2005 Cancellations	58,683	(58,683)	$2.62
2005 Exercises	—	(14,663)	$0.07
Balance at December 31, 2005	429,829	1,051,940	
2006 Plan Amendments	1,148,568	—	
2006 Option grants	(668,085)	668,085	$3.61
2006 Cancellations	8,802	(8,802)	$2.62
2006 Exercises	—	(78,683)	$0.06
Balance at December 31, 2006	919,114	1,632,540	
2007 Plan Amendments	1,500,000	—	
2007 Option grants	(665,500)	665,500	$5.72
2007 Exercises	—	(17,868)	$0.88
Balance at December 31, 2007	1,753,614	2,280,172	
2008 Option grants	(837,500)	837,500	$6.11
2008 Cancellations	148,802	(148,802)	$4.95
2008 Exercises	—	(94,230)	$0.63
Balance at December 31, 2008	1,064,916	2,874,640	
2009 Plan Amendments	855,000	—	
2009 Option grants	(938,290)	938,290	$1.99
Balance at December 31, 2009	981,626	3,812,930	
2010 Plan Amendments	1,200,000	—	
2010 Option grants	(861,000)	861,000	$3.10
2010 Cancellations	12,000	(12,000)	$2.93
Balance at December 31, 2010	1,332,626	4,661,930	
2011 Plan Amendments	1,200,000	—	
2011 Option grants	(1,190,500)	1,190,500	$6.91
2011 Cancellations	40,000	(40,000)	$5.07
Balance at December 31, 2011	1,382,126	5,812,430	

As of December 31, 2011, there were 5,812,430 options outstanding under the Plan with a weighted average remaining contractual life of 6.4 years, a weighted average grant date fair value of $2.50 per share and an aggregate intrinsic value at December 31, 2011 of approximately $8.2 million. Also, options for 3,340,660 shares

had vested and were exercisable at December 31, 2011 with a weighted average remaining contractual life of 5.1 years, a weighted average exercise price of $3.86 per share, a weighted average grant date fair value of $1.92 per share and an aggregate intrinsic value at December 31, 2011 of approximately $5.3 million. During the years ended December 31, 2011, 2010 and 2009, no options were exercised. The weighted average exercise price for all vested and unvested options outstanding as of December 31, 2011, 2010 and 2009 is approximately $4.32, $3.66 and $3.79 per share, respectively.

Common Stock Reserved for Future Issuance

Common stock reserved for future issuance consists of the following:

	December 31,	
	2011	2010
Common stock warrants outstanding	2,875,022	3,260,944
Common stock options outstanding	5,812,430	4,661,930
Common stock options available for future grants	1,382,126	1,332,626
	10,069,578	9,255,500

At December 31, 2011, the Company had warrants for the purchase of 2,080,530 shares of its common stock outstanding for which the warrant holders could elect a net share settlement. Based on the market price as of December 31, 2011 and the exercise prices of the warrants that ranged from $2.79 to $4.31 per share, the Company would have issued, in net share settlements, 820,881 shares of its common stock in settlement of these warrants.

8. Income Taxes

All of the Company's tax positions meet the more-likely-than-not recognition threshold, presuming that such tax position would be examined by a relevant taxing authority that has full knowledge of all relevant information. As such, a tabular presentation of those tax benefits is not presented.

From time to time, the Company may be assessed interest or penalties by its tax jurisdictions, although, historically, there have been no such assessments and the Company believes that any potential future assessments would be minimal and immaterial to the Company's results of operations and financial position. In the event the Company receives an assessment for interest and/or penalties, it would be classified in the consolidated financial statements as general and administrative expense.

The Company and its subsidiaries file tax returns in the United States and a small number of state jurisdictions. The statute of limitations for examination of the Company's returns has expired for years prior to 2008. There are no income tax examinations currently in process nor has the Company been subject to examination since inception. The material jurisdictions subject to potential examination by taxing authorities for open tax years primarily include the United States and the State of North Carolina.

The components of the deferred tax assets and the valuation allowance are shown below. The state carryforwards are shown net of federal tax.

