ARQULE INC

FORM 10-K
(Annual Report)

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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, 2012 COMMISSION FILE NUMBER: 000-21429

ARQULE, INC.

(EXACT NAME OF REGISTRANT AS SPECIFIED IN ITS CHARTER)

DELAWARE	**04-3221586**
(STATE OR OTHER JURISDICTION OF INCORPORATION OR ORGANIZATION)	(I.R.S. EMPLOYER IDENTIFICATION NO.)

19 PRESIDENTIAL WAY, WOBURN, MASSACHUSETTS 01801
(ADDRESS OF PRINCIPAL EXECUTIVE OFFICES INCLUDING ZIP CODE)
REGISTRANT'S TELEPHONE NUMBER, INCLUDING AREA CODE:
(781) 994-0300
SECURITIES REGISTERED PURSUANT TO SECTION 12(b) OF THE ACT:

(TITLE OF EACH CLASS)	**NAME OF EACH EXCHANGE ON WHICH REGISTERED**
COMMON STOCK, $.01 PAR VALUE	The NASDAQ Stock Market LLC (NASDAQ Global Market)

SECURITIES REGISTERED PURSUANT TO SECTION 12(g) OF THE ACT:
NONE

Indicate by check mark if the registrant is a well-known issuer, as defined in Rule 405 of the Securities Act. Yes ☐ No [X]

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 [X]

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 Act of 1934 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 [X] No ☐

Indicate by check mark whether the registrant has submitted electronically and posted on its Web site, if any, every Interactive Data File required to be submitted and posted pursuant to Rule 405 of Regulation S-T (§ 232.405) of this chapter) during the preceding 12 months (or for such shorter period that the registrant was required to submit and post such files). Yes [X] 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. [X]

Indicate by check mark whether the registrant is large accelerated filer, an accelerated filer, or a non-accelerated filer. See definition of "accelerated filer and large accelerated filer" in Rule 12b-2 of the Exchange Act. (Check One)

Large accelerated filer ☐	Accelerated filer [X]	Non-accelerated filer ☐ (Do not check if a smaller reporting company)	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 [X]

The aggregate market value of voting and non-voting common stock held by non-affiliates of the registrant as of June 30, 2012 was: $368,807,663.

There were 62,863,205 shares of the registrant's common stock outstanding as of February 25, 2013.

DOCUMENTS INCORPORATED BY REFERENCE

Portions of the definitive proxy statement for the Registrant's Annual Meeting of Stockholders to be held on May 20, 2013, which will be filed with the Securities and Exchange Commission not later than 120 days after the registrant's fiscal year end of December 31, 2012, are incorporated by reference into Part III of the Form 10-K.

FORWARD-LOOKING STATEMENTS

You should carefully consider the risks described below together with all of the other information included in this Form 10-K, including Item 1A "Risk Factors," before making an investment decision. An investment in our common stock involves a high degree of risk. We operate in a dynamic and rapidly changing industry that involves numerous uncertainties. The risks and uncertainties described below are not the only ones we face. Other risks and uncertainties, including those that we do not currently consider material, may impair our business. If any of the risks discussed below actually occur, our business, financial condition, operating results or cash flows could be materially adversely affected. This could cause the trading price of our common stock to decline, and you may lose all or part of your investment.

This Form 10-K, including information incorporated herein by reference, contains forward-looking statements as defined in the Private Securities Litigation Reform Act of 1995. All statements that are not descriptions of historical fact are forward-looking statements, based on estimates, assumptions and projections that are subject to risks and uncertainties. These statements can generally be identified by use of forward looking terminology such as "believes", "expects", "intends", "may", "will", "plans", "should", "anticipates," "potential" or similar terminology. Although we believe that the expectations reflected in such forward looking statements are reasonable as of the date thereof, such expectations are based on certain assumptions regarding preclinical activities with our AKIP ™ technology, the progress of other product development efforts including clinical trials, the prosecution of existing and efforts to execute new collaborative agreements, receipt of potential milestones and royalties under our collaborative agreements, government regulations, reliance on third parties to conduct clinical trials and perform research and analysis services, adequate financial resources, changes in economic and business conditions, and other factors relating to our growth. Such expectations may not materialize if product development efforts, including any necessary trials of our potential drug candidates, are delayed or suspended, if our compounds fail to demonstrate safety and efficiency, if positive early results are not repeated in later studies or in humans, if the therapeutic and value of our compounds are not realized, if planned acquisitions or negotiations with potential collaborators are delayed or unsuccessful, if we are unsuccessful at integrating acquired assets or technologies, or if other assumptions prove incorrect. The forward- looking statements contained herein represent the judgment of ArQule as of the date of this Form 10-K. ArQule disclaims any intent or obligation to update any forward-looking statement except to the extent required by law.

ARQULE, INC.
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PART I

ITEM 1. BUSINESS

BUSINESS OVERVIEW

We are a clinical-stage biotechnology company engaged in the research and development of innovative cancer therapeutics. Our mission is to produce novel drugs with differentiated mechanisms of action that will extend the lives of our patients. These drugs target biological pathways implicated in a wide range of cancers. We employ technologies such as our ArQule Kinase Inhibitor Platform ("AKIP ™") to design and develop drugs that have the potential to fulfill this mission.

Our product candidates and programs span a continuum of research and development ranging from drug discovery to advanced clinical testing. They are based on our understanding of biological processes that lead to the proliferation and metastasis of cancer cells, combined with our ability to generate product candidates possessing certain pre-selected, drug-like properties. We believe that these qualities, when present from the earliest stages of product development, increase the likelihood of producing safe, effective and marketable drugs. Our discovery and development efforts are also guided by an understanding of the role of biomarkers, which are indicators of a particular biological condition or process and may predict the clinical benefit of our compounds in defined patient populations.

Our lead product candidate is tivantinib (ARQ 197), an orally administered, small molecule inhibitor of the c-Met receptor tyrosine kinase ("MET") and its biological pathway. MET is a promising target for cancer therapy, based on its multiple roles in cancerous cell proliferation, tumor spread, new blood vessel formation and resistance to certain drug therapies. We and our partners, Daiichi Sankyo Co., Ltd. ("Daiichi Sankyo") and Kyowa Hakko Kirin Co., Ltd. ("Kyowa Hakko Kirin"), are implementing a clinical development program designed to realize the broad potential of tivantinib as a single agent and in combination with other anti-cancer therapies in a number of disease indications. Our strategy is to focus on the most promising indications within our clinical programs based upon continually generated and updated data. Our most advanced indication is liver cancer (hepatocellular carcinoma or "HCC"). We are also completing earlier-stage combination therapy trials with tivantinib and other anti-cancer agents that may provide data to support later-stage trials in additional indications.

On January 31, 2013, we announced that the first patient had been enrolled in the pivotal Phase 3 METIV (ME T-high patients with Tiv antinib in

HCC) trial of tivantinib for patients diagnosed with HCC who have received one or two prior systemic anti-cancer therapies. The METIV trial is a randomized, double-blind, controlled study of previously treated patients with MET-high inoperable HCC who will receive tivantinib as a single agent or placebo. The primary endpoint of this trial is overall survival ("OS"), and the secondary endpoint is progression-free survival ("PFS"). Approximately 300 patients are planned to be enrolled at approximately 120 clinical sites worldwide. This trial is being conducted under a Special Protocol Assessment ("SPA") agreement with the U.S. Food and Drug Administration ("FDA"). The METIV trial builds upon the results of a randomized, double-blind, placebo controlled, Phase 2 trial in HCC announced in January 2012 demonstrating that treatment with tivantinib as single agent therapy produced a statistically significant improvement in the primary endpoint of time-to-progression ("TTP") in previously treated patients. Patients with higher levels of MET who were treated with tivantinib in this Phase 2 trial experienced pronounced benefit in prolonged TTP. Additional data from this trial, presented at the Annual Meeting of the American Society of Clinical Oncology ("ASCO") in June 2012, demonstrated significant improvements in median overall survival ("OS") and progression-free survival ("PFS") in these MET-high patients.

On January 11, 2013, we announced the top-line results of a randomized Phase 2 signal generation trial of tivantinib used in combination with irinotecan and cetuximab in patients with refractory or relapsed colorectal cancer ("CRC"). Although the trial did not meet its primary endpoint of PFS, the analysis of the patients enrolled (n=122) showed that median PFS was 8.3 months in the experimental arm (patients treated with irinotecan and cetuximab plus tivantinib), compared with 7.3 months in the control arm (patients treated with irinotecan and cetuximab plus placebo) (hazard ratio = 0.85, 95% CI: 0.55, 1.33). Objective Response Rate ("ORR"), a secondary endpoint, was 45 percent in the experimental arm versus 33 percent in the control arm but the difference was not statistically significant. The PFS results obtained in both the control arm and

the experimental arm were longer than expected compared to previously published historical norms. Additional data and analyses from this trial are planned for presentation at a future medical meeting and will include mature OS data as well as analyses of patient sub-groups, biomarker status and regional variability, including pre- and post-study treatments. Adverse events were reported at similar rates in the experimental and control arms, except for increased neutropenia observed in the experimental arm, with no discontinuations of treatment for this reason. No treatment-emergent adverse events leading to death were assessed as related to study treatment. Tivantinib was generally well tolerated in combination with the doses of cetuximab and irinotecan studied in this trial.

On October 2, 2012, we and Daiichi Sankyo announced that the independent Data Monitoring Committee ("DMC") of the Phase 3 MARQUEE (M et inhibitor ARQ 197 plus E rlotinib vs. E rlotinib plus placebo in NSCLC) trial in non-squamous cell NSCLC recommended the study be discontinued early following a planned interim analysis, when they concluded that the study would not meet its primary endpoint of improved OS. Although the interim analysis showed a statistically significant improvement in PFS in the intent-to-treat (ITT) population, this benefit did not carry over to OS. There were no safety concerns identified by the DMC during this interim analysis. MARQUEE is a randomized, double-blind, controlled pivotal trial conducted under an SPA to evaluate tivantinib in combination with erlotinib, an approved anti-cancer agent, in previously treated patients with locally advanced or metastatic, non-squamous NSCLC. We and Daiichi Sankyo have provided information regarding the study discontinuation to health authorities and those clinical investigators participating in studies of tivantinib. Data from this study will be presented at an upcoming peer review forum. Our analysis of these data will inform our decisions regarding potential further development in NSCLC or in certain biomarker-defined sub-groups within this disease population. In NSCLC, we are also conducting a Phase 2, randomized trial of tivantinib and erlotinib in patients with a mutated form of the KRAS gene.

On October 30, 2012, we reported that we had been informed by Kyowa Hakko Kirin that it will permanently suspend enrollment in its ongoing Phase 3 ATTENTION (A sian T rial of T ivantinib plus E rlotinib for N SCLC without EGFR Muta tion) trial following the recommendation of an independent Safety Review Committee ("SRC") in Japan after the reporting of cases of interstitial lung disease ("ILD") in the study as a drug-related adverse event. It is our understanding that patients who were enrolled in the ATTENTION trial at the time of the safety finding can continue to receive treatment with the combination of tivantinib and erlotinib upon request from the patient and investigator, and after providing new informed consent. Data from the trial is expected in late 2013 or early 2014. The ATTENTION trial is investigating the use of tivantinib and erlotinib versus erlotinib and placebo in second line non-squamous NSCLC patients with the wild-type form of the EGFR gene. This trial is being conducted by Kyowa Hakko Kirin in Japan, South Korea and Taiwan.

We have licensed commercial rights to tivantinib for human cancer indications to Daiichi Sankyo in the U.S., Europe, South America and the rest of the world, excluding Japan and certain other Asian countries, where we have licensed commercial rights to Kyowa Hakko Kirin. Our agreements with these partners provide for possible future milestone payments, royalties on product sales, and development funding, in addition to significant payments that we have already received. The most recent milestone payments under these agreements were made during 2011, when we received $25 million from Daiichi Sankyo resulting from the dosing of the first patient in the MARQUEE trial and $10 million from Kyowa Hakko Kirin resulting from dosing of the first patient in the ATTENTION trial.

Our proprietary pipeline is directed toward molecular targets and biological processes with demonstrated roles in the development of human cancers. The most advanced candidates in this pipeline are ARQ 087, an inhibitor of fibroblast growth factor receptor, ARQ 621, an inhibitor of the Eg5 kinesin motor protein, and ARQ 736, an inhibitor of the RAF kinases, all of which are in Phase 1 clinical development.

Our drug discovery efforts are focused primarily on AKIP ™, which we are using to generate compounds designed to inhibit kinases without competing with adenosine triphosphate ("ATP") for binding to the target kinase, as well as other types of kinase inhibitors. ATP is a chemical found in all living cells and is the energy source involved in a variety of physiological processes. We have assessed the potential of AKIP ™ to target multiple kinases in oncology and other therapeutic areas, and we are generating and validating compounds that inhibit these kinases. Our additional drug discovery efforts have utilized our proprietary library of

compounds generated in the course of our previous chemistry services business to identify potential candidates for clinical development.

PRODUCT CANDIDATES

Tivantinib (ARQ 197): Lead Product Candidate

We are developing our lead product candidate, tivantinib, with our partner, Daiichi Sankyo, in the U.S., Europe, South America and the rest of the world, excluding Japan and certain other Asian countries, where we have licensed commercial rights to Kyowa Hakko Kirin. Tivantinib is an inhibitor of MET that does not compete with ATP. We believe that MET is a promising target for cancer therapy based on its multiple roles in cancerous cell proliferation, tumor spread, new blood vessel formation and resistance to certain drug therapies.

We and our partners are implementing a clinical development program designed to realize the broad potential of tivantinib as a single agent and in combination with other anti-cancer therapies. We are conducting trials in a number of indications, the most advanced of which is HCC, and we are completing earlier-stage combination therapy trials with tivantinib and other anti-cancer agents that may provide data to support later-stage trials in additional indications.

Liver Cancer (Hepatocellular carcinoma or HCC)

Our therapeutic approaches to HCC, our most advanced indication, include evaluating tivantinib as both a single agent and in combination with an approved targeted therapy, sorafenib. On January 31, 2013, we announced that the first patient had been enrolled in the pivotal Phase 3 METIV trial of tivantinib for patients diagnosed with HCC who have received one or two prior systemic anti-cancer therapies. The METIV trial is a randomized, double-blind, controlled study of previously treated patients with MET-high inoperable HCC who will receive tivantinib as a single agent or placebo. The primary endpoint is OS, and the secondary endpoint is PFS. Approximately 300 patients are planned to be enrolled at approximately 120 clinical sites worldwide. This trial is being conducted under an SPA agreement with the FDA. An SPA is an agreement establishing the design, endpoints and statistical analysis of a clinical trial intended to provide the necessary data, depending on the outcome of the trial, which could support the filing of a New Drug Application (“NDA”). Final marketing approval depends on the results of the trial.

The METIV trial builds upon the results of a randomized, double-blind, placebo controlled, Phase 2 trial in HCC initially announced in January 2012 demonstrating that treatment with tivantinib as single agent therapy produced a statistically significant 56 percent improvement in the primary endpoint of TTP in the ITT population of previously treated patients. The 107 patients in this trial had unresectable HCC and had experienced disease progression after first-line therapy or were unable to tolerate such therapy. TTP was defined as the time from patient randomization until objective tumor progression using RECIST (Response Evaluation Criteria in Solid Tumors) 1.1 criteria evaluated by central radiological review.

Additional data from this trial were presented at the ASCO meeting in June 2012. Patients with higher levels of MET in the Phase 2 trial who were treated with tivantinib experienced pronounced, statistically significant improvements in TTP, PFS and OS. In this sub-group of 37 patients, median OS in the tivantinib arm (22 patients) was 7.2 months, and median OS in the placebo arm (15 patients) was 3.8 months (HR=0.38; log rank p-value=0.01); median TTP in the tivantinib arm was 2.9 months, and median TTP in the placebo arm was 1.5 months (HR=0.43, log rank p-value=0.03); median PFS in the tivantinib arm was 2.4 months, and median PFS in the placebo arm was 1.5 months (HR=0.45, log rank p-value=0.02).

At the start of the Phase 2 trial, patients were randomized to receive tivantinib at 360 milligrams twice daily (“BID”) or placebo. Due to the rate of neutropenia, or an abnormally low count of white blood cells that help fight infections, the tivantinib dose was reduced to 240 milligrams BID for all patients. Adverse events were reported at similar rates in the treatment and placebo arms, except for a higher incidence of fatigue and hematologic events, including neutropenia and anemia, in tivantinib-treated patients. The incidence of these types of events declined following dose reduction. We continue to monitor the safety profile of tivantinib in patients with HCC, among whom underlying cirrhosis and compromised liver function may limit the body’s ability to process tivantinib and thereby increase such toxicity. Among these patients, the recommended dose of tivantinib is 240 milligrams BID.

With respect to combination therapy for HCC, we presented data from a Phase 1b study at the June 2012 ASCO meeting showing that the combination of tivantinib at 240 milligrams BID plus sorafenib, an approved anti-cancer therapy, at 400 milligrams BID was well tolerated in patients with HCC. Preliminary evidence of anti-cancer activity was observed in this patient population, and the antitumor activity observed in this trial suggests that the combined inhibition of MET activity by tivantinib and angiogenic signaling by sorafenib may have therapeutic potential in HCC.

Non-small cell lung cancer

MARQUEE Phase 3 Trial

On October 2, 2012, we and Daiichi Sankyo announced that the independent DMC of the Phase 3 MARQUEE trial in non-squamous cell NSCLC recommended the study be discontinued early following a planned interim analysis, when they concluded that the study would not meet its primary endpoint of improved OS. Although the interim analysis showed a statistically significant improvement in PFS in the ITT population of non-squamous cell NSCLC, this benefit did not carry over to OS. Secondary endpoints include OS in the subpopulation of patients with epidermal growth factor

receptor (EGFR) wild type, PFS in the ITT population, and further assessment of the safety of tivantinib in combination with erlotinib. There were no safety concerns identified by the DMC to Daiichi Sankyo or us during this interim analysis.

MARQUEE is a randomized, double-blind, controlled pivotal trial conducted under an SPA to evaluate tivantinib in combination with erlotinib, an approved anti-cancer agent, in previously treated patients with locally advanced or metastatic, non-squamous NSCLC. Approximately 1,000 patients were recruited in MARQUEE from more than 200 clinical sites worldwide. We and Daiichi Sankyo have provided information regarding the study discontinuation to health authorities and those clinical investigators participating in studies of tivantinib. Data cut-off from this study occurred in December 2012, and related analyses are expected to be presented at a peer reviewed forum in 2013. Our analysis of these data will inform our decisions regarding potential further development in NSCLC or in certain biomarker-defined sub-groups within this disease population.

We incorporated into the SPA for the MARQUEE trial a broad genotyping and biomarker program designed to expand what is an evolving understanding of the biology of MET and of tivantinib. In addition, we continue to investigate and add to our understanding of the profile of tivantinib and its metabolites to better characterize their scope and effect as anti-cancer agents. These efforts include the generation and interpretation of clinical and pre-clinical data by us, our partners and third parties suggesting potential anti-cancer activity in addition to MET inhibition. In this regard, certain preclinical experiments have demonstrated that tivantinib has activity against cells that harbor little or undetectable levels of MET, suggesting an additional mechanism or mechanisms in those settings, including mitotic arrest, or the possible involvement of cellular mechanisms and signaling pathways activated by MET. Although it is unclear what effect such activity may have in clinical settings, data from randomized, controlled clinical trials demonstrate that tivantinib has greater benefit for patients who have tested positive for high MET status while showing less activity in MET low populations. As a result, ArQule believes that MET status remains the most significant biomarker for further development of the drug, and we, our partners, and academic collaborators intend to focus on such patient populations in a number of tumor types. We will pursue these and future findings to inform our decisions regarding additional clinical settings and patient populations for tivantinib.

ATTENTION Phase 3 Trial

On October 30, 2012, we reported that we had been informed by Kyowa Hakko Kirin that it will permanently suspend enrollment in its ongoing Phase 3 ATTENTION trial in non-squamous cell NSCLC following the recommendation of an independent SRC in Japan after the reporting of cases of ILD in the study as a drug-related adverse event. It is our understanding that patients who were enrolled in the ATTENTION trial at the time of the safety finding can continue to receive treatment with the combination of tivantinib and erlotinib upon request from the patient and investigator and after providing new informed consent. Data from the trial are expected in late 2013 or early 2014.

The ATTENTION trial is investigating the use of tivantinib and erlotinib versus erlotinib and placebo in second line non-squamous NSCLC patients with wild-type EGFR. This trial is being conducted by Kyowa

Hakko Kirin in Japan, South Korea and Taiwan to compare OS of patients treated with tivantinib and erlotinib to OS in patients treated with placebo and erlotinib. The design of this trial is based on the results of clinical studies conducted by Kyowa Hakko Kirin in Japan and those conducted by Daiichi Sankyo and us in the U.S. and Europe.

KRAS Mutation-Positive Phase 2 Trial

We are continuing to enroll patients in a Phase 2, randomized open label trial of tivantinib and erlotinib in NSCLC patients with a mutated form of the KRAS gene. We selected this patient population based on a signal of clinical benefit observed among KRAS-mutant patients who comprised a sub-group in our previous randomized Phase 2 trial in NSCLC. The primary endpoint of this trial is PFS, and secondary endpoints include OS, ORR and safety. Approximately 100 patients will be enrolled at clinical sites in the U.S., and we expect to have data from this trial in 2013.

Colorectal cancer trial

On January 11, 2013, we announced the top-line results of a randomized Phase 2 signal generation trial of tivantinib used in combination with irinotecan and cetuximab in patients with refractory or relapsed CRC. Although the trial did not meet its primary endpoint of PFS, the analysis of the patients enrolled (n=122) showed that median PFS was 8.3 months in the experimental arm (patients treated with irinotecan and cetuximab plus tivantinib), compared with 7.3 months in the control arm (patients treated with irinotecan and cetuximab plus placebo) (hazard ratio = 0.85, 95% CI: 0.55, 1.33). Objective response rate (“ORR”), a secondary endpoint, was 45 percent in the experimental arm versus 33 percent in the control arm but the difference was not statistically significant. The PFS results obtained in both the control arm and the experimental arm were longer than expected compared to previously published historical norms. Adverse events were reported at similar rates in the experimental and control arms in this trial, except for increased neutropenia observed in the experimental arm, with no discontinuations of treatment for this reason. No treatment-emergent adverse events leading to death were assessed as related to study treatment. Tivantinib was generally well tolerated in combination with the doses of cetuximab and irinotecan studied in this trial. Additional data and analyses from this trial are planned for presentation at a future medical meeting and will include mature OS data as well as analyses of patient sub-groups, biomarker status and regional variability, including pre- and post-study treatments.

The patients enrolled in this trial (U.S. n=67; Russia n=39; Western Europe n=16) had unresectable CRC, progressed following first-line treatment and had tumors expressing the wild-type form of the KRAS gene. The primary objective of the trial was to assess the contribution of tivantinib to the irinotecan and cetuximab treatment regimen. The primary endpoint of the study was PFS, and secondary objectives included OS and ORR. Patients were randomized to receive tivantinib, 360 milligrams twice daily, plus irinotecan and cetuximab, or placebo plus irinotecan and cetuximab. The trial was conducted by Daiichi Sankyo.

National Institutes of Health Program

The National Cancer Institute (NCI), through its Cancer Therapy Evaluation Program (CTEP), has selected tivantinib for study under a Cooperative Research and Development Agreement (CRADA). The CRADA provides financial support for a number of independent investigator-sponsored clinical trials that will examine the safety and spectrum of tivantinib's anti-tumor activity, including new potential indications based on the profile of tivantinib and the role of MET in different diseases. Additionally, it provides support for pre-clinical studies designed to expand the basic understanding and development of tivantinib, including exploration of its potential activity beyond MET inhibition.

Patient enrollment is ongoing with tivantinib as a single agent and in combinations with other anti-cancer therapies in a number of CRADA-sponsored trials. These include Phase 2 single agent trials in prostate cancer (randomized), multiple myeloma and breast cancer, and Phase 2 combination therapy trials in kidney cancer (with or without erlotinib, randomized) and head and neck cancer (with or without cetuximab, randomized). In addition, Phase 1 trials are ongoing with tivantinib as a single agent in pediatric tumors and as part of combination therapies with bevacizumab, pazopanib, topotecan and temsirolimus.

Earlier Clinical Stage Product Candidates

Proprietary Pipeline: ARQ 087, ARQ 621, ARQ 736, ARQ 761

Our proprietary early clinical-stage product pipeline includes: ARQ 087, a multi-kinase inhibitor with pan-fibroblast growth factor receptor (FGFR) activity; ARQ 621, an inhibitor of the Eg5 kinesin motor protein; ARQ 736, an inhibitor of the RAF kinases; and ARQ 761, an activator of the E2F-1 damage response/checkpoint pathway. We initiated a Phase 1 trial with ARQ 087 in late 2012, and Phase 1 clinical testing has been completed with the other compounds in this earlier-stage portfolio. Our strategy with these product candidates is to generate pre-clinical and early clinical data that will inform decisions regarding possible initiation of Phase 2 testing with one or more of them either independently or on a partnered basis. In addition, we may seek areas of potential therapeutic synergy among these product candidates.

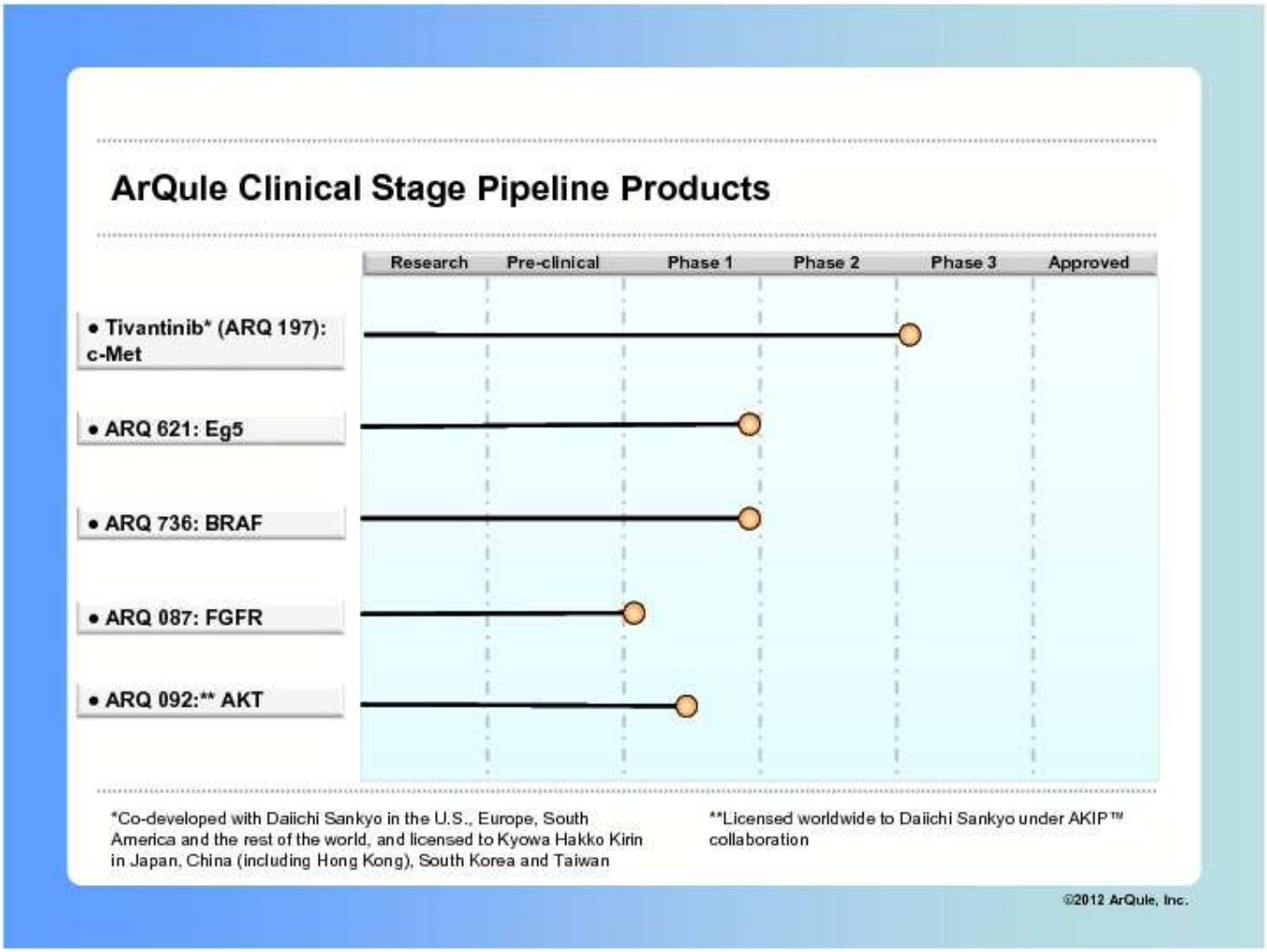
Decisions regarding our early-stage pipeline will also be guided by the following considerations. We believe FGFR, the target of ARQ 087, may represent an attractive focus for anti-cancer therapy based on its important roles in cell proliferation, differentiation, migration, survival, protein synthesis and angiogenesis, as well as its comparatively recent emergence as a novel molecule of interest for targeted therapy in oncology. Eg5, the target of ARQ 621, has not yet been validated, and we are seeking additional scientific evidence that the class of Eg5 inhibitors merits further clinical testing. With respect to ARQ 736, the barriers to entry in the field of RAF kinase inhibitors have become more difficult, as drugs including ipilumimab and vemurafinib have been recently approved for the treatment of late-stage melanoma patients, and additional members of this class and others are in development. ARQ 761 is a second-generation compound from our E2F-1 DNA damage response/checkpoint pathway that we would seek to develop primarily through investigator-sponsored testing.

Partnered Pipeline: ARQ 092

Our partnered early stage product pipeline includes ARQ 092, an AKT inhibitor discovered through our AKIP ™ collaboration with Daiichi Sankyo. On November 10, 2011, Daiichi Sankyo and we announced the execution of a license agreement for the development of ARQ 092, the first compound to emerge from this collaboration. The license agreement provides exclusive rights to Daiichi Sankyo for the development, manufacturing and marketing of ARQ 092 on a worldwide basis. Under this agreement, we received a $10 million upfront payment from Daiichi Sankyo in November 2011, as well as support for an ongoing Phase 1 clinical trial that we are conducting in the U.S. The agreement provides for up to a total of $265 million in upfront, potential development and sales milestone payments for each product selected for clinical development from the AKIP ™ collaboration, as well as tiered, double-digit royalties on net sales.

CLINICAL STAGE DRUG DEVELOPMENT PIPELINE

The chart below displays our clinical stage products, and their stages of development.



DISCOVERY PLATFORM

ArQule Kinase Inhibitor Platform (AKIP ™)

Introduction

An important focus of oncology research and development activities conducted by biopharmaceutical companies is a class of proteins known as kinases, which play pivotal roles in modulating diverse cellular activities and have been implicated as important growth signals for certain forms of cancer and other diseases. The success of kinase inhibitors such as Tarceva®, Gleevec® and Nexavar® has focused attention on the kinase field, resulting in the increased development of next-generation inhibitors that target cancers and other diseases such as inflammation. The global market for protein kinase inhibitors was estimated at $28.1 billion in 2010 and nearly $29.1 billion in 2011. This market is expected to reach $40.2 billion by 2016. The U.S. market for kinase inhibitors was estimated at $10.8 billion in 2010 and $10.4 billion in 2011. This market is expected to reach $11.6 billion by 2016.

We have discovered a novel binding mode of tivantinib to its target that effects inhibition of the MET receptor kinase without competing with ATP for binding to that kinase. We have completed a research program with the objective of querying the human kinome (consisting of 518 human kinase genes) for similar binding sites, and we have identified comparable sites in approximately 270 kinases, some having roles in different therapeutic areas, leading to the establishment of our proprietary drug discovery platform, AKIP ™.

We believe that this platform allows our scientists to rationally design novel kinase inhibitors that encompass new chemical spaces and provide for an expanding intellectual property estate. We are applying our drug discovery capabilities based on AKIP ™ to generate novel, selective and potent compounds that

target the inactive form of kinases. We have assessed AKIP ™'s potential to target multiple kinases in oncology and other therapeutic areas, and we are generating and validating compounds that inhibit these kinase targets. We are actively designing and testing such novel kinase inhibitor compounds *in silico* (on the computer) to create new libraries of lead compounds that can be synthesized and purified rapidly using our proprietary robotic parallel chemistry platform. This platform is coupled to high-throughput robotic-assisted kinase screens and biophysical assays.

We believe the application of our discovery engine to find novel kinase inhibitors will enable us to expand into multiple chemical scaffolds that could generate novel intellectual property. We believe that *in silico* design and testing will shorten drug discovery timelines relative to traditional approaches. Furthermore, the ability of small molecules to inhibit kinases without competing with ATP for binding (the ATP binding site is highly conserved across different kinases) may lead to fewer off-target side effects.

We anticipate that these novel kinase inhibitors, when targeted against selected therapeutically relevant kinases, may have utility in treating a broad range of human diseases in addition to cancer. We will seek to expand the applications of this proprietary drug discovery platform through collaborative research programs as well as through our own internal discovery and development activities in multiple therapeutic areas.

Daiichi Sankyo AKIP ™ Oncology Collaboration

In November 2012, we completed our research collaboration with Daiichi Sankyo, entered into in November 2008, which was our first collaboration based on AKIP ™. Pursuant to this agreement, we applied our proprietary technology and know-how from this platform to discover selective inhibitors of two kinases in the field of oncology. In October 2010, Daiichi Sankyo and we expanded this collaboration by establishing a third therapeutic target, with an option for a fourth, in the field of oncology, and we lengthened the term of the collaboration with a two-year extension (see Corporate Partnerships, Daiichi Sankyo Co., Ltd, Kinase Inhibitor Discovery Agreement below).

