ARQULE INC
Form 10-K
March 01, 2012

UNITED STATES

SECURITIES AND EXCHANGE COMMISSION
WASHINGTON, D.C. 20549

FORM 10-K

ANNUAL REPORT PURSUANT TO SECTION 13 OR 15(d) OF
THE SECURITIES EXCHANGE ACT OF 1934
FOR THE FISCAL YEAR ENDED DECEMBER 31, 2011 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 o 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 o 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 o

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 o

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. o

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 o	Accelerated filer x	Non-accelerated filer o (Do not check if a smaller reporting company)	Smaller reporting company o

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

The aggregate market value of voting and non-voting common stock held by non-affiliates of the registrant as of June 30, 2011 was: $335,751,069.

There were 53,947,909 shares of the registrant's common stock outstanding as of February 16, 2012.

DOCUMENTS INCORPORATED BY REFERENCE

Portions of the definitive proxy statement for the Registrant's Annual Meeting of Stockholders to be held on May 24, 2012, 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, 2011, 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 t 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 lead product candidate is tivantinib (ARQ 197), an orally administered, small molecule inhibitor of the c-Met receptor tyrosine kinase ("c-Met"). 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 well-tolerated 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 data that is continually generated. Our leading indications include non-small cell lung cancer ("NSCLC"), liver cancer (hepatocellular carcinoma or HCC) and colorectal cancer. 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.

In January 2011, we enrolled the first patient in the Phase 3 MARQUEE (Met inhibitor ARQ 197 plus Erlotinib vs. Erlotinib plus placebo in NSCLC) trial of tivantinib in NSCLC in combination with erlotinib, an approved anti-cancer agent. Erlotinib, marketed as Tarceva™, inhibits the EGFR (epidermal growth factor receptor) tyrosine kinase. The MARQUEE trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic non-squamous NSCLC who will receive tivantinib plus erlotinib or placebo plus erlotinib. This trial is being conducted under a Special Protocol Assessment ("SPA") agreement with the U.S. Food and Drug Administration ("FDA").

In August 2011, Kyowa Hakko Kirin announced the initiation of the Phase 3 ATTENTION (Asian Trial of Tivantinib plus Erlotinib vs. Erlotinib for NSCLC without EGFR Mutation) trial of tivantinib in combination with erlotinib. The ATTENTION trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic non-squamous NSCLC with the wild type form of the EGFR gene who will receive tivantinib plus erlotinib or placebo plus erlotinib.

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.

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 621, an inhibitor of the Eg5 kinesin motor protein, and ARQ 736, an inhibitor of the RAF kinases, both of which are in Phase 1 clinical testing. A third pipeline program, focused on small molecule inhibitors of fibroblast growth factor receptor, is in late pre-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. During 2011, Daiichi Sankyo licensed ARQ 092, an inhibitor of the AKT protein kinase discovered under our AKIP™ oncology drug discovery collaboration. 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.

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 the c-Met receptor tyrosine kinase that does not compete with ATP. 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 are implementing a clinical development program designed to realize the broad potential of tivantinib as a well-tolerated single agent and in combination with other anti-cancer therapies. We are conducting trials in a number of indications, including NSCLC, HCC, and colorectal cancer, 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.

Non-small cell lung cancer: Phase 3 trials

MARQUEE Phase 3 Trial

On January 12, 2011, we announced that the first patient had been enrolled in the Phase 3 MARQUEE trial of tivantinib in combination with erlotinib for patients with non-squamous NSCLC who have received one or two prior systemic anti-cancer therapies. The MARQUEE trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic, non-squamous NSCLC who will receive tivantinib (360 milligrams twice daily) plus erlotinib or placebo plus erlotinib. The primary objective is to evaluate OS in the ITT population. Secondary endpoints include OS in the subpopulation of patients with EGFR wild type, PFS in the ITT population, and further assessment of the safety of tivantinib in combination with erlotinib. Approximately 1,000 patients will be enrolled in MARQUEE from more than 200 sites in the U.S., Canada, Europe, Russia, Australia and Latin America. There is a planned interim analysis expected in the second half of 2012 after approximately 50% of survival events have occurred, and final data is expected in the middle part of 2013. Patient enrollment to date since the initiation of this trial is consistent with the timing of these anticipated milestones, and we expect to complete enrollment in mid-2012. As a result of the dosing of the first patient in this trial, in February 2011 we received a $25 million milestone payment from Daiichi Sankyo. Daiichi Sankyo, in collaboration with ArQule, is conducting the Phase 3 trial.

The MARQUEE trial is being conducted under a Special Protocol Assessment (SPA), established following agreement reached with the U.S. Food and Drug Administration (FDA) in October 2010. 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 or NDA. Final marketing approval depends on the results of the trial.

We have incorporated into the SPA a broad genotyping and biomarker program designed to expand what is an evolving understanding of the biology of c-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 c-Met inhibition. In this regard, certain preclinical experiments have demonstrated that tivantinib has activity against cells that harbor little or undetectable levels of c-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 c-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 c-Met status while showing less activity in c-Met low populations. As a result, ArQule believes that c-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 August 9, 2011, Kyowa Hakko Kirin announced the dosing of the first patient in its Phase 3 ATTENTION trial in Asia of tivantinib and erlotinib in non-squamous NSCLC patients with wild-type EGFR. This trial will compare OS of patients treated with tivantinib and erlotinib to OS in patients treated with placebo and erlotinib. Approximately 460 patients will be enrolled at clinical centers in Japan, South Korea and Taiwan. 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. As a result of the dosing of the first patient in this trial, we received a $10 million milestone payment from Kyowa Hakko Kirin in August 2011.

Non-small cell lung cancer: Phase 2 trials

We presented Phase 2, proof-of-principle clinical data with tivantinib in its lead indication, NSCLC, at the 2010 Annual Meeting of the American Society of Oncology ("ASCO") in June 2010, and we provided an update at the Annual Meeting of the European Society for Medical Oncology ("ESMO") in October 2010. Results of the Phase 2 trial were published in the Journal of Clinical Oncology (Volume 29, Number 24, August 20, 2011).

We believe the treatment benefit defined by improved progression-free survival ("PFS"), the primary endpoint in this Phase 2 trial, and by extended median overall survival ("OS") observed in this trial would represent a meaningful clinical improvement over standard therapy if replicated in a Phase 3 trial. We are especially encouraged by the potential benefit for the large sub-group of non-squamous cell patients.

One hundred sixty-seven patients participated in this Phase 2, double blind, randomized signal generation trial. Patients were EGFR (epidermal growth factor receptor) inhibitor-naïve and randomized one-to-one to receive either the combination of tivantinib (360 milligrams twice daily) plus erlotinib or placebo plus erlotinib in second and third line settings.

Key findings from this trial include the following:

1. Progression-free survival (primary endpoint of the trial):

In the intent to treat ("ITT") population (167 patients), tivantinib when used in combination with erlotinib demonstrated a 66 percent improvement in PFS in patients with advanced, refractory NSCLC over patients treated with erlotinib plus placebo. Median PFS was 16.1 weeks in the tivantinib plus erlotinib arm, compared with 9.7 weeks in the erlotinib plus placebo arm. The difference in PFS between the two arms did not achieve statistical significance (hazard ratio = 0.809) by applying a log-rank test. When adjusted for imbalances in the distribution of key prognostic factors, the difference in PFS was statistically significant (hazard ratio = 0.675) by applying a Cox regression analysis specified for secondary efficacy analyses. Improvement in median PFS was more pronounced in the pre-defined sub-group of patients with non-squamous histology (n = 117); median PFS was 18.9 weeks in the treatment arm versus 9.7 weeks in the control arm, which represents a 94% improvement. Based on an exploratory Cox regression analysis, the endpoint of PFS was met in the sub-group and achieved statistical significance (hazard ratio = 0.613).

2. Overall survival

Data showed that median OS in the ITT population (n = 167) was 36.6 weeks in the tivantinib plus erlotinib arm, compared with 29.4 weeks in the erlotinib plus placebo arm, an improvement of 24 percent (unadjusted hazard ratio = 0.88, p = 0.50). In the pre-defined sub-group of patients with non-squamous cell histology (n = 117), median OS was 43.1 weeks in the treatment arm, compared with 29.4 weeks in the placebo arm, an improvement of 47 percent (unadjusted hazard ratio = 0.72, p = 0.19). Based on an exploratory Cox regression analysis, the difference in median

OS achieved statistical significance ($p < 0.05$) in this sub-group when adjusted for imbalances in key prognostic factors that included EGFR status and KRAS status, both of which favored the placebo arm.

3. Cross-over arm

The trial design included a cross-over arm to assess the impact of tivantinib plus erlotinib on patients who failed erlotinib monotherapy. Of the 23 cross-over patients who were evaluable for response, two had a partial response per Response Evaluation Criteria in Solid Tumors (“RECIST”) and nine had stable disease, for a disease control rate of 48 percent.