	December 31, 2011	December 31, 2010
Deferred tax assets:		
Net operating loss carryforward—Federal	$ 56,534,714	$ 41,803,101
Net operating loss carryforward—State	7,648,814	5,655,714
Licensing costs	1,105,848	816,348
Compensation costs and deferred stock compensation	1,271,272	871,234
Inventory purchases prior to commercialization	2,228,108	746,910
Other temporary differences	(269,087)	(105,334)
	68,519,669	49,787,973
Less valuation allowance	(68,519,669)	(49,787,973)
	$ —	$ —

The reasons for the difference between actual income tax benefit and the amount computed by applying the statutory federal income tax rate to the losses before income tax benefit are as follows:

	December 31, 2011	December 31, 2010
Rate reconciliation:		
Statutory federal rate	-34.00%	-34.00%
State income tax rate (net of federal benefit)	-4.60%	-4.60%
Certain non-deductible expenses	1.47%	1.41%
Effect of increase in valuation allowance	37.13%	37.19%
Effective tax rate	0.00%	0.00%

Given the Company's history of incurring operating losses, the Company's ability to realize its deferred tax assets is not considered more likely than not. As a result, a valuation allowance equal to the total deferred tax assets has been established. The valuation allowance as of December 31, 2011 and 2010 was approximately $68.5 million and $49.8 million, respectively. The increase in the valuation allowance during 2011 is primarily related to the increase in net operating losses.

At December 31, 2011, the Company had potentially utilizable federal and state net operating loss carryforwards of approximately $166.3 million. The net operating loss carryforwards will be begin to expire in various amounts for federal and state tax purposes through 2025 and 2020, respectively.

Under limitations imposed by Internal Revenue Code Section 382, or IRC§382, certain potential changes in ownership of the Company, which may be outside the Company's knowledge or control, may restrict future utilization of these NOL carryforwards. During 2011, the Company undertook, with the assistance of its tax advisors, a detailed study in order to determine any potential IRC§382 limitations on its ability to utilize, in future periods, its federal NOL carryforwards. This detailed study resulted in a determination that there had been two ownership changes previously, as defined by IRC§382, limiting the Company's NOLs available for federal tax purposes to approximately $104.9 million at December 31, 2011. However, all NOLs would be available for

use prior to their expiration, resulting in no adjustment to the tax provision and disclosure at December 31, 2011. In future years, after utilizing the $104.9 million of NOLs currently available and any unrestricted future tax losses generated, the use of the remainder of the Company's NOLs at December 31, 2011 would be limited to approximately $8.9 million annually for years from 2012 to 2013, approximately $7.6 million for 2014, approximately $4.1 million annually for the years from 2015 to 2022 and the remainder in 2023. Any portion of an NOL limited by IRC§382 not used in a given year can be carried forward to subsequent years. Any NOLs generated during periods since the date of the most recent ownership change and those that might be generated in any future periods can be used without restriction unless a future ownership change occurs.

Although a detailed study has not been completed, similar limitations might be expected under Internal Revenue Code Section 383, or IRC§383, on the utilization of research and development tax credits that may be available to the Company. The Company is currently unable to fully estimate the impact of any such available research and development tax credits and any related IRC§383 limitations nor has it undertaken the steps necessary to fully estimate the potential benefits that may be available to it from the utilization of research and development tax credits in future periods.

In November 2010, the Company received proceeds of approximately $488,000 for two grants awarded under the Qualifying Therapeutic Discovery Project Credit. These grants were awarded to the Company for research and development efforts related to its two late-stage clinical programs and were classified in the consolidated financial statements as a reduction in research and development expense.

9. Savings and Retirement Plan

During 2005, the Company established a savings and retirement plan under Section 401(k) of the Internal Revenue Code that allows eligible employees to annually contribute a portion of their annual salary to the plan. The Company matches such contributions up to a maximum of 4% of the employee's compensation, as defined. For the years ended December 31, 2011, 2010 and 2009, the Company made contributions of approximately $211,000, $143,000 and $120,000, respectively.

10. Subsequent Events

Common Stock Offerings

On January 11, 2012, the Company raised gross proceeds of approximately $23.7 million through the sale of 4,989,275 shares of its common stock in a publicly-marketed offering. These shares were offered pursuant to the Company's 2011 shelf registration statement, as amended effective January 5, 2012 pursuant to Rule 462(b) to increase the dollar amount of securities available for sale, filed with the SEC under which the Company could offer shares of its common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of $63,950,000. Such registration statement became effective as of January 19, 2011. There are no more securities available under the Company's 2011 shelf registration. In connection with this offering, the Company paid commissions and other offering-related costs of approximately $1.6 million, resulting in net proceeds to the Company of approximately $22.1 million.