On November 10, 2011, Daiichi Sankyo and we announced the execution of a license agreement for the development of a new AKT inhibitor, ARQ 092, the first compound to emerge from the companies' AKIP ™ collaboration. The license agreement provides exclusive rights to Daiichi Sankyo for the development, manufacturing and marketing of ARQ 092 on a worldwide basis. Under this agreement, we received a $10 million upfront fee from Daiichi Sankyo in November 2011.

CORPORATE PARTNERSHIPS

Daiichi Sankyo Co., Ltd.

Tivantinib Agreement

On December 18, 2008, we entered into a license, co-development and co-commercialization agreement with Daiichi Sankyo under which the two companies will collaborate to conduct research, clinical trials and the commercialization of tivantinib in human cancer indications in the U.S., Europe, South America and the rest of the world, excluding Japan, China (including Hong Kong), South Korea and Taiwan, where Kyowa Hakko Kirin has exclusive rights for development and commercialization. On a combined basis, our agreements with Daiichi Sankyo and Kyowa Hakko Kirin (see Kyowa Hakko Kirin Co., Ltd. below), include total upfront payments of $90 million and provide for total upfront and potential milestone payments in excess of $750 million offset by our share of Daiichi Sankyo Phase 3 tivantinib costs.

Our agreement with Daiichi Sankyo provides for a $60 million cash upfront payment from Daiichi Sankyo to us, which we received in December 2008. In addition, it includes an additional $560 million in development and sales milestone payments. The dosing of the first patient in a Phase 3 clinical trial of tivantinib in NSCLC, announced in January 2011, triggered the payment of a $25 million development milestone from Daiichi Sankyo that was received in February 2011. We and Daiichi Sankyo will co-develop and share equally the costs of Phase 2 and Phase 3 clinical studies, with our share of Phase 3 costs payable solely from milestone and royalty payments from Daiichi Sankyo. Future milestone and royalty payments, if any, will be offset by our share of the Phase 3 costs incurred by Daiichi Sankyo. As of December 31, 2012 our portion of these costs was $38.8 million. Daiichi Sankyo has the right to offset future milestone and royalty payments by this amount. On January 31, 2013, we announced that the first patient had been enrolled

in the pivotal Phase 3 METIV trial of tivantinib, entitling us to a $15 million milestone. We will not receive any net cash proceeds from this milestone as it will be netted against our cumulative share of Phase 3 collaboration costs in excess of milestones received of $38.8 million at December 31, 2012. Upon commercialization, we will receive tiered double-digit royalties from Daiichi Sankyo on net sales of tivantinib commensurate with the magnitude of the transaction. We retain the option to participate in the commercialization of tivantinib in the U.S.

The duration and termination of the agreement is tied to future events. Unless earlier terminated due to breach, insolvency or upon 90 days notice if prior to Phase 3 clinical trials or 180 days notice if on or after the beginning of Phase 3 clinical trials by Daiichi Sankyo, the agreement shall continue until the later of (i) such time as Daiichi Sankyo is no longer developing at least one licensed product or (ii) if Daiichi Sankyo has commercialized a licensed product or products, such time as all royalty terms for all licensed products have ended. The royalty term, on a country-by country basis for a product, ends as of the later of (i) the expiration of the last valid claim under a patent covering the manufacture, use, or sale of a licensed product or (ii) a certain number of years from the date of the commercial sale of the licensed product in such country.

We believe this alliance with Daiichi Sankyo will help realize the therapeutic potential of tivantinib and define its utility as monotherapy and as part of combination therapy in multiple cancer indications. It also may allow us to establish a founding commercial presence in the U.S. that will complement Daiichi Sankyo's primary commercialization effort for tivantinib.

On October 2, 2012, we and Daiichi Sankyo announced that the independent Data Monitoring Committee of the Phase 3 MARQUEE trial in non-squamous cell NSCLC recommended the study be discontinued early following a planned interim analysis, when they concluded that the study would not meet its primary endpoint of improved overall survival. Following this decision and the initiation of patient enrollment in the Phase 3 METIV trial in January 2013, HCC has emerged as the most advanced indication for tivantinib under our alliance with Daiichi Sankyo, which remains in effect.

Kinase Inhibitor Discovery Agreement

In November 2012, we completed our research collaboration with Daiichi Sankyo under an agreement entered into in 2008 that was focused on applications of our proprietary AKIP ™ technology and know-how for the discovery of therapeutic compounds that selectively inhibit certain kinases. Within the scope of this collaboration, we have identified a development candidate for one target.

The agreement provides for a $15 million upfront payment, which we received in November 2008, research support for the first two years of the collaboration (which was extended for an additional two years in 2010 and terminated in November 2012), licensing fees for compounds discovered as a result of this research, milestone payments related to clinical development, regulatory review and sales, and royalty payments. We retain the option to co-commercialize licensed products developed under this agreement in the U.S.

The duration and termination of the agreement is tied to future events. Unless earlier terminated due to breach, insolvency or upon 90 days notice by Daiichi Sankyo, the agreement terminates on the later of (i) the expiration of the research collaboration period, or (ii) various periods specified in the agreement for development and commercialization of products. If Daiichi Sankyo has commercialized a licensed product or products, the agreement will continue in force until such time as all royalty terms for all licensed products have ended. The royalty term, on a country-by country basis for a product, ends as of the later of (i) the expiration of the last valid claim under a patent covering the manufacture, use, or sale of a licensed product or (ii) a certain number of years from the date of the commercial sale of the licensed product in such country.

In May 2009 we entered into an agreement with Daiichi Sankyo related to potential future milestones and royalties for our AKIP ™ collaboration, under which we could receive up to a total of $265 million in upfront, potential development and sales milestone payments for each product selected for clinical development. Upon commercialization of a licensed product, we would also receive tiered, double-digit royalties on its net sales.

On November 10, 2011, we and Daiichi Sankyo announced the execution of a license agreement for the development of a new AKT inhibitor, ARQ 092, the first compound to emerge from the companies' AKIP ™ collaboration. The license agreement provides exclusive rights to Daiichi Sankyo for the development,

manufacturing and marketing of ARQ 092 on a worldwide basis. Under this agreement, we received a $10 million upfront fee from Daiichi Sankyo in November 2011, and since then we have received support for an ongoing Phase 1 trial with this compound.

Kyowa Hakko Kirin Co., Ltd.

On April 27, 2007, we announced an exclusive license agreement with Kyowa Hakko Kirin to develop and commercialize tivantinib in Japan and parts of Asia. The agreement includes $123 million in upfront and potential development milestone payments from Kyowa Hakko Kirin to ArQule, including $30 million in upfront licensing payments that we received in 2007.

In addition to the upfront and possible development and regulatory milestone payments totaling $123 million, the Company will be eligible for future milestone payments based on the achievement of certain levels of net sales. The Company will recognize the payments, if any, as revenue in accordance with its revenue recognition policies. As of December 31, 2012, the Company has not recognized any revenue from these sales milestone payments, and there can be no assurance that it will do so in the future.

The duration and termination of the agreement is tied to future events. Unless earlier terminated due to breach, insolvency or upon 90 days notice by Kyowa Hakko Kirin, the agreement terminates on the date that the last royalty term expires in all countries in the territory. The royalty term ends as of the later of (i) the expiration of the last pending patent application or expiration of the patent in the country covering the manufacture, use, or sale of a licensed product or (ii) a certain number of years from the date of the commercial launch in such country of such license product.

Upon commercialization, ArQule will receive tiered royalties in the mid-teen to low-twenty percent range from Kyowa Hakko Kirin on net sales of tivantinib. Kyowa Hakko Kirin will be responsible for clinical development costs and commercialization of the compound in the Asian territory, consisting of Japan, China (including Hong Kong), South Korea and Taiwan.

In February 2008, we received a $3 million milestone payment from Kyowa Hakko Kirin marking their initiation of a Phase 1, dose escalation trial in Japan with tivantinib. This payment was made under the terms of the exclusive license agreement between the two companies.

In September 2010, we received a $5 million milestone payment from Kyowa Hakko Kirin marking their initiation of a Phase 2, single agent trial

with tivantinib in gastric cancer. The primary objective of this trial was to determine disease control rate, defined as a combination of objective responses and stable disease. Secondary objectives included tumor response, progression-free survival and overall survival. Approximately 30 patients were enrolled at clinical trial sites in Japan and Korea.

On August 9, 2011, Kyowa Hakko Kirin announced the dosing of the first patient in its Phase 3 ATTENTION trial of tivantinib in combination with erlotinib in non-squamous NSCLC patients with wild type EGFR, conducted in Japan, South Korea and Taiwan. Dosing of this patient triggered a milestone payment of $10 million to us from Kyowa Hakko Kirin, which we received in August 2011.

On October 30, 2012, we reported that we had been informed by Kyowa Hakko Kirin that it will permanently suspend enrollment in the ATTENTION trial following the recommendation of an independent Safety Review Committee in Japan after the reporting of cases of interstitial lung disease in the study as a drug-related adverse event. It is our understanding that patients who were enrolled in the ATTENTION trial at the time of the safety finding can continue to receive treatment with the combination of tivantinib and erlotinib upon request from the patient and investigator and after providing new informed consent. The terms of our tivantinib licensing agreement with Kyowa Hakko Kirin remain in effect following this recent development. Data from the trial are expected in late 2013 or early 2014.

BUSINESS STRATEGY

Our strategy is to build a fully integrated, commercial-stage biotechnology company that discovers, develops, manufactures, markets and sells safe, innovative, and effective small molecule drugs, currently in the field of oncology. Specifically, we intend to accomplish this through the following activities:

- implementation of a clinical development program across multiple tumor types with our lead product candidate, tivantinib, as monotherapy and in combination with other targeted therapies or cytotoxic agents;

- continued refinement and prioritization of our clinical program with tivantinib based on our expanding knowledge of MET inhibition, the mechanism of action of tivantinib, and emerging data from clinical trials;
- application of our proprietary AKIP ™ drug discovery technology to discover novel drugs in disease indications for which we believe we can develop products with advantages over current therapies or where no current therapy exists;
- evaluation of our proprietary library of compounds generated in the course of our previous chemistry services business to identify potential candidates for clinical development;
- ongoing portfolio prioritization to select our most promising product candidates for further development, thereby focusing our financial investment in areas of greatest potential return, mitigating overall development risk and maximizing market opportunities;
- pursuit of partnerships or alliances with pharmaceutical and biotechnology companies to offset spending, balance risk, and gain expertise;
- maintenance and expansion of our portfolio of patents, know-how and trade secrets; and
- commercialization or co-commercialization of our drugs in the U.S.

2013 Operational Goals

During 2013, we plan to pursue the clinical development of our product candidates and to advance our discovery activities in the following ways:

Tivantinib / MET Program

Initiate and achieve timely progress in patient enrollment in the Phase 3 METIV trial in HCC;

- complete patient enrollment in the Phase 2 KRAS trial and conduct data analysis;
- complete and present final data analyses from the Phase 3 MARQUEE trial with tivantinib and erlotinib in NSCLC;
- complete and present final data analyses from the Phase 2 trial with tivantinib, irinotecan and cetuximab in CRC;
- complete evaluation of clinical data with tivantinib and sorafenib to determine potential further development plans in HCC; and
- support ongoing clinical trials and pre-clinical studies of tivantinib under the National Cancer Institute/Cancer Therapy Evaluation Program sponsorship.

ARQ 087 / FGFR Program

- recruit patients in the ongoing Phase 1 trial in a timely fashion.

ARQ 092 / AKT Program

- complete patient enrollment in the ongoing Phase 1 trial.

Discovery

- explore potential new AKIP ™ collaborations that apply the capabilities of this platform toward validated kinase targets in oncology or other therapeutic areas;
- complete screening of our proprietary library of compounds to identify potential candidates directed toward defined therapeutic targets.

Development and Commercialization Strategy

Our development and commercialization strategy includes the following components:

Grow organically and through business development. We plan to grow both organically and through business development activities that take advantage of our product and technology assets. Organic growth will

be based on our advancement of internally defined product candidates from pre-clinical through clinical development. These candidates will be based upon scientific platforms within the Company and directed toward targets with validated roles in oncogenic processes and potentially in other therapeutic areas. Their design will be informed by our combined expertise in chemistry and cancer biology that we believe differentiates us from many of our competitors.

Simultaneously, we will consider a broad range of business development activities potentially encompassing product and technology acquisitions, licensing agreements and corporate combinations that would help expand the overall scope of product development and potentially accelerate the implementation of a commercialization infrastructure. Such activities offer the opportunity to leverage the capabilities of a potential partner with resources complementary to ours in drug discovery and development. We may also continue to invest in technology and personnel to enhance or expand our capabilities in drug discovery.

Focus on cancer, a market with a large unmet need. Cancer is the second most common cause of death in the U.S. In 2012, approximately 571,000 cancer-related deaths were projected to occur and 1.6 million new cases of cancer were projected to be diagnosed in the U.S. Demographic trends and improved screening are expected to increase the rate of cancer diagnoses, as 78 percent of cancers occur in the over-55 year old population.

Medical therapy for cancer has historically included surgery, cytotoxic (poisonous to cells) chemotherapy and radiation. While chemotherapies have evolved, many are still harmful to all rapidly dividing cells. More recently, a number of alternative therapies that are target-specific have been introduced. We believe that targeted approaches to treating cancer, such as those we are pursuing, have the potential to be more selective for cancer cells than traditional chemotherapies.

Cancer compounds are eligible for potential accelerated regulatory approval, and we will pursue opportunities for such approval as appropriate. Once on the market, with supportive data the agents may be approved for additional indications.

Our most advanced indication, HCC, represents more than 80 percent of primary liver cancers. According to the Journal of Hepatology, liver cancer worldwide accounts for seven percent of all cancers, is the sixth most common cancer with 749,000 new cases, and is the third leading cause of cancer-related death with 692,000 cases. The American Cancer Society has estimated that more than 20,000 liver cancer-related deaths occurred and that more than 28,000 new cases of liver cancer were diagnosed in the U.S. during 2012. Eastern Asia has the highest incidence and mortality rates from the disease.

Utilize our AKIP ™ discovery technology. We have discovered a novel binding mode of tivantinib to its target, the MET receptor kinase. We have completed initial research in the human kinome (consisting of 518 human kinase genes) and identified similar binding sites in approximately 270 kinases, which has led to the establishment of AKIP ™ . We believe we have within this platform the capability to design novel kinase inhibitors with a non-ATP competitive mechanism of action. We will seek to fund and to expand our proprietary drug discovery platform through additional collaborative research programs as well as through our own internal discovery and development activities in multiple therapeutic areas.

Benefit from the resources and strengths of collaborators. In April 2007, we announced that we entered into an exclusive license agreement with Kyowa Hakko Kirin to develop and commercialize tivantinib in Japan and parts of Asia, and in November 2008, we entered into a strategic relationship with Daiichi Sankyo to develop and commercialize tivantinib in those areas of the world not covered by the Kyowa Hakko Kirin agreement. We benefit from the resources and expertise of these partners, and we intend to pursue future partnership arrangements as appropriate when the resources and capabilities of a potential partner complement our strengths in drug discovery and development.

PATENTS AND PROPRIETARY RIGHTS

We rely principally on patent and trade secret protection for our intellectual property, both in the U.S. and other countries. While many patent applications have been filed in the U.S., the European Union ("E.U.") and other foreign countries with respect to our drug candidates, many of these have not yet been issued or allowed. The patent positions of companies in the biotechnology industry and the pharmaceutical industry are highly uncertain and involve complex legal and factual questions. Therefore, we cannot predict the breadth of

claims, if any, that may be allowed under any of our patent applications, or the enforceability of any of our issued patents.

Patents extend for varying periods according to the date of patent filing or grant and the legal term of patents in the various countries where patent protection is obtained. The actual protection afforded by a patent, which can vary from country to country, depends on the type of patent, the scope of its coverage and the availability of legal remedies in the country.

As and when needed to support our current or future research and development programs, we may from time to time obtain rights under patents and other intellectual property owned by other parties through permanent or limited duration licenses or assignments of relevant intellectual property. These may include exclusive and nonexclusive licenses from medical and academic institutions, and industry sources as well as generally available commercial licenses. For our current clinical and research programs, we are not a party to any material intellectual property agreement under which we could lose access to a technology necessary to continue research and development of our products if we failed to fulfill our obligations thereunder. We anticipate that we will continue to seek intellectual property rights from external sources where the applicable technology complements our research and development efforts.

For our MET program, we have two issued patents in the U.S. covering the composition of matter of tivantinib. The U.S. Patent and Trademark Office has determined that the term of the initial patent will be adjusted beyond its normal expiration date of February 2026 to March 2029 (and in addition, there is the possibility of a patent term extension based upon regulatory review) and the second patent will be adjusted beyond its normal expiration date of February 2026 to December 2026. We have issued patents from the Republic of Korea, the Republic of Singapore, Australia, the People's Republic of China, the E.U., Japan, Israel, Mexico, New Zealand, Philippines, Russia and South Africa for composition of matter covering tivantinib. We understand that these patents will expire in February 2026. We also have pending U.S., E.U. and other foreign applications covering the composition of matter and pharmaceutical compositions containing this compound, as well as its therapeutic uses in the treatment of cancer and other diseases. Furthermore, we have an issued patent in the U.S. relating to the preparation of an intermediate in the synthesis of tivantinib, which expires in December 2020.

With respect to the lead compounds in our Eg5, BRAF and FGFR programs, we have issued patents and pending patent applications in the U.S., the E.U. and other foreign jurisdictions covering composition of matter and pharmaceutical compositions of these compounds as well as their therapeutic uses in the treatment of cancer and other diseases. Furthermore, through the application of our AKIP ™ discovery platform to the discovery of small molecule kinase inhibitors, we have filed numerous composition of matter patent applications in various countries.

ARQ 761 is the current lead compound in our E2F-1 Program and we have an issued patent in the U.S. covering the composition of matter of this compound, pharmaceutical compositions containing this compound, and the therapeutic uses of this compound in the treatment of cancer. The U.S. Patent and Trademark Office has determined that the term of the patent will be adjusted beyond its normal expiration date of April 2028 to December 2028. We also have an issued patent in Australia covering the composition of matter of this compound. Additional issued patents in the U.S. for the E2F-1 Program have expiration dates which range from September 2021 to September 2029.

In an effort to maintain the confidentiality and ownership of our trade secrets and proprietary information, we require all of our employees and consultants to sign confidentiality agreements. Employees and consultants involved in scientific and technical endeavors also sign invention assignment agreements. We intend these confidentiality and assignment agreements to protect our proprietary information by controlling the disclosure and use of technology to which we have rights. These agreements also provide that we will own all the proprietary technology developed at ArQule or developed using our resources.

"ArQule", the ArQule logo, and "AKIP ™" are trademarks of ArQule that are registered in the United States and other jurisdictions with applications pending in approximately 20 countries.

COMPETITION

The pharmaceutical and biotechnology industries are highly competitive. We face intense competition from organizations such as large pharmaceutical companies, biotechnology companies and academic and research organizations. The major pharmaceutical and biotechnology organizations competing with us have

greater capital resources, larger overall research and development staff and facilities and considerably more experience in drug development and commercialization. Consequently, we face competition on several fronts, including:

- competition for collaborators and investors;
- recruitment and retention of highly qualified scientific and management personnel;
- competition for qualified subjects for our clinical studies of our drug candidates, which may result in longer and more costly clinical trials;
- with respect to our cancer drug development programs, other companies have potential drugs in preclinical and clinical trials that may result in effective, commercially successful treatments for the same cancers we target;
- advancement of a discovery and development portfolio of anti-cancer candidates that are selective for cancer cells and applicable across a broad spectrum of cancer types; and
- securing partners to co-develop and advance our drug candidates through later-stage clinical trials and beyond.

In the area of small molecule anti-cancer therapeutics, we have identified a number of companies that have clinical development programs and focused research and development in small molecule approaches to cancer, including: Ariad Pharmaceuticals, Inc., Array BioPharma Inc., Astex Therapeutics, Cell Therapeutics, Inc., Curis, Inc., Cytokinetics, Inc., Deciphera Pharmaceuticals, Exelixis, Inc., Evotec AG, GlaxoSmithKline, FORMA Therapeutics, Incyte Corporation, Infinity Pharmaceuticals, Inc., Onyx Pharmaceuticals, Inc., OSI Pharmaceuticals, Inc., Roche and Telik, Inc.

In addition, with respect to tivantinib, we are aware of a number of companies that are or may be pursuing a number of different approaches to MET inhibition, including Amgen Inc., AstraZeneca/Hutchison MediPharma, AVEO Pharmaceuticals, Inc., Bristol-Myers Squibb Company, Cephalon, Inc., Compugen Ltd., Exelixis, Inc., GlaxoSmithKline, Johnson & Johnson, Merck & Co., Inc., Methylgene Inc., Pfizer, Roche, Takeda and Supergen Inc. With respect to HCC, our lead indication, we are aware of a number of companies with products under development, including Eisai Co., Abbott, Eli Lilly, Bayer, and 4SC AG. There can be no assurance that our competitors will not develop more effective or more affordable products or technology or achieve earlier product development and commercialization than ArQule, thus rendering our technologies and/or products obsolete, uncompetitive or uneconomical.

GOVERNMENT REGULATIONS

Virtually all pharmaceutical and biotechnology products that our collaborative partners or we develop will require regulatory approval by governmental agencies prior to commercialization. The nature and the extent to which these regulations apply vary depending on the nature of the products. In particular, human pharmaceutical products are subject to rigorous preclinical and clinical testing and other approval procedures by the FDA or the applicable regulatory authorities in countries other than the U.S. Various federal and, in some cases, state statutes and regulations also govern or influence the manufacturing, safety, labeling, storage, record keeping and marketing of these products. The process of obtaining these approvals and the subsequent compliance with appropriate statutes and regulations are time consuming and require substantial resources, and the outcome of these regulatory activities is uncertain.

Generally, in order to gain marketing authorization, a company first must conduct preclinical studies in the laboratory and in animal models to gain preliminary information on a compound's activity and to identify potential safety problems. Preclinical studies must be conducted in accordance with applicable regulations of the relevant regulatory authority (e.g. FDA in the U.S., European Medicines Agency ("EMA") in E.U.). The results of these studies are submitted as a part of an IND application with the FDA or a Clinical Trial Application ("CTA") application with the appropriate regulatory authority outside of the United States. The regulatory agency involved must review the data in the application before human clinical trials of an investigational drug can commence. If the regulatory authority does not object, a drug developer can begin clinical trials after expiration of a specified statutory period following submission of the application. Notwithstanding that the regulatory authority did not respond during the thirty-day, post-submission review

period, the regulatory authority may at any time re-evaluate the adequacy of the application and require additional information about any aspect of the IND or CTA application and corresponding clinical trial, e.g. preclinical testing, drug formulation and manufacture, dosing regimens and drug administration or potential safety risks.

In order to eventually commercialize any products, we or our collaborator will be required to initiate and oversee clinical studies under an IND or CTA to demonstrate the safety and efficacy that are necessary to obtain marketing approval. Clinical trials are normally done in three phases and generally take several years, but may take longer to complete. Furthermore, a regulatory authority may suspend clinical trials at any time if it believes that the subjects participating in trials are being exposed to unacceptable risks or if the regulatory authority finds deficiencies in the conduct of the trials or other problems with our product under development.

After completion of clinical trials of a new product, regulatory marketing approval must be obtained. If the product is classified as a new pharmaceutical, our collaborator or we will be required to file a New Drug Application ("NDA") or Marketing Authorization Application ("MAA"), and receive approval before commercial marketing of the drug. The marketing application contains, among other things, the results of the non-clinical and clinical testing of the drug. Marketing applications submitted to any regulatory authority can take several years to obtain approval and the regulatory

authority is not obligated to grant approval at all. A regulatory agency can condition marketing approval on the conduct of costly post-marketing follow-up studies or can place restrictions on the sale or marketing of the drug in order to manage risks.

Even if regulatory clearances are obtained, a marketed product is subject to continual review and ongoing regulatory obligations. If and when a regulatory authority approves any of our or our collaborators' products under development, the manufacture and marketing of these products will be subject to continuing regulation, including compliance with current Good Manufacturing Practices ("cGMP"), adverse event reporting requirements and prohibitions on promoting a product for unapproved uses or making false or misleading statements or omissions with respect to a drug in advertising or promotion. Later discovery of previously unknown problems or failure to comply with the applicable regulatory requirements may result in restrictions on the marketing of a product or withdrawal of the product from the market as well as possible civil or criminal sanctions. Various federal and, in some cases, state statutes and regulations also govern or influence the manufacturing, safety, labeling, storage, record keeping and marketing of pharmaceutical products.

For marketing outside the U.S., we or our partners will be subject to foreign regulatory requirements governing human clinical trials, marketing approval and post-marketing activities for pharmaceutical products and biologics. The requirements governing the conduct of clinical trials, product licensing, pricing and reimbursement vary widely from country to country.

EMPLOYEES

As of January 24, 2013, we employed 97 people in Woburn, Massachusetts. Of that total, 72 are engaged in research and development and 25 in general and administration, and 35 hold PhDs, 5 hold MDs and 13 hold Masters Degrees in the sciences.

CERTAIN OTHER INFORMATION

We file annual, quarterly and current reports, proxy statements and other information with the Securities and Exchange Commission ("SEC"). You may read and copy any document we file at the SEC's Public Reference Room at 100 F Street, N.E., Washington D.C. 20549. Please call the SEC at 1-800-SEC-0330 for further information on the public reference room. The SEC maintains a website at *http://www.sec.gov* that contains reports, proxy and information statements and other information concerning filers. We also maintain a web site at *http://www.arqule.com* that provides additional information about our company and links to documents we file with the SEC. The Company's Corporate Governance Guidelines; the charters of the Audit Committee, the Compensation, Nominating and Governance Committee, and the Science Committee; and the Code of Conduct are also available on the Company's website.

EXECUTIVE OFFICERS

Set forth below is certain information regarding our current executive officers, including their respective ages as of February 1, 2013.

NAME	AGE	POSITION
Paolo Pucci	51	Chief Executive Officer and a Director
Peter S. Lawrence	49	President and Chief Operating Officer
Dr. Brian Schwartz	51	Chief Medical Officer

Paolo Pucci
Chief Executive Officer

Mr. Pucci joined ArQule as Chief Executive Officer and a member of the board of directors in June 2008 from Bayer A.G., where he served as senior vice president and president in charge of the Bayer-Schering Pharmaceuticals Global Oncology/Specialized Therapeutics Business Units. Previously Mr. Pucci was senior vice president of Bayer Pharmaceuticals Global Specialty Business Unit, president of U.S. Pharmaceutical Operations and a member of the Bayer Pharmaceuticals Global Management Committee. At Bayer, Mr. Pucci was involved in a broad range of activities related to Nexavar ® (sorafenib), an oral multiple kinase inhibitor to treat liver and kidney cancers. These activities included clinical development, regulatory review, corporate alliance management, product launch and marketing. Mr. Pucci joined Bayer as head of its Italian Pharmaceutical operations in 2001. Prior to Bayer, Mr. Pucci held positions of increasing responsibility with Eli Lilly, culminating with his appointment as managing director, Eli Lilly Sweden AB. At Lilly, his responsibilities included operations, sales, marketing and strategic planning. On November 1, 2011, Mr. Pucci was appointed to the Board of Directors of Dyax Corporation. Mr. Pucci holds an MBA from the University of Chicago and is a graduate of the Universita' Degli Studi Di Napoli in Naples, Italy.

Peter S. Lawrence
President and Chief Operating Officer

Mr. Lawrence joined ArQule as Executive Vice President and Chief Business Officer in April 2006. He was named Chief Operating Officer in October 2007 and President in April 2008. Previously he was at Pod Venture Partners, an international venture capital firm which he co-founded in 2001 and where he most recently served as general partner. He helped drive the strategic growth of that firm, including deal sourcing and structuring, syndication and business expansion activities. Previously, Mr. Lawrence was an attorney and partner at Mintz, Levin, Cohn, Ferris Glovsky and Popeo, P.C., from 1991 to 2001. At Mintz Levin, he served as external corporate counsel to public and private companies, managed a transactional legal

practice and provided strategic guidance to clients through periods of rapid growth and transformative corporate events. His public financing experiences include the initial public offering and numerous financings for America Online Inc. (AOL), as well as public financings for Biogen, Human Genome Sciences, Hybridon and many other companies. He worked on numerous mergers and acquisitions, including Roche/Compuchem, AOL/Time Warner, Steinway Piano, DEC/Intel, and Mitotix/GPC Biotech. Mr. Lawrence worked at Gaston & Snow from 1989 to 1991 in the firm's Corporate Law Department. He holds a Bachelor's degree from Amherst College and a J.D. from Boston University School of Law.

Brian Schwartz, M.D.
Chief Medical Officer

Dr. Schwartz joined ArQule in July 2008 from Ziopharm Oncology, Inc., where as Senior Vice president, clinical and regulatory affairs, and Chief Medical Officer he built and led clinical, regulatory, and quality assurance departments responsible for the development of new cancer drugs. Prior to Ziopharm, Dr. Schwartz held a number of positions at Bayer Healthcare. His experience in oncology has encompassed the clinical development of novel cytostatic, cytotoxic and immunological agents. At Bayer, Dr. Schwartz was a key physician responsible for the global clinical development of Nexavar ® (sorafenib) and led the clinical team through a successful Phase 3 trial in renal cell cancer, leading to FDA approval. He has extensive regulatory experience working with the FDA's Oncology Division, the European Medicines Agency (EMA), and numerous other health authorities. Dr. Schwartz has also been responsible for U.S. clinical and regulatory

activities, including Phase 4 studies and interactions with the National Cancer Institute and other oncology cooperative groups. Dr. Schwartz received his medical degree from the University of Pretoria, South Africa, practiced medicine, and worked at the University of Toronto prior to his career in industry.

ITEM 1A. RISK FACTORS

RISKS RELATED TO OUR INDUSTRY AND BUSINESS STRATEGY

Development of our products is at an early stage and we may not successfully develop a drug candidate that becomes a commercially viable drug.

The discovery and development of drugs is inherently risky and involves a high rate of failure. Discovery and development of commercial drugs are relatively new to us. Our drug candidates and drug research programs are in early stages and require significant, time-consuming and costly research and development, testing and regulatory approvals.

Our leading clinical-stage product candidate, tivantinib, is based on inhibition of the c-Met receptor tyrosine kinase. Our other proprietary clinical-stage products, ARQ 087, ARQ 621 and ARQ 736 are designed to inhibit the fibroblast growth factor receptor, the Eg5 kinesin motor protein, and the RAF kinases, respectively. ARQ 092 (licensed to Daiichi Sankyo) is designed to inhibit the AKT kinase. Our approaches and scientific platforms may not lead to the development of approvable or marketable drugs.

In addition to our clinical-stage programs, we have a limited number of pre-clinical and research-stage programs in our pipeline. Our viability as a company depends, in part, on our ability to continue to create drug candidates for ourselves and our collaborators. Numerous significant factors will affect the success of our drug research and development efforts, including the biology and chemistry complexity involved, availability of appropriate technologies, the uncertainty of the scientific process and the capabilities and performance of our employees. Our research and development capabilities may not be adequate to develop additional, viable drug candidates.

We must show the safety and efficacy of our product candidates through expensive, time consuming preclinical testing and clinical trials, the results of which are uncertain and governed by exacting regulations.

Our product candidates are in clinical or preclinical stages of development and may not prove to be sufficiently safe or effective in more advanced human clinical trials. We will need to conduct extensive further testing of all of our product candidates, expend significant additional resources and possibly partner emerging programs to realize commercial value from any of our product candidates.

Before obtaining regulatory approvals for the commercial sale of our products, we and our collaborative partners must demonstrate through preclinical studies (laboratory or animal testing) and clinical trials (human testing) that our proposed products are safe and effective for use in each target indication. This testing is expensive and time-consuming, and failure can occur at any stage. If we terminate a preclinical or clinical program, we will have expended resources in an effort that will not provide a return on our investment and missed the opportunity to have allocated those resources to potentially more productive uses.

Clinical trials must meet FDA and foreign regulatory requirements. We have limited experience in designing, conducting and managing the preclinical studies and clinical trials necessary to obtain regulatory approval for our product candidates in any country. We or our collaborative partners may encounter problems in clinical trials that may cause us or the FDA or foreign regulatory agencies to delay, suspend or terminate our clinical trials at any phase. These problems could include our inability to manufacture or obtain sufficient quantities of materials produced in accordance with current Good Manufacturing Practice, or cGMP, for use in our clinical trials, conduct clinical trials at our preferred sites, enroll a sufficient number of patients for our clinical trials at one or more sites, or begin or successfully complete clinical trials in a timely fashion, if at all. Furthermore, we, the FDA or foreign regulatory agencies may suspend clinical trials of our product candidates at any time if we or they believe the subjects participating in the trials are being exposed to unacceptable health risks as a result of adverse events occurring in our trials or if we or they find deficiencies in the clinical trial

process or conduct of the investigation.

Acceptable results from initial preclinical studies and clinical trials of products under development are not necessarily indicative of results that will be obtained from subsequent or more extensive preclinical studies

and clinical testing in humans. Clinical trials may not demonstrate sufficient safety and efficacy to obtain the required regulatory approvals or result in marketable products. Failure to adequately demonstrate the safety and efficacy of a product under development will delay and could prevent its regulatory approval.

A number of companies in the pharmaceutical industry, including biotechnology companies, have suffered significant setbacks in advanced clinical trials, even after generating promising results in earlier trials.

Although it is part of our strategy to pursue clinical development to take advantage of available accelerated regulatory approval processes, there is no guarantee that our product candidates will show the evidence predictive of clinical benefit necessary to qualify for such regulatory treatment.

Delays in clinical testing could result in increased costs to us and delay our ability to obtain regulatory approval and commercialize our product candidates.

Clinical trials typically take several years to complete. The duration and cost of clinical trials will vary greatly depending on the nature, complexity, and intended use of the drug being tested. Even if the results of our clinical trials are favorable, the clinical trials of tivantinib and other product candidates will continue for several years and may take significantly longer than expected to complete. Delays in the commencement or completion of clinical testing for tivantinib or pre-clinical or clinical testing for any of our other product candidates could significantly affect our product development costs and business plan. We have experienced a number of clinical trial-related delays and obstacles that increase the risk of tivantinib being approved for the indications that are the focus of these trials.

