ARQULE INC

FORM 10-K
(Annual Report)

Filed 03/12/07 for the Period Ending 12/31/06

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Telephone	781-994-0300
CIK	0001019695
Symbol	ARQL
SIC Code	2834 - Pharmaceutical Preparations
Industry	Biotechnology & Drugs
Sector	Healthcare
Fiscal Year	12/31

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, 2006 COMMISSION FILE NUMBER: 000-21429

ARQULE, INC.

(EXACT NAME OF REGISTRANT AS SPECIFIED IN ITS CHARTER)

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

19 PRESIDENTIAL WAY, WOBURN, MASSACHUSETTS 01801

(ADDRESS OF PRINCIPAL EXECUTIVE OFFICES INCLUDING ZIP CODE)

REGISTRANT'S TELEPHONE NUMBER, INCLUDING AREA CODE:
(781) 994-0300

SECURITIES REGISTERED PURSUANT TO SECTION 12(b) OF THE ACT:

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

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

Indicate by check mark if the registrant is a well-known issuer, as defined in Rule 405 of the Securities Act.

Yes ☐ No ☒

Indicate by check mark if the registrant is not required to file reports pursuant to Section 13 or Section 15(d) of the Act.

Yes ☐ No ☒

Indicate by check mark whether the registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities 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 ☒ No ☐

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

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

Large accelerated filer ☐ Accelerated filer ☒ Non-accelerated filer ☐

Indicate by check mark whether the registrant is a shell company (as defined in Rule 12b-2 of the Act).

Yes ☐ No ☒

The aggregate market value of voting and non-voting common stock held by non-affiliates of the registrant as of June 30, 2006 was: $200,110,860

There were 35,829,647 shares of the registrant's Common Stock outstanding as of March 02, 2007.

DOCUMENTS INCORPORATED BY REFERENCE

Portions of the definitive proxy statement for the Registrant's Annual Meeting of Shareholders to be held on May 18, 2007, which will be filed with the Securities and Exchange Commission not later that 120 days after the registrant's fiscal year end of December 31, 2006, are incorporated by reference into Part III of the Form 10-K.

IMPORTANT FACTORS REGARDING FORWARD-LOOKING STATEMENTS

You should carefully consider the risks described below together with all of the other information included in this Form 10-K 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” or similar terminology. Although we believe that the expectations reflected in such forward looking statements are reasonable as of the date thereof, such expectations are based on certain assumptions regarding the progress of product development efforts under collaborative agreements, the execution of new collaborative agreements 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 positive early results are not repeated in later studies or in humans, 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.

PART I

ITEM 1. BUSINESS

BUSINESS OVERVIEW

We are a clinical-stage biotechnology company organized as a Delaware Corporation in 1993 and are engaged in the research and development of cancer therapeutics. Our mission is to research, develop, and commercialize broadly effective, targeted cancer drugs with reduced toxicities compared to conventional cancer chemotherapeutics. Our expertise in molecular biology enables us to understand certain biological processes that are responsible for numerous types of human cancers and to discover novel drug candidates for these diseases. Our chemistry capabilities derived from our history of providing chemistry services for the pharmaceutical and biotechnology industries enable us to generate product candidates possessing certain pre-selected drug-like properties and a high degree of specificity for cancer cells. 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 products are in clinical-stage development. We are conducting human clinical trials with three product candidates, designated as: ARQ 197, ARQ 501 and ARQ 171. We retain proprietary rights to ARQ 197 and are developing ARQ 501 and ARQ 171 pursuant to a collaboration with Hoffmann-La Roche ("Roche").

ARQ 197 is the lead product from our Cancer Survival Pathways Program. ARQ 197 blocks the activity of c-Met, an enzyme believed to play key roles in human cancer, including cancer cell growth, survival, angiogenesis, invasion and metastasis. We believe the inappropriate expression of c-Met in most cancers and its role in controlling multiple signal transduction pathways involved in tumor growth and metastasis render it a highly compelling target for cancer therapy.

ARQ 501 and ARQ 171, the lead products from our Activated Checkpoint Therapy ® (ACT) program, are designed to kill cancer cells selectively while sparing normal cells through direct activation of DNA damage response/checkpoint pathways. These compounds are believed to activate checkpoint pathways regulated by the E2F-1 regulatory protein thereby restoring the cell's natural defense mechanism against DNA damage and initiating the process of apoptosis, or programmed cell death, in these cells.

In addition, we maintain a number of pre-clinical programs directed toward molecular targets that we believe play critical roles in the development of human cancers. The targets, mechanisms of action and chemistry related to compounds generated from these programs differ, offering the potential for multiple therapeutic opportunities. We are applying a broad spectrum of chemistry capabilities developed and validated in the course of multiple collaborations with large pharmaceutical companies to our internal oncology drug discovery and development efforts. These capabilities are designed to facilitate the progression of our programs from initial discovery through pre-clinical development.

We terminated our chemistry services operations in May 2006. These operations involved providing chemistry services to collaborators and customers for their discovery programs. Our decision to terminate these operations was designed to ensure an operational focus on our oncology portfolio and followed our successful transition to an integrated research and development company after our acquisition of Cyclis Pharmaceuticals, Inc. ("Cyclis"), an early stage cancer therapeutics company, in 2003. We have, however, maintained the know-how and trade secrets associated with our combinatorial chemistry expertise and combined it with the biology expertise of Cyclis to form a powerful drug discovery engine.

CLINICAL TRIALS

ARQ 197

We initiated Phase 1 clinical trial with ARQ 197 in early 2006. This open label, dose escalation trial includes patients with multiple metastatic tumor types who have had disease progression when treated with available therapy or for whom no standard systemic therapy exists. The primary objectives of the trial are to determine tolerability, safety and a recommended dosing regimen for Phase 2 trials pending the successful completion of Phase 1. Additionally, the trial will seek to define the pharmacokinetic profile of ARQ 197 and to collect preliminary data on anti-tumor activity.

We have completed dose escalation in this trial. Utilizing a regimen of two weeks of twice daily therapy followed by one week off therapy, maximum systemic patient exposure to ARQ 197 has been achieved in the absence of dose-limiting toxicity. The optimal dose of ARQ 197 when given orally, twice daily, two weeks out of three, has been identified as 120 mg per dose. Based on the observed safety profile and pharmacokinetics, we are exploring a twice daily ongoing dosing schedule with no off-therapy period. Prior to initiation of Phase 2 testing with ARQ 197 anticipated in the second quarter of 2007, and as part of our Phase 1 trial, we are enrolling a small number of patients who are being dosed on this schedule.

We are also initiating a new study to investigate biomarkers of activity in both tumor tissue and peripheral blood. This trial will be conducted at the Royal Marsden Hospital in the United Kingdom. The Marsden study is being designed to provide findings that will help correlate anti-tumor activity with changes in biomarker activity and establish dosing parameters for Phase 2 clinical testing. Pending these findings, we expect to employ the twice daily ongoing dosing regimen for Phase 2, as compared to the twice daily dosing two of every three weeks initially employed in Phase 1.

Phase 1 Interim Data with ARQ 197

Interim data from this trial were presented on November 10, 2006 at the 18 th EORTC-NCI-AACR International Conference on Molecular Targets and Cancer Therapeutics. These data demonstrate clinical tolerability, pharmacokinetics and signs of anti-tumor activity in cancer patients with a broad range of metastatic solid tumor types who had failed prior treatment regimens.

Data were presented on 31 evaluable patients, of whom 15 achieved a best response of stable disease or better, ranging from six-plus to 33 weeks. Two of these patients achieved a partial response, according to RECIST (Response Evaluation Criteria In Solid Tumors) guidelines. ARQ 197 was administered orally at doses ranging from 10 mg to 180 mg twice daily (20 mg to 360 mg daily), for 14 days, followed by seven days with no treatment. This 21-day cycle was repeated as long as the patient tolerated the drug. Adverse event data were collected on 27 patients. Dose escalation has been well tolerated, with no dose limiting toxicity observed. Adverse events have been generally mild, with the most common being fatigue. Enrollment is continuing in this trial.

ARQ 501 and ARQ 171

We initiated a Phase 2 program with ARQ 501 consisting of three separate clinical trials during 2006. These include monotherapy trials in leiomyosarcoma and in head and neck cancer, and a combination therapy trial with gemcitabine in pancreatic cancer. A Phase 1 trial was initiated in late 2006 with ARQ 171, a second-generation E2F-1 compound.

We have completed patient recruitment in all three Phase 2 trials. The enrollment targets were as follows: 30 patients in the leiomyosarcoma study, 53 patients in the head and neck cancer study and 66 patients in the pancreatic cancer study. The Phase 2 dose employed in the leiomyosarcoma and head and neck cancer trials is 450 milligrams per meter squared (mg/m ^{2}), and the Phase 2 dose in the pancreatic cancer trial is 400 mg/m ^{2}.

As defined in our Roche collaboration agreement, Roche has an option to license worldwide rights for the development and commercialization of products resulting from the E2F-1 program (including ARQ 501 and ARQ 171) based on our delivery of a clinical data package from one of the Phase 2 monotherapy trials and the combination therapy trial with ARQ 501, as well as from the Phase 1 trial with ARQ 171.

A number of data presentations from Phase 1 monotherapy and combination therapy trials with ARQ 501 were made during 2006. Summaries of these presentations follow.

Phase 1 Monotherapy Results with ARQ 501

On April 4, 2006, we reported results from a Phase 1 monotherapy trial with ARQ 501 at the 97 th Annual Meeting of the American Association for Cancer Research (AACR) that provided evidence of clinical tolerability and anti-tumor activity in cancer patients with advanced solid tumors who had failed prior treatments with chemotherapy.

Subjects in this trial received either one or three-hour infusions of ARQ 501 as monotherapy. Infusions were repeated weekly, every other week or two out of three weeks. Dose escalation was conducted to explore and evaluate the effects of both doses and infusion regimens. Tumor response was evaluated according to RECIST guidelines.

ARQ 501 was administered to 64 patients with late-stage cancer who had received prior regimens of chemotherapy. Doses ranged from 10 to 660 mg/m ^{2} . Tumor regression or prolonged disease stabilization was observed in a broad spectrum of cancer types, including pancreatic cancer, head and neck cancer, ovarian cancer, colorectal cancer and leiomyosarcoma.

Evidence of anti-tumor activity was observed in 18 out of 38 patients evaluable for efficacy. Of these 18 patients, evidence of tumor regression was observed in five patients, two of whom had partial responses and three of whom had minor responses (tumor regression by more than 15% but less than 30% per RECIST). In addition, 13 of these patients achieved disease stabilization.

The data also demonstrated the clinical tolerability and favorable pharmacokinetics of ARQ 501. Drug-related serious adverse events included destruction of red blood cells resulting in lower levels of hemoglobin and the presence of higher than normal levels of bilirubin (also known as hemolytic anemia and hyperbilirubinemia), although these were transient and clinically manageable. Hemolytic anemia was identified as the dose-limiting toxicity associated with administration of ARQ 501. Based on these findings, as well as pre-clinical data, the Phase 2 dose employed in the ARQ 501 monotherapy trials in leiomyosarcoma and head and neck cancer is 450 mg/m ^{2} .

Phase 1b Combination Therapy Results with ARQ 501 and Gemcitabine

On June 15, 2006, we announced data from a Phase 1b combination therapy trial with ARQ 501 and gemcitabine that demonstrated clinical tolerability, favorable pharmacokinetics and signs of anti-tumor activity in cancer patients with a range of advanced solid tumors who had failed prior treatments with chemotherapy. These data were collected as of May 19, 2006.

The objectives of this Phase 1b study were to determine the maximum tolerated dose, safety and tolerability, pharmacokinetics and preliminary anti-tumor activity of this combination therapy in patients with advanced solid tumors. All patients enrolled in the study had failed prior courses of chemotherapy.

ARQ 501 was administered once weekly for all cycles of treatment, 30 minutes after the end of the gemcitabine infusion. Gemcitabine was administered once weekly for the first four weeks of cycle 1 and then 3 out of 4 weeks for each successive cycle.

A total of 36 patients were enrolled in this study, with 34 receiving the combination regimen. Of these, 26 patients were evaluable for efficacy, defined as having completed eight weeks of study and one post-baseline tumor assessment. Of these, 14 patients (54 percent) achieved a response of stable disease or better, ranging from 8 to 33 weeks, including one minor response in a patient with colorectal cancer who achieved a 28 percent reduction in tumor mass over 33 weeks on study.