4. Anti-metastatic effect

Exploratory analyses showed that patients treated with tivantinib plus erlotinib had a median time to develop new metastases of 7.3 months, compared to 3.6 months for patients treated with erlotinib plus placebo (p = 0.002). This effect was more pronounced among patients with non-squamous cell histology, among whom the median time to develop new metastases was 11.0 months for patients treated with tivantinib plus erlotinib, compared with 3.6 months for those treated with erlotinib plus placebo (p = 0.007).

5. Safety

No clinically relevant differences in adverse event rates were observed between the treatment and control arms. The most prevalent adverse events were mild in intensity and included rash, diarrhea and fatigue. The combination of tivantinib plus erlotinib was shown to be well tolerated, with manageable side effects similar to single agent profiles.

KRAS Mutation-Positive NSCLC Trial

In July 2011, we dosed the first patient in a Phase 2, randomized trial of tivantinib and erlotinib in NSCLC patients with a mutated form of the KRAS gene. We selected this patient population based on a strong signal of clinical benefit observed among KRAS-mutant patients who comprised a sub-group in our previous randomized Phase 2 trial. This trial will compare PFS of patients treated with tivantinib and erlotinib to PFS of patients treated with single agent chemotherapy. Approximately 100 patients will be enrolled at 14 clinical sites in the U.S.

Liver Cancer (Hepatocellular carcinoma or HCC)

Our therapeutic approaches to HCC include evaluating tivantinib as both a single agent and in combination with an approved targeted therapy, sorafenib. We recently completed enrollment of patients in a randomized, double-blind, placebo controlled Phase 2 single agent trial in second-line HCC. On January 17, 2012, we announced the results of this trial, which demonstrated that treatment with tivantinib as single agent therapy produced a statistically significant 56 percent improvement in time-to-progression (TTP) in the intent-to-treat (ITT) population, the primary endpoint in this trial (hazard ratio = 0.64; log rank p-value = 0.04).

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. We plan to present complete data from this trial, including secondary endpoint, sub-group and biomarker analyses, at a peer-reviewed forum in 2012.

At the start of the Phase 2 trial, patients were randomized to receive tivantinib at 360 milligrams 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.

We presented data from our ongoing Phase 1 tivantinib-sorafenib combination trial at the 2011 Annual Meeting of ASCO on June 6, 2011 that included cohorts of patients with HCC. These data reflected anti-cancer activity in this

cohort, as measured by stable disease and duration of therapy. We plan to present final data in expanded cohorts of these patients in 2012.

Our decision to move forward in HCC will be predicated upon discussions with our partners and regulatory authorities.

Colorectal cancer trial

In February 2010, Daiichi Sankyo initiated a Phase 1/2 clinical trial designed to evaluate the safety of tivantinib administered in combination with irinotecan and cetuximab in approximately 150 patients with metastatic colorectal cancer who possess the wild-type form of the KRAS gene. Data from the Phase 1 safety run-in portion of this trial were presented at the ASCO 2011 Gastrointestinal Cancers Symposium in January 2011, showing that this combination was well tolerated and demonstrated encouraging anti-tumor activity in patients with relapsed metastatic colorectal cancer. Following the successful completion of Phase 1, the randomized, double-blind, placebo controlled Phase 2 portion of the trial was initiated in August 2010, comparing tivantinib in combination with irinotecan and cetuximab to placebo with the same two drugs. The primary objective of the Phase 2 trial is PFS, and secondary objectives include OS and overall response rate. Patient enrollment in this trial is continuing.

Combination regimens: tivantinib plus sorafenib and tivantinib plus gemcitabine

The tivantinib clinical program includes two Phase 1 open-label trials evaluating tivantinib in combination therapy regimens. The first combination, with sorafenib, is being tested in NSCLC, HCC, renal cell carcinoma (RCC), malignant melanoma and breast cancer. The second combination, with gemcitabine, was tested in uterine, ovarian, bladder, NSCLC, pancreatic and breast cancer. Any potential plans for the further development of these combination therapies will be based on analysis of final results observed in expanded cohorts of patients within the Phase 1 trials.

We presented interim data from both combination trials at the 2011 Annual Meeting of ASCO on June 6, 2011. The tivantinib-sorafenib trial included cohorts of patients with HCC, melanoma and RCC, in whom preliminary evidence of anti-cancer activity was observed. Dosing in the cohort of HCC patients included both 360 milligrams BID and 240 milligrams BID, with the lower dose administered to patients with more compromised liver function. We expect to have final data in expanded cohorts of these patients in 2012.

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 c-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 c-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, with trial protocols in other indications under review. In addition, trials with tivantinib are ongoing or planned in combination with other agents, including pazopanib, bevacizumab and temsirolimus.

Gastric Cancer trial conducted by Kyowa Hakko Kirin

Following the completion of a Phase 1 safety trial in Japan, Kyowa Hakko Kirin initiated a Phase 2, single agent trial with tivantinib in gastric cancer. We received a $5 million payment related to this clinical milestone in September 2010. Approximately 30 patients were enrolled in this trial at clinical sites in Japan and S. Korea, and the primary objective was to determine disease control rate, defined as a combination of objective responses and stable disease. We believe data from this trial will be presented by Kyowa Hakko Kirin later this year.

Earlier Stage Product Candidates: ARQ 621, ARQ 736, ARQ 087, ARQ 761 and ARQ 092

Our proprietary early clinical-stage product pipeline encompasses 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 have completed a Phase 1 trial with ARQ 621 and are in the later stages of conducting a Phase 1 trial with ARQ 736, while ARQ 761 is the subject of an investigator-sponsored Phase 1 clinical trial. Our pre-clinical pipeline includes ARQ 087, an inhibitor of fibroblast growth factor receptor (FGFR) based on our AKIP™ technology, for which we may file an Investigational New Drug application in 2012. 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.

Eg5 is not yet validated as a therapeutic target, and we are seeking additional scientific evidence that the class of Eg5 inhibitors merits further clinical testing. The barriers to entry in the field of RAF kinase inhibitors have become more difficult, as vemurafinib has been recently approved for the treatment of late-stage melanoma patients with the BRAF V600 mutation, and additional members of this class are marketed or in development. ARQ 761 is a second-generation compound from our E2F-1 DNA damage response/checkpoint pathway, the rights to which we retain following the termination of a license to Roche.

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 fee 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 also provides for up to $265 million in potential development and sales milestone payment for each product selected for clinical development from the AKIP™ collaboration, as well as tiered, double-digit royalties on net sales.

SELECTED DRUG DEVELOPMENT PIPELINE

The charts below display our overall product pipeline and ongoing trials within the tivantinib development program.

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 molecules 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 market for protein kinase inhibitors was estimated at $10 billion in 2010 and is expected to reach $23 billion by 2016.

During 2008, we discovered a novel binding mode of tivantinib to its target that effects inhibition of the c-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 drug discovery using 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, will 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 2008, we entered into our first collaboration utilizing AKIP™ with Daiichi Sankyo. 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.

We have entered into two types of agreements with Daiichi Sankyo that form the basis of a strategic relationship for the development and discovery of novel oncology therapeutics. Our agreement signed on December 18, 2008, is focused on the co-development of tivantinib to treat cancer. Our agreement signed on November 7, 2008 is focused on the application of our AKIP™ technology to develop a new generation of selective anti-cancer kinase inhibitors.

Tivantinib Agreement

We have 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 will be offset by our share of the Phase 3 costs incurred by Daiichi Sankyo. As of December 31, 2011 our portion of these costs was $10.6 million. Daiichi Sankyo has the right to offset future milestone and royalty payments by this amount. 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.

Kinase Inhibitor Discovery Agreement

We entered into a research collaboration, exclusive license and co-commercialization agreement in 2008 with Daiichi Sankyo under which we will apply our proprietary technology and know-how from our AKIP™ technology for the

discovery of therapeutic compounds that selectively inhibit certain kinases. The original agreement, which has since been expanded, defines two such kinase targets, and Daiichi Sankyo will have an option to license compounds directed at these targets following the completion of certain pre-clinical studies. Within the scope of this collaboration, we have identified a development candidate for one target and are optimizing lead compounds for the other.

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), 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 $265 million in 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 October 12, 2010, we and Daiichi Sankyo announced the expansion of this agreement, establishing a third target, with an option for a fourth, in oncology, and a two-year extension through November 2012.

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.

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, 2011, 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.

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 broad 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 c-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;
- 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.

2012 Operational Goals

Tivantinib / c-Met Program

During 2012, we plan to pursue the clinical development of tivantinib primarily through:

- completion of patient enrollment in the Phase 3 MARQUEE trial in NSCLC;
- interim assessment of the Phase 3 MARQUEE trial data;
- presentation of data from our positive Phase 2 single agent trial in HCC;
- analysis of data from the Phase 2 combination therapy trial with irinotecan and cetuximab in colorectal cancer;
- evaluation of final results from the combination trials with sorafenib and gemcitabine to determine potential further development plans with these combination therapies;
- support for clinical trials and pre-clinical studies of tivantinib under National Cancer Institute/Cancer Therapy Evaluation Program sponsorship.