On October 2, 2012, we and Daiichi Sankyo announced that the independent Data Monitoring Committee ("DMC") of the Phase 3 MARQUEE (M et inhibitor ARQ 197 plus E rlotinib vs. E rlotinib plus placebo in NSCLC) trial in non-squamous cell NSCLC recommended the study be discontinued early following a planned interim analysis, when they concluded that the study would not meet its primary endpoint of improved OS. Although the interim analysis showed a statistically significant improvement in PFS in the intent-to-treat (ITT) population, this benefit did not carry over to OS. We and Daiichi Sankyo have provided information regarding the study discontinuation to health authorities and those clinical investigators participating in studies of tivantinib. Data from this study will be presented at an upcoming peer review forum. Our analysis of these data will inform our decisions regarding potential further development in NSCLC or in certain biomarker-defined sub-groups within this disease population. In NSCLC, we are also conducting a Phase 2, randomized trial of tivantinib and erlotinib in patients with a mutated form of the KRAS gene. We cannot predict if the data from either of these trials will lead to further testing or approval of tivantinib for the treatment of NSCLC.

On October 30, 2012, we reported that we had been informed by Kyowa Hakko Kirin that it will permanently suspend enrollment in its ongoing Phase 3 ATTENTION (A sian T rial of T ivantinib plus E rlotinib for N SCLC without EGFR Mutat ion) trial following the recommendation of an independent Safety Review Committee ("SRC") in Japan after the reporting of cases of interstitial lung disease ("ILD") in the study as a drug-related adverse event. It is our understanding that patients who were enrolled in the ATTENTION trial at the time of the safety finding can continue to receive treatment with the combination of tivantinib and erlotinib upon request from the patient and investigator and after providing new informed consent. Data from the trial is expected in late 2013 or early 2014. The ATTENTION trial is investigating the use of tivantinib and erlotinib versus erlotinib and placebo in second line non-squamous NSCLC patients with the wild-type form of the EGFR gene. This trial is being conducted by Kyowa Hakko Kirin in Japan, South Korea and Taiwan. We cannot predict if the data from this trial will lead to further testing or approval of tivantinib for the treatment of NSCLC.

On January 11, 2013, we announced the top-line results of a randomized Phase 2 signal generation trial of tivantinib used in combination with irinotecan and cetuximab in patients with refractory or relapsed colorectal cancer ("CRC"). The trial did not meet its primary endpoint of PFS. Additional data and analyses from this trial are planned for presentation at a future medical meeting, but we cannot predict if these data and analyses will lead to further testing or approval of tivantinib in CRC.

At any time, a clinical trial can be placed on "clinical hold" or temporarily or permanently stopped for a variety of reasons, principally for safety concerns. We may experience numerous unforeseen events during, or

as a result of, the clinical trial process that could delay or prevent us from receiving regulatory approval or commercializing our product candidates, including the following:

- our clinical trials may produce negative or inconclusive results, and we may decide, or regulators may require us, to provide additional

information about formulation or manufacture of our product candidates or clinical trial design or to conduct additional clinical and/or pre-clinical testing or to abandon programs;

- we may experience delays related to reaching agreement on acceptable terms with prospective clinical research organizations, or CROs, clinical investigators and trial sites, the terms of which can be subject to extensive negotiation and may vary significantly among different CROs, clinical investigators and trial sites;
- we may be unable to manufacture or obtain sufficient quantities of a product candidate for use in clinical trials;
- trial results may not meet the level of statistical significance required by the FDA or other regulatory agencies;
- enrollment in our clinical trials for our product candidates may be slower than we anticipate, resulting in significant delays;
- we, or regulators, may suspend or terminate our clinical trials if the participating patients are being exposed to unacceptable health risks;
- the effects of our product candidates on patients may not be the desired therapeutic effects or may include undesirable side effects or other characteristics that may delay or preclude regulatory approval or limit their commercial use, if approved; and
- the FDA or other regulatory agencies may lack experience in evaluating the safety and efficacy of drugs based on our development platforms, which could lengthen the regulatory review process.

Completion and duration of clinical trials depends on, among other things, our ability to enroll a sufficient number of patients, which is a function of many factors, including:

- the incidence among the general population of diseases which contain therapeutic endpoints chosen for evaluation;
- the eligibility criteria defined in the protocol;
- the size of the patient population required for analysis of the trial's therapeutic endpoints;
- our ability to recruit clinical trial investigators and sites with the appropriate competencies and experience;
- our ability to obtain and maintain patient consents; and
- competition for patients by clinical trial programs for other treatments.

We have reached Special Protocol Assessment (SPA) agreements with the FDA for the design of the ongoing Phase 3 METIV trial of tivantinib in patients with hepatocellular carcinoma and for the Phase 3 MARQUEE trial, which has been discontinued following an interim analysis. The SPA process is a procedure by which the FDA provides official evaluation and written guidance on the design and size of proposed protocols that are intended to form the basis for a New Drug Application. Final marketing approval depends on the results of the trial. The SPA may not be sufficient for the purpose of obtaining marketing approval for tivantinib. Clinical trials may also be delayed or repeated as a result of ambiguous or negative interim results or unforeseen complications in testing. In addition, a clinical trial may be suspended or terminated by us, the FDA, the IRB overseeing the clinical trial at issue, any of our clinical trial sites with respect to that site, or other regulatory authorities due to a number of factors, including:

- failure to design appropriate clinical trial protocols;
- failure by us, our employees, our CROs or their employees to conduct the clinical trial in accordance with all applicable FDA, DEA or other regulatory requirements or our clinical protocols;

- inspection of the clinical trial operations or trial sites by the FDA or other regulatory authorities resulting in the imposition of a clinical hold;
- discovery of serious or unexpected toxicities or side effects experienced by study participants or other unforeseen safety issues;
- lack of adequate funding to continue the clinical trial, including the incurrence of unforeseen costs due to enrollment delays, requirements to conduct additional trials and studies and increased expenses associated with the services of our CROs and other third parties;—lack of effectiveness of any product candidate during clinical trials;
- slower than expected rates of subject recruitment and enrollment rates in clinical trials;
- failure of our CROs or other third-party contractors to comply with all contractual requirements or to perform their services in a timely or acceptable manner;
- inability or unwillingness of medical investigators to follow our clinical protocols; and

- unfavorable results from on-going clinical trials and pre-clinical studies.

Additionally, changes in applicable regulatory requirements and guidance may occur and we may need to amend clinical trial protocols to reflect these changes. Amendments may require us to resubmit our clinical trial protocols to IRBs for reexamination, which may impact the costs, timing or successful completion of a clinical trial. If we experience delays in completion of, or if we terminate, any of our clinical trials, the commercial prospects for tivantinib and our other product candidates may be harmed, which may have a material adverse effect on our business, results of operations, financial condition and prospects.

We have limited clinical development and commercialization experience.

We have limited experience conducting clinical trials and have never obtained regulatory approvals for any drug. We have not completed a Phase 3, or pivotal, clinical trial, filed an NDA or commercialized a drug. We have no experience as a company in the sale, marketing or distribution of pharmaceutical products and do not currently have a sales and marketing organization. Developing commercialization capabilities will be expensive and time-consuming, and could delay any product launch. We may not be able to develop a successful commercial organization. To the extent we are unable or determine not to acquire these resources internally, we will be forced to rely on third-party clinical investigators, clinical research organizations, marketing organizations or our collaboration partners as we have done for our Phase 3 non-small cell lung cancer trial. If we were unable to establish adequate capabilities independently or with others, our drug development and commercialization efforts could fail, and we may be unable to generate product revenues.

We depend substantially on the successful completion of Phase 3 clinical trials for our product candidates. The positive clinical results obtained for our product candidates in Phase 2 clinical studies may not be repeated in Phase 3.

We have experienced significant delays and obstacles in the Phase 3 MARQUEE and ATTENTION trials and in the Phase 2 CRC trial details of which are described above, The MARQUEE trial was discontinued early following a planned interim analysis, at the recommendation of an independent Data Monitoring Committee when they concluded that the study would not meet its primary endpoint. Patient enrollment in the ATTENTION trial was permanently suspended following the recommendation of an independent Safety Review Committee after the reporting of cases of interstitial lung disease. It is our understanding that patients who were enrolled in the ATTENTION trial at the time of the safety finding can continue to receive treatment with the combination of tivantinib and erlotinib upon request from the patient and investigator, and after providing new informed consent. The Phase 2 CRC trial did not meet its primary endpoint. Additional data from these trials will be presented in the future. We have never completed a Phase 3 clinical trial. Our product candidates are subject to the risks of failure inherent in pharmaceutical development. Before obtaining regulatory approval for the commercial sale of any product candidate, we and our collaborators must successfully complete Phase 3 clinical trials. Negative or inconclusive results of a Phase 3 clinical study could cause the FDA to require that we repeat it or conduct additional clinical studies. Furthermore, while we have obtained positive safety and efficacy results for tivantinib during our prior clinical trials, we cannot be certain that these results will be duplicated when our product candidates are tested in a larger number of patients in our Phase 3 clinical trials.

If our drug discovery and development programs do not progress as anticipated, our revenue and stock price could be negatively impacted.

We estimate the timing of a variety of preclinical, clinical, regulatory and other milestones for planning purposes, including when a drug candidate is expected to enter clinical trials, how soon patients will be recruited and enrolled in these trials, when a clinical trial will be completed and when an application for regulatory approval will be filed. We base our estimates on facts that are currently known to us and on a variety of assumptions, many of which are beyond our control. If we or our collaborators do not achieve milestones when anticipated, we will not receive the corresponding revenue, and our stock price could decline. In addition, our research and clinical testing may be delayed or abandoned if we or our competitors subsequently discover other compounds that show improved safety or efficacy compared to our product candidates, which could limit our ability to generate revenues, cause us to incur additional expense and cause the market price of our common stock to decline significantly.

RISKS RELATED TO OUR FINANCIAL CONDITION

We have incurred significant losses since our inception and anticipate that we will incur significant continued losses for the next several years, and our future profitability is uncertain.

From our inception in 1993 through December 31, 2012 we have incurred cumulative losses of approximately $420 million. These losses have resulted principally from the costs of our research activities, acquisitions, enhancements to our technology and clinical trials. In the past we derived our revenue primarily from license and technology transfer fees and payments for compound deliveries associated with our discontinued chemistry services operations; research and development funding paid under our agreements with collaboration partners; and to a limited extent, milestone payments.

We expect our expenses to increase significantly as we spend additional amounts to fund research, development, clinical testing and commercialization of our drug candidates. We currently have three product candidates in various stages of clinical development. As a result, we will need to generate significant additional revenues to achieve profitability.

To attain profitability, we will need to develop clinical products successfully and market and sell them effectively, either by ourselves or with collaborators. We have never generated revenue from the commercialization of our product candidates, and there is no guarantee that we will be able to do so. Even if were to generate product revenues and achieve profitability, we may not be able to maintain or increase profitability. Because of the numerous risks and uncertainties associated with the development of drugs, we are unable to predict the extent of any future losses or when we will

become profitable, if at all. If we fail to become profitable, or if we are unable to fund our continuing losses, we may be unable to continue our business.

We may need substantial additional funding and due to global capital and credit market conditions or for other reasons, we may be unable to raise capital when needed, or on terms favorable to us, which could force us to delay, reduce or eliminate our drug discovery, product development and commercialization activities.

Volatility and disruption in the global capital and credit markets in recent years have led to a tightening of business credit and investment capital in the United States and internationally. If global economic and financial market conditions deteriorate or remain weak for an extended period of time, our efforts to raise capital will face additional difficulties.

Developing drugs, conducting clinical trials, and commercializing products are expensive. Our future funding requirements will depend on many factors, including:

- the progress and cost of our ongoing and future collaborative and independent clinical trials and other research and development activities and our ability to share such costs of our clinical development efforts with third parties;
- the costs and timing of obtaining regulatory approvals;
- the costs of filing, prosecuting, maintaining, defending and enforcing any patent applications, claims, patents and other intellectual property rights;

- the cost and timing of securing manufacturing capabilities for our clinical product candidates and commercial products, if any;
- the costs and timing of commercializing our product candidates, including establishing or contracting for sales, marketing and distribution capabilities, if any such candidates receive regulatory approval for commercial sale; and
- the costs of any acquisitions of or investments in businesses, products and technologies.

We may seek the capital necessary to fund our operations through public or private equity offerings, debt financings, or collaboration and licensing arrangements. To the extent that we raise additional capital through the sale of equity or convertible debt securities, our stockholders' ownership interests will be diluted and the terms of such securities may include liquidation or other preferences that adversely affect our stockholders' rights. Other debt-financing arrangements may require us to pledge certain assets and enter into covenants that would restrict certain business activities or our ability to incur further indebtedness. If we raise additional funds through collaboration and licensing arrangements with third parties, we may have to relinquish rights to certain product candidates that we might otherwise seek to develop or commercialize independently, or grant licenses on terms that are not favorable to us. There can be no assurance that sufficient funds will be available to us when required, on satisfactory terms, or at all. If we are unable to obtain additional funds when needed, we may have to delay, reduce the scope of or eliminate some of our development and commercialization programs, or obtain funds through other arrangements on unattractive terms, which could prevent us from successfully executing our business strategy.

We have federal and state net operating losses ("NOL") and research and development credit carryforwards which, if we were to become profitable, could be used to offset/defer federal and state income taxes. Such carryforwards may not, under certain circumstances related to changes in ownership of our stock, be available to us.

As of December 31, 2012, we had federal NOL, state NOL, and research and development credit carryforwards of approximately $265 million, $113 million and $26 million respectively, expiring from 2013 to 2032. Such carryforwards could potentially be used to offset certain future federal and state income tax liabilities. Utilization of carryforwards may be subject to a substantial annual limitation pursuant to Sections 382 and 383 of the Internal Revenue Code of 1986, as amended, as well as similar state provisions due to ownership changes that have occurred previously or that could occur in the future. In general, an ownership change, as defined by Section 382, results from transactions increasing the ownership of certain stockholders or public groups in the stock of a corporation by more than 50 percentage points over a three-year period. We undertook a detailed study of our NOL and research and development credit carryforwards through January 31, 2013 to determine whether such amounts are likely to be limited by Section 382. As a result of this analysis, we currently do not believe any Section 382or 383 limitations will significantly impact our ability to offset income with available NOL and research and development credit carryforwards. However, future ownership changes under Section 382 may limit our ability to fully utilize these tax benefits. Any limitation may result in expiration of a portion of the carryforwards before utilization. If we were not able to utilize our carryforwards, we would be required to use our cash resources to pay taxes that would otherwise have been offset, thereby reducing our liquidity.

RISKS RELATED TO REGULATORY APPROVAL

Our product candidates are subject to a lengthy and uncertain regulatory process that may not result in the necessary regulatory approvals, which would adversely affect our ability to commercialize products. We have only limited experience in regulatory affairs.

Our product candidates, as well as the activities associated with their research, development and commercialization, are subject to extensive regulation by the FDA in the United States and by comparable authorities in other countries, for example EMA in the E.U. These regulations govern or influence the manufacturing, assessment of benefit and risk, safety, labeling, storage, records and marketing of these products.

Failure to obtain regulatory approval for a product candidate would prevent us from commercializing that product candidate. We have not applied for or received regulatory approval to market any of our product

candidates in any jurisdiction and have only limited experience in preparing and filing the applications necessary to gain regulatory approvals. The process of obtaining regulatory approvals is expensive, often takes many years, if approval is obtained at all, and can vary substantially based upon the type, complexity and novelty of the product candidates involved.

The regulatory process requires preclinical testing, and data obtained from preclinical and clinical activities are susceptible to varying interpretations, which could delay, limit or prevent regulatory approval. In addition, the results of later trials may not confirm the positive results of earlier preclinical studies or trials. Delays or rejections may also be encountered based upon changes in regulatory policy for product approval during the period of product development and regulatory agency review. Changes in regulatory approval policy, regulations or statutes or the process for regulatory review during the development or approval phases of our product candidates may cause delays in the approval or rejection of an application. We have completed certain Phase 1 and Phase 2 clinical trials of tivantinib and have enrolled patients in the Phase 3 METIV trial in HCC. We have also completed patient enrollment in the Phase 3 MARQUEE trial in NSCLC, which was discontinued following a planned interim analysis that concluded the study would not meet its primary endpoint. Patients are also being treated in the Phase 3 ATTENTION trial by Kyowa Hakko Kirin, enrollment in which was permanently suspended following the recommendation of an independent Safety Review Committee after the reporting of cases of ILD in the study as a drug-related adverse event. It is our understanding that patients who were enrolled in the ATTENTION trial at the time of the safety finding can continue to receive treatment with the combination of tivantinib and erlotinib upon request from the patient and investigator and after providing new informed consent. We have also conducted or are conducting Phase 1 clinical testing of ARQ 087, ARQ 621, ARQ 736 and ARQ 092. We have never completed a Phase 3, or pivotal, clinical trial, nor have we filed or prosecuted the applications necessary to gain regulatory approvals.

A company first must conduct preclinical studies in the laboratory and in animal models to gain preliminary information on a candidate compound's activity and to identify potential safety problems. Preclinical studies must be conducted in accordance with applicable regulations of the relevant regulatory authority (e.g. the FDA in the United States, the EMA in E.U.). The results of these studies are submitted as a part of an IND application with the FDA or a CTA application with the appropriate regulatory authority outside of the United States. The regulatory agency involved must review the data in the application before human clinical trials of an investigational drug can commence. If the regulatory authority does not object, a drug developer can begin clinical trials after expiration of a specified statutory period following submission of the application. Notwithstanding that the regulatory authority does not respond during the thirty-day, post-submission review period, the regulatory authority may at any time re-evaluate the adequacy of the application and require additional information about any aspect of the IND or CTA application and corresponding clinical trial, e.g. preclinical testing, drug formulation and manufacture, dosing regimens and drug administration or potential safety risk. Before a new marketing application can be filed with the FDA or other regulatory authority, the product candidate must undergo extensive clinical trials. Any clinical trial may fail to produce results satisfactory to the regulatory authority, typically for lack of safety or efficacy or for safety risks. For example, the regulatory authority could determine that the design of a clinical trial is inadequate to produce reliable results or convincing results.

Even if our drug candidates obtain regulatory approval, we and our collaborators will be subject to ongoing government regulation.

Even if regulatory authorities approve any of our drug candidates, the manufacture, marketing and sale of these drugs will be subject to strict and ongoing regulation. Compliance with such regulations may consume substantial financial and management resources and expose us and our collaborators to the potential for other adverse circumstances. For example, a regulatory authority can place restrictions on the sale or marketing of a drug in order to manage the risks identified during initial clinical trials or after the drug is on the market. A regulatory authority can condition the approval for a drug on costly post-marketing follow-up studies. Based on these studies, if a regulatory authority does not believe that the drug demonstrates a clinical benefit to patients or an acceptable safety profile, it could limit the indications for which a drug may be sold or revoke the drug's marketing approval. In addition, identification of certain side effects either during clinical trials or after a drug is on the market may result in reformulation of a drug, additional preclinical and clinical trials, labeling changes, termination of ongoing clinical trials or withdrawal of approval. Any of these events could

delay or prevent us from generating revenue from the commercialization of these drugs and cause us to incur significant additional costs.

Even if we or our collaborators bring products to market, we may be unable to price our products effectively or obtain adequate reimbursement for sales of our products, which would have an adverse effect on our revenues.

The requirements governing product licensing, pricing and reimbursement vary widely from country to country. Some countries require approval of the sale price of a drug before it can be marketed. In many countries, the pricing review period begins after product-licensing approval is granted. As a result, we may obtain regulatory approval for a drug candidate in a particular country, but then be subject to price regulations that reduce our profits from the sale of the product. In some foreign markets pricing of prescription pharmaceuticals is subject to continuing government control even after initial marketing approval. Varying price regulation between countries can lead to inconsistent prices and some re-selling by third parties of products from markets where products are sold at lower prices to markets where those products are sold at higher prices. Any practice of exploiting price differences between countries could undermine our sales in markets with higher prices and reduce the sales of our future products, if any.

Additionally, third party payors, such as government and private insurance plans, frequently require companies to provide rebates and predetermined discounts from list prices and are increasingly challenging the prices charged for pharmaceuticals and other medical products. Our products may not be considered cost-effective, and reimbursement to the patient may not be available or be sufficient to allow the sale of our products on a competitive basis. We, or our collaborators, may not be able to negotiate favorable reimbursement rates for our products. If we, or our collaborators, fail to obtain an adequate level of reimbursement for our products by third-party payors, sales of the drugs would be adversely affected or there may be no commercially viable market for the products.

We face potential liability related to the privacy of health information we obtain from research institutions.

Most health care providers, including research institutions from which we or our collaborators obtain patient information, are subject to privacy regulations promulgated under the Health Insurance Portability and Accountability Act of 1996, or HIPAA. Although we are not directly regulated by HIPAA, we could face substantial criminal penalties if we knowingly receive individually identifiable health information from a health care provider or research institution that has not satisfied HIPPA's disclosure standards. In addition, certain state privacy laws may apply directly to our operations and/or those of our collaborators and may impose restrictions on our use and dissemination of individuals' health information. Moreover, patients about whom we or our collaborators obtain information, as well as the providers who share this information with us, may have contractual rights that limit our ability to use and disclose the information. Claims that we have violated individuals' privacy rights or breached our contractual obligations, even if we are not found liable, could be expensive and time-consuming to defend and could result in adverse publicity that could harm our business.

RISKS RELATED TO COLLABORATIONS

Part of our business strategy involves collaborative out-licensing of our drug candidates while retaining commercialization or co-promotional rights in parts of the world. We may not be able to find collaborators or successfully form suitable collaborations to further our drug development and commercialization efforts.

We have sought and may seek collaborators for our drug development and commercialization efforts. We may enter into these collaborations to obtain external financing for drug development and to obtain access to drug development and commercialization expertise. The availability of partners depends on the willingness of pharmaceutical and biotechnology companies to collaborate in drug discovery activities. Only a limited number of pharmaceutical and biotechnology companies would fit our requirements. The number could decline further through consolidation, or the number of collaborators with interest in our drugs could decline. If the number of our potential collaborators were to decline, the remaining collaborators may be able to negotiate terms less favorable to us.

We face significant competition in seeking drug development collaborations, both from other biotechnology companies and from the internal capabilities and compound pipelines of the pharmaceutical and

biotechnology companies themselves. This competition is particularly intense in the oncology field. Our ability to interest such companies in forming co-development and commercialization arrangements with us will be influenced by, among other things:

- the compatibility of technologies;
- the potential partner's acceptance of our approach to drug discovery;
- the novelty, quality and commercial potential of any drug candidate we may succeed in developing; and
- our ability, and collaborators' perceptions of our ability, to achieve intended results in a timely fashion, with acceptable quality and cost.

Even if we are able to gain the interest of potential drug development partners, the negotiation, documentation and implementation of collaborative arrangements are complex and time-consuming. Collaborations may not be available on commercially acceptable terms and, if formed, may not be commercially successful or, if successful, may not realize sufficient benefit for us. If we are unable to form collaborations, we may not gain access to the financial resources and industry expertise necessary to develop and commercialize drug products or successfully market any products we develop on our own and, therefore, be unable to generate revenue from our products. In addition, our past, existing and future collaboration terms contain or will likely contain limitations on classes of chemical compounds or biological targets that we may explore outside those collaborations for our own use.

Our success depends in part on the efforts of our current and possible future collaborators, who will likely have substantial control and discretion over the continued development and commercialization of drug candidates, including tivantinib, that are the subjects of our collaborations.

Our current collaborators, Kyowa Hakko Kirin and Daiichi Sankyo have, and future collaborators will have significant discretion in determining the efforts and amount of resources that they dedicate to our collaborations. Our collaborators may determine not to proceed with clinical development or commercialization of a particular drug candidate for a number of reasons that are beyond our control, even under circumstances where we might have continued such a program. In addition, our rights to receive milestone payments and royalties from our collaborators will depend on our collaborators' abilities to establish the safety and efficacy of our drug candidates, obtain regulatory approvals and achieve market acceptance of products developed from our drug candidates. We may also depend on our collaborators to manufacture clinical scale quantities of some of our drug candidates and, possibly, for commercial scale manufacture, distribution and direct sales. Our collaborators may not be successful in manufacturing our drug candidates or successfully commercializing them.

We face additional risks in connection with our existing and future collaborations, including the following:

- our collaborators may develop and commercialize, either alone or with others, products that are similar to or competitive with the products that are the subject of the collaboration with us;
- our collaborators may underfund, not commit sufficient resources to, or conduct in an unsatisfactory matter the testing, marketing, distribution or other development of our drug candidates;
- our collaborators may not properly maintain or defend our intellectual property rights or they may utilize our proprietary information in such a way as to invite litigation that could jeopardize or potentially invalidate our intellectual property or proprietary information or expose us to potential liability;
- our collaborators may encounter conflicts of interest, changes in business strategy or other business issues which could adversely affect their willingness or ability to fulfill their obligations to us (for example, pharmaceutical and biotechnology companies historically have re-evaluated their priorities following mergers and consolidations, which have been common in recent years in these industries); and
- disputes may arise between us and our collaborators delaying or terminating the research, development or commercialization of our drug candidates, resulting in significant litigation or arbitration that could

be time-consuming and expensive, or causing collaborators to act in their own self-interest and not in the interest of our stockholders;

- we might not have the financial or human resources to meet our obligations or take advantage of our rights under the terms of our existing and future collaborations; and
- our existing collaborators may exercise their respective rights to terminate without cause their collaborations with us, in which event, we might not be able to complete development and commercialization of tivantinib and other drug candidates on our own.

We may not receive any further milestone, royalty or license payments under our current collaborations.

Although we have received license fees and other payments to date under our current drug development collaborations with Kyowa Hakko Kirin and Daiichi Sankyo, we may not receive any royalty payments or additional license and milestone fees under such agreements. Our receipt of any future milestone, royalty or license payments depends on many factors, including whether our collaborators want or are able to continue to pursue potential drug candidates, intellectual property issues, unforeseen complications in the development or commercialization process, and the ultimate commercial success of the drugs.

Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through December 31, 2012 by $38.8 million which will be netted against future milestones and royalties, if any, when earned. On January 31, 2013, we announced that the first patient had been enrolled in the pivotal Phase 3 METIV trial of tivantinib, entitling us to a $15 million milestone. We will not receive any net cash proceeds from this milestone as it will be netted against our cumulative share of Phase 3 collaboration costs in excess of milestones received of $38.8 million at December 31, 2012.

RISKS RELATED TO RELATIONSHIPS WITH THIRD PARTY VENDORS

We rely heavily on third parties such as contract research organizations, to conduct clinical trials and perform research and analysis services for us. If third parties upon which we rely do not perform as contractually required or expected, we may not be able to develop further, obtain regulatory approval for or commercialize our product candidates.

We do not have the ability or the human resources to perform all of the testing or conduct all of the clinical trials that are necessary in connection with the development of our product candidates. We are using third-party clinical research organizations, or CROs, to oversee many of our ongoing clinical trials and expect to use the same or similar organizations for certain of our future clinical trials. Our reliance on these third parties reduces our control over these activities. We may face delays outside of our control if these parties do not perform their obligations in a timely or competent fashion or if we are forced to change service providers or if the quality or accuracy of the data they obtain is compromised due to their failure to adhere to our clinical protocols or regulatory requirements or for other reasons. These risks are heightened if we conduct clinical trials outside of the United States, where it may be more difficult to ensure that studies are conducted in compliance with FDA requirements. Any third party that we hire to conduct clinical trials may also provide services to our competitors, which could compromise the performance of their obligations to us. If we experience significant delays in the progress of our clinical trials and in our plans to file NDAs, the commercial prospects for product candidates could be harmed and our ability to generate product revenue would be delayed or prevented.

If the third parties we rely upon to conduct, supervise and monitor our clinical studies perform in an unsatisfactory manner, it may harm our business.

We rely on CROs and clinical trial sites to ensure the proper and timely conduct of our clinical trials. While we have agreements governing their activities, we have limited influence over their actual performance. We have relied and plan to continue to rely upon CROs to monitor and manage data for our ongoing clinical programs for tivantinib and our other product candidates, as well as the execution of nonclinical studies. We control only certain

aspects of our CROs' activities. Nevertheless, we are responsible for ensuring that each of our studies is conducted in accordance with the applicable protocol, legal, regulatory and scientific standards and our reliance on the CROs does not relieve us of our regulatory responsibilities. We and our CROs are required to comply with the FDA's current good clinical practices, or cGCPs, which are regulations and

guidelines enforced by the FDA for all of our product candidates in clinical development. The FDA enforces these cGCPs through periodic inspections of trial sponsors, principal investigators and clinical trial sites. If we or our CROs fail to comply with applicable cGCPs, the clinical data generated in our clinical trials may be deemed unreliable and the FDA may require us to perform additional clinical trials before approving our marketing applications. Upon inspection, the FDA may determine that our Phase 3 clinical trials do not comply with cGCPs. In addition, our Phase 3 clinical trials will require a sufficiently large number of test subjects to evaluate the safety and effectiveness of tivantinib. Accordingly, if our CROs fail to comply with these regulations or fail to recruit a sufficient number of patients, we may be required to repeat the Phase 3 clinical trials, which would delay the regulatory approval process. Our CROs are not our employees, and we cannot control whether or not they devote sufficient time and resources to our ongoing clinical and nonclinical programs. These CROs may also have relationships with other commercial entities, including our competitors, for whom they may also be conducting clinical studies, or other drug development activities which could harm our competitive position. We face the risk of potential unauthorized disclosure or misappropriation of our intellectual property by CROs, which may allow our potential competitors to access our proprietary technology. If our CROs do not successfully carry out their contractual duties or obligations, fail to meet expected deadlines, or if the quality or accuracy of the clinical data they obtain is compromised due to the failure to adhere to our clinical protocols or regulatory requirements, or for any other reasons, our clinical trials may be extended, delayed or terminated, and we may not be able to obtain regulatory approval for, or successfully commercialize tivantinib, or our other product candidates. As a result, our financial results and the commercial prospects for tivantinib and any future product candidates that we develop would be harmed, our costs could increase, and our ability to generate revenues could be delayed.

We have limited manufacturing experience. Currently, we primarily rely on third parties to provide sufficient quantities of our product candidates to conduct pre-clinical and clinical studies. In the future, we may rely on our collaborators for drug supply. We have no control over our manufacturers', suppliers' and collaborators' compliance with manufacturing regulations, and their failure to comply could interrupt our drug supply.

To date, our product candidates have been manufactured in relatively small quantities for preclinical and clinical trials. We have no experience in manufacturing any of our product candidates on a large scale and have contracted with third party manufacturers to provide material for clinical trials and to assist in the development and optimization of our manufacturing processes and methods. Our ability to conduct clinical trials and commercialize our product candidates will depend on the ability of such third parties to manufacture our products on a large scale at a competitive cost and in accordance with cGMP and other regulatory requirements. Significant scale-up of manufacturing may result in unanticipated technical challenges and may require additional validation studies that the FDA must review and approve. If we are not able to obtain contract cGMP manufacturing on commercially reasonable terms, obtain or develop the necessary materials and technologies for manufacturing, or obtain intellectual property rights necessary for manufacturing, we may not be able to conduct or complete clinical trials or commercialize our product candidates. There can be no assurance that we will be able to obtain such requisite terms, materials, technologies and intellectual property necessary to successfully manufacture our product candidates for clinical trials or commercialization. Our product candidates require precise, high-quality manufacturing. The failure to achieve and maintain these high manufacturing standards, including the incidence of manufacturing errors, could result in patient injury or death, product recalls or withdrawals, delays or failures in product testing or delivery, cost overruns or other problems that could seriously hurt our business.

The facilities used by our contract manufacturers may undergo inspections by the FDA for compliance with cGMP regulations before our product candidates produced there can receive marketing approval. If these facilities do not satisfy cGMP requirements in connection with the manufacture of our product candidates, we may need to conduct additional validation studies, or find alternative manufacturing facilities, either of which would result in significant cost to us as well as a delay of up to several years in obtaining approval for any affected product candidate. In addition, after approval of a product candidate for commercial use, our contract manufacturers and any alternative contract manufacturer we may utilize will be subject to ongoing periodic inspection by the FDA and corresponding state and foreign agencies for compliance with cGMP regulations, similar foreign regulations and other regulatory standards. We do not have control over our contract manufacturers' compliance with these regulations and standards. Any failure by our third-party manufacturers or suppliers to comply with applicable regulations could result in sanctions being imposed (including fines,

injunctions and civil penalties), failure of regulatory authorities to grant marketing approval of our product candidates, delays, suspension or withdrawal of approvals, license revocation, seizures or recalls of product candidates or products, operating restrictions and criminal prosecution.

Materials necessary to manufacture our product candidates currently under development may not be available on commercially reasonable terms, or at all, which may delay our development and commercialization of these drugs.

Some of the materials necessary for the manufacture of our product candidates currently under development may, from time to time, be available either in limited quantities, or from a limited number of manufacturers, or both. We and/or our collaborators need to obtain these materials for our clinical trials and, potentially, for commercial distribution when and if we obtain marketing approval for these compounds. Suppliers may not sell us these materials at the time we need them or on commercially reasonable terms. If we are unable to obtain the materials needed for the conduct of our clinical trials, product testing and potential regulatory approval could be delayed, adversely impacting our ability to develop the product candidates. If it becomes necessary to change suppliers for any of these materials or if any of our suppliers experience a shutdown or disruption in the facilities used to

produce these materials, due to technical, regulatory or other problems, it could significantly hinder or prevent manufacture of our drug candidates and any resulting products.

RISKS RELATED TO COMPETITION

The drug research and development industry is highly competitive, and we compete with some companies that have a broader range of capabilities and better access to resources than we do.