A recommended Phase 2 regimen of 400 mg/m ^{2} of ARQ 501 in combination with gemcitabine has been determined in this study. A total of 11 patients have been enrolled at this dose level, with 7 considered evaluable for efficacy. Of these, 5 patients have achieved a best response of stable disease ranging from 10+ to 16+ weeks and all 5 continue on study, while 2 patients had progressive disease. Of the remaining 4 patients enrolled at this dose level, 1 has withdrawn for an adverse event after receiving one dose of the combination, and 3 other patients have recently enrolled, all of whom remain on study.

Although 400 mg/m ^{2} was established as the recommended Phase 2 dose, 6 patients received a dose of 450 mg/m ^{2} of ARQ 501 in combination with gemcitabine. While dose-limiting toxicity was observed at the 450 mg/m ^{2} dose level, 3 of these 6 patients achieved stable disease ranging from 20+ to 27+ weeks, with all 3 patients continuing on study, at the time data were reported.

The data also demonstrated the clinical tolerability of ARQ 501 in combination with gemcitabine. The most common adverse events were anemia, fatigue and constipation. Based on these findings, combined with pre-clinical data, a regimen of weekly administration of 400 mg/m ^{2} of ARQ 501 is being employed in the Company's Phase 2 trial with ARQ 501 and gemcitabine in patients with pancreatic cancer.

Phase 1 Combination Therapy Results with ARQ 501 and Docetaxel

Several presentations of data from a Phase 1b combination therapy trial with ARQ 501 and docetaxel were made during the year. The most recent and comprehensive of these occurred at the 18 th EORTC-NCI-AACR International Conference on Molecular Targets and Cancer Therapeutics in Prague. The data presented at this conference expanded upon initial data presented in a June 2006 publication in the 2006 Proceedings of the American Society of Clinical Oncology (ASCO) and in a subsequent update by us on June 15, 2006.

These data, collected as of October 9, 2006, demonstrated clinical tolerability and promising signs of anti-tumor activity in cancer patients with a range of advanced solid tumors who had failed prior treatments with a range of anti-cancer therapies. A total of 43 patients have received the combination therapy of ARQ 501 and docetaxel in this trial, with doses of ARQ 501 ranging from 140 to 550 mg/m ^{2}, infused over one or three hours. Promising anti-tumor activity has been observed over the range of doses administered. Of 36 patients evaluable for efficacy, 20 have achieved disease stabilization, and evidence of tumor regression was observed in 4 of these patients, 3 of whom had a partial response and 1 of whom had a minor response.

The objectives of this study were to determine the maximum tolerated dose, dose-limiting toxicity, safety, tolerability and preliminary anti-tumor activity of the combination of ARQ 501 and docetaxel. All patients enrolled in the study except for one had received and failed prior courses of therapy.

Two dosing schedules were investigated in this combination therapy protocol. In the first, ARQ 501 was administered for five consecutive days, with a single docetaxel infusion administered on day three. In the second, a single dose of ARQ 501 was administered in combination with a single docetaxel infusion on day one. Once tolerated, additional weekly infusions of ARQ 501 were administered. Both schedules were repeated every three weeks. Dose escalation of ARQ 501 was conducted to explore and evaluate the effects of both doses and infusion regimens.

A total of 11 patients were enrolled and received the first dosing schedule. Of these, 9 patients were evaluable for efficacy, defined as having completed 6 weeks of the study and at least one post-baseline

tumor assessment. Of these, 5 patients (56 percent) achieved a best response of stable disease or better, ranging from 9.4 to 23.6 weeks. Two patients with ovarian cancer achieved a partial response based on reduction in the levels of the tumor marker CA-125. One of these also showed an unconfirmed 42 percent reduction in tumor burden according to guidelines.

A total of 32 patients were enrolled and received the second dosing schedule. Twenty-seven of these were evaluable for efficacy, as defined above. Of the 27 patients, 15 (55 percent) achieved a response of stable disease or better, ranging from 12 to more than 41 weeks. Two patients achieved a partial response (one unconfirmed), including one with head and neck cancer and one with melanoma. In addition, a minor response was seen in a pancreatic cancer patient.

The data also demonstrated the clinical tolerability and favorable pharmacokinetics of ARQ 501 in combination with docetaxel. The most common adverse events were anemia, fatigue, hyperbilirubinemia and leucopenia.

Phase 1 Interim Data with ARQ 171

We initiated patient recruitment in a Phase 1 trial with ARQ 171 in December 2006. ARQ 171, which is believed to have the same mechanism of action as ARQ 501, has been shown to have greater potency in preclinical tests. We estimate completion of patient recruitment in our Phase 1 trial with ARQ 171 by the end of 2007, depending upon the achievement of dose-limiting toxicity and the identification of a recommended Phase 2 dose.

PRECLINICAL AND RESEARCH PIPELINE

We have a number of additional pre-clinical and research-stage programs based on product candidates directed toward molecular targets that we believe play critical roles in the development of human cancers and therefore may be attractive points for therapeutic interventions. The targets, mechanisms of action and chemistry related to compounds generated from these programs differ, offering the potential for multiple therapeutic opportunities. Such intervention may be designed to activate or to inhibit targeted molecules and cell signaling pathways, depending on their roles in biological processes related to cancer. We are pursuing earlier stage research programs directed toward these targets, which include B-RAF Kinase, HSP90, HDAC and Eg5.

SCIENTIFIC PLATFORMS

Our clinical-stage products have been generated from two lead oncology drug discovery platforms that reflect our insights into the biology of cancer: Cancer Survival Pathways and Activated Checkpoint Therapy ® (ACT).

Cancer Survival Pathways Platform

Our Cancer Survival Pathways research program is focused on developing compounds that block cancer cell survival mechanisms and thereby trigger cancer cell death. The lead product from that program, ARQ 197, blocks the activity of a molecule known as c-Met that is believed to play multiple key roles in human cancer, including cancer cell growth, survival, angiogenesis, invasion and metastasis (the spread of cancer from one part of the body to another). c-Met is inappropriately expressed in almost all types of human cancer, with an established role in tumor development. Activating mutations of c-Met have been increasingly identified in human cancer.

c-Met is a member of a class of enzymes known as receptor tyrosine kinases ("RTKs") that have significant potential for anti-cancer therapy. We believe that the encouraging results seen with agents such as Imatinib (Gleevec ®) against cancers with the constitutively active Bcr-Abl mutation, as well as

Erlotimib (Tarceva), an inhibitor of mutated and over-expressed EGF receptor kinase, have provided proof-of-principle that molecularly targeted RTK inhibitors can have an important and broad impact against various cancers.

c-Met mediates the signals for a variety of physiological processes that have implications for oncogenesis (the initiation of cancer), including migration, invasion, cell proliferation, apoptosis and angiogenesis (the development of new blood vessels). A wide variety of human cancers exhibit inappropriately high c-Met activity, either through over-expression of the c-Met kinase, activating mutations in c-Met, or increased secretion of the c-Met ligand, hepatocyte growth factor/scatter factor (HGF/SF). These alterations have been strongly implicated in tumor progression and metastasis in a variety of cancers, and abnormally high levels of activation of the c-Met RTK have been correlated with poor clinical prognosis.

Activated Checkpoint Therapy ® (ACT) Platform

The ACT platform is designed to produce small molecule compounds that selectively kill cancer cells while leaving normal cells unharmed, a key concept in our approach to drug development as exemplified by ARQ 501 and ARQ 171. We believe that the ACT approach to anti-cancer therapies offers the potential to deliver clinical candidates with improved activity and reduced toxicity compared with many other molecular approaches and traditional therapies.

Normal cell division is controlled through a series of molecular events called the cell cycle. The cell cycle ensures that cell division proceeds normally, so that each new cell receives the appropriate cellular DNA (genetic structure) and other sub-cellular machinery. The cell cycle has several built in “checkpoints,” which are components in a cell’s natural defense mechanism that ensure the maintenance of normal genetic structure during the phases of the cellular replication cycle. For example, in a normal cell, checkpoint functions monitor for damage to the cellular DNA. If damage is detected, the cell attempts to repair the damage. If the DNA damage is too severe, the cell undergoes programmed cell death. Thus, a cellular checkpoint is a natural defense mechanism that ensures the normal genetic structure of the cells in the body by eliminating damaged cells.

Cancer cells have multiple abnormalities including DNA damage, but they are able to survive and proliferate because key checkpoints are disabled as the cancer develops. As a result, cancer cells undergo cell division in an uncontrolled way. Conventional chemotherapy seeks to kill cancer cells by creating further damage to DNA sufficient to prevent cell replication. A well-known side effect of this approach is that normal cells are indiscriminately damaged, creating toxicity to patients and limiting the cancer cell killing activity of conventional chemotherapy.

Our ACT platform is based on the understanding that a therapeutic agent that reactivates the quality control, or checkpoint functions of a cell, has the potential to re-enable the cell to detect and respond to DNA damage. Because cancer cells contain genes relating to tumor formation (activated oncogenes) and irreparable DNA damage, we believe that restoration of their checkpoint functions will result in such cells undergoing apoptosis, or programmed cell death. In addition to the effect that checkpoint activation has in cancer cells, the absence of adverse effects in normal cells is important. Normal, healthy cells have little DNA damage compared with cancer cells. Consequently, when a checkpoint is activated in a healthy cell, we do not expect to see cell death.

We believe therapeutics based on the ACT approach will be more effective and less toxic than traditional cancer therapies due to their ability to selectively cause cancer cells to undergo cell death, while leaving healthy cells unaffected. This is in contrast to conventional chemotherapy which seeks to kill cancer cells by creating further damage to DNA. Furthermore, because checkpoint functions are virtually the same in different cell types, and because many cancers have checkpoint defects, we believe that

therapeutics developed using the ACT platform will be effective against a broad spectrum of cancers and will counteract the variable genetic makeup of cancer cells.

The compounds that we discover and develop from the ACT platform are designed to restore checkpoint function by elevating a checkpoint regulatory protein known as E2F-1. Our pursuit of the ACT approach to cancer resulted from our acquisition in September 2003 of Cyclis Pharmaceuticals, Inc., an early stage cancer therapeutics company. This acquisition enabled us to continue our transition to a drug discovery and development company from a chemistry service company in accordance with our stated strategy.

HOFFMANN-LA ROCHE ALLIANCE

On April 2, 2004, we announced an alliance with Roche to discover and develop drug candidates targeting the E2F biological pathway, including ARQ 501, which is currently in Phase 2 clinical testing, and ARQ 171, which is currently in Phase 1 clinical testing. Under the terms of the agreement, Roche obtained an option to license drugs resulting from our E2F program in the field of cancer therapy. Roche provided immediate research funding of $15 million and financial support for ongoing research and development. To date, we have received approximately $26.8 million in research and development support from Roche under this agreement.

We are responsible for advancing drug candidates from early stage development into Phase 2 trials. Roche has an option to license worldwide rights for the development and commercialization of products resulting from the E2F-1 program based on a clinical data package from one of the ongoing Phase 2 ARQ 501 monotherapy trials and the Phase 2 ARQ 501-gemcitabine combination therapy trial, as well as from the Phase 1 trial with ARQ 171. In order to license these rights, Roche must pay an option fee.

Assuming the successful development and commercialization of a compound under the program, we could receive up to $276 million in predetermined payments, plus royalties based on net sales. Additionally, we have the option to co-promote products in the U.S. Revenue from the Roche alliance is included in research and development revenue in the consolidated statements of operations.

BUSINESS STRATEGY

Operational Goals

Our goals for 2007 are as follows:

- Complete Phase 2 clinical trials with ARQ 501;
- Report Phase 2 monotherapy and combination therapy data with ARQ 501;
- Fully recruit Phase 1 clinical trial with ARQ 171, depending on identification of recommended Phase 2 dose;
- Complete Phase 1 trial with ARQ 197;
- Report complete Phase 1 data with ARQ 197;
- Initiate Phase 2 clinical trial with ARQ 197.