ARQ 736 / BRAF Program

- completion of patient enrollment in the ongoing Phase 1 trial.

ARQ 092 / AKT Program

continuation of patient enrollment in the ongoing Phase 1 trial.

Pre-clinical Pipeline

- completion of pre-clinical development activities that may potentially lead to the filing of an Investigational New Drug Application ("IND") for a lead compound from our fibroblast growth factor receptor ("FGFR") kinase program.

AKIP™ Discovery Technology

- continued prosecution of our AKIP™ collaboration with Daiichi Sankyo, which is focused on three kinase targets in the field of oncology, with an option for a fourth;

- negotiation of an additional collaboration that applies the capabilities of this platform toward validated kinase targets in oncology or other therapeutic areas.

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 western world. According to the International Agency for Research on Cancer, more than 1.6 million new cases of lung cancer were diagnosed globally in 2008, representing 12.7 percent of new cancers, and NSCLC accounted for 80 percent of those cases.

According to the American Cancer Society, 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. during 2011. The American Cancer Society also estimates that more than 220,000 cases of lung cancer were diagnosed in 2011 in the U.S. Lung cancer accounts for more deaths than any other cancer in both men and women, with an estimated 157,000 deaths, or 27 percent of all cancer deaths, estimated to have occurred in the U.S. in 2011. Survival from lung cancer is poor in both developing and developed regions of the world. Europe, North America and Eastern Asia have some of the highest rates of lung cancer incidence and mortality.

Approximately 26,000 new cases of liver cancer were estimated to have occurred in the U.S. in 2011, with 20,000 deaths. Hepatocellular carcinoma, the major subtype of liver cancer, accounts for approximately 80 percent of all cases. Worldwide, primary liver cancer is the sixth most common type of cancer, with an estimated 750,000 people worldwide diagnosed in 2008, accounting for six percent of the total number of cancer cases. It is the third most common cause of death from cancer worldwide, estimated to have caused 700,000 deaths. Eastern Asia has the

highest incidence and mortality rates from the disease.

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. The National Institutes of Health estimate that the overall cost of cancer in the U.S. during 2010 was $264 billion.

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.

Utilize our AKIP™ discovery technology. We have discovered a novel binding mode of tivantinib to its target, the c-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 the ArQule Kinase Inhibitor Program (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, as well as to develop a new generation of kinase inhibitors by applying our AKIP™ technology. 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 PROPRIETY 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 c-Met program, we have an issued patent in Japan for the composition of matter of the Company's lead compound, tivantinib. This issued patent will expire in February 2026. We also 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. In addition, we have an issued patent 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 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). We also have issued patents from the Republic of Korea, the Republic of Singapore, Australia, the People's Republic of China, the E.U., Mexico, New Zealand, Philippines, Russia and South Africa for composition of matter patent applications covering tivantinib. We understand that these patents will also expire in February 2026. Furthermore, we 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.

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 pending U.S., European and other foreign applications covering the composition of this compound, pharmaceutical compositions containing this compound, and the therapeutic uses of this compound in the treatment of cancer. Our issued and allowed patents for the E2F-1 Program have expiration dates which range from February 2018 to July 2025.

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., Plexxikon, 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 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. 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 REGULATION

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 February 1, 2012, we employed 103 people in Woburn, Massachusetts. Of that total, 76 are engaged in research and development and 27 in general and administration, and 37 hold PhDs, 5 hold MDs and 14 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, 2012.

NAME	AGE	POSITION
Paolo Pucci	50	Chief Executive Officer and a Director
Peter S. Lawrence	48	President and Chief Operating Officer
Dr. Brian Schwartz	50	Chief Medical Officer
Dr. Thomas C.K. Chan	56	Chief Scientific 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.

Thomas C. K. Chan, Ph.D.

Chief Scientific Officer

Dr. Chan joined ArQule in December 2005 as Vice President, pharmacology and toxicology. He was named Chief Scientific Officer in January 2008 and manages all research and early development activities, including new oncology drug candidate selection at ArQule. He is also responsible for toxicology and clinical pharmacology of the Company's drug candidates currently in human clinical trials. Dr. Chan was previously at MacroChem Corporation from 2001 to 2005, where he served as Chief Technology Officer and Vice President, research and development. He was also Senior Director, pharmacology and toxicology, at EPIX Medical, Inc. from 1997 to 2000, and Director of therapeutic development at Creative Biomolecules from 1993 to 1997. Prior to his career in industry, Dr. Chan held a number of academic appointments, most recently as a director of the Purdue University Cancer Center and a tenured professor at Purdue University and Indiana University. He is a member of several NIH Study Sections and consults for the U.S. Department of Defense on their prostate and breast cancer research programs. Dr. Chan received his doctorate in pharmacology/toxicology from the University of British Columbia, and he was a postdoctoral fellow in hematology/oncology at the Cancer Center of the University of California, San Diego School of Medicine.

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 621and ARQ 736 are designed to inhibit the Eg5 kinesin motor protein, and the RAF kinases, respectively. ARQ 092 (licensed to Daiichi Sankyo) is designed to inhibit the AKT kinase. Although drugs have been approved that inhibit the activity of protein kinases and other enzymes and mitotic proteins such as tubulins, to our knowledge, no company has received regulatory approval for a drug based on the specific proteins targeted by any of our product candidates. 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. In January 2011, our first patient was enrolled in the Phase 3 trial of tivantinib in combination with erlotinib for patients with non-squamous, non-small cell lung cancer who have received one or two prior systemic anti-cancer therapies. This trial is being conducted by Daiichi Sankyo, our collaborator in development of tivantinib. Phase 3 clinical efficacy trials, in general, are significantly more complex and time-consuming and involve more patients than the Phase 1 and 2 clinical trials that have been completed to date. We do not know whether our Phase 3 clinical trials of tivantinib or any other pre-clinical or clinical trials be completed on schedule, if at all. 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 a Special Protocol Assessment (SPA) agreement with the FDA for the design of a Phase 3 trial of tivantinib in patients with non-small cell lung cancer (NSCLC) of non-squamous histology. 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. To date, we have filed five IND applications, and we have initiated twenty Phase 1 clinical trials of which fourteen have been completed, and eleven Phase 2 clinical trials of which seven have been completed. 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 completed Phase 2 clinical studies and enrolled the first patient in our Phase 3 non-small cell lung cancer trials in January and August 2011. However, 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, 2011 we have incurred cumulative losses of approximately $409 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, 2011, we had federal NOL, state NOL, and research and development credit carryforwards of approximately $243 million, $171 million and $25 million respectively, which expire at various dates through 2031. 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 Section 382 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 in the fourth quarter of 2009 to determine whether such amounts are likely to be limited by Section 382. As a result of this analysis and a detailed review of ownership changes through 2011, we currently do not believe Sections 382's 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 are currently in Phase 1 and Phase 2 clinical testing of tivantinib and have enrolled patients in our Phase 3 non-small cell lung cancer trials being conducted by Daiichi Sankyo and Kyowa Hakko Kirin and Phase 1 clinical testing of 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.

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.

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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., Plexxikon, 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.

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 AND FACILITIES

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 may not be adequate to protect against computer viruses, 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 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 Consolidated 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, 2006 to December 31, 2011, 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, 2006. 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

	12/31/06	12/31/07	12/31/08	12/31/09	12/31/10	12/31/11
ArQule, Inc.	100.00	97.97	71.28	62.33	99.16	95.27
NASDAQ Market (U.S. Companies) Index	100.00	108.47	66.35	95.38	113.19	113.81
NASDAQ Biotechnology Index	100.00	104.58	91.38	105.66	121.52	135.86

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
2010		
First Quarter	$ 7.49	$ 2.97
Second Quarter	6.85	4.29
Third Quarter	5.72	3.75
Fourth Quarter	6.27	4.91
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 (through February 16, 2012)	$ 8.19	$ 5.36

As of February 16, 2012, there were approximately 82 holders of record and approximately 6,182 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 consolidated 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 consolidated 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,				
	2011	2010	2009	2008	2007
STATEMENT OF OPERATIONS DATA:					
Revenue:					
Research and development revenue(a)(b)(c)(d)(e)	$47,310	$29,221	$25,198	$14,141	$9,165
Costs and expenses:					
Research and development	45,011	47,034	49,495	49,629	53,727
General and administrative	13,373	13,477	13,317	16,918	15,069
Total costs and expenses	58,384	60,511	62,812	66,547	68,796
Loss from operations	(11,074)	(31,290)	(37,614)	(52,406)	(59,631)
Interest income	317	619	1,089	3,342	6,259
Interest expense	(25)	(274)	(655)	(472)	—
Other income (expense)(f)	20	266	1,594	(1,328)	—

Loss before income taxes	(10,762)	(30,679)	(35,586)	$(50,864)	$(53,372)
Benefit from (provision for) income taxes	—	550	(550)	—	—
Net loss	$(10,762)	$(30,129)	$(36,136)	$(50,864)	$(53,372)
Basic and diluted loss per share	$(0.20)	$(0.68)	$(0.82)	$(1.16)	$(1.33)
Weighted average common shares outstanding—basic and diluted	52,778	44,529	44,169	43,870	40,040

	DECEMBER 31,				
	2011	2010	2009	2008	2007
Cash, cash equivalents and marketable securities(g)(h)	$68,168	$80,695	$154,677	$141,890	$135,082
Marketable securities-long term	40,475	2,154	8,814	64,219	—
	$108,643	$82,849	$163,491	$206,109	$135,082
Working capital	23,299	34,901	73,569	59,680	111,797
Notes payable	1,700	1,700	46,100	47,750	—
Total assets	117,051	88,866	171,880	214,212	142,210
Total stockholders' equity (deficit)(g) (h)	29,729	(14,562)	11,535	43,467	88,041

(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 April 2007, we entered into an exclusive license agreement with Kyowa Hakko Kirin to develop and commercialize tivantinib in Japan and parts of Asia. The agreement includes upfront licensing fees of $30 million, which were received in 2007. In addition the agreement provides for potential development milestones of $93 million, as well as sales milestones and royalty payments upon commercialization.