The pharmaceutical and biotechnology industries are characterized by rapid and continuous technological innovation. We compete with companies worldwide that are engaged in the research and discovery, licensing, development and commercialization of drug candidates, including, in the area of small molecule anti-cancer therapeutics, biotechnology companies such as Ariad Pharmaceuticals, Inc., Array BioPharma Inc., Astex Therapeutics, Cell Therapeutics, Inc., Curis, Inc., Cytokinetics, Inc., Deciphera Pharmaceuticals, Exelixis, Inc., Evotec AG, GlaxoSmithKline, FORMA Therapeutics, Incyte Corporation, Infinity Pharmaceuticals, Inc., Onyx Pharmaceuticals, Inc., OSI Pharmaceuticals, Inc., Roche and Telik, Inc. and many others.

With respect to tivantinib specifically, we are aware of a number of biotechnology and pharmaceutical companies that are or may be pursuing approaches to c-Met inhibition, including Amgen Inc., AstraZeneca/Hutchison MediPharma, AVEO Pharmaceuticals, Inc., Bristol- Myers Squibb Company, Cephalon, Inc., Compugen Ltd., Exelixis, Inc., GlaxoSmithKline, Johnson & Johnson, Merck & Co., Inc., Methylgene Inc., Pfizer, Roche, Takeda and Supergen Inc. and others. With respect to HCC, our lead indication, we are aware of a number of companies with products under development, including Eisai Co., Abbott, Eli Lilly, Bayer, and 4SC AG.

Even if we are successful in bringing products to market, we face substantial competitive challenges in effectively marketing and distributing our products. Companies and research institutions, including large pharmaceutical companies with much greater financial resources and more experience in developing products, conducting clinical trials, obtaining FDA and foreign regulatory approvals and bringing new drugs to market are developing products within the field of oncology. Some of these entities already have competitive products on the market or product candidates in more advanced stages of development than we do. By virtue of having or introducing competitive products on the market before us, these entities may gain a competitive advantage. In addition, there may be product candidates of which we are not aware at an earlier stage of development that may compete with our product candidates. Some of our competitors have entered into collaborations with leading companies within our target markets.

We are in a rapidly evolving field of research. Consequently, our technology may be rendered non-competitive or obsolete by approaches and methodologies discovered by others, both before and after we have gone to market with our products. We also face competition from existing therapies that are currently accepted in the marketplace and from the impact of adverse events in our field that may affect regulatory approval or public perception.

We anticipate that we will face increased competition in the future as new companies enter the market and advanced technologies become available. If we are unable to successfully compete in our chosen field, we will not become profitable.

We may not be able to recruit and retain the scientists and management we need to compete.

Our success depends on our ability to attract, retain and motivate highly skilled scientific personnel and management, and our ability to develop and maintain important relationships with leading academic institutions, clinicians and scientists. We are highly dependent on our senior management and scientific staff, and the loss of the services of one or more of our other key employees could have an adverse effect on the successful completion of our clinical trials or the commercialization of our product candidates.

We compete intensely with pharmaceutical and biotechnology companies, including our collaborators, medicinal chemistry outsourcing companies, contract research and manufacturing organizations, and academic and research institutions in the recruitment of scientists and management. The shortage of personnel with experience in drug development could lead to increased recruiting, relocation and compensation costs, which may exceed our expectations and resources. If we cannot hire additional qualified personnel, the workload may increase for both existing and new personnel. If we are unsuccessful in our recruitment efforts, we may be unable to execute our strategy.

RISKS RELATED TO INTELLECTUAL PROPERTY

Our patents and other proprietary rights may fail to protect our business. If we are unable to adequately protect our intellectual property, third parties may be able to use our technology which could adversely affect our ability to compete in the market.

To be successful and compete, we must obtain and protect patents on our products and technology and protect our trade secrets. Where appropriate, we seek patent protection for certain aspects of the technology we are developing, but patent protection may not be available for some of our product candidates or their use, synthesis or formulations. The patent position of biotechnology firms is highly uncertain, involves complex legal and factual questions, and has recently been the subject of much litigation. No consistent policy has emerged from the U.S. Patent and Trademark Office or the courts regarding the breadth of claims allowed or the degree of protection afforded under many biotechnology patents. In addition, there is a substantial backlog of biotechnology patent applications at the U.S. Patent and Trademark Office. As a consequence of these factors, the approval or rejection of patent applications may take several years.

We do not know whether our patent applications will result in issued patents. In addition, the receipt of a patent might not provide much practical protection. If we receive a patent with a narrow scope it will be easier for competitors to design products that do not infringe our patent. We cannot be

certain that we will receive any additional patents, that the claims of our patents will offer significant protection for our technology, or that our patents will not be challenged, narrowed, invalidated or circumvented.

Competitors may interfere with our patent protection in a variety of ways. Competitors may claim that they invented the claimed invention before us. Competitors may also claim that we are infringing on their patents and that, therefore, we cannot practice our technology as claimed under our patents. Competitors may also contest our patents by showing the patent examiner that the invention was not original, was not novel or was obvious. In litigation, a competitor could claim that our issued patents are not valid for a number of reasons. If a court agrees, our patents could be narrowed, invalidated or rendered unenforceable, or we may be forced to stop using the technology covered by these patents or to license the technology from third parties. As a company, we have no meaningful experience with competitors interfering with our patents or patent applications and therefore may not have the experience we would need to aggressively protect our patents should such action become necessary.

The laws of some foreign countries do not protect intellectual property rights to the same extent as the laws of the United States. Many companies have encountered significant problems in protecting and defending such rights in foreign jurisdictions. Many countries, including certain countries in Europe, have compulsory licensing laws under which a patent owner may be compelled to grant licenses to third parties. In addition, many countries limit the enforceability of patents against government agencies or government contractors. In these countries, the patent owner may have limited remedies, which could materially diminish the value of the

patent. Compulsory licensing of life-saving drugs is also becoming increasingly popular in developing countries either through direct legislation or international initiatives. Such compulsory licenses could be extended to include some of our product candidates, which could limit our potential revenue opportunities. Moreover, the legal systems of certain countries, particularly certain developing countries, do not favor the aggressive enforcement of patent and other intellectual property protection, which makes it difficult to stop infringement.

Drug candidates we develop that are approved for commercial marketing by the FDA would be subject to the provisions of the Drug Price Competition and Patent Term Restoration Act of 1984, known as the “Hatch-Waxman Act.” The Hatch- Waxman Act provides companies with marketing exclusivity for varying time periods during which generic versions of a drug may not be marketed and allows companies to apply to extend patent protection for up to five additional years. It also provides a means for approving generic versions of a drug once the marketing exclusivity period has ended and all relevant patents have expired. The period of exclusive marketing, however, may be shortened if a patent is successfully challenged and defeated, which could reduce the amount of revenue we receive for such product.

Agreements we have with our employees, consultants and collaborators may not afford adequate protection for our trade secrets, confidential information and other proprietary information.

In addition to patent protection, we also rely on copyright and trademark protection, trade secrets, and know-how. It is unclear whether our trade secrets and know-how will prove to be adequately protected. To protect our trade secrets and know-how, we require our employees, consultants and advisors to execute agreements regarding the confidentiality and ownership of such proprietary information. We cannot guarantee, however, that these agreements will provide us with adequate protection against improper use or disclosure of confidential information and there may not be adequate remedies in the event of unauthorized use or disclosure. Our employees, consultants or advisors may unintentionally or willfully disclose our information to competitors. In addition, in some situations, these agreements may conflict with, or be subject to, the rights of third parties with whom our employees, consultants or advisors had or have previous employment or consulting relationships. Like patent litigation, enforcing a claim that a third party illegally obtained and is using our trade secrets is expensive and time-consuming and the outcome is unpredictable. In addition, courts outside the United States are sometimes less willing than our federal and state courts to protect trade secrets. Furthermore, others may independently develop substantially equivalent knowledge, methods and know-how. Our failure or inability to protect our proprietary information and techniques may inhibit or limit our ability to compete effectively or exclude certain competitors from the market.

Our success will depend partly on our ability to operate without infringing upon or misappropriating the proprietary rights of others.

There are many patents in our field of technology and we cannot guarantee that we do not infringe on those patents or that we will not infringe on patents granted in the future. If a patent holder believes a product of ours infringes on its patent, the patent holder may sue us even if we have received patent protection for our technology.

If we do not prevail in litigation or if other parties have filed, or in the future should file, patent applications covering products and technologies that we have developed or intend to develop, we may have to obtain licenses from third parties, which may not be available on commercially reasonable terms, or at all, and may require us to pay substantial royalties or grant a cross-license to some of our patents to another patent holder. Additionally, we may have to change the formulation of a product candidate so that we do not infringe third- party patents. Such reformulation may be impossible to achieve or which may require substantial time and expense. If we are unable to cost-effectively redesign our products so they do not infringe a patent, we may be unable to sell some of our products. Any of these occurrences will result in lost revenues and profits for us.

The drug research and development industry has a history of patent and other intellectual property litigation, and we may be involved in costly intellectual property lawsuits.

The drug research and development industry has a history of patent and other intellectual property litigation, and we believe these lawsuits are likely to continue. Legal proceedings relating to intellectual property would be expensive, take significant time and divert management’s attention from other business

concerns. We face potential patent infringement suits by companies that control patents for drugs or potential drugs similar to our product candidates or other suits alleging infringement of their intellectual property rights. There could be issued patents of which we are not aware that our products and their use, whether as single agents or in combination with other products, infringe or patents that we believe we do not infringe that we are ultimately found to infringe. The publication of discoveries in the scientific or patent literature frequently occurs substantially later than the date on which the underlying discoveries were made and patent applications were filed. Because patent applications can take many years to issue, there may be currently pending applications of which we are unaware that may later result in issued patents that we infringe with our drug candidates or resulting products, and their use as single agents or in combination with other products. In addition, technology created under our research and development collaborations may infringe the intellectual property rights of third parties, in which case we may not receive milestone or royalty revenue from those collaborations.

If we do not prevail in an infringement lawsuit brought against us, we might have to pay substantial damages and we could be required to stop the infringing activity or obtain a license to use the patented technology or redesign our products so as not to infringe the patent. We may not be able to enter into licensing arrangements at a reasonable cost or effectively redesign our products. Any inability to secure licenses or alternative technology could delay the introduction of our products or prevent us from manufacturing or selling products.

RISKS RELATED TO EMPLOYEES, FACILITIES AND INFORMATION TECHNOLOGY

Our operations could be interrupted by damage to our laboratory facilities.

Our operations are dependent upon the continued use of our specialized laboratories and equipment in Woburn, Massachusetts. Catastrophic events, including fires or explosions, could damage our laboratories, equipment, scientific data, work in progress or inventories of chemical compounds and biological materials and may materially interrupt our business. We employ safety precautions in our laboratory activities in order to reduce the likelihood of the occurrence of these catastrophic events; however, we cannot eliminate the chance that such an event will occur. Rebuilding our facilities could be time consuming and result in substantial delays in our development of products and in fulfilling our agreements with our collaborators.

Security breaches may disrupt our operations and adversely affect our operating results.

Our network security and data recovery measures and those of third parties with which we contract, may not be adequate to protect against computer viruses, cyber-attacks, break-ins, and similar disruptions from unauthorized tampering with our computer systems. The misappropriation, theft, sabotage or any other type of security breach with respect to any of our proprietary and confidential information that is electronically stored, including research or clinical data, could cause interruptions in our operations, and could result in a material disruption of our clinical activities and business operations, in addition to possibly requiring substantial expenditures of resources to remedy. This disruption could have a material adverse impact on our business, operating results and financial condition. Additionally, any break-in or trespass of our facilities that results in the misappropriation, theft, sabotage or any other type of security breach with respect to our proprietary and confidential information, including research or clinical data, or that results in damage to our research and development equipment and assets could have a material adverse impact on our business, operating results, and financial condition.

RISKS RELATED TO PRODUCT LIABILITY

If our use of chemical and biological materials and hazardous materials violates applicable laws or causes personal injury, we may be liable for damages.

Our drug discovery activities, including the analysis and synthesis of chemical compounds, involve the controlled use of chemicals, including flammable, combustible, toxic and radioactive materials that are potentially hazardous if misused. Federal, state and local laws and regulations govern our use, storage, handling and disposal of these materials. These laws and regulations include the Resource Conservation and Recovery Act, the Occupational Safety and Health Act, local fire and building codes, regulations promulgated by the Department of Transportation, the Drug Enforcement Agency and the Department of Energy, the

Department of Health and Human Services, and the laws of Massachusetts where we conduct our operations. We may incur significant costs to comply with these laws and regulations in the future and current or future environmental laws and regulations may impair our research, development and production efforts. Notwithstanding our extensive safety procedures for handling and disposing of materials, the risk of accidental contamination or injury from these materials cannot be completely eliminated. In the event of an accident, our business could be disrupted and we could be liable for damages. Our liability may exceed our insurance coverage and our total assets and have a negative impact on our financial condition and results of operations.

We may be exposed to potential liability related to the development, testing or manufacturing of compounds we develop and our insurance coverage may not be sufficient to cover losses.

We are developing, clinically testing and manufacturing potential therapeutic products for use in humans. In connection with these activities, we could be liable if persons are injured or die while using these drugs. We may have to pay substantial damages and/or incur legal costs to defend claims resulting from injury or death, and we may not receive expected royalty or milestone payments if commercialization of a drug is limited or ended as a result of such claims. We have product liability and clinical trial insurance that contains customary exclusions and provides coverage per occurrence at levels, in the aggregate, which we believe are customary and commercially reasonable in our industry given our current stage of drug development. Our product liability insurance does not cover every type of product liability claim that we may face or loss we may incur and may not adequately compensate us for the entire amount of covered claims or losses or for the harm to our business reputation. Also, we may be unable to maintain our current insurance policies or obtain and maintain necessary additional coverage at acceptable costs, or at all.

RISKS RELATED TO OUR COMMON STOCK

Our stock price may be extremely volatile.

The trading price of our common stock has been highly volatile. We believe the trading price of our common stock will remain highly volatile and may fluctuate substantially due to factors such as:

- adverse results or delays in clinical trials;
- announcement of FDA approval or non-approval, or delays in the FDA review process, of our or our collaborators' product candidates or those of our competitors or actions taken by regulatory agencies with respect to our, our collaborators' or our competitors' clinical trials;
- announcement of new products by us or our competitors;
- quarterly variations in our or our competitors' results of operations, including as a result of recognition of upfront licensing or other fees, the timing and amount of expenses incurred for clinical development, regulatory approval and commercialization of our product candidates;
- litigation, including intellectual property infringement lawsuits, involving us;
- financing transactions;
- developments in the biotechnology and pharmaceutical industries;
- the general performance of the equity markets and in particular the biopharmaceutical sector of the equity markets;
- departures of key personnel or board members;
- developments concerning current or future collaborations;
- FDA or international regulatory actions affecting our industry generally; and
- third-party reimbursement policies.

This volatility and general market declines in our industry over the past several years have affected the market prices of securities issued by many companies, often for reasons unrelated to their operating performance, and may adversely affect the price of our common stock. In the past, securities class action litigation has often been instituted following periods of volatility in the market price of a company's securities.

A securities class action suit against us could result in potential liabilities, substantial costs and the diversion of management's attention and resources, regardless of the outcome of the action.

Some of our existing stockholders can exert control over us, and their interests could conflict with the best interests of our other stockholders.

Due to their combined stock holdings, our principal stockholders (stockholders holding more than 5% of our common stock), acting together, may be able to exert significant influence over all matters requiring stockholder approval, including the election of directors and approval of significant corporate transactions. In addition, this concentration of ownership may delay or prevent a change in control of our company, even when a change may be in the best interests of our stockholders. Furthermore, the interests of these stockholders may not always coincide with our interests as a company or the interests of other stockholders. Accordingly, these stockholders could cause us to enter into transactions or agreements that would not be widely viewed as beneficial.

If our officers, directors or principal stockholders sell substantial amounts of our common stock (including shares issued upon the exercise of options and warrants) in the public market, the market price of our common stock could fall. These sales also might make it more difficult for us to sell equity or equity- related securities in the future at a time and price that we deem appropriate.

Anti-takeover provisions in our charter documents and under Delaware law could make an acquisition of us, which may be beneficial to our stockholders, more difficult and may prevent or deter attempts by our stockholders to replace or remove our current management.

Provisions in our corporate charter and bylaws and Delaware law may discourage, delay or prevent an acquisition of our company, a change in control, or attempts by our stockholders to replace or remove members of our current Board of Directors. Because our Board of Directors is responsible for appointing the members of our management team, these provisions could in turn affect any attempt by our stockholders to replace current members of our management team. These provisions include:

- a Board of Directors having three classes of directors with a three-year term of office that expires as to one class each year, commonly referred to as a "staggered board";
- a prohibition on actions by our stockholders by written consent;
- the inability of our stockholders to call special meetings of stockholders;
- the ability of our Board of Directors to issue preferred stock without stockholder approval, which could be used to institute a "poison pill" that would work to dilute the stock ownership of a potential hostile acquirer, effectively preventing acquisitions that have not been approved by our Board of Directors;
- limitations on the removal of directors; and
- advance notice requirements for director nominations and stockholder proposals.

Moreover, because we are incorporated in Delaware, we are governed by the provisions of Section 203 of the Delaware General Corporation Law, which prohibits a person who owns in excess of 15% of our outstanding voting stock from merging or combining with us for a period of three years after the date of the transaction in which the person acquired in excess of 15% of our outstanding voting stock, unless the merger or combination is approved in a prescribed manner. As a result, it is difficult for a third party to acquire control of us without the approval of our Board of Directors and, therefore, mergers with and acquisitions of us that our stockholders may consider in their best interests may not occur.

Because we do not intend to pay dividends, stockholders will benefit from an investment in our common stock only if it appreciates in value.

We have never declared or paid any cash dividends on our common stock. We currently intend to retain our future earnings, if any, to finance the expansion of our business and do not expect to pay any cash dividends in the foreseeable future. As a result, the success of an investment in our common stock will depend entirely upon any future appreciation. There is no guarantee that our common stock will appreciate in value or even maintain the price at which stockholders have purchased their shares.

ITEM 1B. UNRESOLVED STAFF COMMENTS

None.

ITEM 2. PROPERTIES

In November 1999, we moved our main operations to a new facility in Woburn, Massachusetts, which includes approximately 128,000 square feet of laboratory and office space. This facility was designed to our specific requirements. In March 2001, we purchased this building and the land on which it sits and a developable adjacent parcel of land for $18.2 million and $2.3 million, respectively, in an arms-length transaction with the original developer. On May 2, 2005, we completed a transaction to sell the Woburn facility and simultaneously leased the facility from the purchaser. The lease was subsequently amended on June 30, 2005. Under the terms of the transaction, the purchaser obtained two parcels of land and our headquarters building in exchange for a cash payment of approximately $40.1 million. We are leasing our existing facility and the associated land for a period of ten years at an average annual rental rate of $3.4 million. We also have options to extend the lease term for up to an additional ten years. See Note 5, "Property and Equipment" in the Notes to Financial Statements appearing in Item 8 in this Annual Report on Form 10-K.

ITEM 3. LEGAL PROCEEDINGS

None.

ITEM 4. MINE SAFTEY DISCLOSURES

Not applicable.

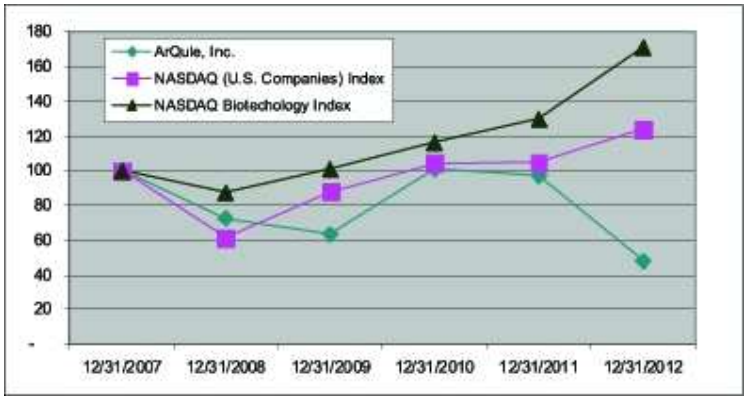
PART II

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

STOCK PERFORMANCE GRAPH

The following graph shows the cumulative total stockholder return on our common stock over the period from December 31, 2007 to December 31, 2012, as compared with that of the NASDAQ Stock Market Index (U. S. Companies) and the NASDAQ Biotechnology Index, based on an initial investment of $100 in each on December 31, 2007. Total stockholder return is measured by dividing share price change plus dividends, if any, for each period by the share price at the beginning of the respective period, and assumes reinvestment of dividends.

**COMPARISON OF CUMULATIVE TOTAL RETURN OF ARQULE, INC.,
NASDAQ STOCK MARKET (U.S. COMPANIES) INDEX
AND NASDAQ BIOTECHNOLOGY INDEX**



	12/31/07	12/31/08	12/31/09	12/31/10	12/31/11	12/31/12
ArQule, Inc.	100.00	72.76	63.62	101.21	97.24	48.10
NASDAQ Market (U.S. Companies) Index	100.00	61.17	87.93	104.13	104.69	123.85
NASDAQ Biotechnology Index	100.00	87.37	101.03	116.19	129.91	171.36

ArQule's common stock is traded on the NASDAQ Global Market under the symbol "ARQL".

The following table sets forth, for the periods indicated, the range of the high and low sale prices for ArQule's common stock:

	HIGH	LOW
2011		
First Quarter	$7.17	$5.75
Second Quarter	7.83	6.12
Third Quarter	6.72	3.98
Fourth Quarter	6.15	4.46
2012		
First Quarter	$8.19	$5.36
Second Quarter	8.32	5.40
Third Quarter	6.98	4.81
Fourth Quarter	5.14	1.98
2013		
First Quarter (through February 25, 2013)	$3.18	$2.35

As of February 25, 2013, there were approximately 80 holders of record and approximately 6,116 beneficial stockholders of our common stock

Dividend Policy

We have never paid cash dividends on our common stock and we do not anticipate paying any cash dividends in the foreseeable future. We currently intend to retain future earnings, if any, for use in our business.

ITEM 6. SELECTED FINANCIAL DATA

The following selected financial data have been derived from our audited historical financial statements, certain of which are included elsewhere in this Annual Report on Form 10-K. The following selected financial data should be read in conjunction with our financial statements and related notes and "Management's Discussion and Analysis of Financial Condition and Results of Operations" appearing elsewhere in this Annual Report on Form 10-K.

The following data is in thousands, except per share data.

	YEAR ENDED DECEMBER 31,				
	2012	2011	2010	2009	2008
STATEMENT OF OPERATIONS AND COMPREHENSIVE LOSS DATA:					
Revenue:					
Research and development revenue(a)(b)(c)(d)	$ 36,414	$ 47,310	$ 29,221	$ 25,198	$ 14,141
Costs and expenses:					
Research and development(e)	33,966	45,011	47,034	49,495	49,629
General and administrative	13,852	13,373	13,477	13,317	16,918
Total costs and expenses	47,818	58,384	60,511	62,812	66,547
Loss from operations	(11,404)	(11,074)	(31,290)	(37,614)	(52,406)
Interest income	445	317	619	1,089	3,342
Interest expense	(26)	(25)	(274)	(655)	(472)
Other income (expense)(f)	113	20	266	1,594	(1,328)
Loss before income taxes	(10,872)	(10,762)	(30,679)	$ (35,586)	$ (50,864)
Benefit from (provision for) income taxes	—	—	550	(550)	—
Net loss	(10,872)	(10,762)	(30,129)	(36,136)	(50,864)
Unrealized gain (loss) on marketable securities	108	1	(62)	55	4
Comprehensive loss	$ (10,764)	$ (10,761)	$(30,191)	$ (36,081)	$ (50,860)
Basic and diluted net loss per share	$ (0.18)	$ (0.20)	$ (0.68)	$ (0.82)	$ (1.16)
Weighted average common shares outstanding—basic and diluted	59,821	52,778	44,529	44,169	43,870
Cash, cash equivalents and marketable securities(g)(h)	$ 79,271	$ 68,168	$ 80,695	$154,677	$141,890
Marketable securities-long term	51,328	40,475	2,154	8,814	64,219
	$130,599	$108,643	$ 82,849	$163,491	$206,109
Working capital	52,968	23,299	34,901	73,569	59,680
Notes payable	1,700	1,700	1,700	46,100	47,750
Total assets	134,193	117,051	88,866	171,880	214,212
Total stockholders' equity (deficit)(g)(h)	81,029	29,729	(14,562)	11,535	43,467

(a) In April 2004, we entered into an alliance with Roche to discover and develop drug candidates targeting the E2F biological pathway. They immediately provided $15 million and continued research and development funding through the first quarter of 2008. In 2008, we recognized revenue from this alliance of $8.2 million, including $1.6 million of deferred revenue upon the termination of the agreement in 2008.

(b) In November 2008, we entered into a research collaboration, exclusive license and co-commercialization agreement with Daiichi Sankyo for the discovery of therapeutic compounds that selectively inhibit certain kinases. The agreement includes upfront licensing fees of $15 million, which were received in 2008, payments for research support, and licensing fees for compounds discovered as a result of this research. ArQule will also receive milestone payments related to clinical development, regulatory review and sales and royalty payments on net sales of compounds from the collaboration.

(c) In December 2008, we entered into an exclusive license agreement with Daiichi Sankyo to develop and commercialize tivantinib in the U.S., Europe, South America and the rest of the world, excluding Japan and parts of Asia. The agreement includes upfront licensing fees of $60 million, which were received in 2008. In addition the agreement provides for potential development and sales milestones of $560 million, and royalty payments upon commercialization. Future development and sales milestones and royalty payments will be offset by our share of the Phase 3 costs incurred by Daiichi Sankyo.

(d) In November 2011, we entered into a license agreement with Daiichi Sankyo for ARQ 092, an inhibitor of the AKT protein kinase discovered under our AKIP ™ oncology drug discovery collaboration. As a result of our license agreement for this compound, we received a $10 million payment from Daiichi Sankyo in November 2011.

(e) The $11.0 million decrease in research and development expense in 2012 was primarily due to an $8.7 million decrease in outsourced clinical and product development costs related to our phase 1 and 2 programs for tivantinib and pipeline programs. Other cost decreases include $1.0 million labor related costs from reduced headcount, $0.4 million for lab expenses, and $0.3 million for professional fees.

(f) In 2008, we received a put option from UBS AG to repurchase auction rate securities we owned at par value from June 30, 2010 through July 2, 2012 (the "Put Option"). We accounted for the Put Option as a freestanding financial instrument and elected to record the value under the fair value option for financial assets and financial liabilities. The fair value of the Put Option of $6.7 million was reported as other income (expense). Simultaneously, we transferred these auction rate securities from available-for-sale to trading securities, reflecting our intent to exercise the Put Option during the period June 30, 2010 to July 2, 2012. This resulted in a loss of $8.0 million in 2008 which was recorded in other income (expense).

Other income (expense) in 2009 includes an unrealized gain on our auction rate securities of $3.2 million, partially offset by a loss of $1.6 million on our auction rate security Put Option.

Other income (expense) in 2010 includes a $4.4 million gain from the increase in fair value of our auction rate securities and a $5.1 million loss from the decrease in fair value of our Put Option upon exercise. Other income (expense) in 2010 also includes $1.0 million of cash grants for qualifying therapeutic discovery projects awarded under the Patient Protection and Affordable Care Act of 2010.

Other income (expense) in 2011 includes a gain from the increase in fair value of our auction rate securities.

Other income (expense) in 2012 includes a gain from the increase in fair value of our auction rate securities.

(g) In January 2011, we completed a stock offering in which we sold 8,050,000 shares of common stock at a price of $6.15 per share for net proceeds of $46.8 million after commissions and offering expenses.

(h) In April 2012, we completed a stock offering in which we sold 8,222,500 shares of common stock at a price of $7.30 per share for net proceeds of $56.3 million after commissions and offering expenses.

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

The following discussion should be read in conjunction with our financial statements and related notes contained in this report.

We are a clinical-stage biotechnology company engaged in the research and development of innovative cancer therapeutics. Our mission is to produce novel drugs with differentiated mechanisms of action that will extend the lives of our patients. These drugs target biological pathways implicated in a wide range of cancers. We employ technologies such as our ArQule Kinase Inhibitor Platform ("AKIP ™") to design and develop drugs that have the potential to fulfill this mission.

Our product candidates and programs span a continuum of research and development ranging from drug discovery to advanced clinical testing. They are based on our understanding of biological processes that lead to the proliferation and metastasis of cancer cells, combined with our ability to generate product candidates possessing certain pre-selected, drug-like properties. We believe that these qualities, when present from the earliest stages of product development, increase the likelihood of producing safe, effective and marketable drugs. Our discovery and development efforts are also guided when possible by an understanding of the role of biomarkers, which are indicators of a particular biological condition or process and may predict the clinical benefit of our compounds in defined patient populations.

Our lead product candidate is tivantinib (ARQ 197), an orally administered, small molecule inhibitor of the c-Met receptor tyrosine kinase ("MET") and its biological pathway. MET is a promising target for cancer therapy, based on its multiple roles in cancerous cell proliferation, tumor spread, new blood vessel formation and resistance to certain drug therapies. We and our partners, Daiichi Sankyo Co., Ltd. ("Daiichi Sankyo") and Kyowa Hakko Kirin Co., Ltd. ("Kyowa Hakko Kirin"), are implementing a clinical development program designed to realize the broad potential of tivantinib as a single agent and in combination with other anti-cancer therapies in a number of disease indications. Our strategy is to focus on the most promising indications within our clinical programs based upon continually generated and updated data. Our most advanced indication is hepatocellular carcinoma ("HCC"). We are also completing earlier-stage combination therapy trials with tivantinib and other anti-cancer agents that may provide data to support later-stage trials in additional indications.

On October 2, 2012, we and Daiichi Sankyo announced that the independent Data Monitoring Committee ("DMC") of the Phase 3 MARQUEE (M et inhibitor ARQ 197 plus E rlotinib vs. E rlotinib plus placebo in NSCLC) trial in non-squamous cell NSCLC recommended the study be discontinued early following a planned interim analysis, when they concluded that the study would not meet its primary endpoint of improved OS. Although the interim analysis showed a statistically significant improvement in PFS in the intent-to-treat (ITT) population, this benefit did not carry over to OS. There were no safety concerns identified by the DMC during this interim analysis. MARQUEE is a randomized, double-blind, controlled pivotal trial conducted under an SPA to evaluate tivantinib in combination with erlotinib, an approved anti-cancer agent, in previously treated patients with locally advanced or metastatic, non-squamous NSCLC. We and Daiichi Sankyo have provided information regarding the study discontinuation to health authorities and those clinical investigators participating in studies of tivantinib. Data from this study will be presented at an upcoming peer review forum. Our analysis of these data will inform our decisions regarding potential further development in NSCLC or in certain biomarker-defined sub-groups within this disease population. In NSCLC, we are also conducting a Phase 2, randomized trial of tivantinib and erlotinib in patients with a mutated form of the KRAS gene.

On October 30, 2012, we reported that we had been informed by Kyowa Hakko Kirin that it will permanently suspend enrollment in its ongoing Phase 3 ATTENTION (A sian T rial of T ivantinib plus E rlotinib for N SCLC without EGFR Muta tion) trial following the recommendation of an independent Safety Review Committee ("SRC") in Japan after the reporting of cases of interstitial lung disease ("ILD") in the study as a drug-related adverse event. It is our understanding that patients who were enrolled in the ATTENTION trial at the time of the safety finding can continue to receive treatment with the combination of tivantinib and erlotinib upon request from the patient and investigator and after providing new informed consent. Data from the trial are expected in late 2013 or early 2014. The ATTENTION trial is investigating the use of tivantinib and erlotinib versus erlotinib and placebo in second line non-squamous NSCLC patients with the wild-type

form of the EGFR gene. This trial is being conducted by Kyowa Hakko Kirin in Japan, South Korea and Taiwan.

On January 11, 2013, we announced the top-line results of a randomized Phase 2 signal generation trial of tivantinib used in combination with irinotecan and cetuximab in patients with refractory or relapsed colorectal cancer ("CRC"). The trial did not meet its primary endpoint of PFS, The PFS results obtained in both the control arm and the experimental arm were longer than expected compared to previously published historical norms. Additional data and analyses from this trial are planned for presentation at a future medical meeting and will include mature OS data as well as analyses of patient sub-groups, biomarker status and regional variability, including pre- and post-study treatments. Additional data and analyses from this trial are planned for presentation at a future medical meeting.

We have licensed commercial rights to tivantinib for human cancer indications to Daiichi Sankyo in the U.S., Europe, South America and the rest of the world, excluding Japan and certain other Asian countries, where we have licensed commercial rights to Kyowa Hakko Kirin. Our agreements with these partners provide for possible future milestone payments, royalties on product sales, and development funding, in addition to significant payments that we have already received. During 2011, we received $25 million from Daiichi Sankyo resulting from the dosing of the first patient in the MARQUEE trial, and we received $10 million from Kyowa Hakko Kirin resulting from dosing of the first patient in the ATTENTION trial. The terms of our tivantinib licensing agreements with Daiichi Sankyo and Kyowa Hakko Kirin remain in effect following the recent developments in both of these trials.

Our other clinical-stage products are directed toward molecular targets and biological processes with demonstrated roles in the development of human cancers. The most advanced candidates in this pipeline are ARQ 087, an inhibitor of fibroblast growth factor receptor ARQ 621, an inhibitor of the Eg5 kinesin motor protein, and ARQ 736, an inhibitor of the RAF kinases, all of which are undergoing or have completed Phase 1 clinical testing.

Our drug discovery efforts are focused primarily on the AKIP ™, which we are using to generate compounds designed to inhibit kinases without competing with adenosine triphosphate ("ATP") for binding to the target kinase, as well as other types of kinase inhibitors. ATP is a chemical found in all living cells and is the energy source involved in a variety of physiological processes. We have assessed the potential of AKIP ™ to target multiple kinases in oncology and other therapeutic areas, and we are generating and validating compounds that inhibit these kinase targets. During 2011, Daiichi Sankyo licensed ARQ 092, an inhibitor of the AKT protein kinase discovered under our AKIP ™ oncology drug discovery collaboration that terminated in November 2012. ARQ 092 is the first clinical-stage compound to emerge from this collaboration. As a result of our license agreement for this compound, we received a $10 million payment from Daiichi Sankyo in November 2011.