Drug Discovery And Development Strategy

Our strategy for developing the Company and specific compounds into commercial products has the following components:

Grow organically and through business development. We plan to grow both organically and through business development activities. 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 targeted toward molecules with validated roles in oncogenic processes. Their design will be informed by our core expertise in cancer biology combined with chemistry capabilities that we believe differentiate us from competitors. Simultaneously, we will pursue strategic business development activities, encompassing product and technology acquisitions, licensing agreements and corporate combinations, that will help expand the overall scope of product development and potentially accelerate the implementation of a commercialization infrastructure. 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 American Cancer Society, approximately 565,000 cancer-related deaths were projected to occur and 1.4 million new cases were projected to be diagnosed in the U.S. during 2006. Demographic trends and improved screening are expected to increase the rate of cancer diagnoses, as 85% of cancers occur in the over-55 year old population. The median age at death for cancer patients is approximately 73 years of age. The National Cancer Institute (NCI) estimates the overall cost for cancer in the U.S. during 2004 was $190 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 and applicable to a broad spectrum of cancers.

Take advantage of available accelerated regulatory approval strategies as appropriate. Cancer compounds have been eligible for accelerated regulatory approval. Once on the market, the agents may be approved for additional indications with supportive data. We intend to pursue clinical development of our drug candidates primarily in a manner that optimizes our chances for regulatory approval, pursuing opportunities for accelerated approval as appropriate.

Benefit from the resources and strengths of collaborators. On April 2, 2004, we entered into an agreement with Roche in which Roche acquired the right to an option on certain compounds in our E2F program and to the E2F program in total for oncology indications. While we are responsible for development of ARQ 501 through Phase 2 and for the development of ARQ 171 through Phase 1, we benefit from Roche's resources and expertise in manufacturing, regulatory, clinical development, and commercialization. We intend to pursue future partnership arrangements as appropriate when the capabilities of a potential partner complement our strengths in oncology drug discovery and development.

Continue to exploit our strength in chemistry for oncology drug discovery and development. We have developed a chemistry-based drug discovery technology platform designed to create small molecules that possess drug-like characteristics. We believe that identifying drug-like characteristics prior to preclinical development increases the likelihood that small molecules reaching preclinical development will have a greater potential to become medicines. Without such a technology platform, the traditional approach is to develop small molecules that have demonstrated activity toward biological targets, with little regard for whether the molecules otherwise would make good medicines. In our view, a drug that has the best set of drug-like characteristics for its indication (i.e., one that is the most effective and has the fewest side effects)

will ultimately generate the most revenue in its category, even if it is not the first to become available on the market.

Build on the pharmaceutical and biotechnology expertise of our management and scientific teams. Our executive team consists of leaders with experience in drug discovery and development and specific expertise in oncology. Our president and chief executive officer, Dr. Stephen Hill, formerly led global drug development for F. Hoffmann-La Roche, Ltd. After the Cyclis acquisition, we retained the scientific founder of Cyclis and the inventor of the ACT platform, Dr. Chiang Li. Dr. Li, our former chief scientific officer, current chairman of our scientific advisory board and chief executive officer of Boston Biomedical Inc., is continuing to direct certain basic research related to our drug discovery and development programs. In August 2006, we appointed Dr. Nigel J. Rulewski as chief medical officer. He brings to ArQule more than two decades of experience in clinical research, product development, regulatory affairs, commercialization, corporate planning and licensing activities for a number of internationally recognized companies, including Astra USA, Serono Laboratories and Fisons Corporation.

EXIT FROM CHEMISTRY SERVICES OPERATIONS

In 2005, we announced our plan to exit our chemistry services operations, which were the previous focus of the Company. These operations involved providing chemistry services to collaborators and customers for their discovery programs. Our decision, which followed our successful transition to an integrated research and development company after our acquisition of Cyclis in September 2003, was designed to ensure an operational focus on developing our oncology portfolio.

We terminated these operations, which included a major collaboration with Pfizer, in May 2006. We had previously received notice from Pfizer on December 2, 2005 that, pursuant to the terms of our Collaboration Agreement with Pfizer dated December 19, 2001, Pfizer elected to terminate the agreement, effective May 22, 2006.

Following our decision to exit the chemistry services operations, we entered into agreements to sell and to license non-exclusively the majority of certain physical and intellectual property assets related to the chemistry services operations to Shanghai DESANO Pharmaceutical Holding Co. Ltd ("DESANO"). A purchase and sale agreement was executed, and the sale and licensing transactions were consummated in the fourth quarter of 2006. The assets conveyed were primarily chemical compound production and analysis equipment, production consumables and source code segments of production and information management software. The purchase price was $1,250,000. Chemistry services equipment not purchased by DESANO was sold at auction, generating net proceeds of $52,000.

Our collaboration with Pfizer was our largest chemistry services collaboration and accounted for virtually all of our compound development revenue in 2005 and 2006. Since the inception of this relationship in 1999, we have produced collections of chemical compounds exclusively for Pfizer using our automated high throughput system. As of December 31, 2006, we received $289 million from Pfizer under this collaboration. Pfizer has made equity investments in our company of $10 million in 2001 and $8 million in 2003, based on the achievement of certain delivery milestones.

CHEMISTRY-BASED COLLABORATIONS (DISCONTINUED OPERATIONS)

We have received milestone payments from certain collaborators related to compounds we provided to them as part of our discontinued chemistry services operations. Should any of these compounds proceed further in the clinic, or become drugs, we will be eligible to receive various further milestone payments and royalties under the terms of the agreements.

BOSTON BIOMEDICAL, INC.

In January 2007, we entered into a $5.0 million, eight-month sponsored research agreement with the newly established Boston Biomedical, Inc. ("BBI"), an independent corporation. Dr. Chiang Li, our former chief scientific officer, has transitioned to the position of chief executive officer of BBI from his previous role as chief scientific officer of ArQule. Dr. Li will continue to serve as the chairman of our scientific advisory board but will not be an officer or employee of ArQule. Approximately 26 former employees of ArQule have joined Dr. Li at BBI.

BBI is conducting scientific research under an agreement that will include a number of *in vivo* and *in vitro* studies, reports and publications related to mechanisms of action and biomarkers for our lead products, which are in human clinical trials. These products include ARQ 197, ARQ 501 and ARQ 171. We will retain all intellectual property and technology rights related to research conducted by BBI employees under their contract. ArQule does not have an equity position in BBI or any continuing interests other than that covered by the research agreement.

PATENTS AND PROPRIETY RIGHTS

We believe that patent and trade secret protection is crucial to our business and that our future will depend in part on our ability to obtain patents, maintain trade secret protection and operate without infringing the proprietary rights of others, both in the U.S. and other countries. As of February 12, 2007, we had 29 issued or allowed U.S. utility patents, one issued U.S. design patent, numerous granted foreign patents, and numerous patent applications in the U.S. and other countries. While many patent applications have been filed in the U.S. and other countries with respect to our cancer programs, the majority 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.

As needed, we obtain rights under patents owned by other parties through licenses. We have several exclusive and nonexclusive technology licenses from certain institutions in support of our research programs. We anticipate that we will continue to seek licenses from universities and others where applicable technology complements our research and development efforts.

Our patent portfolio for ARQ 501 includes patents and pending patent applications in the U.S. and foreign countries. We have issued patents and pending applications that cover the formulations and syntheses of ARQ 501. For the uses of ARQ 501 in the treatment of cancer, we have patents and pending patent applications and have licensed rights under issued patents and pending applications from Dana-Farber Cancer Institute. ARQ 501 is derived from a naturally occurring substance, and we do not have patents that cover the composition of this compound.

With respect to ARQ 197, we have pending U.S. and Patent Cooperation Treaty (PCT) patent applications that cover the composition of this compound, pharmaceutical compositions containing this compound, and the uses of this compound in the treatment of cancer.

With respect to ARQ 171, we have pending U.S. and PCT patent applications that cover the composition of this compound, pharmaceutical compositions containing this compound, and the uses of this compound in the treatment of cancer.

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.

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, "Directed Array", "Mapping Array" and "AMAP" are trademarks of ArQule that are registered or entitled to be registered in the U.S. Patent and Trademark Office. The terms "AMAP", "ArQule Reactor", "Compass Array", "Custom Array", "MapMaker", "Optimal Chemical Entities", "OCEs", "Parallel Track", and "PrepQule" are trademarks of ArQule. The term "Activated Checkpoint Therapy" is a registered trademark of ArQule.

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 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. Biotechnology companies competing with us may have these advantages as well. In addition to competition for collaborators and investors, these companies and institutions also compete with us in recruiting and retaining highly qualified scientific and management personnel.

With respect to our cancer drug discovery and 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. We also experience competition for qualified subjects for our clinical studies of our drug candidates which may result in longer and more costly clinical trials. 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.; Cell Therapeutics, Inc.; Curis, Inc.; Exelixis, Inc.; Onyx Pharmaceuticals, Inc.; OSI Pharmaceuticals, Inc.; Oxigene, Inc.; Pharmacopeia; Telik, Inc.; Kosan Biosciences, Inc.; and Vion Pharmaceuticals, Inc.

With respect to ARQ 197, we are aware of a number of companies that are pursuing approaches to c-Met inhibition, including Exelixis, Amgen, Pfizer, Methylgene and SGX.

We face competition in other areas of our business, including advancing 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.

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. 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 federal and state statutes and regulations are time consuming and require substantial resources, and the outcome of these regulatory activities is uncertain.

Generally, in order to gain FDA approval, 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 FDA regulations. The results of these studies are submitted as a part of an IND application that the FDA must review before human clinical trials of an investigational drug can start. If the FDA does not respond with any questions, a drug developer can commence clinical trials thirty days after the submission of an IND.

In order to eventually commercialize any products, we or our collaborator will be required to initiate and oversee clinical studies under an IND to demonstrate the safety and efficacy that are necessary to obtain FDA marketing approval. Clinical trials are normally done in three phases and generally take several years, but may take longer to complete. Furthermore, the FDA may suspend clinical trials at any time if the FDA believes that the subjects participating in trials are being exposed to unacceptable risks or if the FDA 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, FDA 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"), and receive approval before commercial marketing of the drug. The NDA contains, among other things, the results of the non-clinical and clinical testing of the drug. NDAs submitted to the FDA can take several years to obtain approval and the FDA is not obligated to grant approval at all.

Even if FDA regulatory clearances are obtained, a marketed product is subject to continual review and ongoing regulatory obligations. If and when the FDA 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, 2007, we employ 98 people in Woburn, Massachusetts. Of that total, 64 are engaged in research and development and 34 in general and administration, and 33 hold Ph.D.s and 12 hold Masters in the Sciences.

CERTAIN OTHER INFORMATION

We file annual and quarterly reports, proxy statements and other information with the 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.

EXECUTIVE OFFICERS AND DIRECTORS

Set forth below is certain information regarding our current executive officers and directors, including their respective ages, as of February 1, 2007:

NAME	AGE	POSITION
Dr. Stephen A. Hill President,	48	Chief Executive Officer and a Director
Peter S. Lawrence	43	Executive Vice President, General Counsel and Chief Business Officer
Dr. Nigel J. Rulewski.	52	Chief Medical Officer
Richard H. Woodrich.	61	Acting Chief Financial Officer
Patrick J. Zenner	60	Director (Chairman of the Board)
Timothy C. Barabe	53	Director
Ronald M. Lindsay, Ph.D	59	Director
Michael D. Loberg, Ph.D.	59	Director
William G. Messenger	46	Director
Dr. Nancy A. Simonian	46	Director

Stephen A. Hill, B.M. B.Ch., M.A., F.R.C.S.
President and Chief Executive Officer

Dr. Hill has served as ArQule's President and CEO since April 1999. Before joining ArQule, Dr. Hill was the Head of global Drug Development at F. Hoffmann-La Roche Ltd. from 1997-1999. Dr. Hill joined Roche in 1989 as Medical Adviser to Roche Products in the United Kingdom. He held several senior positions there that included Medical Director, responsible for clinical trials of compounds across a broad range of therapeutic areas, such as CNS, HIV, cardiovascular, metabolic and oncology products. Subsequently, he served as Head of International Drug Regulatory Affairs at Roche headquarters in Basel, Switzerland, where he led the regulatory submissions for seven major new chemical entities. Dr. Hill also was a member of Roche's Portfolio Management, Research, Development and Pharmaceutical Division Executive Boards. Prior to Roche, Dr. Hill served seven years with the National Health Service in the United Kingdom in General and Orthopedic Surgery. Dr. Hill is a Fellow of the Royal College of Surgeons of England and holds his scientific and medical degrees from St. Catherine's College at Oxford University.