(c) 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.

(d) 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.

(e) 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.

(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 loss from the decrease in fair value of our auction rate securities.

(g) In June 2007, we completed a stock offering in which we sold 7.0 million shares of common stock at a price of $7.75 per share for net proceeds of $50.5 million after commissions and offering expenses. In July 2007, we sold an additional 0.5 million shares of common stock upon exercise of a portion of the underwriters over-allotment option at a price of $7.75 per share for net proceeds of $3.6 million after offering expenses.

(h) 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.

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

The following discussion should be read in conjunction with our consolidated 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 lead product candidate is tivantinib (ARQ 197), an orally administered, small molecule inhibitor of the c-Met receptor tyrosine kinase ("c-Met"). 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 well-tolerated 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 data that is continually generated. Our leading indications include non-small cell lung cancer ("NSCLC"), liver cancer (hepatocellular carcinoma or HCC) and colorectal cancer. 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.

In January 2011, we enrolled the first patient in the Phase 3 MARQUEE (Met inhibitor ARQ 197 plus Erlotinib vs. Erlotinib plus placebo in NSCLC) trial of tivantinib in NSCLC in combination with erlotinib, an approved anti-cancer agent. Erlotinib, marketed as Tarceva™, inhibits the EGFR (epidermal growth factor receptor) tyrosine kinase. The MARQUEE trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic non-squamous NSCLC who will receive tivantinib plus erlotinib or placebo plus erlotinib. This trial is being conducted under a Special Protocol Assessment ("SPA") agreement with the U.S. Food and Drug Administration ("FDA").

In August 2011, Kyowa Hakko Kirin announced the initiation of the Phase 3 ATTENTION (Asian Trial of Tivantinib plus Erlotinib vs. Erlotinib for NSCLC without EGFR Mutation) trial of tivantinib in combination with erlotinib. The ATTENTION trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic non-squamous NSCLC with the wild type form of the EGFR gene who will receive tivantinib plus erlotinib or placebo plus erlotinib.

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.

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 621, an inhibitor of the Eg5 kinesin motor protein, and ARQ 736, an inhibitor of the RAF kinases, both of which are in Phase 1 clinical testing. A third pipeline program, focused on small molecule inhibitors of fibroblast growth factor receptor, is in pre-clinical development.

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. 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 $409 million from inception through December 31, 2011. We expect research and development costs to increase during the course of 2012, due to clinical testing of our lead product candidates. We recorded a net loss for 2009, 2010 and 2011 and expect a net loss for 2012.

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 with an estimated development period through December 2013.

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.

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 include $2.5 million of prepaid Phase 3 drug purchases. This amount will be recognized as contra-revenue as the drugs are 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.

On November 7, 2008, we entered into a research collaboration, exclusive license and co-commercialization agreement with Daiichi Sankyo under which we will apply our proprietary technology and know-how from our AKIP™ platform for the discovery of therapeutic compounds that selectively inhibit certain kinases. The agreement defines two such kinase targets, and Daiichi Sankyo will have an option to license compounds directed to these targets following the completion of certain pre-clinical studies. 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 $265 million in 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 October 12, 2010, we and Daiichi Sankyo announced the expansion of this agreement, establishing a third target, with an option for a fourth, in oncology, and a two-year extension through November 2012. Revenue for this agreement is recognized using the contingency-adjusted performance model with an estimated performance period through November 2012.

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, 2011, 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)	
				2010 to	2009 to
	2011	2010	2009	2011	2010
	(in millions)				
Cash, cash equivalents and marketable securities short-term	$68.2	$80.7	$154.7	(16)%	(48)%
Marketable securities long-term	40.5	2.2	8.8	1779 %	(76)%
Notes payable	1.7	1.7	46.1	—	(96)%
Working capital	23.3	34.9	73.6	(33)%	(53)%

	December 31,		
	2011	2010	2009
	(in millions)		
Cash flow from:			
Operating activities	$ (23.7)	$ (34.8)	$ (41.8)
Investing activities	(36.9)	62.3	(62.6)
Financing activities	51.2	(43.6)	(0.9)

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 30, 2011, our net use of cash of $23.7million was primarily driven by the difference between cash receipts from our collaborators and payments for operating expenses. Cash receipts for 2011 include the $25 million milestone payment from Daiichi Sankyo we received in February 2011 triggered by the dosing of the first patient in the Phase 3 MARQUEE trial, the $10 million milestone payment from Kyowa Hakko Kirin we received in August 2011 upon dosing of the first patient in the Phase 3 ATTENTION trial in Asia, and the $10 million AKIP™ license payment from Daiichi Sankyo we received in November 2011 for ARQ 092, the first compound to enter clinical testing from our AKIP™ collaboration.

Cash flow from investing activities. Our net cash used by investing activities of $36.9 million in 2011was comprised of net purchases of marketable securities of $36.3 million and acquisitions of fixed assets of $0.6 million. 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 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 included $2.1 million (at cost) at December 31, 2011 and $2.6 million (at cost) at December 31, 2010, 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 2010, 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 $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 net cash used by financing activities of $0.9 million in the year ended December 31, 2009 was from the $1.6 million payment on our notes payable, partially offset by additional cash inflow of $0.7 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, the timing and receipt of milestone payments under collaboration agreements, 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 January 2011, we received net proceeds of $46.8 million from our 8,050,000 share stock offering. In February 2011, we received a $25 million milestone payment from Daiichi Sankyo triggered by the dosing of the first patient in the Phase 3 MARQUEE trial. In August 2011, we received a $10 million milestone payment from Kyowa Hakko Kirin upon dosing of the first patient in the Phase 3 ATTENTION trial. In November 2011, we received a $10 million license payment from Daiichi Sankyo for ARQ 092, the first compound to enter clinical testing from our AKIP™ collaboration. In light of these cash inflows, cash, cash equivalents and marketable securities on hand at December 31, 2011 and our collaboration agreements, we expect that our available cash and cash equivalents will be sufficient to finance our operations, working capital and capital requirements through 2013.

Our contractual obligations were comprised of the following as of December 31, 2011 (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	10,900	3,573	6,258	1,069	—
Purchase obligations	8,196	8,196	—	—	—
Total	$ 20,796	$ 13,469	$ 6,258	$ 1,069	$ —

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 from future milestones and royalties. As of December 31, 2011 our portion of these costs was $10.6 million and is excluded from the table above. 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 Consolidated 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.

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 consolidated 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. 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.

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 consolidated statement of operations 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.

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RESULTS OF OPERATIONS

The following are the results of operations for the years ended December 31, 2011, 2010 and 2009:

Revenue

	2011	2010	2009	% increase (decrease) 2010 to 2011	% increase (decrease) 2009 to 2010
		(in millions)			
Research and development revenue	$ 47.3	$ 29.2	$ 25.2	62 %	16 %

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.

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 exceed 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.

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 include $2.5 million of prepaid Phase 3 drug purchases. This amount will be recognized as contra-revenue as the drugs are 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.

2010 as compared to 2009: Research and development revenue in 2010 was comprised of revenue from the Daiichi Sankyo development and research collaboration agreements entered into in 2008 and the Kyowa Hakko Kirin exclusive license agreement.

In 2010, our tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by $3.3 million and accordingly that amount was recognized as contra-revenue and was netted against our tivantinib Daiichi Sankyo research and development revenue.

The $4.0 million revenue increase in 2010 was primarily due to an additional $2.0 million of revenue recognized from Daiichi Sankyo agreements, net of $3.3 million of contra revenue, and $2.0 million of revenue recognized from the $5.0 million milestone received from Kyowa Hakko Kirin in September 2010.

Research and development

				% increase (decrease)	
	2011	2010	2009	2010 to 2011	2009 to 2010
	(in millions)				
Research and development	$ 45.0	$ 47.0	$ 49.5	(4)%	(5)%

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.