We have incurred a cumulative deficit of approximately $420 million from inception through December 31, 2012. We expect research and development costs to increase during the course of 2013, due to clinical testing of our lead product candidates. We recorded a net loss for 2010, 2011 and 2012 and expect a net loss for 2013.

Our revenue consists primarily of development funding from our alliances with Daiichi Sankyo and Kyowa Hakko Kirin. Revenue and expenses fluctuate from quarter to quarter based upon a number of factors, notably the timing and extent of our cancer-related research and development activities together with the length and outcome of our clinical trials.

On December 18, 2008, we entered into a license, co-development and co-commercialization agreement with Daiichi Sankyo to conduct research, clinical trials and commercialization of tivantinib in human cancer indications. The agreement provides for a $60 million cash upfront licensing payment from Daiichi Sankyo to us, which we received in December 2008, and an additional $560 million in potential development and sales milestone payments offset by our share of the Phase 3 costs. Upon commercialization, we will receive tiered, double-digit royalties from Daiichi Sankyo on net sales of tivantinib commensurate with the magnitude of the transaction. We retain the option to participate in the commercialization of tivantinib in the U.S. We and Daiichi Sankyo will share equally the costs of Phase 2 and Phase 3 clinical studies, with our share of Phase 3 costs payable solely from milestone and royalty payments by Daiichi Sankyo.

The dosing of the first patient in the Phase 3 MARQUEE clinical trial of tivantinib in NSCLC, announced in January 2011, triggered the payment of a $25 million development milestone from Daiichi Sankyo that was received in February 2011. Revenue for this agreement is recognized using the contingency-adjusted performance model. Through September 30, 2012, revenue was recognized based upon an estimated development period through December 2013. As a result of the October 2012 decision to discontinue the MARQUEE trial, the development period as of October 1, 2012 was extended to June 2015. Therefore, commencing with the fourth quarter of 2012, revenue is recognized over this new development period. Under the previous estimated development period revenue for this agreement was expected to be approximately $4.7 million in the fourth quarter of 2012. Under the revised development period revenue for this agreement was $2.1 million in the fourth quarter of 2012 resulting in a reduction of $2.6 million.

Under the terms of our tivantinib collaboration agreement with Daiichi Sankyo we share development costs equally with our share of Phase 3 costs funded solely from milestones and royalties. In each quarter the tivantinib collaboration costs we incur are compared with those of Daiichi Sankyo. If our costs for the quarter exceed Daiichi Sankyo's, we recognize revenue on the amounts due to us under the contingency adjusted performance model. Revenue is calculated on a pro-rata basis using the time elapsed from inception of the agreement over the estimated duration of the development period under the agreement. If our costs for the quarter are less than those of Daiichi Sankyo's, we report the amount due to Daiichi Sankyo as contra-revenue in that quarter. To the extent that our share of Phase 3 collaboration costs exceeds the amount of milestones and royalties received, that excess is netted against future milestones and royalties if and when earned and is not reported as contra-revenue.

Our cumulative share of the Daiichi Sankyo Phase 3 costs inception to date through December 31, 2012, totaled $63.8 million and we received milestones of $25.0 million during that period. Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through December 31, 2012 by $38.8 million which will be netted against future milestones and royalties, if any, when earned and has not been reported as contra-revenue. On January 31, 2013, we announced that the first patient had been enrolled in the pivotal Phase 3 METIV trial of tivantinib, entitling us to a $15 million milestone. We will not receive any net cash proceeds from this milestone as it will be netted against our cumulative share of Phase 3 collaboration costs in excess of milestones received of $38.8 million at December 31, 2012.

In 2012, our Phase 2 tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by $1.4 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. Our non-refundable share of advance drug purchases is recognized as contra-revenue as the related drugs are administered to patients. For the year ended December 31, 2012, $2.5 million of these drug purchases was also recognized as contra-revenue. There were no advance drug purchases in the year ended December 31, 2012.

In 2011, our Phase 2 tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by $16.6 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. Our non-refundable share of advance drug purchases in 2011 was $5.4 million. These costs are recognized as contra-revenue as the related drugs are administered to patients. For the year ended December 31, 2011 $2.9 million of these drug purchases was also recognized as contra-revenue.

In 2010, our Phase 2 tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by $3.3 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. There were no advance drug purchases in the year ended December 31, 2010.

Prepaid expenses and other current assets at December 31, 2011 included $2.5 million of prepaid Phase 3 drug purchases. This amount was recognized as contra-revenue in the year ended December 31, 2012 as the drugs were administered to patients in the Phase 3 trial.

In November 2012, we completed our research collaboration with Daiichi Sankyo under an agreement entered into in 2008 that was focused on applications of our proprietary AKIP ™ technology and know-how. The agreement provides for a $15 million upfront payment, which we received in November 2008, research support payments for the first two years of the collaboration (which was extended for an additional two years in 2010), licensing fees for compounds discovered as a result of this research, milestone payments related to

clinical development, regulatory review and sales, and royalty payments on net sales of compounds from the collaboration. We retain the option to co-commercialize licensed products developed under this agreement in the U.S. In May 2009, we entered into an agreement with Daiichi Sankyo related to potential future milestones and royalties for our AKIP ™ collaboration, under which we could receive up to a total of $265 million in upfront, potential development and sales milestone payments for each product selected for clinical development. Upon commercialization of a licensed product, we would also receive tiered, double-digit royalties on its net sales. Revenue for this agreement was recognized using the contingency-adjusted performance model with an estimated performance period through November 2012.

In November 2011, we and Daiichi Sankyo announced the execution of a license agreement for the development of ARQ 092, the first compound to emerge from the companies' AKIP ™ collaboration. The license agreement provides exclusive rights to Daiichi Sankyo for the development, manufacturing and marketing of ARQ 092 on a worldwide basis. Under this agreement, we received a $10 million payment from Daiichi Sankyo in November 2011.

On April 27, 2007, we entered into an exclusive license agreement with Kyowa Hakko Kirin to develop and commercialize tivantinib in Japan and parts of Asia. A $3 million portion of an upfront licensing fee was received by the Company under this agreement in the first quarter of 2007, and an

additional $27 million in upfront licensing fees was received on May 7, 2007. The agreement includes $123 million in upfront and potential development milestone payments from Kyowa Hakko Kirin to ArQule, including the $30 million cash upfront licensing payments. In February 2008, we received a $3 million milestone payment from Kyowa Hakko Kirin, and in September 2010, we received a $5 million milestone payment. Upon commercialization, ArQule will receive tiered royalties in the mid-teen to low-twenty percent range from Kyowa Hakko Kirin on net sales of tivantinib. Kyowa Hakko Kirin will be responsible for all clinical development costs and commercialization of the compound in certain Asian countries, consisting of Japan, China (including Hong Kong), South Korea and Taiwan. In addition to the upfront and possible regulatory milestone payments totaling $123 million, the Company will be eligible for future milestone payments based on the achievement of certain levels of net sales.

The Company will recognize the payments, if any, as revenue in accordance with its revenue recognition policies. As of December 31, 2012, the Company has not recognized any revenue from these sales milestone payments, and there can be no assurance that it will do so in the future. Revenue for this agreement is recognized using the contingency-adjusted performance model with an estimated development period through April 2016.

LIQUIDITY AND CAPITAL RESOURCES

	December 31,			% increase (decrease)	
	2012	2011	2010	2011 to 2012	2010 to 2011
	(in millions)				
Cash, cash equivalents and marketable securities short-term	$79.3	$68.2	$80.7	16%	(16)%
Marketable securities long-term	51.3	40.5	2.2	27%	1779%
Notes payable	1.7	1.7	1.7	—	—
Working capital	53.0	23.3	34.9	128%	(33)%

	December 31,		
	2012	2011	2010
	(in millions)		
Cash flow from:			
Operating activities	$(34.2)	$(23.7)	$(34.8)
Investing activities	(20.5)	(36.9)	62.3
Financing activities	57.9	51.2	(43.6)

Cash flow from operating activities. Our uses of cash for operating activities have primarily consisted of salaries and wages for our employees, facility and facility-related costs for our offices and laboratories, fees paid in connection with preclinical and clinical studies, laboratory supplies and materials, and professional fees. The sources of our cash flow from operating activities have consisted primarily of payments received from our collaborators for services performed or upfront payments for future services. For the year ended

December 31, 2012, our net use of cash of $34.2 million was primarily driven by the difference between cash receipts from our collaborators and payments for operating expenses.

Cash flow from investing activities. Our net cash used by investing activities of $20.5 million in 2012 was primarily comprised of net purchases of marketable securities. The composition and mix of cash, cash equivalents and marketable securities may change frequently as a result of the Company's constant evaluation of conditions in financial markets, the maturity of specific investments, and our near term liquidity needs.

Our cash equivalents and marketable securities typically include U.S. Treasury bill funds, money market funds, commercial paper, and U.S. federal and state agency backed certificates, including auction rate securities that have investment grade ratings. Our cash equivalents and our portfolio of marketable securities are subject to market risk due to changes in interest rates. Fixed rate interest securities may have their market value adversely impacted due to a rise in interest rates, while floating rate securities may produce less income than expected if interest rates fall. Due in part to these factors, our future investment income may fall short of expectation due to changes in interest rates or we may suffer losses in principal if we are forced to sell securities that decline in market value due to changes in interest rates. ArQule's marketable securities portfolio includes $2.1 million (at cost) at December 31, 2012 and 2011, invested in auction rate securities.

Beginning in the first quarter of 2008 and throughout 2012, certain auction rate securities failed at auction due to sell orders exceeding buy orders. On November 3, 2008, the Company received a put option from UBS AG to repurchase auction rate securities owned by the Company at par value at any time during the period from June 30, 2010 through July 2, 2012 (the "Put Option"). The Company accounted for the Put Option as a freestanding financial instrument and elected to record the value under the fair value option for financial assets and financial liabilities.

On June 30, 2010, the company exercised the Put Option and in July 2010, UBS AG redeemed at par value all $22.9 million of the Company's auction rate securities held by UBS AG that were outstanding at June 30, 2010. Throughout 2010 UBS AG redeemed at par value a total of $56.9 million of the Company's auction rate securities held by UBS AG, including those redeemed from the exercise of the Put Option. The Company used a portion of the $56.9 million of 2010 redemptions to retire the $44.4 million notes payable to UBS AG that had been outstanding at December 31, 2009.

The credit line at UBS AG was cancelled in July 2010.

Cash flow from financing activities. Our net cash provided by financing activities of $57.9 million in the year ended December 31, 2012 consisted of $56.3 million from the net proceeds of our April 2012 stock offering and additional cash inflow of $1.6 million from the exercise of stock options and employee stock plan purchases.

Our net cash provided by financing activities of $51.2 million in the year ended December 31, 2011 consisted of $46.8 million from the net proceeds of our January 2011 stock offering and additional cash inflow of $4.5 million from the exercise of stock options and employee stock plan purchases.

Our net cash used by financing activities of $43.6 million in the year ended December 31, 2010 was from the $44.4 million payment on our notes payable, partially offset by additional cash inflow of $0.8 million from stock option exercises and employee stock plan purchases.

Our cash requirements may vary materially from those now planned depending upon the results of our drug discovery and development strategies, our ability to enter into additional corporate collaborations and the terms of such collaborations, results of research and development, unanticipated required capital expenditures, competitive and technological advances, acquisitions and other factors. We cannot guarantee that we will be able to develop any of our drug candidates into a commercial product. It is likely we will need to raise additional capital or incur indebtedness to continue to fund our operations in the future. Our ability to raise additional funds will depend on financial, economic and market conditions, and due to global capital and credit market conditions or for other reasons, we may be unable to raise capital when needed, or on terms favorable to us. If necessary funds are not available, we may have to delay, reduce the scope of, or eliminate some of our development programs, potentially delaying the time to market for any of our product candidates.

In April 2012, we received net proceeds of $56.3 million from our 8,222,500 share stock offering. In light of this cash inflow, cash, cash equivalents and marketable securities on hand at December 31, 2012 and

our collaboration agreements, we expect that our available cash and cash equivalents will be sufficient to finance our working capital and capital requirements well into 2015.

Our contractual obligations were comprised of the following as of December 31, 2012 (in thousands):

	Payment due by period				
Contractual Obligations	**Total**	**Less than 1 year**	**1–3 years**	**3–5 years**	**More than 5 years**
Note payable	$ 1,700	$ 1,700	$ —	$ —	$ —
Operating lease obligations	7,327	3,073	4,254	—	—
Purchase obligations	5,893	5,893	—	—	—
Total	$14,920	$10,666	$4,254	$ —	$ —

Purchase obligations are comprised primarily of outsourced preclinical and clinical trial expenses and payments to license certain intellectual property to support the Company's research efforts. Interest on notes payable is variable and is excluded from the table above. Notes payable currently bears interest at LIBOR plus 125 basis points. Under our tivantinib collaboration with Daiichi Sankyo, our share of Phase 3 costs are payable solely from future milestones and royalties. As of December 31, 2012 our portion of these costs was $38.8 million and is excluded from the table above. These costs are netted against any future milestones and royalties due to us. Daiichi Sankyo has the right to offset future milestone and royalty payments by this amount.

CRITICAL ACCOUNTING POLICIES AND ESTIMATES

A "critical accounting policy" is one which is both important to the portrayal of the Company's financial condition and results and requires management's most difficult, subjective or complex judgments, often as a result of the need to make estimates about the effect of matters that are inherently uncertain. Management believes the following are critical accounting policies. For additional information, please see the discussion of our significant accounting policies in Note 2 to the Financial Statements included in Item 8 of this Form 10-K.

Research and Development Revenue

Research and development revenue is generated primarily through collaborative research and development agreements. The terms of the agreements may include nonrefundable upfront payments, funding for research and development, milestone payments and royalties on any product sales derived from collaborations.

The Company adopted the FASB issued ASU No. 2010-17, *Revenue Recognition—Milestone Method* on a prospective basis on January 1, 2011. The decision to use the milestone method of revenue recognition is a policy election. The milestone method may impact any new collaboration agreements or material modifications to existing agreements, in the event we elect the policy of utilizing the milestone method to recognize substantive milestones.

Research and development payments associated with our collaboration agreements in effect prior to January 1, 2011 are recognized as research and development revenue using the contingency adjusted performance model. Under this model, when payments are earned, revenue is immediately recognized on a pro-rata basis in the period we achieve the milestone based on the time elapsed from inception of the agreement to the time the milestone is earned over the estimated duration of the development period under the agreement. Thereafter, the remaining portion of the milestone payment is recognized on a straight-line basis over the remaining estimated development period under the agreement. This estimated development period may ultimately be shorter or longer depending upon the outcome of the development work, resulting in accelerated or deferred recognition of the development revenue. Royalty payments will be recognized as revenue when earned. The costs associated with satisfying research and development contracts are included in research and development expense as incurred.

For our tivantinib collaboration with Daiichi Sankyo, we compare the collaboration costs we incur with those of Daiichi Sankyo each quarter. If our costs for the quarter exceed Daiichi Sankyo's we recognize

revenue on the amounts due to us under the contingency adjusted performance model. Revenue is calculated on a pro-rata basis using the time elapsed from inception of the agreement over the estimated duration of the development period under the agreement. If our costs for the quarter are less than those of Daiichi Sankyo's, we report the amount due to Daiichi Sankyo as contra-revenue in that quarter. Amounts recognized as contra-revenue are netted against our tivantinib Daiichi Sankyo research and development revenue. To the extent that our share of Phase 3 collaboration costs exceeds the amount of milestones and royalties received, that excess is netted against future milestones and royalties if and when earned and is not reported as contra-revenue.

On November 10, 2011, we and Daiichi Sankyo announced the execution of a license agreement for the development of a new AKT inhibitor, ARQ 092. The license agreement provides exclusive rights to Daiichi Sankyo for the development, manufacturing and marketing of ARQ 092 on a worldwide basis. Revenue for this agreement is recognized using Financial Accounting Standards Board ("FASB") Accounting Standards Update No. 2009-13, *Multiple-Deliverable Revenue Arrangements* ("ASU 2009-13"). Under ASU 2009-13 all undelivered items under an agreement are divided into separate units of accounting based on whether the deliverable provides stand-alone value to the licensee. The Company determines the best estimate selling price (BESP) for each unit of accounting based upon management's judgment and including factors such as discounted cash flows, estimated direct expenses and other costs and probability of successful outcome of clinical trials.

Stock-Based Compensation

Our stock-based compensation cost is measured at the grant date, based on the calculated fair value of the award, and is recognized as an expense over the employees' requisite service period (generally the vesting period of the equity grant). We estimate the fair value of stock options using the Black-Scholes valuation model. Key input assumptions used to estimate the fair value of stock options include the exercise price of the award, expected option term, expected volatility of our stock over the option's expected term, risk-free interest rate over the option's expected term, and the expected annual dividend yield. We believe that the valuation technique and approach utilized to develop the underlying assumptions are appropriate in calculating the fair values of our stock option grants.

Cash Equivalents and Marketable Securities

We consider all highly liquid investments purchased within three months of original maturity date to be cash equivalents. We invest our available cash primarily in U.S. Treasury bill funds, money market funds, commercial paper, and U.S. federal and state agency backed certificates, including auction rate securities that have investment grade ratings. Auction rate securities are structured with short-term interest reset dates of generally less than 90 days, but with contractual maturities that can be well in excess of ten years. At the end of each reset period, which occurs every seven to twenty-eight days, investors can sell or continue to hold the securities at par value. Our auction rate securities are classified as trading securities. We generally classify our marketable securities as available-for-sale at the time of purchase and re-evaluate such designation as of each balance sheet date. The Company classifies its investments as either current or long-term based upon the investments' contractual maturities and the Company's ability and intent to convert such instruments to cash within one year. We report available-for-sale investments at fair value as of each balance sheet date and include any unrealized gains and, to the extent deemed temporary, unrealized losses in stockholders' equity. Realized gains and losses are determined using the specific identification method and are included in other income in the statement of operations and comprehensive loss. Certain of our marketable securities are classified as trading securities and any changes in the fair value of those securities are recorded as other income in the statement of operations and comprehensive loss.

We conduct quarterly reviews to determine the fair value of our investment portfolio and to identify and evaluate each investment that has an unrealized loss, in accordance with the meaning of other-than-temporary impairment and its application to certain investments. An unrealized loss exists when the current fair value of an individual security is less than its amortized cost basis. In the event that the cost basis of a security exceeds its fair value, we evaluate, among other factors, the duration of the period that, and extent to which, the fair value is less than cost basis, the financial health of and business outlook for the issuer, including industry and sector performance, and operational and financing cash flow factors, overall market conditions and trends, our intent to sell the investment and if it is more likely than not that we would be required to sell

the investment before its anticipated recovery. Unrealized losses on available-for-sale securities that are determined to be temporary, and not related to credit loss, are recorded in accumulated other comprehensive income loss.

For available-for-sale debt securities with unrealized losses, we perform an analysis to assess whether we intend to sell or whether we would more likely than not be required to sell the security before the expected recovery of the amortized cost basis. Where we intend to sell a security, or may be required to do so, the security's decline in fair value is deemed to be other-than-temporary and the full amount of the unrealized loss is reflected in the statement of operations and comprehensive loss as an impairment loss.

Regardless of our intent to sell a security, we perform additional analysis on all securities with unrealized losses to evaluate losses associated with the creditworthiness of the security. Credit losses are identified where we do not expect to receive cash flows sufficient to recover the amortized cost basis of a security.

RESULTS OF OPERATIONS

The following are the results of operations for the years ended December 31, 2012, 2011 and 2010:

Revenue

				% increase (decrease)	
	2012	2011	2010	2011 to 2012	2010 to 2011
	(in millions)				
Research and development revenue	$36.4	$47.3	$29.2	(23)%	62%

2012 as compared to 2011: Research and development revenue in 2012 was comprised of revenue from the Daiichi Sankyo development and research collaboration agreements entered into in 2008, the November 2011 license agreement with Daiichi Sankyo for the development of ARQ 092, and the 2007 Kyowa Hakko Kirin exclusive license agreement.

Under the terms of our tivantinib collaboration agreement with Daiichi Sankyo we share development costs equally with our share of Phase 3 costs funded solely from milestones and royalties. In each quarter the tivantinib collaboration costs that we incur are compared with those of Daiichi Sankyo. If our costs for the quarter exceed Daiichi Sankyo's, we recognize revenue on the amounts due to us under the contingency adjusted performance model. Revenue is calculated on a pro-rata basis using the time elapsed from inception of the agreement over the estimated duration of the development period under the agreement. If our costs for the quarter are less than those of Daiichi Sankyo's, we report the amount due to Daiichi Sankyo as contra-revenue in that quarter. To the extent that our share of Phase 3 collaboration costs exceeds the amount of milestones and royalties received, that excess is netted against future milestones and royalties if and when earned and is not reported as contra-revenue.

Our cumulative share of the Daiichi Sankyo Phase 3 costs inception to date through December 31, 2012, totaled $63.8 million and we received milestones of $25.0 million during that period. Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through December 31, 2012 by $38.8 million which will be netted against future milestones and royalties, if any, when earned and has not been reported as contra-revenue. On January 31, 2013, we announced that the first patient had been enrolled in the pivotal Phase 3 METIV trial of tivantinib, entitling us to a $15 million milestone. We will not receive any net cash proceeds from this milestone as it will be netted against our cumulative share of Phase 3 collaboration costs in excess of milestones received of $38.8 million at December 31, 2012.

In 2012, our tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by $1.4 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. Our non-refundable share of advance drug purchases is recognized as contra-revenue as the related drugs are administered to patients. For the year ended December 31, 2012 $2.5 million of these drug purchases was also recognized as contra-revenue. There were no advance drug purchases in the year ended December 31, 2012.

The $10.9 million revenue decrease in 2012 was principally due to a $10.0 million decrease in revenue recognized from Daiichi Sankyo ARQ 092 milestone we received in 2011, a $4.4 million decrease in revenue recognized from the license agreement with Kyowa Hakko Kirin, a $10.2 million decrease in revenue

recognized on the milestone we received from the Daiichi Sankyo tivantinib program in 2011, a $2.2 million revenue decrease from our Daiichi Sankyo AKIP ™ agreement, and a $2.6 million decrease in revenue resulting from the extension of the development period of our Daiichi Sankyo tivantinib agreement. These revenue decreases were partially offset by a $2.8 million increase from our Daiichi Sankyo ARQ 092 agreement and lower contra-revenue of $15.7 million associated with our Daiichi Sankyo tivantinib program.

2011 as compared to 2010: Research and development revenue in 2011 was comprised of revenue from the Daiichi Sankyo development and research collaboration agreements entered into in 2008, the November 2011 license agreement with Daiichi Sankyo for the development of ARQ 092, and the 2007 Kyowa Hakko Kirin exclusive license agreement.

In 2011, our tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by $16.6 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. Our non-refundable share of advance drug purchases in 2011 was $5.4 million. These costs are recognized as contra-revenue as the related drugs are administered to patients. For the year ended December 31, 2011 $2.9 million of these drug purchases was also recognized as contra-revenue.

Our cumulative share of the Daiichi Sankyo Phase 3 costs inception to date through December 31, 2011, totaled $35.6 million and we received milestones of $25.0 million during that period. Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through December 31, 2011 by $10.6 million which will be netted against future milestones and royalties when earned and has not been reported as contra-revenue.

Prepaid expenses and other current assets at December 31, 2011 included $2.5 million of prepaid Phase 3 drug purchases which was recognized as contra-revenue in 2012 as the drugs were administered to patients in the Phase 3 trial.

In 2010, our tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by $3.3 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. There were no advance drug purchases in the year ended December 31, 2010.

The increase in revenues in 2011 was due to an increase in revenues of $4.0 million from our license agreement with Kyowa Hakko Kirin, $5.1 million from our Daiichi Sankyo AKIP ™ program and $10.0 million from our November 2011 license agreement with Daiichi Sankyo for the development of ARQ 092. Offsetting these increases was a net decrease of $1.0 million in revenue from our Daiichi Sankyo tivantinib program. Although revenue for that program increased by $15.2 million in 2011, the amount of contra-revenue increased by $16.2 million as our share of development costs associated with the MARQUEE trial increased.

Research and development

				% increase (decrease)	
	2012	2011	2010	2011 to 2012	2010 to 2011
		(in millions)			
Research and development	$34.0	$45.0	$47.0	(25)%	(4)%

2012 as compared to 2011: The $11.0 million decrease in research and development expense in 2012 was primarily due to an $8.7 million decrease in outsourced clinical and product development costs related to our phase 1 and 2 programs for tivantinib and pipeline programs. Other cost decreases include $1.0 million labor related costs from reduced headcount, $0.4 million for lab expenses, and $0.3 million for professional fees. At December 31, 2012, we had 72 employees dedicated to our research and development program, down from 75 employees at December 31, 2011.

2011 as compared to 2010: The $2.0 million decrease in research and development expense in 2011 was primarily due to a $1.8 million decrease in outsourced clinical and product development costs related to our phase 1 and 2 programs for tivantinib. At December 31, 2011, we had 75 employees dedicated to our research and development program, down from 86 employees at December 31, 2010.

Overview

Our research and development expense consists primarily of salaries and related expenses for personnel, costs of contract manufacturing services, costs of facilities and equipment, fees paid to professional service providers in conjunction with our clinical trials, fees paid to research organizations in conjunction with

pre-clinical animal studies, costs of materials used in research and development, consulting, license, and sponsored research fees paid to third parties and depreciation of associated laboratory equipment. We expect our research and development expense to increase as we continue to develop our portfolio of oncology programs.

We have not accumulated and tracked our internal historical research and development costs or our personnel and personnel-related costs on a program-by-program basis. Our employee and infrastructure resources are allocated across several projects, and many of our costs are directed to broadly applicable research endeavors. As a result, we cannot state the costs incurred for each of our oncology programs on a program-by-program basis.

The expenses incurred by us to third parties for pre-clinical and clinical trials in the current year and since inception of our lead clinical stage program were as follows (in millions):

Oncology program	Current status	Year Ended December 31, 2012	Program-to-date
c-Met program—Tivantinib	Phase 3	$ 4.2	$ 79.2

Our future research and development expenses in support of our current and future oncology programs will be subject to numerous uncertainties in timing and cost to completion. We test potential products in numerous pre-clinical studies for safety, toxicology, and efficacy. We may conduct multiple clinical trials for each product. As we obtain results from trials, we may elect to discontinue or delay clinical trials for certain products in order to focus our resources on more promising products. Completion of clinical trials may take several years or more, and the length of time generally varies substantially according to the type, complexity, novelty, and intended use of a product. It is not unusual for the pre-clinical and clinical development of each of these types of products to take nine years or more, and for total development costs to exceed $500 million for each product.

We estimate that clinical trials of the type generally needed to secure new drug approval are typically completed over the following timelines:

Clinical Phase	Estimated Completion Period
Phase 1	1–2 years
Phase 2	2–3 years
Phase 3	2–4 years

The duration and the cost of clinical trials may vary significantly over the life of a project as a result of differences arising during clinical development, including, among others, the following:

- the number of clinical sites included in the trials;
- the length of time required to enroll suitable patients;
- the number of patients that ultimately participate in the trials;
- the duration of patient follow-up to ensure the absence of long-term product-related adverse events; and
- the efficacy and safety profile of the product.

An element of our business strategy is to pursue the research and development of a broad pipeline of products. This is intended to allow us to diversify the risks associated with our research and development expenditures. As a result, we believe our future capital requirements and future financial success do not substantially depend on any one product. To the extent we are unable to build and maintain a broad pipeline of products, our dependence on the success of one or a few products increases.

Our strategy includes entering into alliance arrangements with third parties to participate in the development and commercialization of our products, such as our collaboration agreements with Daiichi Sankyo and Kyowa Hakko Kirin. In the event that third parties have control over the clinical trial process for a product, the estimated completion date would be under control of that third party rather than under our control. We cannot forecast with any degree of certainty whether our products will be subject to future collaborative arrangements or how such arrangements would affect our development plans or capital requirements.

As a result of the uncertainties discussed above, we make significant estimates in determining the duration and completion costs of our oncology programs or when and to what extent we will receive cash inflows from the commercialization and sale of a product. Our inability to complete our oncology programs in a timely manner or our failure to enter into appropriate collaborative agreements could significantly increase our capital requirements and could adversely impact our liquidity. These uncertainties could force us to seek additional, external sources of financing from time-to-time in order to continue with our product development strategy. Our inability to raise additional capital, or to do so on terms reasonably acceptable to us, would jeopardize the future success of our business.

General and administrative

				% increase (decrease)	
	2012	2011	2010	2011 to 2012	2010 to 2011
		(in millions)			
General and administrative	$13.9	$13.4	$13.5	4%	(1)%

2012 compared to 2011 : General and administrative expense in 2012 increased primarily due to higher stock-based compensation expense. General and administrative headcount was 25 at December 31, 2012 and 26 at December 31, 2011.

2011 compared to 2010 : General and administrative expense in 2011 decreased slightly from 2010. General and administrative headcount was 26 at December 31, 2011 and 29 at December 31, 2010.

Interest income, interest expense and other income

				% increase (decrease)	
	2012	2011	2010	2011 to 2012	2010 to 2011
	(in thousands)				
Interest income	$445	$317	$ 619	40%	(49)%
Interest expense	(26)	(25)	(274)	4%	(91)%
Other income	113	20	266	465%	(92)%

Interest income is comprised of interest income derived from our portfolio of cash, cash equivalents and investments. Interest income increased in 2012 due primarily to the increase in our investment portfolio from our April 2012 stock offering. Interest income decreased in 2011 primarily due to lower interest rates earned on our portfolio. Interest expense was incurred on our notes payable and decreased in 2011 due to a $44.4 million payment in 2010 of our notes payable.

Other income in 2012 includes a $113 thousand gain from the increase in fair value of our auction rate securities. Other income in 2011 includes a $20 thousand gain from the increase in fair value of our auction rate securities. Other income in 2010 includes a $4.4 million gain from the increase in fair value of our auction rate securities and a $5.1 million loss from the decrease in fair value of our Put Option upon exercise. Other income in 2010 also includes $1.0 million of cash grants for qualifying therapeutic discovery projects that were awarded under the Patient Protection and Affordable Care Act of 2010.

Provision for income taxes

There was no current or deferred tax expense for the years ended December 31, 2012 and 2011. The Company recorded a $0.6 million federal income tax benefit in 2010 attributable to an election it made in the second quarter of 2010 under legislation that allowed net operating losses to offset 100% of alternative minimum tax (“AMT”). Prior to this legislation, only 90% of AMT could be offset by net operating losses and accordingly in 2009 the Company recorded a $0.6 million federal income tax expense for AMT. The Company received a refund in 2010 of the $0.6 million AMT paid in 2009.

The American Taxpayer Relief Act of 2012 (“ATR Act”) was enacted on January 2, 2013 which, among other things, provides a retroactive two-year extension of the U.S. research and development tax credits that had previously expired on December 31, 2011. We have not recorded the benefit of these credits for the 2012 year. We will record the benefit from these credits in the first quarter of calendar year 2013 as a result of the enactment of the ATR Act. We expect to record a benefit related to 2012 Research Credit of approximately $1,231, and a full valuation allowance, resulting in a net benefit of $0.

RECENT ACCOUNTING PRONOUNCEMENTS

From time to time, new accounting pronouncements are issued by the Financial Accounting Standards Board (“FASB”) or other standard setting bodies that are adopted by the Company as of the specified effective date. Unless otherwise discussed, we believe that the impact of recently issued standards that are not yet effective will not have a material impact on our financial position or results of operations upon adoption.

In May 2011, the FASB issued ASU No. 2011-04, “ *Fair Value Measurement (Topic 820): Amendments to Achieve Common Fair Value Measurement and Disclosure Requirements in U.S. GAAP and IFRSs* ”. This newly issued accounting standard clarifies the application of certain existing fair value measurement guidance and expands the disclosures for fair value measurements that are estimated using significant unobservable (Level 3) inputs. This ASU is effective on a prospective basis for annual and interim reporting periods beginning on or after December 15, 2011. We adopted this standard on January 1, 2012 and it did not have a material impact on our financial position or results of operations

In June 2011, the FASB issued ASU No. 2011-05, “ *Comprehensive Income (Topic 220)* ”. This newly issued accounting standard (1) eliminates the option to present the components of other comprehensive income as part of the statement of changes in stockholders’ equity; (2) requires the consecutive presentation of the statement of net income and other comprehensive income; and (3) requires an entity to present reclassification adjustments on the face of the financial statements from other comprehensive income to net income. The amendments in this ASU do not change the items that must be reported in other comprehensive income or when an item of other comprehensive income must be reclassified to net income nor do the amendments affect how earnings per share is calculated or presented. This ASU is required to be applied retrospectively and is effective for fiscal years and interim periods within those years beginning after December 15, 2011. As this accounting standard only requires enhanced disclosure, the adoption of this standard on January 1, 2012 did not impact our financial position or results of operations.

In February 2013, the Financial Accounting Standards Board (“FASB”) issued an amendment to the accounting guidance on reporting amounts reclassified out of accumulated other comprehensive income. The guidance requires an entity to report the effect of significant reclassifications out of accumulated other comprehensive income on the respective line items in net income if the amount being reclassed is required under United States

Generally Accepted Accounting Principles ("GAAP") to be reclassified in its entirety to net income. For other amounts that are not required under United States GAAP to be reclassified in their entirety to net income, an entity is required to cross-reference to other disclosures required under United States GAAP that provide additional detail about those amounts. The guidance is effective prospectively for reporting periods beginning after December 15, 2012. The Company does not expect the adoption of this guidance will have a material impact on its financial statements.