Peter S. Lawrence
Executive Vice President, General Counsel and Chief Business Officer

Mr. Lawrence joined the Company in April 2006 from Pod Holding Ltd., an international venture capital firm which he co-founded in 2001 and where he most recently served as General Partner. He was responsible for the strategic growth of Pod Holding, including deal sourcing and structuring, syndication and other business expansion activities. Mr. Lawrence served as lead partner on investment activities for numerous companies, including the cancer therapeutics company, Pintex Pharmaceuticals. His public financing experiences include the initial public offering and numerous financings for America Online Inc., as well as public financings for Biogen, Human Genome Sciences, Hybridon and others. He has also worked on numerous mergers and acquisitions, including Roche/Compuchem, AOL/Time Warner, Steinway Piano, DEC/Intel and Mototix/GPC Biotech. Previously, Mr. Lawrence was an associate and then

member of 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 numerous clients through periods of rapid growth and transformative corporate events. 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.

Nigel J. Rulewski, M.B., B.S., D.R.C.O.G., D.C.H.

Chief Medical Officer

Dr. Rulewski joined ArQule in August 2006 from BioAccelerate Holding Inc., a pharmaceutical development organization, where he was Senior Vice President. He brings to ArQule more than two decades of experience in clinical research, product development, regulatory affairs, commercialization, corporate planning and licensing activities. At BioAccelerate, Dr. Rulewski was responsible for all aspects of licensing and product development in the oncology area. Previously, as vice president, medical affairs and chief medical officer at Astra USA, Dr. Rulewski negotiated the approval of eight New Drug Applications (NDAs) and had responsibility for all issues pertaining to drug development in the U.S., including interactions with the U.S. Food and Drug Administration (FDA). He previously served as medical director at Serono Laboratories, where he managed three research groups, medical information and drug safety. He was also associate medical director and medical director, international operations, at Fisons Corporation. Dr. Rulewski practiced medicine in the United Kingdom following his graduation from St. Bartholomew's Hospital Medical School, University of London.

Richard H. Woodrich

Acting Chief Financial Officer

Richard H. Woodrich was engaged by ArQule on September 1, 2006 to serve as its Acting Chief Financial Officer on an interim basis. Mr. Woodrich is President and Chief Executive Officer of Woodrich & Associates, Inc., a management consulting firm providing strategic consulting to the biotechnology industry. He has more than twenty-five years of business experience in technology-based life science companies. Prior to founding Woodrich & Associates, Mr. Woodrich held several senior management positions with emerging growth biotechnology companies. He served from 1999 to 2004 as the Senior Vice President, Business Development for Therion Biologics Corporation, a privately held biopharmaceutical company. Previously, he served as Executive Vice President and Chief Operating Officer of CytoMed, Inc. from 1995 until its acquisition in 1999. From 1991 until its acquisition in 1995, Mr. Woodrich was senior Vice President, Finance and Administration, Chief Financial Officer, and later as President and Director of Oculon Corporation. Mr. Woodrich has served in financial management positions at Infinet, Inc., Applied bioTechnology, and Millipore Corporation. While serving as a Senior Accountant at Arthur Andersen & Co., Mr. Woodrich became a Certified Public Accountant. He holds a B.S. degree from Rensselaer Polytechnic Institute and an M.B.A. from the Harvard University Graduate School of Business Administration.

Patrick J. Zenner was named Chairman of the Board in May 2004 and has been a director since 2002. A 32-year veteran of the pharmaceutical industry, Patrick Zenner retired in 2001 from the position of President and Chief Executive Officer of Hoffmann-La Roche Inc., North America. Hoffmann-La Roche Inc., based in Nutley, N.J., is the prescription drug unit of the Roche Group. Long active in industry, academic and civic affairs, Mr. Zenner is immediate past chairman of the HealthCare Institute of New Jersey and served on the Boards of Directors and Executive Committees of the Pharmaceutical Research & Manufacturers of America (PhRMA) and the Biotechnology Industry Organization (BIO). Mr. Zenner is currently on the Board of Trustees of Creighton University and is Chairman of the Board of Trustees of Fairleigh Dickinson University. In addition, Mr. Zenner is a member on the Boards of Directors of CuraGen Corporation, Dendrite International, Praecis Pharmaceuticals Inc., Geron Corporation, Sciele Pharma, Inc., Xoma Ltd., West Pharmaceutical Services and Exact Sciences, Inc.

Timothy C. Barabe has been a director since November 2001. Mr. Barabe is currently Senior Vice President and Chief Financial Officer of Human Genome Sciences. Previously, he was with Regent Medical Limited, a U.K.-based privately owned surgical supply company, where he served as Chief Financial Officer from 2004-2006. , Mr. Barabe served with Novartis AG from 1982 through August 2004 in a succession of senior executive positions in finance, general management and strategic planning, most recently as the Chief Financial Officer of Sandoz GmbH, the generic pharmaceutical subsidiary of Novartis. From February 2002 until April 2003, Mr. Barabe was Group Vice President and President, CIBA Vision Corporation Specialty Lens Business. From 1993 through January 2002, Mr. Barabe was the Chief Financial Officer of CIBA Vision Corp., a contact lens and lens care subsidiary of Novartis. Mr. Barabe received his B.B.A. degree from the University of Massachusetts (Amherst) and his M.B.A. degree from the University of Chicago.

Ronald M. Lindsay, Ph.D., has been a director since June 2005. He currently operates Milestone Consulting, a biopharmaceutical consulting enterprise. Dr. Lindsay was previously Chief Scientific Officer and Vice President, Research and Development, at diaDexus Inc. from 2000 to 2004, and held a number of positions at Millennium Pharmaceuticals, Inc., including Senior Vice President, Biotherapeutics, from 1997 to 2000. At Regeneron Pharmaceuticals, where he worked from 1989 to 1997, he was a founding scientist and Vice President, Neurobiology. Dr. Lindsay also worked at the Sandoz Institute for Medical Research, London from 1984 to 1989, where he was Head of Cell Biology. He is a director of Sequenom Inc., HistoRx Inc. and Neuro3D, and a Senior Advisor to TVM-Capital, Munich. Dr. Lindsay completed post-doctoral work at the Friedrich Miescher Institute, and he holds a B.Sc (Hons) in chemistry from the University of Glasgow and a Ph.D. in biochemistry from the University of Calgary.

Michael D. Loberg, Ph.D., has been a director since January, 2007. He previously served as president and chief executive officer of NitroMed, Inc. from 2003 to 2006, and as chief executive officer of that company from 1997 to 2003. Dr. Loberg held a number of senior management positions at Bristol-Myers Squibb (BMS) from 1979 to 1997, including president of the Company's Oncology and Immunology, U.S. Primary Care, Northern Europe, Specialty Pharmaceuticals and Squibb Diagnostics divisions, as well as director and vice president, E.R. Squibb & Sons Research and Development. Prior to BMS, he was at the University of Maryland, as associate professor of medicine and pharmacy from 1976 to 1979 and as assistant professor from 1973 to 1976. Dr. Loberg is a director of Advanced Magnetics, Kereos and Inotek. He holds a B.S. in chemistry from Trinity College and a Ph.D. in chemistry from Washington University.

William G. Messenger has been a director since January, 2005. He has been the owner and managing director of the Lexington Sycamore Group, consultants in the fields of business strategy, organization and leadership since 1994. Mr. Messenger serves as Director of the Mockler Center for Faith and Ethics in the Workplace at Gordon-Conwell Theological Seminary. He is also Director of the Boston Division of the Business Leadership & Spirituality Network. Mr. Messenger received a BS in Physics with highest honors from Case Western Reserve University, an MBA with high distinction from Harvard Business School and a Master of Divinity degree, *summa cum laude* , from Boston University School of Theology.

Nancy A. Simonian, M.D., has been a director since May 2006. Dr. Simonian is currently Chief Medical Officer and Senior Vice President of Clinical, Medical and Regulatory affairs at Millennium Pharmaceuticals, Inc., where she has worked since 2001. From 1995 to 2001, Dr. Simonian was at Biogen, where she was the Vice President of Medical Research, responsible for the development of Avonex and Tysabri, as well as multiple gene therapy clinical development programs. Prior to joining industry, Dr. Simonian was on the faculty of Massachusetts General Hospital and Harvard Medical School as an assistant professor of neurology and was engaged in both basic science and clinical research related to neurodegenerative diseases. Dr. Simonian graduated from Princeton University, received her M.D. from the University of Pennsylvania Medical School and completed her internship in medicine and residency in neurology at the Massachusetts General Hospital.

ITEM 1A. RISK FACTORS

RISKS RELATING TO OUR INDUSTRY AND BUSINESS STRATEGY

Development of our products is at an early stage and is based on scientific platforms that are unproven. 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. Discovering and developing 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.

One of our clinical-stage product candidates, ARQ 197, is based on our c-Met/Cancer Survival platform. Two of our other product candidates in clinical trials, ARQ 501 and ARQ 171, are based on our proprietary ACT platform. Although drugs have been approved that inhibit the activity of kinases and other enzymes, to our knowledge no company has received regulatory approval for a drug based on an approach similar to our c-Met/Cancer Survival platform. To our knowledge no company has received regulatory approval for a drug based on an approach similar to our ACT platform. There can be no assurance that our approaches will 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 scientists. 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 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 with another company or companies to realize commercial value from any of our product candidates.

Before obtaining regulatory approvals for the commercial sale of our products, we 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. The 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.

Though 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. We may not complete clinical testing within the time frame we have planned, or 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 conduct additional clinical and/or pre-clinical testing or to abandon programs;
- 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 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 c-Met or ACT 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 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 3 IND applications and initiated 5 Phase 1 clinical trials, and 3 Phase 2 clinical trials. We have not conducted 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, could delay any product launch, and 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 would be forced to rely on third-party clinical investigators, clinical research or marketing organizations. 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.

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, when a clinical trial will be completed or 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 we believe show significantly 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 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 and other regulatory agencies in the United States and by comparable authorities in other countries. Failure to obtain regulatory approval for a product candidate would prevent us from commercializing that product candidate. We have not 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.

Before a new drug application can be filed with the FDA, the product candidate must undergo extensive clinical trials. Any clinical trial may fail to produce results satisfactory to the FDA, typically for lack of safety or efficacy. For example, the FDA could determine that the design of a clinical trial is inadequate to produce reliable results. The regulatory process also requires preclinical testing, and data

obtained from preclinical and clinical activities are susceptible to varying interpretations, which could delay, limit or prevent regulatory approval. Delays or rejections may 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 periods of our product candidates may cause delays in the approval or rejection of an application. We are currently in Phase 2 clinical testing of ARQ 501 and Phase 1 clinical testing of ARQ 197 and ARQ 171. We have never conducted a Phase 3, or pivotal, clinical trial, nor have we filed or prosecuted the applications necessary to gain regulatory approvals.

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, approval for a drug may be conditioned 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, 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 after a drug is on the market may result in the subsequent withdrawal of approval, reformulation of a drug, additional preclinical and clinical trials and changes in labeling. 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 effectively price our products or obtain adequate reimbursement for sales of our products, which would have an adverse effect on our revenues.

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 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 return 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 fiscal year 2006, our collaboration with Roche accounted for all of our research and development revenue (approximately $6.6 million). If Roche were to terminate its collaboration with us, our revenue may significantly decrease.

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 which are the subjects of our collaborations.

If Roche exercises its option to acquire rights to ARQ 501 and ARQ 171 or if we were successful in establishing additional collaborations, our collaborators would 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 would depend on them in the future for commercial scale manufacture, distribution and direct sales. Our collaborators may not be successful in manufacturing our drug candidates on a commercial scale or in 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 or not commit sufficient resources to 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 may not receive any further milestone, royalty or license payments under our current collaboration.

Although we have received license fees, milestone fees and other payments to date under our current drug development \collaboration with Roche, we may not receive any royalty payments or additional license and milestone fees under such agreement. Our receipt of any future milestone, royalty or license payments depends on many factors, including whether our collaborator wants or is able to continue to pursue a potential drug candidate, intellectual property issues, unforeseen complications in the development or commercialization process, and the ultimate commercial success of the drug.

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 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 to oversee many of our ongoing clinical trials and expect to use the same or similar organizations for certain of our future clinical trials. 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 the 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.

We have limited manufacturing experience. We primarily rely on third parties to provide sufficient quantities of our product candidates to conduct pre-clinical and clinical studies. We have no control over our manufacturers' and suppliers' compliance with manufacturing regulations, and their failure to comply could result in an interruption in the supply of our product candidates.

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 require additional validation studies, which 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 must 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 receive a satisfactory cGMP inspection result 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 on them (including fines, injunctions and civil penalties), failure of regulatory authorities to grant marketing approval of our product candidates, delays, suspension or withdrawal of approvals, license revocation, seizures or recalls of product candidates or products, operating restrictions and criminal prosecution.