2010 as compared to 2009: The $2.5 million decrease in research and development expense in 2010 is primarily due to a $7.0 million decrease in outsourced clinical and product development costs related to our Phase 1 and 2 programs for tivantinib partially offset by an increase of $4.4 million in other pipeline preclinical and clinical costs. At December 31, 2010, we had 86 employees dedicated to our research and development program, up from 82 employees at December 31, 2009.

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 quarter and since inception of our lead clinical stage program were as follows (in millions):

		Year Ended December 31,	
Oncology program	Current status	2011	Program-to-date
c-Met program—Tivantinib	Phase 3	$ 10.0	$ 75.0

Our future research and development expenses in support of our current and future 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 are unable to determine 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)	
	2011	2010	2009	2010 to 2011	2009 to 2010
	(in millions)				
General and administrative	$ 13.4	$ 13.5	$ 13.3	(1)%	1 %

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.

2010 compared to 2009: General and administrative expense in 2010 increased by $0.2 million principally due to higher professional fees. General and administrative headcount was 29 at December 31, 2010 and 2009.

Restructuring

In December 2002, we announced a major restructuring of our operations in order to realign our workforce and expedite the transition towards becoming a drug discovery company. The restructuring actions included closing our facility in Redwood City, California.

The facility-related accrual, which represented the difference between our lease obligation for the California facility and the amount of sublease payments received under its sublease agreement, was paid in 2010 upon expiration of the lease.

Activities against the restructuring accrual in 2010 and 2009 were as follows (in thousands):

	Balance as of December 31, 2009	2010 Provisions	2010 Payments	Balance as of December 31, 2010
Facility-related	$ 78	$ —	$ (78)	$ —
Total restructuring accrual	$ 78	$ —	$ (78)	$ —

	Balance as of December 31, 2008	2009 Provisions	2009 Payments	Balance as of December 31, 2009
Facility-related	$ 738	$ —	$ (660)	$ 78
Total restructuring accrual	$ 738	$ —	$ (660)	$ 78

Interest income, interest expense and other income

	2011	2010	2009	% increase (decrease) 2010 to 2011	% increase (decrease) 2009 to 2010
		(in thousands)			
Interest income	$ 317	$ 619	$ 1,089	(49)%	(43)%
Interest expense	(25)	(274)	(655)	(91)%	(58)%
Other income	20	266	1,594	(92)%	(83)%

Interest income is comprised of interest income derived from our portfolio of cash, cash equivalents and investments. Interest income decreased in 2011 and 2010 primarily due to lower interest rates earned on our portfolio. Interest expense was incurred on our notes payable.

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.

Other income 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.

Provision for income taxes

There was no current or deferred tax expense for the year ended December 31, 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.

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.

Recently Issued Accounting Standards

In October 2009, the FASB, issued accounting standards update ("ASU") No. 2009-13 Multiple-Deliverable Revenue Arrangements ("ASU 2009-13"). ASU 2009-13 amended revenue recognition accounting pronouncements and provides accounting principles and application guidance on whether multiple deliverables exist, how the arrangement should be separated, and the consideration allocated. Among other provisions, this guidance eliminates the requirement to have objective evidence for undelivered products and services and instead provides for separate revenue recognition based upon management's best estimate of the selling price for an undelivered item when there is no other means to determine the fair value of that undelivered item. Previous accounting principles required that the fair value of the undelivered item be the price of the item either sold in a separate transaction between unrelated third parties or the price charged for each item when the item is sold separately. Revenue from our multiple-deliverable arrangements in effect prior to January 1, 2011 is recognized over the estimated development period using the contingency adjusted performance model. Under the new approach, revenue for new agreements or material modifications of existing agreements will be recognized based upon the relative selling price of each element in the arrangement. The Company adopted this guidance prospectively on January 1, 2011 and applied the amended revenue guidance to the license agreement entered into in November 2011 (see Note 3, Collaborations and Alliances- Daiichi Sankyo ARQ 092 Agreement).

In April 2010, the FASB issued ASU No. 2010-17, Revenue Recognition—Milestone Method. This ASU provides guidance on the criteria that should be met for determining whether the milestone method of revenue recognition is appropriate. Under the milestone method of revenue recognition, consideration that is contingent upon achievement of a milestone in its entirety can be recognized as revenue in the period in which the milestone is achieved only if the milestone meets all criteria to be considered substantive. This standard provides the criteria to be met for a milestone to be considered substantive which includes that: a) performance consideration earned by achieving the milestone be commensurate with either performance to achieve the milestone or the enhancement of the value of the item delivered as a result of a specific outcome resulting from performance to achieve the milestone; and b) relate to past performance and be reasonable relative to all deliverables and payment terms in the arrangement. The Company adopted this guidance on a prospective basis on January 1, 2011. The decision to use the milestone method of revenue recognition is a policy election. The new guidance 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.

In January 2011, we adopted ASU No. 2010-06, “Improving Disclosures About Fair Value Measurements” which requires additional disclosure about the amounts of and reasons for significant transfers in and out of Level 1 and Level 2 fair value measurements. In addition, effective for interim and annual periods beginning after December 15, 2010, which for us is January 1, 2011, this standard further requires an entity to present disaggregated information about activity in Level 3 fair value measurements on a gross basis, rather than as one net amount. As this accounting standard only requires enhanced disclosure, the adoption of this newly issued accounting standard did not impact our financial position or results of operations.

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, which for us is January 1, 2012. We do not expect that adoption of this standard will 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, which for us is January 1, 2012. As this accounting standard only requires enhanced disclosure, the adoption of this standard will not impact our financial position or results of operations.

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 include U.S. Treasury bill funds, money market funds, 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 2011, certain auction rate securities failed at auction due to sell orders exceeding buy orders. At December 31, 2011 we held $1.7 million of auction rate securities at fair value.

ITEM 8. CONSOLIDATED FINANCIAL STATEMENTS AND SUPPLEMENTARY DATA

INDEX TO CONSOLIDATED FINANCIAL STATEMENTS

Report of Independent Registered Public Accounting Firm

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

In our opinion, the accompanying consolidated balance sheets and related consolidated statements of operations, of stockholders' equity (deficit) and comprehensive loss, and of cash flows present fairly, in all material respects, the financial position of ArQule, Inc. and its subsidiaries at December 31, 2011 and 2010, and the results of their operations and their cash flows for each of the three years in the period ended December 31, 2011 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, 2011 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 1, 2012

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ARQULE, INC.

CONSOLIDATED BALANCE SHEETS

	December 31,	
	2011	2010
	(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)	
ASSETS		
Current assets:		
Cash and cash equivalents	$11,095	$20,457
Marketable securities-short term	57,073	60,238
Prepaid expenses and other current assets	4,020	1,119
Total current assets	72,188	81,814
Marketable securities-long term	40,475	2,154
Property and equipment, net	2,939	3,517
Other assets	1,449	1,381
Total assets	$117,051	$88,866
LIABILITIES AND STOCKHOLDERS' EQUITY (DEFICIT)		
Current liabilities:		
Accounts payable and accrued expenses	$11,932	$16,836
Notes payable	1,700	1,700
Current portion of deferred revenue	34,705	27,825
Current portion of deferred gain on sale leaseback	552	552
Total current liabilities	48,889	46,913
Deferred revenue, net of current portion	37,097	54,627
Deferred gain on sale leaseback, net of current portion	1,336	1,888
Total liabilities	87,322	103,428
Commitments and contingencies (Note 12)		
Stockholders' equity (deficit):		
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; 53,825,567 and 44,973,335 shares issued and outstanding at December 31, 2011 and 2010, respectively	538	450
Additional paid-in capital	438,677	383,713
Accumulated other comprehensive loss	(6)	(7)
Accumulated deficit	(409,480)	(398,718)
Total stockholders' equity (deficit)	29,729	(14,562)
Total liabilities and stockholders' equity (deficit)	$117,051	$88,866

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

ARQULE, INC.

CONSOLIDATED STATEMENTS OF OPERATIONS

	YEAR ENDED DECEMBER 31,		
	2011	2010	2009
	(IN THOUSANDS, EXCEPT PER SHARE DATA)		
Revenue:			
Research and development revenue	$47,310	$29,221	$25,198
Costs and expenses:			
Research and development	45,011	47,034	49,495
General and administrative	13,373	13,477	13,317
	58,384	60,511	62,812
Loss from operations	(11,074)	(31,290)	(37,614)
Interest income	317	619	1,089
Interest expense	(25)	(274)	(655)
Other income	20	266	1,594
Loss before taxes	(10,762)	(30,679)	(35,586)
Benefit from (provision for) income taxes	—	550	(550)
Net loss	$(10,762)	$(30,129)	$(36,136)
Basic and diluted loss per share:			
Net loss per share	$(0.20)	$(0.68)	$(0.82)
Weighted average basic and diluted common shares outstanding	52,778	44,529	44,169

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

ARQULE, INC.