ITEM 7A. QUANTITATIVE AND QUALITATIVE DISCLOSURES ABOUT MARKET RISK

We own financial instruments that are sensitive to market risk as part of our investment portfolio. We have implemented policies regarding the amount and credit ratings of investments. Our investment portfolio is used to preserve our capital until it is used to fund operations, including our research and development activities. Our investments are evaluated quarterly to determine the fair value of the portfolio.

Our cash and marketable securities typically include U.S. Treasury bill funds, money market funds, commercial paper and U.S. federal and state agency backed certificates, including auction rate securities that have strong credit ratings.

Our cash equivalents and our portfolio of marketable securities are subject to market risk due to changes in interest rates. Fixed rate interest securities may have their market value adversely impacted due to a rise in interest rates, while floating rate securities may produce less income than expected if interest rates fall. Due in part to these factors, our future investment income may fall short of expectation due to changes in interest rates or we may suffer losses in principal if we are forced to sell securities that decline in market value due to changes in interest rates.

Auction rate securities are structured with short-term interest reset dates of generally less than 90 days, but with contractual maturities that can be well in excess of ten years. At the end of each reset period, which occurs every seven to twenty-eight days, investors can sell or continue to hold the securities at par value. If

auction rate securities fail an auction, due to sell orders exceeding buy orders, the funds associated with a failed auction would not be accessible until a successful auction occurred, a buyer was found outside the auction process, the underlying securities matured or a settlement with the underwriter is reached. Beginning in the first quarter of 2008 and throughout 2012, certain auction rate securities failed at auction due to sell orders exceeding buy orders. At December 31, 2012 we held $1.8 million of auction rate securities at fair value.

ITEM 8. FINANCIAL STATEMENTS AND SUPPLEMENTARY DATA

INDEX TO FINANCIAL STATEMENTS

Report of Independent Registered Public Accounting Firm

To the Board of Directors and Stockholders of ArQule, Inc.,

In our opinion, the accompanying balance sheets and the related statements of operations and comprehensive loss, of stockholders' equity (deficit), and of cash flows present fairly, in all material respects, the financial position of ArQule, Inc. at December 31, 2012 and 2011, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2012 in conformity with accounting principles generally accepted in the United States of America. Also in our opinion, the Company maintained, in all material respects, effective internal control over financial reporting as of December 31, 2012, based on criteria established in *Internal Control—Integrated Framework* issued by the Committee of Sponsoring

Organizations of the Treadway Commission (COSO). The Company's management is responsible for these financial statements, for maintaining effective internal control over financial reporting and for its assessment of the effectiveness of internal control over financial reporting, included in Management's Report on Internal Control Over Financial Reporting appearing under Item 9A. Our responsibility is to express opinions on these financial statements and on the Company's internal control over financial reporting based on our integrated 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 audits to obtain reasonable assurance about whether the financial statements are free of material misstatement and whether effective internal control over financial reporting was maintained in all material respects. Our audits of the financial statements included examining, on a test basis, evidence supporting the amounts and disclosures in the financial statements, assessing the accounting principles used and significant estimates made by management, and evaluating the overall financial statement presentation. Our audit of internal control over financial reporting included obtaining an understanding of internal control over financial reporting, assessing the risk that a material weakness exists, and testing and evaluating the design and operating effectiveness of internal control based on the assessed risk. Our audits also included performing such other procedures as we considered necessary in the circumstances. We believe that our audits provide a reasonable basis for our opinions.

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 (i) pertain to the maintenance of records that, in reasonable detail, accurately and fairly reflect the transactions and dispositions of the assets of the company; (ii) 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 (iii) 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.

/s/ PricewaterhouseCoopers LLP

Boston, Massachusetts
March 14, 2013

ARQULE, INC.

BALANCE SHEETS

	December 31,	
	2012	2011
	(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)	
ASSETS		
Current assets:		
Cash and cash equivalents	$ 14,327	$ 11,095
Marketable securities-short term	64,944	57,073
Prepaid expenses and other current assets	344	4,020
Total current assets	79,615	72,188
Marketable securities-long term	51,328	40,475
Property and equipment, net	1,992	2,939
Other assets	1,258	1,449
Total assets	$ 134,193	$ 117,051
LIABILITIES AND STOCKHOLDERS' EQUITY		
Current liabilities:		
Accounts payable and accrued expenses	$ 10,163	$ 11,932
Notes payable	1,700	1,700
Current portion of deferred revenue	14,232	34,705
Current portion of deferred gain on sale leaseback	552	552
Total current liabilities	26,647	48,889
Deferred revenue, net of current portion	25,733	37,097
Deferred gain on sale leaseback, net of current portion	784	1,336
Total liabilities	53,164	87,322

Commitments and contingencies (Note 12)		
Stockholders' equity:		
Preferred stock, $0.01 par value; 1,000,000 shares authorized; no shares issued or outstanding	—	—
Common stock, $0.01 par value; 100,000,000 shares authorized; 62,399,827 and 53,825,567 shares issued and outstanding at December 31, 2012 and 2011, respectively	624	538
Additional paid-in capital	500,655	438,677
Accumulated other comprehensive income (loss)	102	(6)
Accumulated deficit	(420,352)	(409,480)
Total stockholders' equity	81,029	29,729
Total liabilities and stockholders' equity	$ 134,193	$ 117,051

The accompanying notes are an integral part of these financial statements.

ARQULE, INC.

STATEMENTS OF OPERATIONS AND COMPREHENSIVE LOSS

	YEAR ENDED DECEMBER 31,		
	2012	2011	2010
	(IN THOUSANDS, EXCEPT PER SHARE DATA)		
Revenue:			
Research and development revenue	$ 36,414	$ 47,310	$ 29,221
Costs and expenses:			
Research and development	33,966	45,011	47,034
General and administrative	13,852	13,373	13,477
	47,818	58,384	60,511
Loss from operations	(11,404)	(11,074)	(31,290)
Interest income	445	317	619
Interest expense	(26)	(25)	(274)
Other income	113	20	266
Loss before income taxes	(10,872)	(10,762)	(30,679)
Benefit from income taxes	—	—	550
Net loss	(10,872)	(10,762)	(30,129)
Unrealized gain (loss) on marketable securities	108	1	(62)
Comprehensive loss	$(10,764)	$(10,761)	$(30,191)
Basic and diluted net loss per share:			
Net loss per share	$ (0.18)	$ (0.20)	$ (0.68)
Weighted average basic and diluted common shares outstanding	59,821	52,778	44,529

The accompanying notes are an integral part of these financial statements.

ARQULE, INC.

STATEMENTS OF STOCKHOLDERS' EQUITY (DEFICIT)

(IN THOUSANDS, EXCEPT SHARE DATA)

	COMMON STOCK				
		ADDITIONAL	ACCUMULATED OTHER		STOCK-HOLDERS'

	SHARES	PAR VALUE	PAID-IN CAPITAL	COMPREHENSIVE INCOME/(LOSS)	ACCUMULATED DEFICIT	EQUITY (DEFICIT)
Balance at December 31, 2009	44,772,945	$448	$379,621	$ 55	$ (368,589)	$ 11,535
Stock option exercises and issuance of stock	43,621	1	283			284
Employee stock purchase plan	156,769	1	550			551
Stock based compensation expense			3,259			3,259
Change in unrealized gain (loss) on marketable securities				(62)		(62)
Net loss					(30,129)	(30,129)
Balance at December 31, 2010	44,973,335	450	383,713	(7)	(398,718)	(14,562)
Issuance of common stock from stock offering, net	8,050,000	80	46,676			46,756
Stock option exercises and issuance of stock	692,916	7	3,935			3,942
Employee stock purchase plan	109,316	1	523			524
Stock based compensation expense			3,830			3,830
Change in unrealized gain (loss) on marketable securities				1		1
Net loss					(10,762)	(10,762)
Balance at December 31, 2011	53,825,567	538	438,677	(6)	(409,480)	29,729
Issuance of common stock from stock offering, net	8,222,500	82	56,174			56,256
Stock option exercises and issuance of stock	254,893	3	1,347			1,350
Employee stock purchase plan	96,867	1	322			323
Stock based compensation expense			4,135			4,135
Change in unrealized gain (loss) on marketable securities				108		108
Net loss					(10,872)	(10,872)
Balance at December 31, 2012	62,399,827	$624	$500,655	$ 102	$ (420,352)	$ 81,029

The accompanying notes are an integral part of these financial statements.

ARQULE, INC.

STATEMENTS OF CASH FLOWS

	YEAR ENDED DECEMBER 31,		
	2012	2011	2010
		(IN THOUSANDS)	
Cash flows from operating activities:			
Net loss	$ (10,872)	$ (10,762)	$ (30,129)
Adjustments to reconcile net loss to net cash used in operating activities:			
Depreciation and amortization	1,064	1,172	1,425
Amortization of premium/discount on marketable securities	1,873	1,117	1,130
Amortization of deferred gain on sale leaseback	(552)	(552)	(552)
Non-cash stock compensation	4,135	3,830	3,259
Loss on auction rate securities put option	—	—	5,074
Gain on auction rate securities	(113)	(20)	(4,362)
Changes in operating assets and liabilities:			
Prepaid expenses and other current assets	3,676	(2,901)	1,357
Other long-term assets	191	(68)	(53)
Accounts payable and accrued expenses	(1,769)	(4,904)	4,476
Deferred revenue	(31,837)	(10,650)	(16,441)
Net cash used in operating activities	(34,204)	(23,738)	(34,816)
Cash flows from investing activities:			

Purchases of marketable securities	(121,498)	(185,969)	(91,484)
Proceeds from sale or maturity of marketable securities	101,122	149,717	154,128
Purchases of property and equipment	(117)	(594)	(357)
Net cash provided by (used in) investing activities	(20,493)	(36,846)	62,287
Cash flows from financing activities:			
Payment of notes payable	—	—	(44,400)
Proceeds from stock offering, net	56,256	46,756	—
Proceeds from stock option exercises and employee stock plan purchases	1,673	4,466	835
Net cash provided by (used in) financing activities	57,929	51,222	(43,565)
Net increase (decrease) in cash and cash equivalents	3,232	(9,362)	(16,094)
Cash and cash equivalents, beginning of period	11,095	20,457	36,551
Cash and cash equivalents, end of period	$ 14,327	$ 11,095	$ 20,457

SUPPLEMENTAL DISCLOSURE OF CASH FLOW INFORMATION (IN THOUSANDS):

The Company paid interest on debt of $26, $25 and $274 in 2012, 2011 and 2010, respectively.

The Company paid no taxes in 2012 or 2011 and received a tax refund of $550 in 2010 of taxes paid in 2009.

The accompanying notes are an integral part of these financial statements.

ARQULE, INC.

NOTES TO FINANCIAL STATEMENTS

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

1. ORGANIZATION AND NATURE OF OPERATIONS

We are a clinical-stage biotechnology company organized as a Delaware corporation in 1993 engaged in the research and development of innovative cancer therapeutics. Our mission is to produce novel drugs with differentiated mechanisms of action that will extend the lives of our patients. These drugs target biological pathways implicated in a wide range of cancers. We employ technologies such as our ArQule Kinase Inhibitor Platform ("AKIP ™ ") to design and develop drugs that have the potential to fulfill this mission.

Our lead product candidate is tivantinib (ARQ 197), an orally administered, small molecule inhibitor of the c-Met receptor tyrosine kinase ("c-MET") and its biological pathway. C-MET is a promising target for cancer therapy, based on its multiple roles in cancerous cell proliferation, tumor spread, new blood vessel formation and resistance to certain drug therapies. We and our partners, Daiichi Sankyo Co., Ltd. ("Daiichi Sankyo") and Kyowa Hakko Kirin Co., Ltd. ("Kyowa Hakko Kirin"), are implementing a clinical development program designed to realize the broad potential of tivantinib as a single agent and in combination with other anti-cancer therapies in a number of disease indications. Our strategy is to focus on the most promising indications within our clinical programs based upon continually generated and updated data. Our most advanced indication is liver cancer ("hepatocellular carcinoma" or "HCC"). We are also completing earlier-stage combination therapy trials with tivantinib and other anti-cancer agents that may provide data to support later-stage trials in additional indications.

On January 31, 2013, we announced that the first patient had been enrolled in the pivotal Phase 3 METIV trial of tivantinib for patients diagnosed with HCC who have received one or two prior systemic anti-cancer therapies. The METIV trial is a randomized, double-blind, controlled study of previously treated patients with MET-high inoperable HCC who will receive tivantinib as a single agent or placebo. The primary endpoint of this trial is overall survival ("OS"), and the secondary endpoint is progression-free survival ("PFS"). Approximately 300 patients are planned to be enrolled at approximately 120 clinical sites worldwide. This trial is being conducted under a Special Protocol Assessment ("SPA") agreement with the U.S. Food and Drug Administration ("FDA"). The METIV trial builds upon the results of a randomized, double-blind, placebo controlled, Phase 2 trial in HCC announced in January 2012 demonstrating that treatment with tivantinib as single agent therapy produced a statistically significant improvement in the primary endpoint of time-to-progression ("TTP") in previously treated patients. Patients with higher levels of MET who were treated with tivantinib in this Phase 2 trial experienced pronounced benefit in prolonged TTP. Additional data from this trial, presented at the Annual Meeting of the American Society of Clinical Oncology ("ASCO") in June 2012, demonstrated significant improvements in median overall survival ("OS") and progression-free survival ("PFS") in these MET-high patients.

On January 11, 2013, we announced the top-line results of a randomized Phase 2 signal generation trial of tivantinib used in combination with irinotecan and cetuximab in patients with refractory or relapsed colorectal cancer ("CRC"). Although the trial did not meet its primary endpoint of PFS, the analysis of the patients enrolled (n=122) showed that median PFS was 8.3 months in the experimental arm (patients treated with irinotecan and cetuximab plus tivantinib), compared with 7.3 months in the control arm (patients treated with irinotecan and cetuximab plus placebo) (hazard ratio = 0.85, 95% CI: 0.55, 1.33). Objective Response Rate ("ORR"), a secondary endpoint, was 45 percent in the experimental arm versus 33 percent in the control arm but the difference was not statistically significant. The PFS results obtained in both the control arm and the experimental arm were longer

than expected compared to previously published historical norms. Additional data and analyses from this trial are planned for presentation at a future medical meeting and will include mature OS data as well as analyses of patient sub-groups, biomarker status and regional variability, including pre- and post-study treatments. Adverse events were reported at similar rates in the experimental and control arms, except for increased neutropenia observed in the experimental arm, with no discontinuations of treatment for this reason. No treatment-emergent adverse events leading to death were assessed as related to study treatment. Tivantinib was generally well tolerated in combination with the doses of cetuximab and irinotecan studied in this trial.

1. ORGANIZATION AND NATURE OF OPERATIONS (Continued)

On October 2, 2012, we and Daiichi Sankyo announced that the independent Data Monitoring Committee ("DMC") of the Phase 3 MARQUEE (M et inhibitor ARQ 197 plus E rlotinib vs. E rlotinib plus placebo in NSCLC) trial recommended the study be discontinued early following a planned interim analysis, when they concluded that the study would not meet its primary endpoint of improved OS. Although the interim analysis showed a statistically significant improvement in PFS in the intent-to-treat (ITT) population, this benefit did not carry over to OS. There were no safety concerns identified by the DMC to Daiichi Sankyo or ArQule during this interim analysis. MARQUEE is a randomized, double-blind, controlled pivotal trial conducted under an SPA to evaluate tivantinib in combination with erlotinib, an approved anti-cancer agent, in previously treated patients with locally advanced or metastatic, non-squamous NSCLC. We and Daiichi Sankyo have provided information regarding the study discontinuation to health authorities and those clinical investigators participating in studies of tivantinib. Data from this study will be presented at an upcoming peer review forum. Our analysis of these data will inform our decisions regarding potential further development in NSCLC or in certain biomarker-defined sub-groups within this disease population. In NSCLC, we are also conducting a Phase 2, randomized trial of tivantinib and erlotinib in patients with a mutated form of the KRAS gene.

On October 30, 2012, we reported that we had been informed by Kyowa Hakko Kirin that it will permanently suspend enrollment in its ongoing Phase 3 ATTENTION (Asian Trial of Tivantinib plus Erlotinib for NSCLC without EGFR Mutation) trial following the recommendation of an independent Safety Review Committee ("SRC") in Japan after the reporting of cases of interstitial lung disease ("ILD") in the study as a drug-related adverse event. It is our understanding that patients who were enrolled in the ATTENTION trial at the time of the safety finding can continue to receive treatment with the combination of tivantinib and erlotinib upon request from the patient and investigator and after providing new informed consent. Data from the trial is expected in late 2013 or early 2014. The ATTENTION trial is investigating the use of tivantinib and erlotinib versus erlotinib and placebo in second line non-squamous non-small cell lung cancer (NSCLC). This trial is being conducted by Kyowa Hakko Kirin in Japan, South Korea and Taiwan.

We have licensed commercial rights to tivantinib for human cancer indications to Daiichi Sankyo in the U.S., Europe, South America and the rest of the world, excluding Japan and certain other Asian countries, where we have licensed commercial rights to Kyowa Hakko Kirin. Our agreements with these partners provide for possible future milestone payments, royalties on product sales, and development funding, in addition to significant payments that we have already received. The most recent milestone payments under these agreements were made during 2011, when , we received $25 million from Daiichi Sankyo resulting from the dosing of the first patient in the MARQUEE trial and $10 million from Kyowa Hakko Kirin resulting from dosing of the first patient in the ATTENTION trial. The terms of our tivantinib licensing agreements with Daiichi Sankyo and Kyowa Hakko Kirin remain in effect following the recent developments in both of these trials.

On November 10, 2011, we and Daiichi Sankyo announced the execution of a license agreement for the development of a new AKT inhibitor, ARQ 092, the first compound to emerge from the companies' AKIP ™ collaboration. The license agreement provides exclusive rights to Daiichi Sankyo for the development, manufacturing and marketing of ARQ 092 on a worldwide basis. Under this agreement, we received a $10 million upfront fee from Daiichi Sankyo in November 2011.

Our proprietary pipeline is directed toward molecular targets and biological processes with demonstrated roles in the development of human cancers. The most advanced candidates in this pipeline are ARQ 087, an inhibitor of fibroblast growth factor receptor, ARQ 621, an inhibitor of the Eg5 kinesin motor protein, and ARQ 736, an inhibitor of the RAF kinases, all of which are in Phase 1 clinical testing.

2. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES

Significant accounting policies followed in the preparation of these financial statements are as follows:

Use of Estimates

The preparation of financial statements in conformity with generally accepted accounting principles requires management to make estimates and assumptions that affect the reported amounts of assets and liabilities, disclosure of contingent assets and liabilities at the date of the financial statements, and the reported amounts of revenues and expenses during the reporting period. Actual results could differ from these estimates.

Cash Equivalents and Marketable Securities

We consider all highly liquid investments purchased within three months of original maturity date to be cash equivalents. We invest our available cash primarily in U.S. Treasury bill funds, money market funds, commercial paper, and U.S. federal and state agency backed certificates, including auction rate securities that have investment grade ratings. Auction rate securities are structured with short-term interest reset dates of generally less than 90 days, but with contractual maturities that can be well in excess of ten years. At the end of each reset period, which occurs every seven to twenty-eight days, investors can sell or continue to hold the securities at par value. Our auction rate securities are classified as trading securities. We generally classify our marketable securities as available-for-sale at the time of purchase and re-evaluate such designation as of each balance sheet date. The Company classifies its investments as either current or long-term based upon the investments' contractual maturities and the Company's ability and intent to convert such instruments to cash within one year. We report available-for-sale investments at fair value as of each balance sheet date and include any unrealized gains and, to the extent deemed temporary, unrealized losses in stockholders' equity. Realized gains and losses are determined using the specific identification method and are included in other income (expense) in the statement of operations and comprehensive loss. Certain of our marketable securities are classified as trading securities and any changes in the fair value of those securities are recorded as other income (expense) in the statement of operations and comprehensive loss.

We conduct quarterly reviews to determine the fair value of our investment portfolio and to identify and evaluate each investment that has an unrealized loss, in accordance with the meaning of other-than-temporary impairment and its application to certain investments. An unrealized loss exists when the current fair value of an individual security is less than its amortized cost basis. In the event that the cost basis of a security exceeds its fair value, we evaluate, among other factors, the duration of the period that, and extent to which, the fair value is less than cost basis, the financial health of and business outlook for the issuer, including industry and sector performance, and operational and financing cash flow factors, overall market conditions and trends, our intent to sell the investment and if it is more likely than not that we would be required to sell the investment before its anticipated recovery. Unrealized losses on available-for-sale securities that are determined to be temporary, and not related to credit loss, are recorded in accumulated other comprehensive income (loss).

For available-for-sale debt securities with unrealized losses, we perform an analysis to assess whether we intend to sell or whether we would more likely than not be required to sell the security before the expected recovery of the amortized cost basis. Where we intend to sell a security, or may be required to do so, the security's decline in fair value is deemed to be other-than-temporary and the full amount of the unrealized loss is reflected in the statement of operations and comprehensive loss as an impairment loss.

Regardless of our intent to sell a security, we perform additional analysis on all securities with unrealized losses to evaluate losses associated with the creditworthiness of the security. We did not recognize any other-than-temporary impairments during the years ended December 31, 2012, 2011 or 2010. Credit losses are identified where we do not expect to receive cash flows sufficient to recover the amortized cost basis of a security.

2. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

Fair Value of Financial Instruments

At December 31, 2012 and 2011 our financial instruments consist of cash, cash equivalents, investments in corporate debt securities, auction rate securities, accounts payable, accrued expenses and notes payable. The carrying amount of these financial instruments approximates their fair values. At December 31, 2012 and 2011 our financial instruments also included marketable securities which are reported at fair value.

Non-refundable Advance Payments for Research and Development

Non-refundable advance payments for goods or services that will be used or rendered for future research and development activities are initially deferred and capitalized. Related expenses (or contra-revenues) are then recognized as expense (or contra-revenue) as the goods are delivered and consumed or the related services are performed.

Property and Equipment

Property and equipment are recorded at cost and depreciated using the straight-line method over their estimated useful lives. Assets under capital leases and leasehold improvements are amortized over the shorter of their estimated useful lives or the term of the respective leases by use of the straight-line method. Maintenance and repair costs are expensed as incurred. Depreciation and amortization expense for the years ended December 31, 2012, 2011 and 2010 was $1,064, $1,172, and $1,425, respectively.

Revenue Recognition—Research and Development Revenue

Research and development revenue is generated primarily through collaborative research and development agreements. The terms of the agreements may include nonrefundable upfront payments, funding for research and development, milestone payments and royalties on any product sales derived from collaborations.

The Company adopted the FASB issued ASU No. 2010-17, *Revenue Recognition—Milestone Method* on a prospective basis on January 1, 2011. The decision to use the milestone method of revenue recognition is a policy election. The milestone method may impact any new collaboration agreements or material modifications to existing agreements, in the event we elect the policy of utilizing the milestone method to recognize substantive milestones.

Research and development payments associated with our collaboration agreements in effect prior to January 1, 2011 are recognized as research and development revenue using the contingency adjusted performance model. Under this model, when payments are earned, revenue is immediately recognized on a pro-rata basis in the period we achieve the milestone based on the time elapsed from inception of the agreement to the time the milestone is earned over the estimated duration of the development period under the agreement. Thereafter, the remaining portion of the milestone payment is recognized on a straight-line basis over the remaining estimated development period under the agreement. This estimated development period may ultimately be shorter or longer depending upon the outcome of the development work, resulting in accelerated or deferred recognition of the development revenue. Royalty payments will be recognized as revenue when earned. The costs associated with satisfying research and development contracts are included in research and development expense as incurred.

On November 10, 2011, we and Daiichi Sankyo announced the execution of a license agreement for the development of a new AKT inhibitor, ARQ 092. The license agreement provides exclusive rights to Daiichi Sankyo for the development, manufacturing and marketing of ARQ 092 on a worldwide basis. Revenue for this agreement is recognized using Financial Accounting Standards Board Accounting Standards Update No. 2009-13, *Multiple-Deliverable Revenue Arrangements* (“ASU 2009-13”). Under ASU 2009-13 all

2. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

undelivered items under an agreement are divided into separate units of accounting based on whether the deliverable provides stand-alone value to the licensee. The Company determines the best estimate selling price (BESP) for each unit of accounting based upon management’s judgment and including factors such as discounted cash flows, estimated direct expenses and other costs and probability of successful outcome of clinical trials.

Research and Development Costs

Costs of research and development, which are expensed as incurred, are comprised of the following types of costs incurred in performing research and development activities and those incurred in connection with research and development revenue: salaries and benefits, allocated overhead and occupancy costs, clinical trial and related clinical manufacturing costs, contract services, and other outside costs.

Impairment or Disposal of Long-Lived Assets

We assess our long-lived assets for impairment whenever events or changes in circumstances (a “triggering event”) indicate that the carrying value of a group of long-lived assets may not be recoverable. If such circumstances are determined to exist, an estimate of undiscounted future cash flows produced by the long-lived asset, including its eventual residual value, is compared to the carrying value to determine whether impairment exists. In the event that such cash flows are not expected to be sufficient to recover the carrying amount of the assets, the assets are written-down to their estimated fair values. We did not recognize an impairment charges related to our long-lived assets during 2012, 2011 and 2010.

Segment Data

The chief operating decision maker uses consolidated financial information in determining how to allocate resources and assess performance. For this reason, we have determined that we are principally engaged in one operating segment. See Note 13 with respect to significant customers. Substantially all of our revenue since inception has been generated in the United States and all of our long-lived assets are located in the United States.

Other Income

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

Other income in 2012 includes a $113 gain from the increase in fair value of our auction rate securities. Other income in 2011 includes a $20 gain from the increase in fair value of our auction rate securities. Other income in 2010 includes a $4,362 gain from the increase in fair value of our auction rate securities and a $5,074 loss from the decrease in fair value of our Put Option upon exercise. Other income in 2010 also includes $978 of cash grants for qualifying therapeutic discovery projects that were awarded under the Patient Protection and Affordable Care Act of 2010.

Income Taxes

Income taxes have been accounted for using the asset and liability method. Under the asset and liability method, deferred tax assets and liabilities are recognized for the future tax consequences attributable to differences between the financial carrying amounts of existing assets and liabilities and their respective tax bases and operating loss and tax credit carryforwards. Deferred tax assets and liabilities are measured using enacted tax rates expected to apply to taxable income in the years in which those temporary differences are expected to be recovered or settled. The effect on deferred tax assets and liabilities of a change in tax rates is recognized in income in the period that includes the enactment date. A valuation allowance against deferred tax assets is recorded if, based upon the weight of all available evidence, it is more likely than not that some or all of the deferred tax assets will not be realized. For uncertain tax positions that meet “a more likely than not” threshold, the Company recognizes the benefit of uncertain tax positions in the financial statements.

2. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

Earnings (Loss) Per Share

The computations of basic and diluted earnings (loss) per common share are based upon the weighted average number of common shares outstanding and potentially dilutive securities. Potentially dilutive securities include stock options. Options to purchase 7,157,458, 6,547,443 and 6,355,827 shares of common stock were not included in the 2012, 2011 and 2010 computations of diluted net loss per share, respectively, because inclusion of such shares would have an anti-dilutive effect.

Stock-Based Compensation

Our stock-based compensation cost is measured at the grant date, based on the calculated fair value of the award, and is recognized as an expense over the employees’ requisite service period (generally the vesting period of the equity grant).

We estimate the fair value of stock options using the Black-Scholes valuation model. Key input assumptions used to estimate the fair value of stock options include the exercise price of the award, expected option term, expected volatility of our stock over the option’s expected term, risk-free interest rate over the option’s expected term, and the expected annual dividend yield. We believe that the valuation technique and approach utilized to develop the underlying assumptions are appropriate in calculating the fair values of our stock options granted.

The following table presents stock-based compensation expense for the years ended December 31, 2012, 2011 and 2010 included in our Statements of Operations and Comprehensive Loss:

	2012	2011	2010
Research and development	$1,533	$1,586	$1,283
General and administrative	2,602	2,244	1,976
Total stock-based compensation expense	$4,135	$3,830	$3,259

In the years ended December 31, 2012, 2011 and 2010, no stock-based compensation expense was capitalized and there were no recognized tax benefits associated with the stock-based compensation charge.

The fair value of stock options and employee stock purchase plan shares granted in the years ended December 31, 2012, 2011 and 2010 respectively were estimated on the grant date using the Black-Scholes option-pricing model with the following assumptions:

	2012	2011	2010
Dividend yield(1)	0.0%	0.0%	0.0%
Weighted average expected volatility factor(2)	67%	64%	64%
Risk free interest(3)	0.6-0.8%	1.0–2.2%	1.4–2.3%
Expected term, excluding options issued pursuant to the Employee			

Stock Purchase Plan(4)	5.9–7.0 years	5.6–6.4 years	5.9–6.4 years
Expected term—Employee Stock Purchase Plan(5)	6 months	6 months	6 months

(1) We have historically not paid dividends on our common stock and we do not anticipate paying any dividends in the foreseeable future.

(2) Measured using an average of historical daily price changes of our stock over a period equal to our expected term.

2. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

(3) The risk-free interest rate for periods equal to the expected term of share option based on the U.S. Treasury yield in effect at the time of grant.

(4) The expected term is the number of years that we estimate, based on historical experience, that options will be outstanding before exercise or cancellation. The range in expected term is the result of certain groups of employees exhibiting different exercising behavior.

(5) The expected term of options issued in connection with our Employee Stock Purchase Plan is 6 months based on the terms of the plan.

Recent Accounting Pronouncements

From time to time, new accounting pronouncements are issued by the Financial Accounting Standards Board ("FASB") or other standard setting bodies that are adopted by the Company as of the specified effective date. Unless otherwise discussed, we believe that the impact of recently issued standards that are not yet effective will not have a material impact on our financial position or results of operations upon adoption.

In May 2011, the FASB issued ASU No. 2011-04, " *Fair Value Measurement (Topic 820): Amendments to Achieve Common Fair Value Measurement and Disclosure Requirements in U.S. GAAP and IFRSs* ". This newly issued accounting standard clarifies the application of certain existing fair value measurement guidance and expands the disclosures for fair value measurements that are estimated using significant unobservable (Level 3) inputs. This ASU is effective on a prospective basis for annual and interim reporting periods beginning on or after December 15, 2011. We adopted this standard on January 1, 2012 and it did not have a material impact on our financial position or results of operations.

In June 2011, the FASB issued ASU No. 2011-05, " *Comprehensive Income (Topic 220)* ". This newly issued accounting standard (1) eliminates the option to present the components of other comprehensive income as part of the statement of changes in stockholders' equity; (2) requires the consecutive presentation of the statement of net income and other comprehensive income; and (3) requires an entity to present reclassification adjustments on the face of the financial statements from other comprehensive income to net income. The amendments in this ASU do not change the items that must be reported in other comprehensive income or when an item of other comprehensive income must be reclassified to net income nor do the amendments affect how earnings per share is calculated or presented. This ASU is required to be applied retrospectively and is effective for fiscal years and interim periods within those years beginning after December 15, 2011. As this accounting standard only requires enhanced disclosure, the adoption of this standard on January 1, 2012 did not impact our financial position or results of operations.

In February 2013, the Financial Accounting Standards Board ("FASB") issued an amendment to the accounting guidance on reporting amounts reclassified out of accumulated other comprehensive income. The guidance requires an entity to report the effect of significant reclassifications out of accumulated other comprehensive income on the respective line items in net income if the amount being reclassed is required under United States Generally Accepted Accounting Principles ("GAAP") to be reclassified in its entirety to net income. For other amounts that are not required under United States GAAP to be reclassified in their entirety to net income, an entity is required to cross-reference to other disclosures required under United States GAAP that provide additional detail about those amounts. The guidance is effective prospectively for reporting periods beginning after December 15, 2012. The Company does not expect the adoption of this guidance will have a material impact on its financial statements.

3. COLLABORATIONS AND ALLIANCES

Daiichi Sankyo Kinase Inhibitor Discovery Agreement

In November 2012, we completed our research collaboration with Daiichi Sankyo under a research collaboration, exclusive license and co-commercialization agreement entered into originally on November 7,

3. COLLABORATIONS AND ALLIANCES (Continued)

2008, that was focused on applications of our proprietary AKIP ™ technology and know-how for the discovery of therapeutic compounds that selectively inhibit certain kinases in the field of oncology. The agreement provides for a $15 million upfront payment, which we received in November 2008, research support payments for the first two years of the collaboration (which was extended for an additional two years in 2010), licensing fees for compounds discovered as a result of this research, milestone payments related to clinical development, regulatory review and sales, and royalty payments on net sales of compounds from the collaboration. We retain the option to co-commercialize licensed products developed under this agreement in the U.S. In May 2009, we entered into an agreement with Daiichi Sankyo related to potential future milestones and royalties for our AKIP ™ collaboration, under which we could receive up to a total of $265 million in upfront, potential development and sales milestone payments for each product selected for clinical development. Upon commercialization of a licensed product, we would also receive tiered, double-digit royalties on its net sales. Daiichi Sankyo's obligation to provide further research funding to ArQule under this agreement terminated in November 2012. Daiichi retains rights under the agreement to designate compounds discovered under this collaboration for toxicology testing and also has the option to take one or more of such compounds into clinical testing by opting into a license and development agreement pursuant to the economic terms of the May 2009 agreement described above.

The duration and termination of the agreement are tied to future events. Unless earlier terminated due to breach, insolvency or upon 90 days notice by Daiichi Sankyo, the agreement terminates on the later of (i) the expiration of the research collaboration period, or (ii) various periods specified in the agreement for development and commercialization of products. If Daiichi Sankyo has commercialized a licensed product or products, the agreement will continue in force until such time as all royalty terms for all licensed products have ended. The royalty term, on a country-by-country basis for a product, ends as of the later of (i) the expiration of the last valid claim under a patent covering the manufacture, use, or sale of a licensed product or (ii) a certain number of years from the date of the commercial sale of the licensed product in such country.