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

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

RISKS RELATED TO 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, 2006, we have incurred cumulative losses of approximately $228 million. These losses have resulted principally from the costs of our research activities, acquisitions, enhancements to our technology and early-stage 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, and we anticipate filing an IND application for an additional product candidate within the next 24 months. 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 developing 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 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.

Developing drugs, conducting clinical trials, and commercializing products is 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, and 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.

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;
- 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 coupled with 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 officers, directors and 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 deemed 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 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.

RISKS RELATING TO COMPETITION

The drug research and development industry is highly competitive, and we compete with some companies that offer a broader range of capabilities and have 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, Ariad Pharmaceuticals, Inc.; Array BioPharma Inc.; Cell Therapeutics, Inc.; Curis, Inc.; Exelixis, Inc.; Onyx Pharmaceuticals, Inc.; OSI Pharmaceuticals, Inc.; Oxigene, Inc.; Pharmacopeia; SGX Pharmaceuticals; Telik, Inc.; Kosan Biosciences, Inc.; and Vion Pharmaceuticals, Inc. With respect to ARQ 197, we are aware of a number of companies that are pursuing approaches to c-Met inhibition, including Exelixis, Amgen Inc., Pfizer Inc and Methylgene Inc.

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 clinical trials or in more advanced preclinical studies 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 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 delay or have an impact 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 companies, and academic and research institutions to recruit 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, and their use, synthesis, formulations and technologies. 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, and 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, then 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 prior to 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, and 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 on 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, grant a cross-license to some of our patents to another patent holder or change the formulation of a product candidate so that we do not infringe third-party patents, which 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 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. 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, including triple 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 fulfilling our agreements with our collaborators.

Security Breaches May Disrupt Our Operations And Harm 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 and local fire and building codes, and regulations promulgated by the Department of Transportation, the Drug Enforcement Agency, 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 such 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 and 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.

We are developing, clinically testing and manufacturing 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.

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 lease 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. See Note 7, “Property and Equipment” in the Notes to Consolidated Financial Statements appearing in Item 8 in this Annual Report on Form 10-K.

In March 2002, we entered into an eight year lease with Pacific Shores Development LLC for approximately 34,000 square feet of laboratory and office space in Redwood City, California. We took occupancy in September 2002. Each base lease payment, the first of which was due and paid in September 2002, is $75,823 per month, subject to annual escalation provisions. In the third quarter of 2004, we entered into a sublease for the California facility. See Note 10, “Restructuring Actions” in the Notes to Consolidated Financial Statements appearing in Item 8 in this Annual Report on Form 10-K.

ITEM 3. LEGAL PROCEEDINGS

On January 16, 2002, we brought a complaint in the Superior Court of Middlesex County in the Commonwealth of Massachusetts for declaratory relief and damages against Cummings Properties, LLC (“Cummings”) arising from a dispute over increased rent for lease of approximately 35,500 square feet of laboratory and office space in Medford, Massachusetts. On October 11, 2005, we and Cummings agreed to settle the lawsuit and file with the Court a stipulation of dismissal with prejudice.

In exchange for Cummings forgiving a portion of the rental payment obligations under the subject lease for the period from November 1, 2005 through July 30, 2006, we assigned sublease rent payments due to it for the leased premises during the same period to Cummings and guaranteed those payments. The total amount of those payments is approximately $0.3 million. As a result of this settlement, we will save approximately $0.6 million in rental payments. In connection with this settlement, on October 11, 2005, we and Cummings terminated the subject lease.

ITEM 4. SUBMISSION OF MATTERS TO A VOTE OF SECURITY HOLDERS

No matters were submitted to stockholders for a vote during the fourth quarter of 2006.

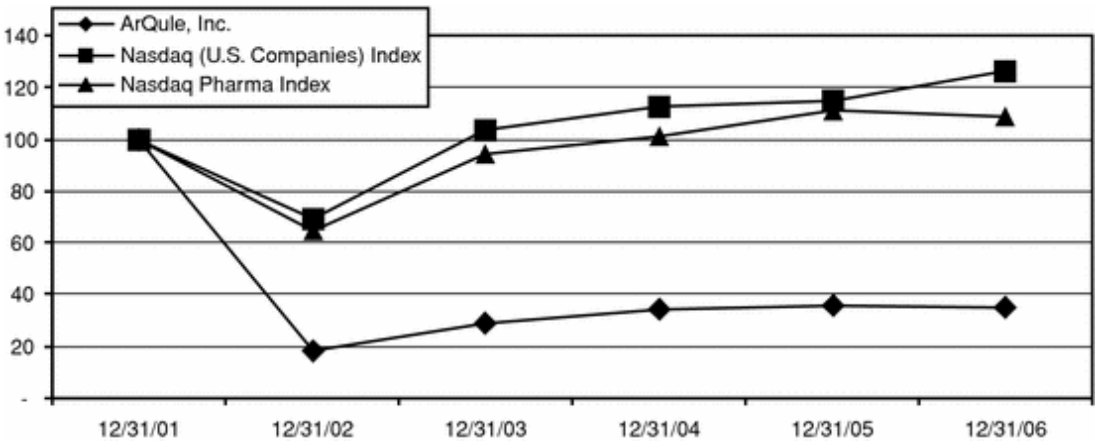
PART II

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, 2001 to December 31, 2006, as compared with that of the Nasdaq Stock Market Index (U.S. Companies) and the Nasdaq Pharmaceuticals Index, based on an initial investment of $100 in each on December 31, 2001. 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 PHARMACEUTICALS INDEX**



	12/31/01	12/31/02	12/31/03	12/31/04	12/31/05	12/31/06
ArQule, Inc.	100.00	17.94	28.71	34.06	36.00	34.82
Nasdaq Market (U.S. Companies) Index	100.00	69.13	103.36	112.49	114.88	126.22
Nasdaq Pharmaceuticals Index	100.00	64.62	94.72	100.88	111.09	108.75

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
2005		
First Quarter	$ 6.60	$ 4.63
Second Quarter	6.79	4.77
Third Quarter	8.25	6.47
Fourth Quarter	7.77	6.12
2006		
First Quarter	$ 6.28	$ 5.03
Second Quarter	6.41	4.01
Third Quarter	5.85	3.99
Fourth Quarter	7.09	3.83
2007		
First Quarter (through March 6, 2007)	$ 6.95	$ 5.78

As of March 6, 2007, there were approximately 131 holders of record and approximately 6,261 beneficial shareholders 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.

All current year and comparative prior period amounts have been restated to reflect our discontinued chemistry services operations. See Note 2 to our Consolidated Financial Statements for further information concerning discontinued operations.

This data is in thousands, except per share data.

	YEAR ENDED DECEMBER 31,				
	2006*	2005	2004	2003	2002
STATEMENT OF OPERATIONS DATA:					
Revenue:					
Research and development revenue (a)	$ 6,626	$ 6,628	$ 5,012	$ —	$ —
Costs and expenses:					
Research and development	47,428	24,646	20,181	18,836	31,309
General and administrative	11,560	8,688	8,982	9,560	12,876
Amortization of deferred compensation	—	—	—	—	3,221
Amortization of core technologies (b)	—	—	—	—	3,373
Impairment of core technology (c)	—	—	—	—	17,137
Impairment of goodwill (c)	—	—	—	—	25,890
Restructuring charges/(credits) (d)(f)(h)	—	—	(983)	1,239	12,229
Acquired in-process research and development (e)	—	—	—	30,359	—
Total costs and expenses	58,988	33,334	28,180	59,994	106,035
Loss from continuing operations	(52,362)	(26,706)	(23,168)	(59,994)	(106,035)
Investment income, net	5,139	3,331	1,086	610	1,125
Loss on investment (g)	—	(250)	—	(4,750)	—
Net loss from continuing operations .	(47,223)	(23,625)	(22,082)	(64,134)	(104,910)
Income from discontinued operations (l) .	15,783	16,105	17,161	29,383	27,035
Net loss (i)	$ (31,440)	$ (7,520)	$ (4,921)	$ (34,751)	$ (77,875)
Basic and diluted income (loss) per share:					
Net loss from continuing operations .	$ (1.33)	$ (0.68)	$ (0.77)	$ (2.64)	$ (4.94)
Income from discontinued operations (l)	0.45	0.46	0.60	1.21	1.27
	$ (0.88)	$ (0.22)	$ (0.17)	$ (1.43)	$ (3.67)
Weighted average common shares outstanding—basic and diluted	35,539	34,619	28,819	24,333	21,215

* As a result of the adoption of Statement of Financial Accounting Standards (SFAS) No. 123(R), "Share Based Payment", as of January 1, 2006, all share-based payments have been recognized in the statements of operations based on their fair values. The Company adopted the modified prospective

transition method permitted under SFAS No. 123(R) and, consequently, has not adjusted results from prior years. Stock-based compensation expense related to SFAS 123(R) was approximately $3.2 million for the year ended December 31, 2006.

	DECEMBER 31,				
	2006	2005	2004	2003	2002
BALANCE SHEET DATA:					
Cash, cash equivalents and marketable securities (k)	$ 95,832	$ 140,643	$ 71,365	$ 76,724	$ 85,626
Working capital	80,557	105,646	54,782	59,446	58,781
Total assets (j)	104,820	156,684	120,218	128,424	145,079
Long-term debt	—	—	17	1,218	6,850
Total stockholders' equity (k)	79,954	105,458	82,452	86,477	93,715

(a) In April 2004, ArQule entered into an alliance with Roche to discover and develop drug candidates targeting the E2F biological pathway. Roche provided immediate research funding of $15 million, and will provide financial support for ongoing research and development. The cost associated with satisfying the Roche contract is included in research and development expense.

(b) In January 2001, ArQule acquired Camitro Corporation ("Camitro") for $84.3 million in a stock purchase transaction. In conjunction with the transaction, we recorded intangible assets for core technology and goodwill of $23.6 million and $29.7 million, respectively, each of which was being amortized over their estimated useful lives of seven years. We also immediately charged to income the estimated fair value of purchased in-process technology that had not yet reached technological feasibility and had no future alternative use.

(c) In the fourth quarter of 2002, we performed impairment assessments of the carrying value of the Company's core technology and goodwill balances. These assessments indicated that the value of the assets were fully impaired and, accordingly, we took impairment charges for the full remaining carrying value.

(d) In December 2002, we announced a major restructuring of our operations whereby we eliminated 31% of our workforce and closed our former Camitro operations in Redwood City, California and Cambridge, United Kingdom.

(e) In September 2003, we acquired Cyclis Pharmaceuticals, Inc. for $25.9 million in a stock purchase transaction. In connection with the transaction, we immediately charged to income $30.4 million representing purchased in-process research and development that had not yet reached technological feasibility and had no future alternative use.

(f) In October 2003, we completed an agreement with InPharmatica Ltd. to sell certain assets of our former operations in the United Kingdom and to assign our facility obligation. As a result, we reversed $0.3 million of restructuring accrual to reflect a change in our original estimate of the remaining lease obligation and assumed sublease income in the United Kingdom. In December 2003, the adequacy of the restructuring accrual and assumed sublease income relative to the lease commitment in Redwood City, California was reassessed and, based on deteriorating market conditions, an additional provision of $1.5 million was recorded, to increase our restructuring accrual.

(g) In the fourth quarter of 2003, the carrying value of an investment in a privately-held proteomic company was written down by $4.75 million to reflect the estimated fair value of the investment. Based

on events affecting the financial condition of the Company in the second quarter of 2005, we recorded a non-cash loss of $.25 million to write-off the remaining carrying value of the investment.

(h) In the first quarter of 2004, we implemented a restructuring which necessitated a charge of $0.5 million for termination benefits. In the third quarter of 2004, we subleased our abandoned California facility. Since the terms of the sublease were more favorable than we had previously estimated, we recorded a restructuring credit of $1.5 million to reduce our restructuring accrual.

(i) Net loss for 2004 includes a $0.6 million fourth quarter adjustment for a loss on the sublease of our Medford facility. See Note 15, "Commitments and Contingencies" in the Notes to Consolidated Financial Statements appearing in Item 8 of this Annual Report on Form 10-K.