CONSOLIDATED STATEMENTS OF STOCKHOLDERS' EQUITY (DEFICIT)
AND COMPREHENSIVE LOSS

(IN THOUSANDS, EXCEPT SHARE DATA)

	COMMON STOCK		ADDITIONAL PAID-IN CAPITAL	ACCUMULATED OTHER COMPREHENSIVE INCOME/(LOSS)	ACCUMULATED DEFICIT	STOCKHOLDERS' EQUITY (DEFICIT)	TOTAL COMPREHENSIVE LOSS
	SHARES	PAR VALUE					
Balance at December 31, 2008	44,153,237	$442	$ 375,478	$ —	$ (332,453)	$ 43,467	
Stock option exercises and issuance of stock	427,797	4	218			222	
Employee stock purchase plan	191,911	2	494			496	
Stock based compensation expense			3,431			3,431	
Change in unrealized gain (loss) on marketable securities				55		55	$ 55
Net loss					(36,136)	(36,136)	(36,136)
Balance at December 31, 2009	44,772,945	448	379,621	55	(368,589)	11,535	
2009 Comprehensive loss							$ (36,081)
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)	$ (62)
Net loss					(30,129)	(30,129)	(30,129)
Balance at December 31, 2010	44,973,335	450	383,713	(7)	(398,718)	(14,562)	
2010 Comprehensive loss							$ (30,191)
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	$ 1
Net loss					(10,762)	(10,762)	(10,762)
Balance at December 31, 2011	53,825,567	$538	$ 438,677	$ (6)	$ (409,480)	$ 29,729	
2011 Comprehensive loss							$ (10,761)

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

ARQULE, INC.

CONSOLIDATED STATEMENTS OF CASH FLOWS

	YEAR ENDED DECEMBER 31,		
	2011	2010	2009
	(IN THOUSANDS)		
Cash flows from operating activities:			
Net loss	$(10,762)	$(30,129)	$(36,136)
Adjustments to reconcile net loss to net cash used in operating activities:			
Depreciation and amortization	1,172	1,425	1,695
Amortization of premium/discount on marketable securities	1,117	1,130	917
Amortization of deferred gain on sale leaseback	(552)	(552)	(552)
Non-cash stock compensation	3,830	3,259	3,431
Loss on auction rate securities put option	—	5,074	1,610
Gain on auction rate securities	(20)	(4,362)	(3,204)
Changes in operating assets and liabilities:			
Prepaid expenses and other current assets	(2,901)	1,357	(1,704)
Other long-term assets	(68)	(53)	383
Accounts payable and accrued expenses	(4,904)	4,476	(1,900)
Restructuring accrual, net of current portion	—	—	(78)
Deferred revenue	(10,650)	(16,441)	(6,220)
Net cash used in operating activities	(23,738)	(34,816)	(41,758)
Cash flows from investing activities:			
Purchases of marketable securities	(185,969)	(91,484)	(94,086)
Proceeds from sale or maturity of marketable securities	149,717	154,128	32,097
Purchases of property and equipment	(594)	(357)	(660)
Net cash provided by (used in) investing activities	(36,846)	62,287	(62,649)
Cash flows from financing activities:			
Payment of notes payable	—	(44,400)	(1,650)
Proceeds from stock offering, net	46,756	—	—
Proceeds from stock option exercises and employee stock plan purchases	4,466	835	718
Net cash provided by (used in) financing activities	51,222	(43,565)	(932)
Net decrease in cash and cash equivalents	(9,362)	(16,094)	(105,339)
Cash and cash equivalents, beginning of period	20,457	36,551	141,890
Cash and cash equivalents, end of period	$11,095	$20,457	$36,551

SUPPLEMENTAL DISCLOSURE OF CASH FLOW INFORMATION (IN THOUSANDS):

The Company paid interest on debt of $25, $274 and $655 in 2011, 2010 and 2009, respectively.

The Company paid no taxes in 2011. The Company paid taxes of $550 in 2009 that were refunded in 2010

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

ARQULE, INC.

NOTES TO CONSOLIDATED 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 ("AKIPTM") 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”). 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 well-tolerated 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 data that is continually generated. Our leading indications include non-small cell lung cancer (“NSCLC”), liver cancer (hepatocellular carcinoma or HCC) and colorectal cancer. 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.

In January 2011, we enrolled the first patient in the Phase 3 MARQUEE (Met inhibitor ARQ 197 plus Erlotinib vs. Erlotinib plus placebo in NSCLC) trial of tivantinib in NSCLC in combination with erlotinib, an approved anti-cancer agent. The Phase 3 trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic, non-squamous NSCLC who will receive tivantinib plus erlotinib or placebo plus erlotinib. This trial is being conducted under a Special Protocol Assessment (“SPA”) agreement with the U.S. Food and Drug Administration (“FDA”).

In August 2011, Kyowa Hakko Kirin announced the initiation of the Phase 3 ATTENTION (Asian Trial of Tivantinib plus Erlotinib vs. Erlotinib for NSCLC without EGFR Mutation) trial of tivantinib in combination with erlotinib. The ATTENTION trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic non-squamous NSCLC with the wild type form of the EGFR gene who will receive tivantinib plus erlotinib or placebo plus erlotinib.

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 621, an inhibitor of the Eg5 kinesin motor protein, and ARQ 736, an inhibitor of the RAF kinases, both of which are in Phase 1 clinical testing. A third pipeline program, focused on small molecule inhibitors of fibroblast growth factor receptor, is in pre-clinical development.

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 consolidated 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. 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.

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 consolidated statement of operations 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.

Fair Value of Financial Instruments

At December 31, 2011 and 2010 our financial instruments consist of cash, cash equivalents, accounts payable, accrued expenses and notes payable. The carrying amount of these financial instruments approximates their fair values. At December 31, 2011 and 2010 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.

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.

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 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 internal 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 2011, 2010 and 2009.

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

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.

Other income in 2009 includes an unrealized gain on our auction rate securities of $3,204 partially offset by a loss of $1,610 on our auction rate security Put Option.

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 consolidated financial statements.

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 6,547,443, 6,355,827 and 5,215,189 shares of common stock were not included in the 2011, 2010 and 2009 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 in the years ended December 31, 2011, 2010 and 2009.

The following table presents stock-based compensation expense for the years ended December 31, 2011, 2010 and 2009 included in our Consolidated Statements of Operations:

	2011	2010	2009
Research and development	$ 1,586	$ 1,283	$ 1,415
General and administrative	2,244	1,976	2,016
Total compensation expense	$ 3,830	$ 3,259	$ 3,431

In the years ended December 31, 2011, 2010 and 2009, 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, 2011, 2010 and 2009 respectively were estimated on the grant date using the Black-Scholes option-pricing model with the following assumptions:

	2011	2010	2009
Dividend yield(1)	0.0 %	0.0 %	0.0 %
Weighted average expected volatility factor(2)	64 %	64 %	61 %
Risk free interest(3)	1.0 - 2.2 %	1.4 - 2.3 %	1.8 - 2.4 %
Expected term, excluding options issued pursuant to the Employee Stock Purchase Plan(4)	5.6 - 6.4 years	5.9 - 6.4 years	5.8 - 6.4 years
Expected term—Employee Stock	6 months	6 months	6 months

Purchase Plan(5)

(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. The weighted average expected volatility in 2011, 2010 and 2009 was approximately 64%, 64% and 61%, respectively.

(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.

Comprehensive Loss

Comprehensive loss is comprised of net loss and other comprehensive gain (loss). Other comprehensive gain (loss) was $1, $(62) and $55 in 2011, 2010 and 2009 respectively, composed of unrealized gains and (losses) on marketable securities.

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.

Recently Issued Accounting Standards

In October 2009, the Financial Accounting Standards Board, or FASB, issued accounting standards update ("ASU") No. 2009-13 Multiple-Deliverable Revenue Arrangements ("ASU 2009-13"). ASU 2009-13 amended revenue recognition accounting pronouncements and provides accounting principles and application guidance on whether multiple deliverables exist, how the arrangement should be separated, and the consideration allocated. Among other provisions, this guidance eliminates the requirement to have objective evidence for undelivered products and services and instead provides for separate revenue recognition based upon management's best estimate of the selling price for an undelivered item when there is no other means to determine the fair value of that undelivered item. Previous accounting principles required that the fair value of the undelivered item be the price of the item either sold in a separate transaction between unrelated third parties or the price charged for each item when the item is sold separately. Revenue from our multiple-deliverable arrangements in effect prior to January 1, 2011 is recognized over the estimated development period using the contingency adjusted performance model. Under the new approach, revenue for new agreements or material modifications of existing agreements will be recognized based upon the relative selling price of each element in the arrangement. The Company adopted this guidance prospectively on January 1, 2011 and applied the amended revenue guidance to the license agreement entered into in November 2011 (see Note 3, Collaborations and Alliances- Daiichi Sankyo ARQ 092 Agreement).