Revenue for this agreement was recognized using the contingency-adjusted performance model with a performance period through November 2012. For the years ended December 31, 2012, 2011and 2010, $15.5 million, $17.7 million and $12.6 million, respectively, were recognized as revenue. Since the agreement ended on November 30, 2012, there is no deferred revenue at December 31, 2012.

Daiichi Sankyo ARQ 092 Agreement

On November 10, 2011, we and Daiichi Sankyo announced the execution of a license agreement for the development of a new AKT inhibitor, ARQ 092, the first compound to emerge from the companies' AKIP ™ collaboration. The license agreement provides exclusive rights to Daiichi Sankyo for the development, manufacturing and marketing of ARQ 092 on a worldwide basis. Under this agreement, we received a $10 million upfront fee from Daiichi Sankyo in November 2011.

Revenue for this agreement is recognized using Financial Accounting Standards Board Accounting Standards Update No. 2009-13, *Multiple-Deliverable Revenue Arrangements* ("ASU 2009-13"). Under ASU 2009-13 all undelivered items under the agreement are divided into separate units of accounting based on whether the deliverable provides stand-alone value to the licensee. These units of accounting consist of (i) the license to develop and commercialize ARQ 092, (ii) committed future clinical trial services, (iii) committed future clinical trial costs and (ii) steering committee services. The Company determined the best estimate selling price (BESP) for each unit of accounting based upon management's judgment and including factors such as discounted cash flows, estimated direct expenses and other costs and probability of successful outcome of clinical trials.

As the license granted under the agreement was delivered, the license had standalone value, and there were no further obligations related to the license, revenue of $10 million related to this accounting unit was recognized in 2011 based on the best estimate of selling price of the license. Revenue related to future clinical

3. COLLABORATIONS AND ALLIANCES (Continued)

trial services, clinical trial costs and steering committee services will be recognized ratably over the clinical trial as services are provided and costs are incurred, up to the amount of cash received for these deliverables based on the best estimate of selling price of each deliverable. The estimated

development period for this agreement is through June 2013. For the years ended December 31, 2012 and 2011, $2.8 million and $10.0 million, respectively were recognized as revenue. At December 31, 2012 $0.2 million remained in deferred revenue.

Daiichi Sankyo Tivantinib Agreement

On December 18, 2008, we entered into a license, co-development and co-commercialization agreement with Daiichi Sankyo to conduct research, clinical trials and the commercialization of tivantinib in human cancer indications in the U.S., Europe, South America and the rest of the world, excluding Japan, China (including Hong Kong), South Korea and Taiwan, where Kyowa Hakko Kirin has exclusive rights for development and commercialization.

The agreement provides for a $60 million cash upfront licensing payment from Daiichi Sankyo to us, which we received in December 2008, and an additional $560 million in potential development and sales milestone payments offset by our share of the Phase 3 costs. Upon commercialization, we will receive tiered, double-digit royalties from Daiichi Sankyo on net sales of tivantinib commensurate with the magnitude of the transaction. We retain the option to participate in the commercialization of tivantinib in the U.S. We and Daiichi Sankyo will share equally the costs of Phase 2 and Phase 3 clinical studies, with our share of Phase 3 costs payable solely from milestone and royalty payments by Daiichi Sankyo.

Under the terms of our tivantinib collaboration agreement with Daiichi Sankyo we share development costs equally with our share of Phase 3 costs funded solely from milestones and royalties. In each quarter the tivantinib collaboration costs we incur are compared with those of Daiichi Sankyo. If our costs for the quarter exceed Daiichi Sankyo's, we recognize revenue on the amounts due to us under the contingency adjusted performance model. Revenue is calculated on a pro-rata basis using the time elapsed from inception of the agreement over the estimated duration of the development period under the agreement. If our costs for the quarter are less than those of Daiichi Sankyo's, we report the amount due to Daiichi Sankyo as contra-revenue in that quarter. To the extent that our share of Phase 3 collaboration costs exceeds the amount of milestones and royalties received, that excess is netted against future milestones and royalties if and when earned and is not reported as contra-revenue.

Our cumulative share of the Daiichi Sankyo Phase 3 costs inception to date through December 31, 2012, totaled $63.8 million and we received milestones of $25.0 million during that period. Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through December 31, 2012 by $38.8 million which will be netted against future milestones and royalties, if any, when earned and has not been reported as contra-revenue. On January 31, 2013, we announced that the first patient had been enrolled in the pivotal Phase 3 METIV trial of tivantinib, entitling us to a $15 million milestone. We will not receive any net cash proceeds from this milestone as it will be netted against our cumulative share of Phase 3 collaboration costs in excess of milestones received of $38.8 million at December 31, 2012. Our cumulative share of Phase 3 collaboration costs in excess of milestones received was $10.6 million at December 31, 2011.

In 2012, our non-phase 3 tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by $1.4 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. Our non-refundable share of advance drug purchases is recognized as contra-revenue as the related drugs are administered to patients. For the year ended December 31, 2012, $2.5 million of these drug purchases was also recognized as contra-revenue. There were no advance drug purchases in the year ended December 31, 2012.

3. COLLABORATIONS AND ALLIANCES (Continued)

In 2011, our tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by $16.6 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. Our non-refundable share of advance drug purchases in 2011 was $5.4 million. These costs are recognized as contra-revenue as the related drugs are administered to patients. For the year ended December 31, 2011, $2.9 million of these drug purchases was also recognized as contra-revenue.

In 2010, our tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by $3.3 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. There were no advance drug purchases in the year ended December 31, 2010.

Prepaid expenses and other current assets at December 31, 2011 included $2.5 million of prepaid Phase 3 drug purchases. This amount was recognized as contra-revenue in the year ended December 31, 2012 as the drugs were administered to patients in the Phase 3 trial.

The duration and termination of the agreement are tied to future events. Unless earlier terminated due to breach, insolvency or upon 90 days notice if prior to phase 3 clinical trials or 180 days notice if on or after the beginning of phase 3 clinical trials by Daiichi Sankyo, the agreement shall continue until the later of (i) such time as Daiichi Sankyo is no longer developing at least one licensed product or (ii) if Daiichi Sankyo has commercialized a licensed product or products, such time as all royalty terms for all licensed products have ended. The royalty term, on a country-by-country basis for a product, ends as of the later of (i) the expiration of the last valid claim under a patent covering the manufacture, use, or sale of a licensed product or (ii) a certain number of years from the date of the commercial sale of the licensed product in such country.

Revenue for this agreement is recognized using the contingency-adjusted performance model. Through September 30, 2012, revenue was recognized based upon an estimated development period through December 2013. As a result of the October 2012 decision to discontinue the MARQUEE trial, the development period as of October 1, 2012 was extended to June 2015. Therefore, commencing with the fourth quarter of 2012, revenue is recognized over this new development period. Under the previous estimated development period revenue for this agreement was expected to be approximately $4.7 million in the fourth quarter of 2012. Under the revised development period revenue for this agreement was $2.1 million in the fourth quarter of 2012 resulting in a reduction of $2.6 million.

For the years ended December 31, 2012, 2011 and 2010, $12.4 million, net of $3.9 million of contra-revenue, $9.5 million, net of $19.5 million of contra-revenue and $10.5 million net of $3.3 million of contra-revenue, respectively, were recognized as revenue. At December 31, 2012 and 2011, $20.8 and $37.0 million respectively, remained in deferred revenue.

Kyowa Hakko Kirin Licensing Agreement

On April 27, 2007, we entered into an exclusive license agreement with Kyowa Hakko Kirin to develop and commercialize tivantinib in Japan and parts of Asia. A $3 million portion of an upfront licensing fee was received by the Company under this agreement in the first quarter of 2007, and an additional $27 million in upfront licensing fees was received on May 7, 2007. The agreement includes $123 million in upfront and potential development milestone payments from Kyowa Hakko Kirin to ArQule, including the $30 million cash upfront licensing payments. In February 2008, we received a $3 million milestone payment from Kyowa Hakko Kirin. Upon commercialization, ArQule will receive tiered royalties in the mid-teen to low-twenty percent range from Kyowa Hakko Kirin on net sales of tivantinib. Kyowa Hakko Kirin will be responsible for all clinical development costs and commercialization of the compound in certain Asian countries, consisting of Japan, China (including Hong Kong), South Korea and Taiwan. In July 2010, we announced the initiation of a Phase 2 trial with tivantinib by Kyowa Hakko Kirin in gastric cancer, for which we received a $5 million milestone payment in September 2010. In August 2011, Kyowa Hakko Kirin announced the initiation of the Phase 3 ATTENTION trial in Asia of tivantinib and erlotinib in non-squamous NSCLC patients with wild type

3. COLLABORATIONS AND ALLIANCES (Continued)

EGFR. Dosing of the first patient in this trial triggered a $10 million milestone payment, which we received in August 2011. The milestone payment was recorded as deferred revenue and is being recognized as revenue using the contingency-adjusted performance model with an estimated development period through April 2016.

In addition to the upfront and possible regulatory milestone payments totaling $123 million, the Company will be eligible for future milestone payments based on the achievement of certain levels of net sales. The Company will recognize the payments, if any, as revenue in accordance with the contingency-adjusted performance model. As of December 31, 2012, the Company had not recognized any revenue from these sales milestone payments, and there can be no assurance that it will do so in the future.

The duration and termination of the agreement are tied to future events. Unless earlier terminated due to breach, insolvency or upon 90 days notice by Kyowa Hakko Kirin, the agreement terminates on the date that the last royalty term expires in all countries in the territory. The royalty term ends as of the later of (i) the expiration of the last pending patent application or expiration of the patent in the country covering the manufacture, use, or sale of a licensed product or (ii) a certain number of years from the date of the commercial launch in such country of such license product.

Revenue for this agreement is recognized using the contingency-adjusted performance model with an estimated development period through April 2016. For the years ended December 31, 2012, 2011, and 2010, $5.7 million, $10.1 million, and $6.1 million, respectively were recognized as revenue. At December 31, 2012 and 2011, $19.0 million and $24.7 million respectively, remained in deferred revenue.

4. MARKETABLE SECURITIES AND FAIR VALUE MEASUREMENTS

We generally classify our marketable securities as available-for-sale at the time of purchase and re-evaluate such designation as of each balance sheet date. Since we generally intend to convert them into cash as necessary to meet our liquidity requirements our marketable securities are classified as cash equivalents if the original maturity, from the date of purchase, is ninety days or less and as short-term investments if the original maturity, from the date of purchase, is in excess of ninety days but less than one year. Our marketable securities are classified as long-term investments if the maturity date is in excess of one year of the balance sheet date.

We report available-for-sale investments at fair value as of each balance sheet date and include any unrealized gains and, to the extent deemed temporary, unrealized losses in stockholders' equity. Realized gains and losses are determined using the specific identification method and are included in other income (expense) in the statement of operations and comprehensive loss. Our auction rate securities are classified as trading securities and any changes in the fair value of those securities are recorded as other income (expense) in the statement of operations and comprehensive loss.

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

We conduct quarterly reviews to determine the fair value of our investment portfolio and to identify and evaluate each investment that has an unrealized loss, in accordance with the meaning of other-than-temporary impairment and its application to certain investments. An unrealized loss exists when the current fair value of an individual security is less than its amortized cost basis. In the event that the cost basis of a security exceeds its fair value, we evaluate, among other factors, the duration of the period that, and extent to which, the fair value is less than cost basis, the financial health of and business outlook for the issuer, including industry and sector performance, and operational and financing cash flow factors, overall market conditions and trends, our intent to sell the investment and if it is more likely than not that we would be required to sell the investment before its anticipated recovery. Unrealized losses on available-for-sale securities that are determined to be temporary, and not related to credit loss, are recorded in accumulated other comprehensive income (loss).

4. MARKETABLE SECURITIES AND FAIR VALUE MEASUREMENTS (Continued)

For available-for-sale debt securities with unrealized losses, we perform an analysis to assess whether we intend to sell or whether we would more likely than not be required to sell the security before the expected recovery of the amortized cost basis. Where we intend to sell a security, or may be required to do so, the security's decline in fair value is deemed to be other-than-temporary and the full amount of the unrealized loss is reflected in the statement of operations and comprehensive loss as an impairment loss.

Regardless of our intent to sell a security, we perform additional analysis on all securities with unrealized losses to evaluate losses associated with the creditworthiness of the security. Credit losses are identified where we do not expect to receive cash flows sufficient to recover the amortized cost basis of a security.

We invest our available cash primarily in U.S. Treasury bill funds, money market funds, commercial paper, and U.S. federal and state agency backed certificates, including auction rate securities that have investment grade ratings. Auction rate securities are structured with short-term interest reset dates of generally less than 90 days, but with contractual maturities that can be well in excess of ten years. At the end of each reset period, which occurs every seven to twenty-eight days, investors can sell or continue to hold the securities at par value. If auction rate securities fail an auction, due to sell orders exceeding buy orders, the funds associated with a failed auction would not be accessible until a successful auction occurred, a buyer was found outside the auction process, the underlying securities matured or a settlement with the underwriter is reached.

ArQule's marketable securities portfolio includes $2.1 million (at cost) at December 31, 2012 and 2011, invested in auction rate securities.

ArQule's marketable securities portfolio included $59.5 million (at cost) at December 31, 2009, invested in auction rate securities. Beginning in the first quarter of 2008 and throughout 2012, certain auction rate securities failed at auction due to sell orders exceeding buy orders. On November 3, 2008, the Company received a put option from UBS AG to repurchase auction rate securities owned by the Company at par value at any time during the period from June 30, 2010 through July 2, 2012 (the "Put Option"). The Company accounted for the Put Option as a freestanding financial instrument and elected to record the value under the fair value option for financial assets and financial liabilities.

On June 30, 2010, the company exercised the Put Option and in July 2010, UBS AG redeemed at par value all $22.9 million of the Company's auction rate securities held by UBS AG that were outstanding at June 30, 2010. Throughout 2010 UBS AG redeemed at par value a total of $56.9 million of the Company's auction rate securities held by UBS AG, including those redeemed from the exercise of the Put Option. The Company used a portion of the $56.9 million of 2010 redemptions to retire the $44.4 million notes payable to UBS AG that had been outstanding at December 31, 2009. The credit line at UBS AG was cancelled in July 2010.

The following is a summary of the fair value of available-for-sale marketable securities we held at December 31, 2012 and December 31, 2011:

December 31, 2012	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
Security type				
Corporate debt securities-short term	$ 64,921	$ 45	$ (22)	$ 64,944
Corporate debt securities-long term	49,460	93	(14)	49,539
Total available-for-sale marketable securities	$114,381	$ 138	$ (36)	$114,483

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

4. MARKETABLE SECURITIES AND FAIR VALUE MEASUREMENTS (Continued)

December 31, 2011	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
Security type				
U.S. Federal Treasury and U.S. government agencies securities-short term	$17,259	$ 1	$ (1)	$17,259
Corporate debt securities-short term	39,828	22	(36)	39,814
	57,087	23	(37)	57,073
U.S. Federal Treasury and U.S. government agencies securities-long term	33,556	13	(6)	33,563
Corporate debt securities-long term	5,235	2	(1)	5,236
	38,791	15	(7)	38,799
Total available-for-sale marketable securities	$95,878	$ 38	$ (44)	$95,872

The Company's available-for-sale marketable securities in a loss position at December 31, 2012 and December 31, 2011, were in a continuous unrealized loss position for less than 12 months.

The following is a summary of the fair value of trading securities we held at December 31, 2012 and December 31, 2011:

December 31, 2012	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
Security type				
Auction rate securities	$2,100	$ —	$(311)	$1,789
Total trading securities	$2,100	$ —	$(311)	$1,789

December 31, 2011	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
Security type				
Auction rate securities	$2,100	$ —	$(424)	$1,676
Total trading securities	$2,100	$ —	$(424)	$1,676

The underlying collateral of our auction rate securities consists of student loans, supported by the federal government as part of the Federal Family Education Loan Program (FFELP). At December 31, 2012, the Company's auction rate security is included in marketable securities-long term and totals $1,789. At December 31, 2011, the Company's auction rate security is included in marketable securities-long term and totals $1,676. The net increase in value of our auction rate securities totaling $113 in the year ended December 31, 2012 was recorded as a gain in other income in the statement of operations and comprehensive loss. The net increase in value of our auction rate securities totaling $20 in the year ended December 31, 2011 was recorded as a gain in other income in the and statement of operations and comprehensive loss.

The following tables present information about our assets that are measured at fair value on a recurring basis for the periods presented and indicates the fair value hierarchy of the valuation techniques we utilized to determine such fair value. In general, fair values determined by Level 1 inputs utilize quoted prices (unadjusted) in active markets for identical assets or liabilities. Fair values determined by Level 2 inputs utilize data points that are observable such as quoted prices, interest rates and yield curves. We value our level 2 investments using quoted prices for identical assets in the markets where they are traded, although

4. MARKETABLE SECURITIES AND FAIR VALUE MEASUREMENTS (Continued)

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

such trades may not occur daily. These quoted prices are based on observable inputs, primarily interest rates. Fair values determined by Level 3 inputs are unobservable data points for the asset or liability, and include situations where there is little, if any, market activity for the asset or liability. There were no transfers in or out of Level 1 or Level 2 measurements for the periods presented:

	December 31, 2012	Quoted Prices in Active Markets (Level 1)	Significant Other Observable Inputs (Level 2)	Significant Unobservable Inputs (Level 3)
Cash equivalents	$ 11,754	$ 11,754	$ —	$ —
Corporate debt securities-short term	64,944	—	64,944	—
Corporate debt securities-long term	49,539	—	49,539	—
Auction rate securities-long term	1,789	—	—	1,789
Total	$128,026	$ 11,754	$114,483	$ 1,789

	December 31, 2011	Quoted Prices in Active Markets (Level 1)	Significant Other Observable Inputs (Level 2)	Significant Unobservable Inputs (Level 3)
Cash equivalents	$ 10,042	$ 10,042	$ —	$ —
U.S. Federal Treasury and U.S. government agencies securities-short term	17,259	—	17,259	—
Corporate debt securities-short term	39,814	—	39,814	—
U.S. Federal Treasury and U.S. government agencies securities-long term	33,563	—	33,563	—
Corporate debt securities-long term	5,236	—	5,236	—
Auction rate securities-long term	1,676	—	—	1,676
Total	$107,590	$ 10,042	$95,872	$ 1,676

Due to the lack of market quotes relating to our auction rate securities, the fair value measurements for our auction rate securities have been estimated using an income approach model (discounted cash flow analysis), which is exclusively based on Level 3 inputs. The model considers factors that reflect assumptions market participants would use in pricing including, among others, the collateralization underlying the investments, the creditworthiness of the counterparty, the expected future cash flows, liquidity premiums, the probability of successful auctions in the future, and interest rates. The assumptions used are subject to volatility and may change as the underlying sources of these assumptions and markets conditions change.

The following table rolls forward the fair value of our auction rate securities and put option, whose fair values are determined by Level 3 inputs for 2012:

	Amount
Balance at Deceber 31, 2011	$1,676
Gain on auction rate securities	113
Settlements	—
Balance at December 31, 2012	$1,789

4. MARKETABLE SECURITIES AND FAIR VALUE MEASUREMENTS (Continued)

The following table rolls forward the fair value of our auction rate securities and put option, whose fair values are determined by Level 3 inputs for 2011:

	Amount
Balance at December 31, 2010	$2,154

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

Gain on auction rate securities	20
Settlements	(498)
Balance at December 31, 2011	$1,676

The following table provides quantitative information on the unobservable inputs of our fair value measurements for our Level 3 assets for the year ended December 31, 2012:

	Estimated Fair Value at December 31, 2012	Valuation Technique	Unobservable Inputs	Range
Auction rate securities	$ 1,789	Discounted cash flow		
			Maximum rate	1.62%
			Liquidity risk premium	3.50%–4.50%
			Probability of earning maximum rate until maturity	0.06%–0.09%
			Probability of principal returned prior to maturity	86.34%–88.38%
			Probability of default	11.57%–13.57%

A significant increase or decrease in the individual assumptions included above could result in a significantly lower or higher fair value measurement.

5. PROPERTY AND EQUIPMENT

Property and equipment consist of the following at December 31, 2012 and 2011:

	USEFUL LIFE ESTIMATED (YEARS)	2012	2011
Machinery and equipment	5	$12,824	$12,733
Leasehold improvements	3–10	4,620	4,594
Furniture and fixtures	7	1,175	1,175
Computer equipment	3	3,639	3,639
		22,258	22,141
Less: Accumulated depreciation and amortization		20,266	19,202
Net property and equipment		$ 1,992	$ 2,939
Depreciation and amortization expense		$ 1,064	$ 1,172

5. PROPERTY AND EQUIPMENT (Continued)

On May 2, 2005, we completed a transaction to sell our Woburn headquarters facility and two parcels of land in exchange for a cash payment, net of commissions and closing costs, of $39,331. Simultaneous with that sale, we entered into an agreement to lease back the entire facility and the associated land. The lease was subsequently amended on June 30, 2005. The amended lease has a term of ten years with an average annual rental rate of $3,409. We also have options to extend the lease term for up to an additional ten years. We are applying sale leaseback accounting to the transaction and are treating the lease as an operating lease. As a result of this transaction, we realized a gain on the sale of $5,477, which was deferred and is being amortized over the initial ten year lease term as a reduction in rent expense. The remaining amount of the deferred gain is $1,336 at December 31, 2012.

6. OTHER ASSETS

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

Other assets include the following at December 31, 2012 and 2011:

	2012	2011
Security deposits	$ 669	$ 669
Prepaid rent, net of current portion	589	780
Total other assets	$1,258	$1,449

7. ACCOUNTS PAYABLE AND ACCRUED EXPENSES

Accounts payable and accrued expenses include the following at December 31, 2012 and 2011:

	2012	2011
Accounts payable	$ 560	$ 226
Accrued payroll	2,872	2,768
Accrued outsourced pre-clinical and clinical fees	5,501	8,034
Accrued professional fees	641	379
Other accrued expenses	589	525
	$10,163	$11,932

8. NOTES PAYABLE

In October 2008, we entered into a margin loan agreement with a financial institution collateralized by $2.9 million of our auction rate securities and borrowed $1.7 million which is the maximum amount allowed under this facility. The amount outstanding under this facility was $1.7 million at December 31, 2012 and 2011, collateralized by $2.1 million of auction rate securities at cost.

Interest expense was $26, $25 and $274 for the years ended December 31, 2012, 2011 and 2010, respectively.

9. STOCKHOLDERS' EQUITY

Preferred Stock

We are authorized to issue up to one million shares of preferred stock. As of December 31, 2012 and 2011, there were no outstanding shares of preferred stock. Our Board of Directors will determine the terms of the preferred stock if and when the shares are issued.

9. STOCKHOLDERS' EQUITY (Continued)

Common Stock

Our amended Certificate of Incorporation authorizes the issuance of up to 100 million shares of $0.01 par value common stock.

In January 2011, we completed a stock offering in which we sold 8,050,000 shares of common stock at a price of $6.15 per share for net proceeds of $46.8 million after commissions and offering expenses.

In April 2012, we completed a stock offering in which we sold 8,222,500 shares of common stock at a price of $7.30 per share for net proceeds of $56.3 million after commissions and offering expenses.

At December 31, 2012, we have 585,033 common shares reserved for future issuance under the Employee Stock Purchase Plan ("Purchase Plan") and for the exercise of common stock options pursuant to the 1994 Amended and Restated Equity Incentive Plan ("Equity Incentive Plan") and the 1996 Amended and Restated Director Stock Option Plan ("Director Plan").

10. EQUITY INCENTIVE PLANS

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

During 2011, our stockholders approved an amendment to the Equity Incentive Plan to increase the number of shares available to 15,500,000. All shares are awarded at the discretion of our Board of Directors in a variety of stock based forms including stock options, restricted stock and performance based stock units. Pursuant to the Equity Incentive Plan, incentive stock options may not be granted at less than the fair market value of our common stock at the date of the grant, and the option term may not exceed ten years. Stock options issued pursuant to the Equity Incentive Plan generally vest over four years. For holders of 10% or more of our voting stock, options may not be granted at less than 110% of the fair market value of the common stock at the date of the grant, and the option term may not exceed five years. Stock appreciation rights granted in tandem with an option shall have an exercise price not less than the exercise price of the related option. As of December 31, 2012, no stock appreciation rights have been issued. At December 31, 2012, there were 3,521,735 shares available for future grant under the Equity Incentive Plan.

During 2011, our stockholders approved an amendment to the Director Plan to increase the number of shares available to 950,500. Under the terms of the Director Plan, options to purchase shares of common stock are automatically granted (A) to the Chairman of the Board of Directors (1) upon his or her initial election or appointment in the amount of 25,000 and vesting over three years and (2) upon his or her re-election or continuation on our board immediately after each annual meeting of stockholders in the amount of 25,000 and vesting immediately, and (B) to each other Director (1) upon his or her initial election to our board in the amount of 30,000 and vesting over three years and (2) upon his or her re-election or continuation on our board in the amount of 15,000 and vesting immediately. All options granted pursuant to the Director Plan have a term of ten years with exercise prices equal to fair market value on the date of grant. Through December 31, 2012, options to purchase 947,500 shares of common stock have been granted under this plan of which 615,000 shares are currently exercisable. As of December 31, 2012, 212,000 shares are available for future grant.

10. EQUITY INCENTIVE PLANS (Continued)

Option activity under the Plans for the years ended December 31, 2010, 2011 and 2012 was as follows:

Stock Options	Number of Shares	Weighted Average Exercise Price
Outstanding as of December 31, 2009	5,215,189	$ 6.04
Granted	1,548,650	3.74
Exercised	(83,023)	3.42
Cancelled	(324,989)	10.37
Outstanding as of December 31, 2010	6,355,827	$ 5.29
Granted	1,675,950	6.69
Exercised	(728,811)	5.41
Cancelled	(755,523)	7.88
Outstanding as of December 31, 2011	6,547,443	$ 5.34
Granted	1,453,468	7.72
Exercised	(278,545)	4.85
Cancelled	(564,908)	7.20
Outstanding as of December 31, 2012	7,157,458	$ 5.70
Exercisable as of December 31, 2012	4,428,151	$ 5.17
Weighted average grant-date fair value of options granted during the year ended December 31, 2012		$ 4.67

The following table summarizes information about options outstanding at December 31, 2012:

	Options Outstanding			Options Exercisable	
Range of Exercise Prices	Number Outstanding at December 31, 2012	Weighted Average Remaining Contractual Life	Weighted Average Exercise Price	Exercisable as of December 31, 2012	Weighted Average Exercise Price
$2.35–2.80	18,000	5.9	$ 2.48	17,000	$ 2.46
2.80–5.60	2,859,287	5.4	3.92	2,319,636	4.01
5.60–8.40	4,203,171	6.6	6.86	2,014,515	6.39
8.40–11.20	77,000	4.4	9.09	77,000	9.09

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

	7,157,458	6.1	$	5.70	4,428,151	$ 5.17

The aggregate intrinsic value of options outstanding at December 31, 2012 was $6, all related to exercisable options. The weighted average grant date fair value of options granted in year ended December 31, 2012, 2011 and 2010 was $4.67, $3.99, and $2.24, per share, respectively. The intrinsic value of options exercised in the year ended December 31, 2012, 2011, and 2010 was $604, $963, and $213, respectively.

Shares vested, expected to vest and exercisable at December 31, 2012 are as follows:

	Shares	Weighted-Average Exercise Price	Weighted-Average Remaining Contractual Term (in years)	Aggregate Intrinsic Value
Vested and unvested expected to vest at December 31, 2012	6,991,763	$ 5.70	6.1	$ 6
Exercisable at December 31, 2012	4,428,151	$ 5.17	4.8	$ 6

10. EQUITY INCENTIVE PLANS (Continued)

The total compensation cost not yet recognized as of December 31, 2012 related to non-vested option awards was $7,218 which will be recognized over a weighted-average period of 2.3 years. During the year ended December 31, 2012, there were 400,850 shares forfeited with a weighted average grant date fair value of $3.91 per share. The weighted average remaining contractual life for options exercisable at December 31, 2012 was 4.8 years.

In 2009, we granted 412,200 shares of restricted stock to employees, vesting annually over a four year period. In 2008 we granted 103,316 shares of restricted stock to employees, vesting annually over a four year period and 125,000 shares vesting annually over a two year period. The shares of restricted stock were issued at no cost to the recipients. The weighted average fair value of the restricted stock at the time of grant in 2009 and 2008 was $3.54 and $4.31 respectively, per share, and is being expensed ratably over the vesting period. Through December 31, 2012, 69,495 shares have been forfeited, and 491,226 shares have vested. We recognized share-based compensation expense related to restricted stock of $257, $358 and $389 for the year ended December 31, 2012, 2011 and 2010, respectively.

Restricted stock activity under the Plan for the year ended December 31, 2012 was as follows:

Restricted Stock	Number of Shares	Weighted Average Grant Date Fair Value
Unvested as of December 31, 2011	195,979	$ 3.65
Granted	—	—
Vested	(107,634)	3.74
Cancelled	(8,550)	3.54
Unvested as of December 31, 2012	79,795	$ 3.54

The fair value of restricted stock vested in 2012, 2011 and 2010 was $223, $800 and $449, respectively.

In July 2010, the Company amended its chief executive officer's (the "CEO's") employment agreement to grant the CEO 100,000 stock options, of which 25% vested upon grant and 25% vest annually over the next three years, and a maximum of 390,000 performance-based stock units that vest upon the achievement of certain performance and market based targets. In February 2012, the Company amended its chief medical officer's (the "CMO's") employment agreement to grant the CMO 50,000 performance-based stock units that vest upon the achievement of certain performance based targets. Through December 31, 2012 no expense has been recorded for these performance-based stock units.

In March 2013, the Company amended its chief operating officer's (the "COO's") employment agreement to grant the COO 125,000 performance-based stock units that vest upon the achievement of certain performance based targets. In March 2013, the Company amended its CMO's employment agreement to grant the CMO 120,000 performance-based stock units that vest upon the achievement of certain performance based targets.

In 1996, the stockholders adopted the Purchase Plan. This plan enables eligible employees to exercise rights to purchase our common stock at 85% of the fair market value of the stock on the date the right was granted or the date the right is exercised, whichever is lower. Rights to purchase shares

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

under the Purchase Plan are granted by the Board of Directors. The rights are exercisable during a period determined by the Board of Directors; however, in no event will the period be longer than twenty-seven months. The Purchase Plan is available to substantially all employees, subject to certain limitations. In 2011, our stockholders approved an amendment to the Purchase Plan to increase the aggregate number of shares of the Company's common stock that may be issued to 2,400,000. As of December 31, 2012, 1,814,967 shares have been purchased and 585,033 shares are available for future sale under the Purchase Plan. We recognized

10. EQUITY INCENTIVE PLANS (Continued)

share-based compensation expense related to the Purchase Plan of $159, $165 and $248 for the year ended December 31, 2012, 2011 and 2010, respectively.

11. INCOME TAXES

There was no current or deferred tax expense for the years ended December 31, 2012 and 2011. The Company recorded a $550 federal income tax benefit in 2010 attributable to an election it made in the second quarter of 2010 under legislation that allowed net operating losses to offset 100% of alternative minimum tax ("AMT"). Prior to this legislation, only 90% of AMT could be offset by net operating losses and accordingly in 2009 the Company recorded a $550 federal income tax expense for AMT. The Company received a refund in 2010 of the $550 AMT paid in 2009.

The American Taxpayer Relief Act of 2012 ("ATR Act") was enacted on January 2, 2013 which, among other things, provides a retroactive two-year extension of the U.S. research and development tax credits that had previously expired on December 31, 2011. We have not recorded the benefit of these credits for the 2012 year. We will record the benefit from these credits in the first quarter of calendar year 2013 as a result of the enactment of the ATR Act. We expect to record a benefit related to 2012 Research Credit of approximately $1,231, and a full valuation allowance, resulting in a net benefit of $0.

The following is reconciliation between the U.S. federal statutory rate and the effective tax rate for the years ended December 31, 2012, 2011 and 2010:

	2012	2011	2010
Income tax (benefit) expense at statutory rate	$(3,696)	$(3,659)	$(10,430)
State tax (benefit) expense, net of Federal tax (benefit) expense	(449)	357	(559)
Permanent items	648	617	116
Effect of change in valuation allowance and State NOL expiration	3,190	3,737	11,586
Tax credits	320	(2,006)	(1,466)
Other	(13)	954	203
Tax expense (benefit)	$ —	$ —	$ (550)

The income tax effect of temporary differences comprising the deferred tax assets and deferred tax liabilities on the accompanying balance sheets is a result of the following at December 31, 2012 and 2011:

	2012	2011
Deferred tax assets:		
Net operating loss carryforwards	$ 91,118	$ 86,848
Tax credit carryforwards	22,172	22,492
Equity based compensation	6,774	5,881
Book depreciation in excess of tax	2,263	2,321
Reserves and accruals	(132)	(101)
Deferred revenue	15,672	21,439
Loss on investment	194	194
Other	140	180
	138,201	139,254
Valuation allowance	(138,201)	(139,254)

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

Deferred tax liabilities	—	—
Net deferred tax assets	$ —	$ —

11. INCOME TAXES (Continued)

Total valuation allowance decreased by $1,053 for the year ended December 31, 2012. We have evaluated positive and negative evidence bearing upon the realizability of our deferred tax assets, which are comprised principally of federal net operating loss ("NOL"), net capital loss, and research and development credit carryforwards. We have determined that it is more likely than not that we will not recognize the benefits of our federal and state deferred tax assets and, as a result, we have established a full valuation allowance against our net deferred tax assets as of December 31, 2012.

As of December 31, 2012, we had federal NOL, state NOL, and research and development credit carryforwards of approximately $265,004, $113,262 and $24,885 respectively, expiring from 2013 to 2032, which can be used to offset future income tax liabilities. Federal capital loss carryforwards of approximately $571, expiring in 2015, can be used to offset future federal capital gain income. Approximately $15,003 of our federal NOL and $907 of our state NOL were generated from excess tax deductions from share-based awards, the tax benefit of which will be credited to additional paid-in-capital when the deductions reduce current taxes payable.