(j) In June 2005, we completed a transaction to sell our headquarters facility in Woburn, Massachusetts, and to simultaneously lease the facility from the purchaser. We received a cash payment of approximately $39.3 million, net of commissions and closing costs, and entered into a ten year lease at an average annual rental rate of $3.4 million. As a result of the transaction, we reduced our net fixed assets by $33.7 million, representing the net book value of the real estate sold, and realized a gain on the sale of $5.5 million, which was deferred and is being amortized over the initial ten-year term of the lease as a reduction in rent expense.

(k) On January 28, 2005, we completed a stock offering in which we sold 5.79 million shares of common stock at a price of $5.25 for net proceeds of $28.3 million after commissions and offering expenses.

(l) In the fourth quarter of 2006, we completed our exit from our chemistry services operations and disposed of the related assets. Pursuant to Statement of Financial Accounting Standards No. 144, *Accounting for the Impairment or Disposal of Long-Lived Assets* , we have reported the results of the chemistry services operations as discontinued operations in 2006 since the related cash flows of our chemistry services operations were eliminated from our ongoing operations and we do not have any significant continuing involvement in the operations of the component or the assets that were disposed.

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

OVERVIEW

We are a clinical-stage biotechnology company organized as a Delaware Corporation in 1993 and are engaged in the research and development of cancer therapeutics. Our mission is to research, develop, and commercialize broadly effective, targeted cancer drugs with reduced toxicities compared to conventional cancer chemotherapeutics. Our expertise in molecular biology enables us to understand certain biological processes that are responsible for numerous types of human cancers and to discover novel drug candidates for these diseases. Our chemistry capabilities derived from our history of providing chemistry services for the pharmaceutical and biotechnology industries enable us to generate product candidates possessing certain pre-selected drug-like properties and a high degree of specificity for cancer cells. 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 products are in clinical-stage development. We are conducting human clinical trials with three product candidates, designated as: ARQ 197, ARQ 501 and ARQ 171. We retain proprietary rights to ARQ 197 and are developing ARQ 501 and ARQ 171 pursuant to a collaboration with Hoffmann-La Roche ("Roche'').

ARQ 197 is the lead product from our Cancer Survival Pathways Program. ARQ 197 blocks the activity of c-Met, an enzyme believed to play key roles in human cancer, including cancer cell growth, survival, angiogenesis, invasion and metastasis. We believe the inappropriate expression of c-Met in most cancers and its role in controlling multiple signal transduction pathways involved in tumor growth and metastasis render it a highly compelling target for cancer therapy.

ARQ 501 and ARQ 171, the lead products from our Activated Checkpoint Therapy ® program, are designed to kill cancer cells selectively while sparing normal cells through direct activation of DNA damage response/checkpoint pathways. These compounds are believed to activate checkpoint pathways regulated by the E2F-1 regulatory protein, thereby restoring the cell's natural defense mechanism against DNA damage and initiating the process of apoptosis, or programmed cell death, in these cells.

In addition, we maintain a number of pre-clinical programs directed toward molecular targets that we believe play critical roles in the development of human cancers. The targets, mechanisms of action and chemistry related to compounds generated from these programs differ, offering the potential for multiple therapeutic opportunities. We are applying a broad spectrum of well-established chemistry capabilities developed and validated in the course of multiple collaborations with large pharmaceutical companies to our internal oncology drug discovery and development efforts. These capabilities are designed to facilitate the progression of our programs from initial discovery through pre-clinical development.

In September 2005, we announced a strategic decision to exit our pre-existing chemistry services operations in order to focus operationally on developing our oncology portfolio. Revenue from our chemistry services operations terminated in 2006 as a result of our strategic decision to no longer provide these services and the subsequent decision by Pfizer to terminate its Collaborative Agreement ("Agreement") with us effective May 22, 2006. We did not incur any financial penalty as a result of termination. We continued to provide chemistry services to Pfizer pursuant to the Agreement through the effective date of termination. Since December 2001, we produced for Pfizer annually an average of approximately 160,000 synthetic chemical compounds and received average annual cash payments of approximately $50 million for those compounds and related services. The Agreement provided for six months prior written notice by either party to the other for termination without cause and, in the event of termination by Pfizer, certain payments to us. In accordance with these provisions, we received approximately $19.8 million in December 2005 in connection with the termination.

We considered the chemistry services asset group to be a "component of an entity", as defined in Statement of Financial Accounting Standards No. 144, *Accounting for the Impairment or Disposal of Long-Lived Assets* ("SFAS 144"), since it comprised operations and cash flows that were clearly distinguished, operationally and for financial reporting purposes, from the remainder of the Company's operations. Pursuant to SFAS 144, we reported the results of the chemistry services component as discontinued operations in the year ended December 31, 2006 since their related cash flows were eliminated from our ongoing operations and we do not have any significant continuing involvement in the operations of the component or the assets that were disposed.

We have incurred a cumulative net loss of $228 million from inception through December 31, 2006. We expect research and development costs to increase in 2007, due to clinical testing of our lead product candidates. Although we have generated positive cash flow from operations for six consecutive years from 2000-2005, these cash flows were attributable to our discontinued chemistry services operations. We recorded a net loss for all but one of those years. We recorded a net loss for 2006 and expect a net loss for 2007.

Our revenue consists of development funding from our alliance with Roche. 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 April 2, 2004 we announced an alliance with Roche to discover and develop drug candidates targeting the E2F biological pathway, including ARQ 501. Under the terms of the agreement, Roche obtained an option to license our E2F program in the field of cancer therapy. Roche provided immediate research funding of $15 million, and is providing financial support for ongoing research and development. Under this alliance, we are responsible for advancing drug candidates from early stage development to Phase 2 trials. Roche may opt to license worldwide rights for the development and commercialization of products resulting from this collaboration by paying an option fee. Assuming the successful development and commercialization of a compound under the program, we could receive up to $276 million in pre-determined payments, plus royalties based on net sales. Additionally, we have the option to co-promote products in the U.S.

LIQUIDITY AND CAPITAL RESOURCES

	December 31,			% increase (decrease)	
	2006	2005	2004	2005 to 2006	2004 to 2005
		(in millions)			
Cash, cash equivalents and marketable securities	$ 95.8	$ 140.6	$ 71.4	(32)%	97%
Working capital	80.6	105.6	54.8	(24)%	93%

	2006	2005	2004
		(in millions)	
Cash flow from:			
Operating activities	$ (47.8)	$ 3.5	$ 5.9
Investing activities	47.3	(36.2)	(11.6)
Financing activities	2.0	30.3	(6.0)

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 from our collaborators for services performed or upfront payments for future services. In 2006, our net use of cash was primarily driven by the difference between cash receipts from customers, and payments for operating expenses which resulted in a net cash outflow of $47.8 million. This amount includes net cash used in discontinued operations of $7.0 million resulting from our decision to exit our chemistry services operations.

Cash flow from investing activities. Our net cash provided by investing activities of $47.3 million in 2006 was comprised of net sales of marketable securities of $46.7 million and $1.3 million net proceeds from sale of the assets of our discontinued chemistry services operations. These sources of cash were partially offset by acquisitions of fixed assets of $0.8 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.

Cash flow from financing activities. Our net cash provided by financing activities of $2.0 million in 2006 was from the issuance of common stock associated with the exercise of outstanding stock options.

On January 28, 2005, we completed a stock offering in which we sold 5.79 million shares of common stock at $5.25 per share for net proceeds of approximately $28.3 million after commissions and offering

expenses. On May 2, 2005, we completed a transaction to sell our Woburn facility and simultaneously lease 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 $39.3 million, net of commissions and closing costs. 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.

Although we were cash flow positive from operations from 1999 through 2005, we were not cash flow positive from operations in 2006, nor do we expect to be cash flow positive in 2007, as a result of our decision to exit our chemistry services operations and the increased cost of developing our clinical candidates. We expect that our available cash and marketable securities, together with cash from operations and investment income, will be sufficient to finance our working capital and capital requirements until mid 2008, depending on decisions we may make regarding our clinical trials.

Our cash requirements may vary materially from those now planned depending upon the results of our drug discovery and development strategies, our ability to enter into additional corporate collaborations and the terms of such collaborations, results of research and development, unanticipated required capital expenditures, competitive and technological advances, acquisitions and other factors. We cannot guarantee that we will be able to develop any of our drug candidates into a commercial product. It is likely we will need to raise additional capital or incur indebtedness to continue to fund our operations in the future. Our ability to raise additional funds will depend on financial, economic and market conditions and other factors, many of which are beyond our control. There can be no assurance that sufficient funds will be available to us when required, on satisfactory terms, or at all. 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.

Our contractual obligations were comprised of the following as of December 31, 2006 (in thousands):

	Payment due by period				
Contractual Obligations	**Total**	**Less than 1 year**	**1-3 years**	**3-5 years**	**More than 5 years**
Operating lease obligations	$ 29,565	$ 3,830	$ 7,836	$ 6,999	$ 10,900
Purchase obligations	6,365	6,355	10	—	—
Total	$ 35,930	$ 10,185	$ 7,846	$ 6,999	$ 10,900

Included in the total minimum payments for operating leases is approximately $2.0 million related to abandoned real estate in California, net of contractual sublease income. This net amount has been accrued as a liability as a part of the Company's restructuring charge in 2002 and subsequently adjusted in 2003 and 2004 (see Note 10 to the Consolidated Financial Statements in Item 8 of this Form 10-K). 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.

DISCONTINUED OPERATIONS

On September 27, 2005, we announced our intention to exit our chemistry services operations. We received notice on December 2, 2005 that Pfizer had elected to terminate our Collaboration Agreement, pursuant to its terms, effective May 22, 2006. The Agreement provided for six months prior written notice by either party to the other for termination without cause and, in the event of termination by Pfizer, certain payments to us. In accordance with these provisions, we received approximately $19.8 million in December 2005 in connection with the termination. This amount was recorded as deferred revenue and was recognized as revenue when compounds were delivered through the termination date. We have

fulfilled our compound production obligations under the Agreement, recognized the remaining deferred revenue, and ceased chemistry services operations in 2006.

The net book value of the assets associated with the chemistry services operations, which totaled $1.4 million, approximated the fair market value of the underlying assets. In December 2006, we completed the sale of the chemistry services assets for approximately $1.3 million, net of disposal costs.

We considered the chemistry services asset group to be a “component of an entity” (as defined in SFAS 144) since it comprised operations and cash flows that were clearly distinguished, operationally and for financial reporting purposes, from the remainder of the Company’s operations. Pursuant to SFAS 144, we reported the results of the chemistry services component as discontinued operations in the year ended December 31, 2006 since their related cash flows were eliminated from our ongoing operations and we do not have any significant continuing involvement in the operations of the component or the assets that were disposed.

The following table presents operating results for the discontinued chemical services operations in 2006, 2005 and 2004:

	2006	2005	2004
Revenue	$ 26,718	$ 46,296	$ 49,443
Costs and expenses:			
Cost of revenue	8,375	30,191	31,723
Restructuring charge	2,498	—	559
Total costs and expenses.	10,873	30,191	32,282
Loss from disposition of assets.	(62)	—	—
Income from discontinued operations.	$ 15,783	$ 16,105	$ 17,161

Historically, ArQule entered into various collaborative agreements with pharmaceutical and biotechnology companies under which ArQule produced and delivered compound arrays and provided research and development services. Revenue elements from collaborative agreements included non-refundable technology transfer fees, funding of compound development work, payments based upon delivery of specialized compounds meeting collaborators’ specific criteria and certain milestones and royalties on product sales. In each instance, the Company analyzed each distinct revenue element of the contract to determine the basis for revenue recognition. Revenue for each element is generally recognized over the period compounds are delivered and/or services are performed, provided there is a contractual obligation on behalf of the customer to pay ArQule and payment is reasonably assured. The nature of each distinct revenue element, the facts surrounding the services provided, and ArQule’s ongoing obligations to provide those services dictate how revenue is recognized for each revenue element. This accounting conforms to Generally Accepted Accounting Principles in the United States, in particular, Staff Accounting Bulletin No. 104, *Revenue Recognition in Financial Statements* .

In May 2003, the Financial Accounting Standards Board reached a consensus on Emerging Issues Task Force No. 00-21, *Accounting for Revenue Arrangements with Multiple Deliverables* (“EITF 00-21”). EITF 00-21 addresses how to determine whether an arrangement involving multiple deliverables contains more than one unit of accounting. In applying the guidance, revenue arrangements with multiple deliverables can only be considered as separate units of accounting if: a) the delivered item has value to the customer on a standalone basis, b) there is objective and reliable evidence of the fair value of the undelivered items and c) if the right of return exists, delivery of the undelivered items is considered probable and substantially in the control of the vendor. If these criteria are not met, the revenue elements must be considered a single unit of accounting for purposes of revenue recognition. EITF 00-21 became effective for new revenue arrangements entered into in fiscal periods beginning after June 15, 2003.