On February 8, 2012, the Company amended its shelf registration statement, originally filed on January 26, 2012, with the SEC, under which the Company may offer shares of its common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of $100,000,000. Such registration statement, as amended, became effective as of February 9, 2012.

Grant of Stock Options

Through March 7, 2012, the Company granted options for the purchase of 1,309,000 shares of its common stock to employees and non-employee directors. These grants had a weighted average exercise price of $4.60 per share, a weighted average fair value of $3.09 per share and were granted at an exercise price equal to or greater than the closing market value of the Company's stock on the dates of grant.

Exercise of Common Stock Warrants

Through March 7, 2012, a warrant holder exercised the right to purchase 57,000 shares of the common stock of the Company, with an exercise price of $3.30 per share, pursuant to a cashless exercise whereby the Company, in a net share settlement, issued 17,148 shares of its common stock to the warrant holder based on the excess of the market price over the exercise price on the date of exercise.

Directors:

- **Kevan Clemens, PhD** – Chairman, Former Executive VP/Business Director, Hoffmann-La Roche
- **Simon Pedder, PhD** - President and Chief Executive Officer, Chelsea Therapeutics International, Ltd.
- **Norman Hardman, PhD** - President and Chief Executive Officer of Oxalis Partners LLC
- **Johnson Y.N. Lau, MB, BS, MD, FRCP** - Executive Chairman of XenoBiotic Laboratories, Inc. and Executive Chairman of the Board of Kinex Pharmaceuticals, LLC
- **William Rueckert, BA** - Managing Member, Oyster Management Group LLC
- **Roger Stoll, PhD** - Executive Chairman of Cortex Pharmaceuticals
- **Michael Weiser, MD, PhD** - Co-Chairman, Actin Biomed, LLC

Officers:

- **Simon Pedder, PhD** - President and Chief Executive Officer
- **J. Nick Riehle, MBA** – Vice President, Administration & Chief Financial Officer
- **L. Arthur Hewitt, PhD** – Chief Scientific Officer
- **William D. Schwieterman, MD** – Chief Medical Officer
- **Keith Schmidt, MBA** - Vice President, Sales and Marketing
- **Joseph Oliveto, MBA** - Vice President, Operations
- **Michael J. Roberts, PhD** – Vice President, Business Development

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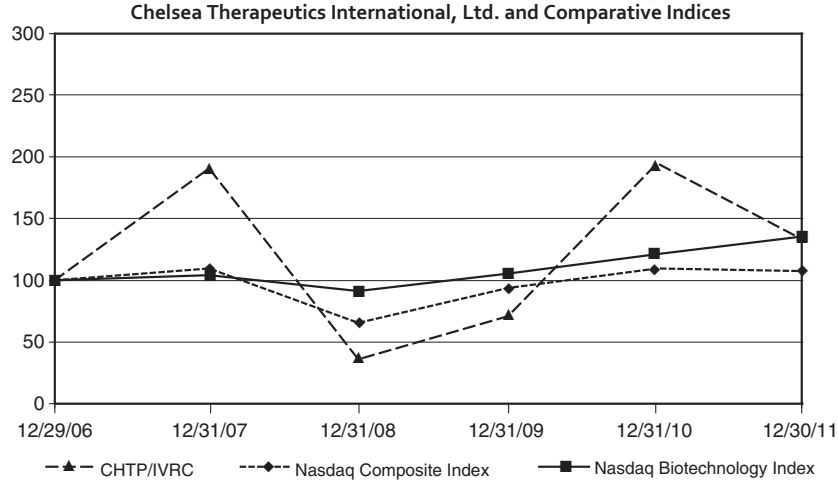
3200 Cherry Creek South Drive, Suite 430
Denver, Colorado 80209
Phone: (303) 282-4800

Stock Listing:

Chelsea Therapeutics International, Ltd. common stock is listed on the Nasdaq Capital Market and quoted under the symbol CHTP



This graph compares our cumulative total stockholder return from December 30, 2006 with those of the Nasdaq Composite Index and the Nasdaq Biotechnology Index. The graph assumes that U.S. $100 was invested on December 30, 2006 in (1) our common stock, (2) the Nasdaq Composite Index, (3) the Nasdaq Biotechnology Index, and that all dividends were reinvested. Note that historic stock price performance is not necessarily indicative of future stock price performance.