In April 2010, the FASB issued ASU No. 2010-17, Revenue Recognition—Milestone Method. This ASU provides guidance on the criteria that should be met for determining whether the milestone method of revenue recognition is appropriate. Under the milestone method of revenue recognition, consideration that is contingent upon achievement of a milestone in its entirety can be recognized as revenue in the period in which the milestone is achieved only if the milestone meets all criteria to be considered substantive. This standard provides the criteria to be met for a milestone to be considered substantive which includes that: a) performance consideration earned by achieving the milestone be commensurate with either performance to achieve the milestone or the enhancement of the value of the item delivered as a result of a specific outcome resulting from performance to achieve the milestone; and b) relate to past performance and be reasonable relative to all deliverables and payment terms in the arrangement. The Company adopted this guidance on a prospective basis on January 1, 2011. The decision to use the milestone method of revenue recognition is a policy election. The new guidance 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.

In January 2011, we adopted ASU No. 2010-06, ”Improving Disclosures About Fair Value Measurements” which requires additional disclosure about the amounts of and reasons for significant transfers in and out of Level 1 and Level 2 fair value measurements. In addition, effective for interim and annual periods beginning after December 15, 2010, which for us is January 1, 2011, this standard further requires an entity to present disaggregated information about activity in Level 3 fair value measurements on a gross basis, rather than as one net amount. As this accounting standard only requires enhanced disclosure, the adoption of this newly issued accounting standard did not impact our financial position or results of operations.

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, which for us is January 1, 2012. We do not expect that adoption of this standard will 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, which for us is January 1, 2012. As this accounting standard only requires enhanced disclosure, the adoption of this standard will not impact our financial position or results of operations.

3. COLLABORATIONS AND ALLIANCES

Daiichi Sankyo Kinase Inhibitor Discovery Agreement

On November 7, 2008, we entered into a research collaboration, exclusive license and co-commercialization agreement with Daiichi Sankyo under which we are applying our proprietary technology and know-how using our AKIP™ technology for the discovery of therapeutic compounds that selectively inhibit certain kinases in the field of oncology. The agreement defines two such kinase targets, and Daiichi Sankyo will have an option to license compounds directed to these targets following the completion of certain pre-clinical studies. 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 $265 million in 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 October 12, 2010, we and Daiichi Sankyo announced the expansion of this agreement, establishing a third target, with an option for a fourth, in oncology, and a two-year extension through November 2012.

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 is recognized using the contingency-adjusted performance model with an estimated performance period through November 2012. For the years ended December 31, 2011 and 2010, $17.7 million and $12.6 million, respectively, were recognized as revenue. At December 31, 2011, $10.2 million remains in deferred revenue.

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 $10.0 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 trial services, clinical trial costs and steering committee services will be recognized ratably over the clinical trial as amounts are incurred and billed, up to the amount of cash received for these deliverables based on the best estimate of selling price of each deliverable. We recognized revenue of $10.0 million related to this agreement for the year ended December 31, 2011and as of December 31, 2011, there is no deferred revenue related to this arrangement. The estimated development period for this arrangement is through June 2013.

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.

The dosing of the first patient in the Phase 3 MARQUEE 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. 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 December 2013. For year ended December 31, 2011, $15.1 million was recognized as revenue from the milestone.

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.

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 include $2.5 million of prepaid Phase 3 drug purchases. This amount will be recognized as contra-revenue as the drugs are 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 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 with an estimated development period through December 2013. For the years ended December 31, 2011 and 2010, $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. For the year ended December 31, 2009, $13.9 million was recognized as revenue and there was no contra-revenue. At December 31, 2011 and 2010, $37.0 million and $41.0 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 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, 2011, 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, 2011, 2010 and 2009, $10.1 million, $6.1 million, and $4.0 million, respectively were recognized as revenue. At December 31, 2011 and 2010, $24.7million and $24.0 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 consolidated 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. 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.

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 consolidated statement of operations 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, 2011 and $2.6 million (at cost) at December 31, 2010, 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 2010, 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, 2011 and December 31, 2010:

December 31, 2011 Security type	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
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

December 31, 2010 Security type	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
U.S. Federal Treasury and U.S. government agencies securities	$ 12,184	$ 2	$ (1)	$ 12,185
Corporate debt securities-short term	48,061	12	(20)	48,053
Total available-for-sale marketable securities	$ 60,245	$ 14	$ (21)	$ 60,238

The Company’s available-for-sale marketable securities in a loss position at December 31, 2011 and December 31, 2010, 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, 2011 and December 31, 2010:

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

December 31, 2010 Security type	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
Auction rate securities	$ 2,600	$ —	$ (446)	$ 2,154
Total trading securities	$ 2,600	$ —	$ (446)	$ 2,154

During the year ended December 31, 2011, unrealized losses of $424 were recognized on the auction rate securities which were held as of December 31, 2011. During the year ended December 31, 2010, unrealized losses of $446 were recognized on the auction rate securities which were held as of December 31, 2010. 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, 2011, the Company's auction rate security is included in marketable securities-long term and totals $1,676. At December 31, 2010, the Company's auction rate security is included in marketable securities-long term and totals $2,154. 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 statement of operations. The net decrease in value of our Put Option and auction rate securities totaling $712 in the year ended December 31, 2010 was recorded as a loss in other income in the statement of operations.

In January 2010, we adopted a newly issued accounting standard which requires additional disclosure about the amounts of and reasons for significant transfers in and out of Level 1 and Level 2 fair value measurements. This standard also clarified existing disclosure requirements related to the level of disaggregation of fair value measurements for each class of assets and liabilities and requires disclosures about inputs and valuation techniques used to measure fair value for both recurring and nonrecurring Level 2 and Level 3 measurements. In addition, effective for interim and annual periods beginning after December 15, 2010, this standard further requires an entity to present disaggregated information about activity in Level 3 fair value measurements on a gross basis, rather than as one net amount. As this newly issued accounting standard only requires enhanced disclosure, the adoption of this standard did not impact our financial position or results of operations and will not affect them in the future.

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 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, 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

	December 31, 2010	Quoted Prices in Active Markets (Level 1)	Significant Other Observable Inputs (Level 2)	Significant Unobservable Inputs (Level 3)
Cash equivalents	$ 16,871	$ 16,871	$—	$ —
U.S. Federal Treasury and U.S. government agencies securities-short term	12,185	—	12,185	—
Corporate debt securities-short term	48,053	—	48,053	—
Auction rate securities-long term	2,154	—	—	2,154
Total	$ 79,263	$ 16,871	$60,238	$ 2,154

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.

Due to the lack of market quotes relating to our Put Option, the fair value measurements for our Put Option at December 31, 2009 were estimated using a valuation approach commonly used for forward contracts in which one party agrees to sell a financial instrument (generating cash flows) to another party at a particular time for a predetermined price, which is based on Level 3 inputs. In this approach the present value of all expected future cash flows is subtracted from the current fair value of the security, and the resulting value is calculated as a future value at an interest rate reflective of counterparty risk.

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

The following tables roll forward the fair value of our auction rate securities and put option, whose fair values are determined by Level 3 inputs for 2010:

	Amount
Balance at December 31, 2009	$ 59,791
Loss on auction rate securities and put option	(712)
Settlements	(56,925)
Balance at December 31, 2010	$ 2,154

5. PROPERTY AND EQUIPMENT

Property and equipment consist of the following at December 31, 2011 and 2010:

	USEFUL LIFE ESTIMATED (YEARS)	2011	2010
Machinery and equipment	5	$ 12,733	$ 12,295
Leasehold improvements	3 - 10	4,594	4,510
Furniture and fixtures	7	1,175	1,175
Computer equipment	3	3,639	3,566
		22,141	21,546
Less: Accumulated depreciation and amortization		19,202	18,029
		$ 2,939	$ 3,517
Depreciation expense		$ 1,172	$ 1,425

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 $1,888 at December 31, 2011.

6. OTHER ASSETS

Other assets include the following at December 31, 2011 and 2010:

	2011	2010
Security deposits	$ 669	$ 669
Prepaid rent, net of current portion	780	675
Other long-term prepaid assets	—	37
Total other assets	$ 1,449	$ 1,381

7. ACCOUNTS PAYABLE AND ACCRUED EXPENSES

Accounts payable and accrued expenses include the following at December 31, 2011 and 2010:

	2011	2010
Accounts payable	$ 226	$ 1,260
Accrued payroll	2,768	3,450
Accrued outsourced pre-clinical and clinical fees	8,034	10,375
Accrued professional fees	379	785
Other accrued expenses	525	966
	$ 11,932	$ 16,836

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, 2011 and 2010 and was collateralized by $2.1 million and $2.6 million of auction rate securities at cost, respectively.

Interest expense was $25, $274 and $655 for the years ended December 31, 2011, 2010 and 2009, respectively.

9. STOCKHOLDERS' EQUITY

Preferred Stock

We are authorized to issue up to one million shares of preferred stock. As of December 31, 2011 and 2010, 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.

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 for net proceeds of $46.8 million after commissions and offering expenses.