In February 2004, the Company entered into an amended contract with Pfizer. The amendment modified the quantity and composition of compounds to be produced and delivered by ArQule, with a corresponding adjustment to the remaining contractual billings for undelivered elements under the contract. We concluded that the modification was substantial enough to require evaluation of the contract to determine if EITF 00-21 applied. We concluded that because the contract does contain multiple deliverables (license to technology, research services and compound deliveries) EITF 00-21 did apply. We determined that there was not sufficient evidence of fair value of the undelivered elements (compounds), and therefore the amended contract represented a single unit of accounting for revenue recognition purposes. As a result, in Q1 2004, ArQule began treating the amended Pfizer Agreement as a single unit of accounting and recognizing revenue based on the actual delivery of compounds against the estimated total compound deliveries over the remaining term of the contract. The total estimated number of compounds that ArQule delivered to Pfizer was based on management's best estimate; changes in estimates of compounds to be delivered to Pfizer could have resulted in adjustments to the amount of revenue we recognized per compound delivered.

We follow these guidelines to measure revenue; however, certain judgments affect the application of these policies. For example, in connection with our Pfizer collaboration we have recorded current and long term deferred revenue based on our best estimate of when such revenue will be recognized. The estimate of deferred revenue reflects our estimate of the timing and extent of services that we will provide to Pfizer. Our services to Pfizer, and the timing of those services, were difficult to estimate and were impacted by factors outside of our control. For example, the timing and quantity of compounds we provided was largely dependent on Pfizer's internal needs. On December 2, 2005, we received notice that Pfizer Inc, pursuant to the terms of the Collaborative Agreement ("Agreement") with ArQule, was terminating the Agreement effective May 22, 2006. We have completed our compound production obligations under the terms of the Agreement and have ceased chemistry services operations.

Compound development revenue was derived from the following contractual elements in 2006, 2005 and 2004 (in thousands):

	2006	2005	2004
Non-refundable technology transfer payments	$ 5	$ 10	$ 10
Funding of compound development	—	236	1,643
Payments based on delivery of specialized compounds	25,963	46,050	45,790
Milestone payments	750	—	2,000
Total compound development revenue	$ 26,718	$ 46,296	$ 49,443

In 2004 as a result of the amended Pfizer Agreement and the adoption of EITF 00-21, the Company began to account for Pfizer revenue as a single unit of accounting. Pfizer revenue in the above table is fully included in "Payments based on delivery of specialized compounds."

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 3 to the Consolidated Financial Statements included in Item 8 of this Form 10-K.

Research and Development Revenue Recognition

Under the terms of the Roche agreement, Roche obtained an option to license ArQule's E2F program in the field of cancer therapy. Roche provided immediate research funding of $15 million, and financial support for ongoing research and development. ArQule is responsible for advancing drug

candidates from early stage development into Phase 2 trials. Roche may opt to license worldwide rights for the development and commercialization of products resulting from this collaboration by paying an option fee. Assuming the successful development and commercialization of a compound under the program, ArQule could receive up to $276 million in pre-determined milestone payments, plus royalties based on net sales. ArQule considers the development portion of the arrangement to be a single unit of accounting under EITF 00-21 for purposes of revenue recognition, and will recognize the initial and ongoing development payments as research and development revenue over the maximum estimated development period. We estimate the maximum development period could extend until December 2009. This period may ultimately be shorter depending upon the outcome of the development work, resulting in accelerated recognition of the development revenue. Milestone and royalty payments will be recognized as revenue when earned. The cost associated with satisfying the Roche contract is included in research and development expense in the Consolidated Statement of Operations.

Restructuring Charges/Credits

Accruals for abandoned facilities under lease require significant management judgment and the use of estimates, including assumptions concerning the ability of a sublessee to fulfill its contractual sublease obligations. In the third quarter of 2004, we entered into a sublease for the Company's abandoned facility in Redwood City, California. The term of the sublease extends through 2010, the remaining term of the Company's primary lease. As a result of signing the sublease, we adjusted our accrual for abandoned facilities to reflect the full amount of the anticipated sublease income to be received. This assumption about the subleasee's ability to fulfill its contractual obligation is based on an analysis of their financial position and ability to generate future working capital. If the subleasee is unable to meet its obligations, and the Company is unable to enter into another sublease for the facility, ArQule may be required to adjust its restructuring accrual and record additional restructuring expense of up to $2.8 million.

Investments in Non-Marketable Equity Securities

At December 31, 2003, we performed an assessment of the fair value of our investment in a privately held proteomics company. This assessment included analysis of that company's current financial condition, its prospects for generating additional cash flow from operating activities, the current market conditions for raising capital funding for companies in this industry and the likelihood that any funding raised would significantly dilute our ownership percentage. As a result of this initial analysis it was our judgment that an impairment had occurred and that the fair value of our investment was $0.25 million, resulting in a non-cash loss on investment of $4.75 million. In the second quarter of 2005, events affecting the financial condition of the company caused us to conclude that the fair value of the investment had further declined, and as such, we recorded a non-cash loss on investment of $0.25 million to write-off the remaining carrying value of the investment.

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 in accordance with SFAS 144.

On September 27, 2005, we announced our intention to exit our chemistry services operations when we had completed our existing Agreement with Pfizer in 2008. We concluded that our intention to exit our chemistry services operations was a triggering event and that an impairment review was required. As a result of that review, we determined that the anticipated undiscounted future cash flows from our chemistry services operations exceeded the net carrying value of the group of long-lived assets attributed to those operations, and therefore there was no impairment in the quarter ended September 30, 2005.

On December 2, 2005, we received notice that Pfizer had elected to terminate the Agreement, pursuant to the Agreement's terms, effective May 22, 2006. We concluded that notification from Pfizer was also a triggering event and performed a second impairment review. As a result of this second review, we again determined that the anticipated undiscounted future cash flows from our chemistry services operations exceeded the net carrying value of the group of long-lived assets attributed to those operations, and therefore there was no impairment in the quarter ended December 31, 2005. Based on our decision to exit our chemistry services operations, in 2005 we adjusted the depreciable lives on fixed assets used exclusively in those operations in order to fully depreciate the remaining book value of those assets over the remaining period that we will provide services to Pfizer.

We were contractually required to perform under the terms of the Agreement until May 22, 2006 and, as such, the assets of the chemistry services operations were considered "held for use" at December 31, 2005. Although we were actively seeking a potential buyer for the chemistry services operations, the uncertainty of us successfully completing a sale transaction within one year, or deciding to abandon the assets, precluded us from classifying the assets of the chemistry services operations as "assets to be disposed of by sale" at December 31, 2005.

In the third quarter ended September 30, 2006, it became probable that we would sell the chemistry services operations, eliminate the associated cash flows, and have no continuing involvement in the chemistry services operations. Accordingly, the chemistry services operations was reported as "discontinued operations" in our statements of operations in accordance with SFAS 144.

The net book value of the assets associated with the chemistry services operations, which totaled $1.4 million, approximated the fair market value of the underlying assets. In December 2006, management completed the sale of the chemistry services assets, which consisted of commercially available laboratory instrumentation for approximately $1.3 million, net of direct costs to sell such assets.

Sale Leaseback Accounting

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 of $39.3 million, net of commissions and closing costs. Simultaneously 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 $3.4 million. We also have options to extend the lease term for up to an additional ten years. In accordance with Statement of Financial Accounting Standards No. 98, *Accounting for Leases* , 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 reduced our net fixed assets by $33.7 million, representing the net book value of the assets sold on the date of the lease amendment, and realized a gain on the sale of $5.5 million, which has been deferred and will be amortized over the initial ten year lease term as a reduction in rent expense.

RESULTS OF OPERATIONS

The following results of operations for the years ended December 31, 2006, 2005 and 2004 exclude the effect of discontinued operations:

Revenue

	2006	2005	2004	% increase (decrease) 2005 to 2006	% increase (decrease) 2004 to 2005
	(in millions)				
Research and development revenue	$ 6.6	$ 6.6	$ 5.0	—%	32%

2006 as compared to 2005: Research and development revenue which remained the same in both years is comprised of revenue from Roche in connection with the alliance agreement.

2005 as compared to 2004: Research and development revenue is comprised of revenue from Roche in connection with the alliance agreement. The increase in research and development revenue in 2005 was due to our recognizing nine months of revenue from the Roche agreement in 2004 compared to 12 months of revenue in 2005.

Research and development

	2006	2005	2004	% increase (decrease) 2005 to 2006	% increase (decrease) 2004 to 2005
		(in millions)			
Research and development	$ 47.4	$ 24.6	$ 20.2	92%	22%

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 preclinical 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, or the cost to support our alliance agreement with Roche. The expenses incurred by us to third-parties for preclinical and clinical trials in 2006 and since inception of each program were as follows (in thousands):

Oncology program	Current status	2006	Program-to-date
E2F modulation—ARQ 501	Phase 2	$ 15,121	$ 19,721
E2F modulation—ARQ 171	Phase 1	3,161	3,608
Cancer Survival Protein modulation—ARQ 197 program	Phase 1	2,716	5,517

Our future research and development expenses in support of our current and future oncology programs will be subject to numerous uncertainties in timing and cost to completion. We test potential products in numerous preclinical studies for safety, toxicology, and efficacy. We then 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, but 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 preclinical and clinical development of these types of products to each 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 patient subjects;
- the number of patients that ultimately participate in the trials;
- the duration of patient follow-up to ensure the absence of long-term adverse safety 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 are not substantially dependent on any one product. To the extent we are unable to 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 agreement with Roche. In the event that third parties have control over the clinical trial process for a product, the estimated completion date would largely 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 collaborative agreements, when appropriate, 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 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.

2006 as compared to 2005: The increase in research and development expense in 2006 is primarily due to: a) an increase in outsourced preclinical, clinical and manufacturing costs of $16.4 million required to advance our oncology programs, principally ARQ 197, ARQ 501 and ARQ 171; b) an increase in personnel and related costs of $3.8 million reflecting the hiring of additional scientists and stock-based compensation charges recorded in 2006 but not 2005; c) increased professional fees of $0.8 million and d) increased facility and maintenance costs of $1.6 million, due to the absorption of these costs, formerly associated with the chemical services operations, by our research and development organization. At December 31, 2006, we had 93 employees dedicated to our research and development program, up from 86 employees at December 31, 2005.

2005 as compared to 2004: The increase in research and development expense in 2005 is primarily due to an increase of $2.9 million in the cost of third-party services for pre-clinical studies, manufacturing

and storage of our clinical candidates and the continued conduct of our Phase 1 clinical trials. Also contributing to the increase were a) increased personnel-related costs of $0.7 million as we continue to add scientists to further our development efforts; b) increased laboratory supply costs of $0.6 million as we continue our experimental testing; and, c) increased facility-related costs of $0.5 million that reflects the additional costs to accommodate the increasing research and development headcount in addition to the increase in rental expense associated with the sale and leaseback of our Woburn facility in June 2005. As of December 31, 2005, we had 86 employees dedicated to our research and development program, up from 65 employees at December 31, 2004

General and administrative

	2006	2005	2004	% increase (decrease) 2005 to 2006	% increase (decrease) 2004 to 2005
		(in millions)			
General and administrative	$ 11.6	$ 8.7	$ 9.0	33%	(3%)

2006 compared to 2005: General and administrative expense increased in 2006 primarily due to increased personnel-related expenses, including stock-based compensation expense of $1.3 million, and due to facility costs of $1.4 million which are no longer absorbed by the chemical services operations. General and administrative headcount was 37 at December 31, 2006, compared to 36 at December 31, 2005.

2005 compared to 2004: General and administrative expenses decreased slightly in 2005, consistent with management's continued efforts to minimize overhead spending. Increases in rent expense associated with the sale and leaseback of the Woburn facility were more than offset by lower depreciation expense due to lower capital spending and a lower depreciable asset base and generally lower spending on salaries, employee related costs and corporate insurance.