At December 31, 2011, and 2012 we had no unrecognized tax benefits. We do not expect that the total amount of unrecognized tax benefits will significantly increase in the next twelve months. Our policy is to recognize interest and penalties related to uncertain tax positions in income tax expense. As of December 31, 2011 and 2012, we had no accrued interest or penalties related to uncertain tax positions. Our U.S. federal tax returns for the tax years 2010 through 2012 and our state tax returns for the tax years 2009 through 2012 remain open to examination. Prior tax years remain open to the extent of net operating loss and tax credit carryforwards.

Utilization of NOL and research and development credit carryforwards may be subject to a substantial annual limitation in the event of an ownership change that has occurred previously or could occur in the future pursuant to Section 382 and 383 of the Internal Revenue Code of 1986, as amended, as well as similar state provisions. An ownership change may limit the amount of NOL and research and development credit carryforwards that can be utilized annually to offset future taxable income, and may, in turn, result in the expiration of a portion of those carryforwards before utilization. In general, an ownership change, as defined by Section 382, results from transactions that increase the ownership of certain stockholders or public groups in the stock of a corporation by more than 50 percentage points over a three year period. We undertook a detailed study of our NOL and research and development credit carryforwards through January 31,2013, to determine whether such amounts are likely to be limited by Section 382. As a result of this analysis, we currently do not believe any Sections 382 or 383 limitations will significantly impact our ability to offset income with available NOL and research and development credit carryforwards. However, future ownership changes under Section 382 may limit our ability to fully utilize these tax benefits.

12. COMMITMENTS AND CONTINGENCIES

Leases

We lease facilities under non-cancelable operating leases. At December 31, 2012, the minimum lease commitments for all leased facilities, net of sublease income, are as follows:

YEAR ENDING DECEMBER 31,	OPERATING LEASES
2013	$ 3,073
2014	3,185
2015	1,069
2016	—
Thereafter	—
Total minimum lease payments	$ 7,327

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

12. COMMITMENTS AND CONTINGENCIES (Continued)

Rent expense under non-cancelable operating leases was approximately $2,866 for the years ended December 31, 2012, 2011, and 2010.

13. CONCENTRATION OF CREDIT RISK

Revenue from one customer represented approximately 84% of total revenue during 2012, 79% in 2011 and 79% in 2010. Revenue from another customer represented approximately 16% of total revenue during 2012, 21% in 2011, and 21% in 2010.

14. SELECTED QUARTERLY FINANCIAL DATA (UNAUDITED)

	FIRST QUARTER	SECOND QUARTER	THIRD QUARTER	FOURTH QUARTER
2012				
Net revenues	$ 8,498	$11,829	$10,944	$ 5,143
Net loss	(4,260)	(885)	(431)	(5,296)
Loss per share:				
Basic and diluted net loss per share:				
Net loss per share	$ (0.08)	$ (0.01)	$ (0.01)	$ (0.09)

	FIRST QUARTER	SECOND QUARTER	THIRD QUARTER	FOURTH QUARTER
2011				
Net revenues	$13,405	$ 5,447	$11,954	$16,504
Net income (loss)	(1,466)	(10,804)	(2,260)	3,768
Basic and diluted earnings (loss) per share:				
Net earnings (loss) per share	$ (0.03)	$ (0.20)	$ (0.04)	$ 0.07

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

None.

ITEM 9A. CONTROLS AND PROCEDURES

Conclusion Regarding the Effectiveness of Disclosure Controls and Procedures

Our management, with the participation of our Chief Executive Officer and President and Chief Operating Officer (Principal Financial Officer), evaluated the effectiveness of our disclosure controls and procedures as of December 31, 2012. The term “disclosure controls and procedures,” as defined in Rules 13a-15(e) and 15d-15(e) under the Securities Exchange Act of 1934, as amended (“Exchange Act”), means controls and other procedures of a company that are designed to ensure that information required to be disclosed by a company in the reports that it files or submits under the Exchange Act is recorded, processed, summarized and reported, within the time periods specified in the SEC’s rules and forms. Disclosure controls and procedures include, without limitation, controls and procedures designed to provide reasonable assurance that information required to be disclosed by a company in the reports that it files or submits under the Exchange Act is accumulated and communicated to the company’s management, including its principal executive and principal financial officers, as appropriate to allow timely decisions regarding required disclosure. Our management recognizes that any controls and procedures, no matter how well designed and operated, can provide only reasonable assurance of achieving their objectives and our management necessarily applies its judgment in evaluating the cost-benefit relationship of possible controls and procedures. Based on the evaluation of our disclosure controls and procedures as of December 31, 2012, our Chief Executive Officer and President and Chief Operating Officer (Principal Financial Officer) concluded that, as of such date, our disclosure controls and procedures were effective at the reasonable assurance level.

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

Exchange Act Rule 13a-15(f). Under the supervision and with the participation of our management, including our principal executive officer and principal financial officer, we conducted an evaluation of the effectiveness of our internal control over financial reporting based on the framework in *Internal Control—Integrated Framework* issued by the Committee of Sponsoring Organizations of the Treadway Commission. Based on our evaluation under the framework in *Internal Control—Integrated Framework* , our management concluded that our internal control over financial reporting was effective as of December 31, 2012.

The effectiveness of our internal control over financial reporting as of December 31, 2012 has been audited by PricewaterhouseCoopers LLP, an independent registered public accounting firm, as stated in their report which is included herein.

Changes in Internal Control Over Financial Reporting

There has been no change in our internal control over financial reporting during the quarter ended December 31, 2012 that has materially affected or is reasonably likely to materially affect our internal control over financial reporting.

ITEM 9B. OTHER INFORMATION

None.

PART III

Except as otherwise indicated, the following information required by the Instructions to Form 10-K is incorporated herein by reference from various sections of the ArQule, Inc. Proxy Statement for the annual meeting of stockholders to be held on May 20, 2013, as summarized below:

ITEM 10. DIRECTORS, EXECUTIVE OFFICERS, AND CORPORATE GOVERNANCE

“Election of Directors;” “Section 16(a) Beneficial Ownership Reporting Compliance;” “Corporate Governance;” and “Board Committees and Meetings.”

Information regarding the executive officers of the Company is incorporated by reference from “Executive Officers of the Registrant” at the end of Item 1 of this report.

ITEM 11. EXECUTIVE COMPENSATION

“Compensation Discussion and Analysis;” “Executive Compensation;” “Director Compensation;” “Compensation, Nominating and Governance Committee Interlocks and Insider Participation;” and “Compensation Committee Report.”

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

“Share Ownership of Certain Beneficial Owners” and “Securities Authorized for Issuance Under Equity Compensation Plans.”

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

“Certain Relationships and Related Transactions” and “Director Independence.”

ITEM 14. PRINCIPAL ACCOUNTING FEES AND SERVICES

Fees paid to the Company’s independent registered public accounting firm are disclosed under the caption “Ratification of the Selection of an Independent Registered Public Accountants.”

PART IV

ITEM 15. EXHIBITS AND FINANCIAL STATEMENT SCHEDULES

(a) 1. FINANCIAL STATEMENTS

The financial statements are listed under Item 8 of this report.

2. FINANCIAL STATEMENT SCHEDULES

The financial statement schedules are omitted from this report because they are not applicable or required information are shown in the financial statements of the footnotes thereto.

3. EXHIBITS

EXHIBIT NO.	DESCRIPTION
3.1	Restated Certificate of Incorporation of the Company, Filed as Exhibit 3.1 to the Company's Annual Report on Form 10-K filed on March 2, 2011 (File No. 000-21429) and incorporated herein by reference.
3.3	Amended and Restated By-laws of the Company. Filed as Exhibit 3.1 to the Company's Current Report on Form 8-K filed on November 19, 2007 (File No. 000-21429) and incorporated herein by reference.
4.1	Specimen Common Stock Certificate. Filed as Exhibit 4.2 to the Company's Registration Statement on Form S-1 filed on August 19, 1996 (File No. 333-11105) and incorporated herein by reference.
10.1*	Amended and Restated 1994 Equity Incentive Plan. Filed as Appendix A to the Company's Definitive Proxy Statement filed on April 29, 2011 (File No. 000-21429) and incorporated herein by reference.
10.2*	Amended and Restated 1996 Employee Stock Purchase Plan. Filed as Appendix B to the Company's Definitive Proxy Statement filed on April 29, 2011 (File No. 000-21429) and incorporated herein by reference.
10.3*	Amended and Restated 1996 Director Stock Option Plan. Filed as Appendix C to the Company's Definitive Proxy Statement filed on April 29, 2011 (File No. 000-21429) and incorporated herein by reference.
10.4*	2005 Director Stock Compensation Plan. Filed as Exhibit 4 to the Company's Registration Statement on Form S-8 filed on December 6, 2005 (File No. 333-130159) and incorporated herein by reference.
10.5	Amended and Restated Lease by and between ARE-MA Region No. 20, LLC and the Company, dated June 30, 2005. Filed as Exhibit 10.21 to the Company's Quarterly Report on Form 10-Q for the quarter ended June 30, 2005 filed on August 5, 2005 (File No. 000-21429) and incorporated herein by reference.
10.6*	Employment Agreement between the Company and Peter S. Lawrence, dated April 13, 2006. Filed as Exhibit 10.1 to the Company's Current Report on Form 8-K dated April 18, 2006 (File No. 000-21429) and incorporated herein by reference.
10.7+	Exclusive License Agreement, by and between the Company and Kyowa Hakko Kogyo Co., Ltd. Filed as Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the quarter ended June 30, 2007 filed on August 7, 2007 (File No. 000-21429) and incorporated herein by reference.
10.8*	Amendment to Employment Agreement, dated as of October 4, 2007, by and between the Company and Peter S. Lawrence. Filed as Exhibit 10.1 to the Company's Current Report on Form 8-K filed on October 10, 2007 (File No. 000-21429) and incorporated herein by reference.
10.9*	Form of Incentive Stock Option Agreement. Filed as Exhibit 10.16 to the Company's Annual Report on Form 10-K filed on March 17, 2008 (File No. 000-21429) and incorporated herein by reference.
10.10*	Form of Non-Statutory Stock Option Agreement. Filed as Exhibit 10.17 to the Company's Annual Report on Form 10-K filed on March 17, 2008 (File No. 000-21429) and incorporated herein by reference.
10.11*	Second Amendment to Employment Agreement, dated April 14, 2008, by and between ArQule, Inc. and Peter S. Lawrence. Filed as Exhibit 10.4 to the Company's Current Report on Form 8-K, filed on April 18, 2008 (File No. 000-21429) and incorporated herein by reference.

EXHIBIT NO.	DESCRIPTION
10.12*	Employment Agreement, dated as of April 15, 2008, by and between ArQule, Inc. and Paolo Pucci. Filed as Exhibit 10.4 to the Company's Current Report on Form 8-K, filed on April 18, 2008 (File No. 000-21429) and incorporated herein by reference.
10.13+	Collaborative Research, Development and License Agreement, dated November 7, 2008, by and between ArQule, Inc. and Daiichi Sankyo Co., Ltd. Filed as Exhibit 10.22 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2008 filed on March 6, 2009 (File No. 000-21429) and incorporated herein by reference.
10.14+	License, Co-Development and Co-Commercialization Agreement, dated December 18, 2008, by and between ArQule, Inc. and Daiichi Sankyo Co., Ltd. Filed as Exhibit 10.23 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2008 filed on March 6, 2009 (File No. 000-21429) and incorporated herein by reference.
10.15+	Agreement on Milestone Payments and Royalties, effective as of May 25, 2009 by and between ArQule, Inc. and Daiichi Sankyo Co., Ltd. Filed as Exhibit 10.1 to the Company's Current Report on Form 10-Q for the quarter ended June 30, 2009, filed on August 7, 2009 (File No. 000-21429) and incorporated herein by reference.
10.16*	Amendment to Employment Agreement, dated as of July 15, 2010, by and between the Company and Paolo Pucci. Filed as Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the quarter ended June 30, 2010 filed on August 4, 2010, (File No. 000-21429) and incorporated herein by reference.
10.17*	Form of Stock Unit Agreement. Filed as Exhibit 10.2 to the Company's Quarterly Report on Form 10-Q for the quarter ended June 30, 2010, filed on August 4, 2010, (File No. 000-21429) and incorporated herein by reference.
10.19*	Form of Restricted Stock Agreement. Filed as Exhibit 10.3 to the Company's Quarterly Report on Form 10-Q for the quarter ended June 30, 2010, filed on August 4, 2010, (File No. 000-21429) and incorporated herein by reference.

10.20+	Amendment No. 1 to Collaborative Research, Development and License Agreement, dated October 8, 2010, by and between ArQule, Inc. and Daiichi Sankyo Co., Ltd. Filed as Exhibit 10.1 to the Company's Amendment No.1 to Quarterly Report on Form 10-Q for the quarter ended September 30, 2010 filed on January 14 , 2011, (File No. 000-21429) and incorporated herein by reference.
10.21*	Employment Agreement, dated as of November 21, 2008 by and between ArQule, Inc. and Thomas C. K. Chan, filed as Exhibit 10.21 to the Company's Annual Report on Form 10-K for the year ended December 31, 2011 filed on March 1, 2012 (File No. 000-21429) and incorporated herein by reference.
10.22*	Employment Agreement, dated as of June 17, 2008, by and between ArQule, Inc. and Brian Schwartz, filed as Exhibit 10.1 to the Company's Current Report on Form 8-K filed on February 24, 2012 (File No. 000-21429) and incorporated herein by reference.
10.23*	Amendment to Employment Agreement dated as of February 23, 2012 by and between ArQule, Inc. and Brian Schwartz, filed as Exhibit 10.2 to Amendment No.1 to the Company's Current Report on Form 8-K filed on February 27, 2012 (File No. 000-21429) and incorporated herein by reference.
10.24+	License and Co-Commercialization Agreement, dated November 8, 2011, by and between ArQule, Inc. and Daiichi Sankyo Co., Ltd., filed as Exhibit 10.24 to the Company's Annual Report on Form 10-K for the year ended December 31, 2011 filed on March 1, 2012 (File No. 000-21429) and incorporated herein by reference.
10.25*	Amendment to Employment Agreement filed dated as of November 2, 2012 by and between ArQule, Inc. and Thomas C. K. Chan, filed herewith.

EXHIBIT NO.	DESCRIPTION
10.26*	Second Amendment to Employment Agreement, dated as of March 8, 2013, by and between ArQule, Inc. and Paolo Pucci, filed as Exhibit 10.1 to the Company's Current Report on Form 8-K filed on March 11, 2013 (File No. 000-21429) and incorporated herein by reference.
10.27*	Third Amendment to Employment Agreement, dated as of March 8, 2013, by and between ArQule, Inc. and Peter S. Lawrence, filed as Exhibit 10.2 to the Company's Current Report on Form 8-K filed on March 11, 2013 (File No. 000-21429) and incorporated herein by reference.
10.28*	Second Amendment to Employment Agreement, dated March 8, 2013, by and between ArQule, Inc. and Brian Schwartz, filed as Exhibit 10.3 to the Company's Current Report on Form 8-K filed on March 11, 2013 (File No. 000-21429) and incorporated herein by reference.
23.1	Consent of PricewaterhouseCoopers LLP, an Independent Registered Public Accounting Firm, filed herewith.
31.1	Rule 13a-14(a) Certificate of Chief Executive Officer, filed herewith.
31.2	Rule 13a-14(a) Certificate of Principal Financial Officer, filed herewith.
32	Rule 13a-14(b) Certificate of Chief Executive Officer and Principal Financial Officer, filed herewith.
101	The following materials from ArQule, Inc.'s Annual Report on Form 10-K for the year ended December 31, 2012, formatted in XBRL (Extensible Business Reporting Language): (i) Balance Sheets, (ii) Statements of Operations and Comprehensive Loss, (iii) Statements of Stockholders' Equity (Deficit) and Comprehensive Loss, (iv) Statements of Cash Flows, and (v) Notes to Financial Statements.

* Indicates a management contract or compensatory plan.

\+ Certain confidential material contained in the document has been omitted and filed separately with the Securities and Exchange Commission pursuant to Rule 406 of the Securities Act of 1933, as amended or Rule 24b-2 of the Securities and Exchange Act of 1934, as amended.

SIGNATURES

Pursuant to the requirements of Section 13 or 15(d) of the Securities Exchange Act of 1934, the Registrant has duly caused this report to be signed on its behalf by the undersigned, thereunto duly authorized.

ARQULE, INC.

By: /s/ PAOLO PUCCI
Paolo Pucci
Chief Executive Officer
Date: March 14, 2013

Pursuant to the requirements of the Securities Exchange Act of 1934, this report has been signed below by the following persons on behalf of the registrant and in the capacities and on the dates indicated.

SIGNATURE	TITLE	DATE
/s/ PAOLO PUCCI Paolo Pucci	Chief Executive Officer and Director (Principal Executive Officer)	March 14, 2013
/s/ PETER S. LAWRENCE Peter S. Lawrence	President and Chief Operating Officer (Principal Financial Officer)	March 14, 2013
/s/ ROBERT J. WEISKOPF Robert J. Weiskopf	Vice President of Finance, Corporate Controller and Treasurer (Principal Accounting Officer)	March 14, 2013
/s/ PATRICK J. ZENNER Patrick J. Zenner	Director—Chairman of the Board	March 14, 2013
/s/ TIMOTHY C. BARABE Timothy C. Barabe	Director	March 14, 2013
/s/ SUSAN L. KELLEY Susan L. Kelley	Director	March 14, 2013
/s/ RONALD M. LINDSAY Ronald M. Lindsay	Director	March 14, 2013
/s/ MICHAEL D. LOBERG Michael D. Loberg	Director	March 14, 2013
/s/ WILLIAM G. MESSENGER William G. Messenger	Director	March 14, 2013

November 2, 2012

Dr. Thomas Chan
99 Ridge Street
Winchester, MA 01890

Dear Tom:

The purpose of this letter agreement ("Agreement") is to confirm the terms of your separation of employment from ArQule, Inc. ("ArQule" or the "Company"). [1] This Agreement shall be effective on the eighth (8th) day following your signing of this Agreement, at which time it shall become final and binding on both parties.

1. Superseding Agreement. By entering into this Agreement, the parties intend and do hereby supersede all of the terms of an Employment Agreement dated November 21, 2008 ("the 2008 Agreement"), except as to those provisions that the parties expressly agree herein shall survive.

2. Separation of Employment. Contingent upon your execution of this Agreement, your employment with ArQule shall terminate upon expiration of your current employment agreement effective as of November 22, 2012 ("the Separation Date"). You hereby acknowledge that your termination of employment is voluntary. The Company will continue your regular base pay and insurance benefits through the Separation Date. ArQule hereby waives any obligation by you to provide further notice as set forth in Section 5.3 of the 2008 Agreement. From and after November 22, 2012, you shall not perform duties (except as provided in Section 6B below) for or be present at ArQule facilities, nor shall you represent yourself to any third party as an employee or agent of the Company. Any accrued and unused vacation pay shall be paid to you with the first payroll following the Separation Date.

3. Other Economic Benefits. Also contingent upon your signing of this Agreement, ArQule agrees to provide you with the following Economic Benefits.

A. 2012 Pro-Rata Bonus. ArQule shall pay to you a 2012 bonus pro-rated for 11/12ths of the year. The bonus amount will be determined based on the typical procedure for determining the bonuses of ArQule senior executives and employees (i.e., target bonus amount times a percentage based on the scoring of corporate goals by the CN&G committee and endorsed by the board at its January meeting, and, in your case, times 11/12). For illustrative purposes only, if the CN&G committee and board were to award bonuses at 100%, you would in such case be entitled to the gross amount of one hundred ten thousand six hundred forty one and sixty three cents ($110,641.63), less all ordinary payroll taxes and withholdings, which amount would be paid when such bonuses are paid to other ArQule employees, expected to occur during Q1 of 2013. You hereby

[1/] The parties agree that, except with respect to Section 3 of this Agreement, which shall be the obligation of ArQule, Inc. only, wherever the term "ArQule" or "the Company" is otherwise used in this Agreement, it shall refer to ArQule, Inc., and any and all of its divisions, affiliates and subsidiaries and all other related entities, and its and their directors, officers, employees agents, successors and assigns.

acknowledge that the dollar amount of your pro-rated 2012 bonus is uncertain and not guaranteed and may be less than the gross amount set forth in the illustration above.

B. Stock Options – Extension of Exercise Period. You will be entitled to exercise only those stock options granted to you under ArQule's Amended and Restated 1994 Equity Incentive Plan (as amended) that are vested as of the Separation Date, and only in accordance with the terms and conditions of the applicable plan(s), except that ArQule hereby agrees that for all such vested stock options, you may exercise your right to purchase such shares up to and through December 31, 2013. Except for those vested options, you acknowledge and agree that you do not now have, and will not in the future have, rights to vest in any other equity plans (of whatever name or kind, including, without limitation, any stock option or restricted stock plan) that you participated in or were eligible to participate in during your employment with ArQule.

4. Acknowledgements. You acknowledge and agree that ArQule is not obligated to provide you with the Other Economic Benefits set forth above, that such Other Economic Benefits are not otherwise due or owing to you under the 2008 Employment Agreement, or any other agreement between you and the Company (oral or written), or Company policy or practice. You further acknowledge that except as set forth in Sections 2 and 3 above, you are not now and shall not in the future be entitled to any other compensation from the Company including, without limitation, other wages, bonuses, stock options or any other form of equity, vacation

pay, holiday pay, paid time off or any other form of compensation or benefit.

5. COBRA . Following the Separation Date, and to the extent permitted by the provisions of the Consolidated Omnibus Budget Reconciliation Act of 1985 (COBRA), you will have the right, at your sole expense, to continue your participation in the Company's group medical and dental insurance plans. The "qualifying event" under COBRA shall be deemed to have occurred on the Separation Date.

6. Other Agreements By You .

A. You will promptly return to ArQule all property and documents of ArQule in your custody or possession. You hereby reaffirm your obligations set forth in Sections 7, 8 and 10 of the 2008 Agreement (such terms being incorporated herein by reference), and your obligations contained in the Employee Non-Disclosure and Inventions Agreement previously executed between ArQule and you (a copy of such agreement being attached hereto as Exhibit A), which agreement also is incorporated herein by reference. You further agree to abide by any and all common law and/or statutory obligations relating to the protection and non-disclosure of ArQule's trade secrets and/or confidential and proprietary documents and information. To the extent that the obligations set forth in either referenced agreement impose greater obligations upon you, then you shall be bound by such greater obligations.

B. You agree that while you remain employed by ArQule, from and after the date hereof through the Separation Date, you will make yourself available to ArQule, upon reasonable notice, either by telephone or, if ArQule believes necessary, in person, to assist ArQule in any matter relating to the services performed by you during your employment with ArQule including, but not limited to, transitioning your duties to other ArQule employees. You further agree that in the future you will cooperate fully with ArQule in the defense or prosecution of any claims or actions now in existence or which may be brought or threatened in the future against ArQule by third parties or on behalf of ArQule, including

any claim or action against its directors, officers and employees. Your cooperation in connection with such claims or actions shall include, without limitation, your being available to meet with ArQule to prepare for any proceeding, to provide truthful affidavits, to assist with any audit, inspection, proceeding or other inquiry, and to act as a witness in connection with any litigation or other legal proceeding affecting ArQule. ArQule will reimburse you for all reasonable, documented, out-of-pocket expenses incurred by you in cooperating with ArQule. You further agree that should any individual representing a party adverse to the business interests of ArQule (including, without limitation, anyone threatening any form of legal action against ArQule) contact you (directly or indirectly), you will promptly (within 48 hours) inform the General Counsel and/or Vice President of Human Resources in writing of that fact.

C. Unless and only to the extent disclosed by the Company in regulatory or legal filings with the Securities and Exchange Commission or other entities, you agree that except for your obligations under Section 6A above, all information relating in any way to the subject matter of this Agreement, including the existence and provisions of this Agreement, will be held confidential by you and will not be publicized or disclosed to any person other than an immediate member of your family or your legal counsel, accountant or financial advisor, (provided that any such individual to whom disclosure is made shall be bound by these confidentiality obligations), or a state or federal tax authority or government agency to which disclosure is mandated by applicable state or federal law. You further agree that you will not make any statements that are disparaging about or adverse to the business interests of ArQule (including its directors, officers, and employees) or which are intended to harm the reputation of ArQule including, but not limited to, any statements that disparage any of its products, services, finances, capabilities or any other aspect of the business of ArQule.

Your breach of any obligation contained in this Section 6 will constitute a material breach of this Agreement and, in addition to any other legal or equitable remedy available to ArQule, will entitle ArQule to recover the monetary value of the Other Economic Benefits being provided to you under Section 3 of this Agreement.

7. Release of Claims . You acknowledge and agree that, but for agreeing to the conditions and providing the waiver and release contained in this Agreement, you would not be receiving the Other Economic Benefits provided for herein. You further hereby acknowledge and agree that by signing this Agreement and accepting the Other Economic Benefits, you are waiving your right to assert any form of legal claim against ArQule (as defined in footnote no. 1 to this Agreement) of any kind whatsoever from the beginning of time through and including the Separation Date or the date you sign this Agreement, whichever is later. Your waiver and release is intended to bar any form of legal claim, charge, complaint or any other form of action (collectively referred to as "Claims") against ArQule seeking any form of relief including, without limitation, equitable relief (whether declaratory, injunctive or otherwise), the recovery of any

damages or any other form of monetary recovery whatsoever (including, without limitation, back pay, front pay, compensatory damages, emotional distress damages, punitive damages, attorneys' fees or any other costs) against ArQule up through and including the Separation Date or the date you sign this Agreement, whichever is later. You understand that there could be unknown or unanticipated Claims resulting from your employment with ArQule and the termination thereof and agree that such Claims are intended to be, and are, included in this waiver and release.

Without limiting the foregoing general waiver and release, you specifically waive and release ArQule from any Claims arising from or related to your employment relationship with

ArQule or the termination thereof, including without limitation: (i) Claims under any state (including, without limitation, Massachusetts) or federal discrimination statute (including but not limited to Massachusetts General Laws Chapter 151B, the Age Discrimination in Employment Act, the Americans With Disabilities Act, Title VII of the Civil Rights Act of 1964), fair employment practices or any other employment related statute, regulation or executive order, (as each may have been amended through the date on which you sign this Agreement); (ii) Claims under any other state (including, without limitation, Massachusetts) or federal employment related statute (including but not limited to the Worker Adjustment and Retraining Notification (WARN) Act), regulation or executive order (as they may have been amended through the date on which you sign this letter agreement) relating to wages, hours or any other terms and conditions of employment; (iii) Claims under any state (including, without limitation, Massachusetts) or federal common law theory; and (iv) any other Claim arising under state or federal law.

Notwithstanding the foregoing, this Section 8 will not release ArQule from any obligation expressly set forth in this Agreement or with respect to distributions not yet made to you under the terms of ArQule's 401(k) Savings Plan.

8. OWBPA . It is ArQule's desire and intent to make certain that you fully understand the provisions and effects of this Agreement. To that end, ArQule hereby advises you in writing to consult with legal counsel for the purpose of reviewing the terms of this Agreement and you are being given the opportunity to do so. Because you are over 40 years of age, you are granted specific rights under the Older Workers Benefit Protection Act (OWBPA), which prohibits discrimination on the basis of age. The release set forth in Section 7 is intended to release any rights you may have against ArQule alleging discrimination on the basis of age, including claims under the federal Age Discrimination in Employment Act and OWBPA. Consistent with the provisions of OWBPA, you acknowledge that you have been provided with at least 21 days to consider and accept the provisions of this Agreement. In addition, you may rescind your assent to this Agreement if, within seven (7) days after the date you sign this Agreement, you deliver a written notice of rescission to ArQule. To be effective, such notice of rescission must be postmarked, and sent by certified mail, return receipt requested, or delivered in-hand within the seven-day period to Tony Messina at ArQule. On the eighth day following your execution of this Agreement (the "Effective Date"), it will become final and binding on all parties.

Also, consistent with federal discrimination laws, nothing in this release shall be deemed to prohibit you from challenging the validity of this release under federal discrimination laws or from filing a charge or complaint of age or other employment related discrimination with the Equal Employment Opportunity Commission ("EEOC"), or from participating in any investigation or proceeding conducted by the EEOC. However, this release does prohibit you from seeking or receiving monetary damages or other individual-specific relief in connection with any such charge or complaint of age or other employment-related discrimination that relates to or arises out of any Claims occurring up through and including the Separation Date or the date you sign this Agreement, whichever is later. Further, nothing in this release or Agreement shall be deemed to limit ArQule's right to seek immediate dismissal of such charge or complaint on the basis that your signing of this Agreement constitutes a full release of any individual rights under federal discrimination laws, or ArQule's right to seek restitution or other legal remedies to the extent permitted by law of the economic benefits provided to you under this Agreement in the event that you successfully challenge the validity of this release and prevail in any claim under federal discrimination laws.

9. Full Agreement, Choice of Law, Jury Waiver . Except as expressly provided for herein, this Agreement supersedes any and all prior oral and/or written agreements, and sets forth

the entire agreement between ArQule and you in respect of your separation from ArQule. No variations or modifications hereof shall be

deemed valid unless reduced to writing and signed by ArQule and you. This Agreement shall be deemed to have been made in the Commonwealth of Massachusetts and shall take effect as an instrument under seal within the Commonwealth of Massachusetts. The validity, interpretation and performance of this Agreement, and any and all other matters relating to your employment and separation of employment from ArQule, shall be governed by, and construed in accordance with, the internal laws of the Commonwealth of Massachusetts, without giving effect to conflict of law principles. Both parties agree that any action, demand, claim or counterclaim relating to (i) your employment and separation of your employment, and (ii) the terms and provisions of this Agreement or to its breach, shall be commenced in the Commonwealth of Massachusetts in a court of competent jurisdiction. Both parties further agree that any such action, demand, claim or counterclaim shall be tried by a judge alone, and both parties hereby waive and forever renounce the right to a trial before a civil jury. The provisions of this Agreement are severable, and if for any reason any part hereof shall be found to be unenforceable, the remaining provisions shall be enforced in full.

By executing this Agreement, you are acknowledging that you have been afforded sufficient time to understand the provisions and effects of this Agreement and to consult with legal counsel, that your agreements and obligations under this Agreement are made voluntarily, knowingly and without duress and that neither ArQule nor its agents or representatives have made any representations inconsistent with the provisions of this Agreement.

Yours very truly,

ArQule, Inc.

By: /s/ A.S. Messina
11/7/12

ACCEPTED AND AGREED TO:

/s/ Thomas Chan
Dr. Thomas Chan

Dated: November 2, 2012

Exhibit 23.1

CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

We hereby consent to the incorporation by reference in the Registration Statements on Form S-8 (File Nos. 333-178228, 333-178227, 333-178226, and 333-130159) of ArQule, Inc., of our report dated March 14, 2013 relating to the financial statements and the effectiveness of internal control over financial reporting, which appears in this Form 10-K.

/s/ PricewaterhouseCoopers LLP

Boston, Massachusetts
March 14, 2013

Exhibit 31.1

CERTIFICATE OF CHIEF EXECUTIVE OFFICER

I, Paolo Pucci, certify that:

1. I have reviewed this annual report on Form 10-K of ArQule, Inc.;

2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;

3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;

4. The registrant's other certifying officer and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15 (f)) for the registrant and have:

   a) Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, is made known to us by others within those entities, particularly during the period in which this report is being prepared;

   b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, 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;

   c) Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and

   d) Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and

5. The registrant's other certifying officer and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's board of directors (or persons performing the equivalent functions):

   a) All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and

   b) Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: March 14, 2013

/s/ P AOLO P UCCI

Paolo Pucci
Chief Executive Officer

Exhibit 31.2

CERTIFICATE OF PRINCIPAL FINANCIAL OFFICER

I, Peter S. Lawrence certify that:

1. I have reviewed this annual report on Form 10-K of ArQule, Inc.;

2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;

3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;

4. The registrant's other certifying officer and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15 (f)) for the registrant and have:

   a) Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, is made known to us by others within those entities, particularly during the period in which this report is being prepared;

   b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, 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;

   c) Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and

   d) Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and

5. The registrant's other certifying officer and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's board of directors (or persons performing the equivalent functions):

   a) All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and

   b) Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: March 14, 2013

/s/ PETER S. LAWRENCE

Peter S. Lawrence
President and Chief Operating Officer
(Principal Financial Officer)

Exhibit 32

ArQule, Inc.

CERTIFICATE OF THE CHIEF EXECUTIVE OFFICER AND PRINCIPAL FINANCIAL OFFICER

The undersigned, Paolo Pucci, Chief Executive Officer of ArQule, Inc. (the "Company") and Peter S. Lawrence, President and Chief Operating Officer (Principal Financial Officer) of the Company, both duly elected and currently serving, do each hereby certify that, to the best of his/her knowledge:

1. The annual report on Form 10-K for the period ending December 31, 2012, filed on behalf of the Company pursuant to the Securities Exchange Act of 1934 (the "Exchange Act") and containing the financial statements of the Company, fully complies with the requirements of section 13 (a) of the Exchange Act; and

2. The information contained in such annual report fairly presents, in all material respects, the financial condition and results of operations of the Company for the dates and periods covered by such annual report.

This certification accompanies the Company's Annual Report on Form 10-K for the year ended December 31, 2012 pursuant to Section 906 of the Sarbanes-Oxley Act of 2002 (the "2002 Act") and shall not be deemed filed by the Company for purposes of Section 18 of the Exchange Act.

This certification is being made for the exclusive purpose of compliance by the Chief Executive Officer and Acting Principal Accounting and Financial Officer of the Company with the requirements of Section 906 of the 2002 Act, and may not be disclosed, distributed or used by any person for any reason other than as specifically required by law.

IN WITNESS WHEREOF, the undersigned have executed this Certificate as of the 14th day of March 2013.

/s/ PAOLO PUCCI

Name: Paolo Pucci
Title: *Chief Executive Officer*

/s/ PETER S. LAWRENCE

Name: Peter S. Lawrence
President and Chief Operating Officer
Title: *(Principal Financial Officer)*