At December 31, 2011, we have 681,900 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

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, 2011, no stock appreciation rights have been issued. At December 31, 2011, there were 4,387,745 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, 2011, options to purchase 847,500 shares of common stock have been granted under this plan of which 641,000 shares are currently exercisable. As of December 31, 2011, 276,000 shares are available for future grant.

In 2009, we issued 12,000 fully-vested options to certain members of our Scientific Advisory Board under the Equity Incentive Plan. Compensation expense with respect to these awards was $41. No such awards were granted in 2010 or 2011.

Option activity under the Plans for the years ended December 31, 2009, 2010 and 2011 was as follows:

Stock Options	Number of Shares	Weighted Average Exercise Price
Outstanding as of December 31, 2008	5,600,583	$ 5.99
Granted	156,500	3.96
Exercised	(48,641)	4.57
Cancelled	(493,253)	4.82
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
Exercisable as of December 31, 2011	3,952,607	$ 5.37
		$ 3.99

Weighted average grant-date fair value of options granted during the year ended December 31, 2011	

The following table summarizes information about options outstanding at December 31, 2011:

	Options Outstanding			Options Exercisable	
Range of Exercise Prices	Number Outstanding at December 31, 2011	Weighted Average Remaining Contractual Life	Weighted Average Exercise Price	Exercisable as of December 31, 2011	Weighted Average Exercise Price
$ 2.35 - 2.80	18,750	6.9	$ 2.47	11,750	$ 2.46
2.80 - 5.60	3,140,590	6.7	3.93	1,942,054	4.08
5.60 - 8.40	3,239,245	6.6	6.49	1,849,945	6.32
8.40 - 11.20	98,000	4.3	9.02	98,000	9.02
11.20 - 14.00	50,858	0.1	13.39	50,858	13.39
	6,547,443	6.6	$ 5.34	3,952,607	$ 5.37

The aggregate intrinsic value of options outstanding at December 31, 2011 was $34,964 of which $21,221 related to exercisable options. The weighted average grant date fair value of options granted in year ended December 31, 2011, 2010 and 2009 was $3.99, $2.24, and $2.29, per share, respectively. The intrinsic value of options exercised in the year ended December 31, 2011, 2010, and 2009 was $963, $213, and $54, respectively.

Shares vested, expected to vest and exercisable at December 31, 2011 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, 2011	6,398,563	$ 5.34	6.6	$6,670
Exercisable at December 31, 2011	3,952,607	$ 5.37	5.3	$21,221

The total compensation cost not yet recognized as of December 31, 2011 related to non-vested option awards was $5,886 which will be recognized over a weighted-average period of 2.6 years. During the year ended December 31, 2011, there were 312,686 shares forfeited with a weighted average grant date fair value of $3.15 per share. The weighted average remaining contractual life for options exercisable at December 31, 2011 was 5.3 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, 2011, 60,945 shares have been forfeited, and 383,592 shares have vested. We recognized share-based compensation expense related to restricted stock of $358, $389 and $653 for the year ended December 31, 2011, 2010 and 2009, respectively.

Restricted stock activity under the Plan for the year ended December 31, 2011 was as follows:

Restricted Stock	Number of Shares	Weighted Average Grant Date Fair Value

Unvested as of December 31, 2010	333,314	$ 3.68
Granted	—	—
Vested	(117,648)	3.74
Cancelled	(19,687)	3.66
Unvested as of December 31, 2011	195,979	$ 3.65

The fair value of restricted stock vested in 2011, 2010 and 2009 was $800, $449 and $347, 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. Through December 31, 2011 no expense has been recorded for these performance-based stock units.

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.

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 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 to 2,400,000. As of December 31, 2011, 1,718,100 shares have been purchased and 681,900 shares are available for future sale under the Purchase Plan. We recognized share-based compensation expense related to the Purchase Plan of $165, $248 and $215 for the year ended December 31, 2011, 2010 and 2009, respectively.

11. INCOME TAXES

There was no current or deferred tax expense for the year ended December 31, 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 following is reconciliation between the U.S. federal statutory rate and the effective tax rate for the years ended December 31, 2011, 2010 and 2009:

	2011	2010	2009
Income tax (benefit) expense at statutory rate	$ (3,659)	$ (10,430)	$ (12,080)
State tax (benefit) expense, net of Federal tax (benefit) expense	357	(559)	(2,458)
Permanent items	617	116	439
Effect of change in valuation allowance	3,737	11,586	17,089
Tax credits	(2,006)	(1,466)	(2,632)
Other	954	203	192
Tax expense (benefit)	$ —	$ (550)	$ 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, 2011 and 2010:

	2011	2010
Deferred tax assets:		
Net operating loss carryforwards	$ 86,848	$ 78,562
Tax credit carryforwards	22,492	20,486
Equity based compensation	5,881	5,115
Book depreciation in excess of tax	2,321	2,455
Reserves and accruals	(101)	(69)
Deferred revenue	21,439	28,559
Loss on investment	194	227
Other	180	182
	139,254	135,517
Valuation allowance	(139,254)	(135,517)
Deferred tax liabilities	—	—

Net deferred tax assets	$ —	$ —

Total valuation allowance increased by $3,737 for the year ended December 31, 2011. 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, 2011.

As of December 31, 2011, we had federal NOL, state NOL, and research and development credit carryforwards of approximately $243,310, $171,060 and $25,063 respectively, which can be used to offset future federal and state income tax liabilities and expire at various dates through 2031. Federal net capital loss carryforwards of approximately $571 can be used to offset future federal capital gains and expire in 2015. Approximately $14,954 of our federal NOL and $1,974 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, 2010, and 2011 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. We recognize interest and penalties related to uncertain tax positions in income tax expense. As of December 31, 2010 and 2011, we had no accrued interest or penalties related to uncertain tax positions. Our U.S. federal tax returns for the tax years 2009 through 2011 and our state tax returns for the tax years 2007 through 2011 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 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 tax, 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 in the fourth quarter of 2009 to determine whether such amounts are likely to be limited by Section 382. As a result of this analysis, and a detailed review of ownership changes through 2011, we currently do not believe Sections 382's 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, 2011, the minimum lease commitments for all leased facilities, net of sublease income, are as follows:

YEAR ENDING DECEMBER 31,	OPERATING LEASES
2012	$ 3,573
2013	3,073
2014	3,185
2015	1,069
2016	—
Thereafter	—
Total minimum lease payments	$ 10,900

Rent expense under non-cancelable operating leases was approximately $2,866 for the years ended December 31, 2011, 2010, and 2009. Sublease income, which is recorded as a reduction of rent expense, was approximately $0, $44, and $534, for the years ended December 31, 2011, 2010 and 2009 respectively.

13. CONCENTRATION OF CREDIT RISK

Revenue from one customer represented approximately 79% of total revenue during 2011, 79% in 2010 and 84% in 2009. Revenue from another customer represented approximately 21% of total revenue during 2011, 21% in 2010, and 16% in 2009.

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14. SELECTED QUARTERLY FINANCIAL DATA (UNAUDITED)

	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
Income (loss) per share:				
Basic earnings (loss) per share	$(0.03)	$(0.20)	$(0.04)	$0.07
Diluted earnings (loss) per share	$(0.03)	$(0.20)	$(0.04)	$0.07

	FIRST QUARTER	SECOND QUARTER	THIRD QUARTER	FOURTH QUARTER
2010				
Net revenues	$6,325	$7,106	$8,270	$7,520
Net loss	(9,752)	(8,227)	(6,394)	(5,756)
Basic and diluted loss per share:				
Net loss per share	$(0.22)	$(0.18)	$(0.14)	$(0.13)

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, 2011. 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, 2011, 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, 2011.

The effectiveness of our internal control over financial reporting as of December 31, 2011 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, 2011 that has materially affected or is reasonably likely to materially affect our internal control over financial reporting.

ITEM 9B. OTHER INFORMATION

None.

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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 24, 2012, 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 STATEMENTS 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.

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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.

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.

EXHIBIT NO.	DESCRIPTION
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 herewith.
10.22*	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.
10.23*	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.
10.24+	License and Co-Commercialization Agreement, dated November 8, 2011, by and between ArQule, Inc. and Daiichi Sankyo Co., Ltd., filed herewith.
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	Interactive Data File

* 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 1, 2012

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 1, 2012
/s/ Peter S. Lawrence Peter S. Lawrence	President and Chief Operating Officer (Principal Financial Officer)	March 1, 2012
/s/Robert J. Weiskopf Robert J. Weiskopf	Vice President of Finance, Corporate Controller and Treasurer (Principal Accounting Officer)	March 1, 2012
/s/ Patrick J. Zenner Patrick J. Zenner	Director—Chairman of the Board	March 1, 2012
/s/ Timothy C. Barabe Timothy C. Barabe	Director	March 1, 2012
/s/ Susan L. Kelley Susan L. Kelley	Director	March 1, 2012
/s/ Ronald M. Lindsay Ronald M. Lindsay	Director	March 1, 2012
/s/ Michael D. Loberg Michael D. Loberg	Director	March 1, 2012
/s/ William G. Messenger William G. Messenger	Director	March 1, 2012