Restructuring related charges/credits

	2006	2005	2004
		(in millions)	
Restructuring charges/credits	$ —	$ —	$ (1.0)

In the first quarter of 2004, we implemented a restructuring to shift resources from our chemistry services operations to our internal cancer therapy research. The restructuring included the termination of 53 staff and managerial employees, or approximately 18% of the workforce, in the following areas: 30 in chemistry production positions; 7 in chemistry-based research and development positions; and 16 in administrative positions. In connection with these actions, we recorded a restructuring charge of $1.1 million in the first quarter of 2004 for termination benefits, $0.6 million of which was related to discontinued operations.

In the third quarter of 2004, we entered into a sublease for the California facility. The term of the sublease extends through 2010, the remaining term of the Company's primary lease obligation. As a result of signing the sublease, we reassessed the remaining restructuring accrual and, since the sublease was on terms more favorable than previously estimated, we recorded a $1.5 million restructuring credit in the third quarter of 2004.

Activities against the restructuring accrual in 2005 and 2006 were as follows:

	Balance as of December 31, 2004	2005 Provisions	2005 Payments	Balance as of December 31, 2005
Facility-related	$ 3,421	$ —	$ (715)	$ 2,706

	Balance as of December 31, 2005	2006 Provisions	2006 Payments	Balance as of December 31, 2006
Termination benefits-discontinued operations	$ —	$ 2,383	$ (2,383)	$ —
Other charges-discontinued operations	—	115	(115)	—
Facility-related	2,706	—	(662)	2,044
Total restructuring accrual	$ 2,706	$ 2,498	$ (3,160)	$ 2,044

The facility-related accrual, which represents the difference between our lease obligation for the California facility and the amount of sublease payments it will receive under its sublease agreement, will be paid out through 2010.

On January 19, 2006, our Board of Directors authorized termination benefits for employees in connection with a plan of termination for our discontinued chemistry services operations. The termination benefits, which affected 104 employees, consisted of cash payments and continuation of health care benefits. In 2006, a restructuring charge of $2.5 million was recorded pursuant to this action and is included in the 2006 Consolidated Statement of Operations as part of “Income from discontinued operations”. As of December 31, 2006, all affected employees had been separated from the Company and the restructuring costs were fully paid. We anticipate annualized savings in salaries and employee related costs of approximately $10 million as a result of these actions.

Investment income and interest expense

	2006	2005	2004	% increase (decrease) 2005 to 2006	% increase (decrease) 2004 to 2005
		(in millions)			
Investment income	$ 5.1	$ 3.7	$ 1.3	39%	191%
Interest expense	—	(0.4)	(0.2)	(100)%	100%
Investment income, net	$ 5.1	$ 3.3	$ 1.1	54%	207%

Investment income is derived from our portfolio of cash and short-term investments. Investment income increased year-to-year due to the increased average portfolio balance and to generally higher interest rates. Interest expense was zero in 2006 because we had no debt in 2006. Interest expense increased in 2005 due to interest charges incurred related to the sale of the Woburn facility. Interest expense decreased in 2004 due to lower average debt balances and generally lower interest rates. See “Critical Accounting Policies and Estimates” above for a discussion of our sale leaseback accounting.

Loss on investment

	2006	2005	2004
		(in millions)	
Loss on investment	$ —	$ 0.25	$ —

The loss on investment in 2005 relates to impairment charges taken to write off our investment in a privately-held proteomics Company.

RECENT ACCOUNTING PRONOUNCEMENTS

In June 2006, the Financial Accounting Standards Board ("FASB") issued FASB Interpretation No. 48 ("FIN 48"), *Accounting for Uncertainty in Income Taxes, an interpretation of FASB Statement No. 109* . FIN 48 clarifies the accounting for uncertainties in income taxes recognized in an enterprise's financial statements. The interpretation requires that we determine whether it is more likely than not that a tax position will be sustained upon examination by the appropriate taxing authority. If a tax position meets the more likely than not recognition criteria, FIN 48 requires the tax position be measured at the largest amount of benefit greater than 50 percent likely of being realized upon ultimate settlement. This accounting standard is effective for fiscal years beginning after December 15, 2006. The effect, if any, of adopting FIN 48 on our financial position and results of operations has not been finalized.

In September 2006, the FASB issued Statement of Financial Accounting Standards No. 157 ("SFAS 157"), *Fair Value Measurements* . SFAS 157 defines fair value, establishes a framework for measuring fair value in generally accepted accounting principles, and expands disclosures about fair value measurements. This accounting standard is effective for fiscal years beginning after November 15, 2007. The adoption of SFAS 157 is not anticipated to have a material effect on our financial position or results of operations.

In September 2006, the Securities and Exchange Commission ("SEC") issued Staff Accounting Bulletin No. 108, ("SAB 108") *Considering the Effects of Prior Year Misstatements When Quantifying Misstatements in Current Year Financial Statements* , which provides interpretive guidance on how registrants should quantify financial statement misstatements. Under SAB 108 registrants are required to consider both a "rollover" method which focuses primarily on the income statement impact of misstatements and the "iron curtain" method which focuses primarily on the balance sheet impact of misstatements. The transition provisions of SAB 108 permit a registrant to adjust retained earnings for the cumulative effect of immaterial errors relating to prior years. SAB 108 did not have an impact on our financial position and results of operations in 2006.

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. Our investment portfolio is used to preserve our capital until it is used to fund operations, including our research and development activities. None of these market-risk sensitive instruments are held for trading purposes. We invest our cash primarily in money market mutual funds and U.S. Government and other investment grade debt securities. These investments are evaluated quarterly to determine the fair value of the portfolio. Our investment portfolio includes only marketable securities with active secondary or resale markets to help insure liquidity. We have implemented policies regarding the amount and credit ratings of investments. Due to the conservative nature of these policies, we do not believe we have material exposure due to market risk.

ITEM 8. CONSOLIDATED FINANCIAL STATEMENTS AND SUPPLEMENTARY DATA

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REPORT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

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

We have completed integrated audits of ArQule, Inc.'s consolidated financial statements and of its internal control over financial reporting as of December 31, 2006, in accordance with the standards of the Public Company Accounting Oversight Board (United States). Our opinions, based on our audits, are presented below.

Consolidated financial statements

In our opinion, the consolidated financial statements listed in the accompanying index present fairly, in all material respects, the financial position of ArQule, Inc. and its subsidiaries at December 31, 2006 and 2005, and the results of their operations and their cash flows for each of the three years in the period ended December 31, 2006 in conformity with accounting principles generally accepted in the United States of America. These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these financial statements based on our audits. We conducted our audits of these statements in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement. An audit of financial statements includes 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. We believe that our audits provide a reasonable basis for our opinion.

As discussed in Note 3 to the consolidated financial statements the Company changed the manner in which it accounts for share-based compensation in 2006.

Internal control over financial reporting

Also, in our opinion, management's assessment, included in Management's Annual Report on Internal Control Over Financial Reporting appearing under Item 9A **,** that the Company maintained effective internal control over financial reporting as of December 31, 2006 based on criteria established in *Internal Control—Integrated Framework* issued by the Committee of Sponsoring Organizations of the Treadway Commission (COSO), is fairly stated, in all material respects, based on those criteria. Furthermore, in our opinion, the Company maintained, in all material respects, effective internal control over financial reporting as of December 31, 2006, based on criteria established in *Internal Control— Integrated Framework* issued by the COSO. The Company's management is responsible for maintaining effective internal control over financial reporting and for its assessment of the effectiveness of internal control over financial reporting. Our responsibility is to express opinions on management's assessment and on the effectiveness of the Company's internal control over financial reporting based on our audit. We conducted our audit of internal control over financial reporting in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether effective internal control over financial reporting was maintained in all material respects. An audit of internal control over financial reporting includes obtaining an understanding of internal control over financial reporting, evaluating management's assessment, testing and evaluating the design and operating effectiveness of internal control, and performing such other procedures as we consider necessary in the circumstances. We believe that our audit provides 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 9, 2007

ARQULE, INC.

CONSOLIDATED BALANCE SHEETS

	December 31,	
	2006	2005
	(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)	
ASSETS		
Current assets:		
Cash and cash equivalents	$ 6,242	$ 4,805
Marketable securities	89,590	135,838
Accounts receivable	—	3,956
Prepaid expenses and other current assets	2,162	2,002
Total current assets	97,994	146,601
Property and equipment, net	4,549	8,025
Other assets	2,277	2,058
Total assets	$ 104,820	$ 156,684
LIABILITIES AND STOCKHOLDERS' EQUITY		
Current liabilities:		
Accounts payable and accrued expenses	$ 10,276	$ 7,668
Current portion of deferred revenue	6,609	32,735
Current portion of deferred gain on sale leaseback	552	552
Total current liabilities	17,437	40,955
Restructuring accrual, net of current portion	1,366	2,047
Deferred revenue, net of current portion	1,967	3,576
Deferred gain on sale leaseback, net of current portion	4,096	4,648
Total liabilities	24,866	51,226
Commitments and contingencies (Note 15)	—	—
Stockholders' equity:		
Preferred stock, $0.01 par value; 1,000,000 shares authorized; no shares issued or outstanding	—	—
Common stock, $0.01 par value; 100,000,000 shares authorized; 35,811,709 and 35,297,932 shares issued and outstanding at December 31, 2006 and 2005, respectively	358	353
Additional paid-in capital	307,965	302,730
Accumulated other comprehensive loss	(152)	(848)
Accumulated deficit	(228,217)	(196,777)
Total stockholders' equity	79,954	105,458
Total liabilities and stockholder's equity	$ 104,820	$ 156,684

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

ARQULE, INC.

CONSOLIDATED STATEMENTS OF OPERATIONS

	YEAR ENDED DECEMBER 31,		
	2006	2005	2004
	(IN THOUSANDS, EXCEPT PER SHARE DATA)		
Revenue:			
Research and development revenue	$ 6,626	$ 6,628	$ 5,012
Costs and expenses:			
Research and development	47,428	24,646	20,181
General and administrative	11,560	8,688	8,982
Restructuring credits	—	—	(983)
	58,988	33,334	28,180
Loss from continuing operations	(52,362)	(26,706)	(23,168)
Investment income	5,139	3,700	1,271
Interest expense	—	(369)	(185)
Loss on investment	—	(250)	—
Net loss from continuing operations	(47,223)	(23,625)	(22,082)
Income from discontinued operations	15,783	16,105	17,161
Net loss	$ (31,440)	$ (7,520)	$ (4,921)
Basic and diluted income (loss) per share:			
Net loss from continuing operations	$ (1.33)	$ (0.68)	$ (0.77)
Income from discontinued operations	0.45	0.46	0.60
Net loss per share	$ (0.88)	$ (0.22)	$ (0.17)
Weighted average common shares outstanding-basic and diluted	35,539	34,619	28,819

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

ARQULE, INC.

CONSOLIDATED STATEMENTS OF STOCKHOLDERS' EQUITY AND COMPREHENSIVE LOSS

(IN THOUSANDS, EXCEPT SHARE DATA)

	COMMON STOCK		ADDITIONAL	ACCUMULATED OTHER			TOTAL
	SHARES	PAR VALUE	PAID-IN CAPITAL	COMPREHENSIVE INCOME/(LOSS)	ACCUMULATED DEFICIT	STOCKHOLDERS' EQUITY	COMPRHENSIVE LOSS
Balance at December 31, 2003	28,724,771	$ 287	$ 270,663	$ (137)	$ (184,336)	$ 86,477	
Stock option exercises	139,483	2	603			605	
Employee stock purchase plan	118,520	1	409			410	
Stock based compensation expense			130			130	
Change in unrealized loss on marketable securities				(335)		(335)	$ (335)
Cumulative translation adjustment				86		86	86
Net loss					(4,921)	(4,921)	(4,921)
Balance at December 31, 2004	28,982,774	290	271,805	(386)	(189,257)	82,452	
2004 Comprehensive loss							$ (5,170)
Stock option exercises	406,610	4	1,822			1,826	
Employee stock purchase plan	120,453	1	465			466	
Issuance of common stock from	5,788,095	58	28,291			28,349	
Stock based compensation expense			347			347	
Change in unrealized loss on marketable securities				(462)		(462)	(462)
Net loss					(7,520)	(7,520)	(7,520)
Balance at December 31, 2005	35,297,932	353	302,730	(848)	(196,777)	105,458	
2005 Comprehensive loss							$ (7,982)
Stock option exercises and issuance of restricted stock	382,557	4	1,538			1,542	
Employee stock purchase plan	131,220	1	479			480	
Stock based compensation expense			3,218			3,218	
Change in unrealized loss on marketable securities				696		696	696
Net loss					(31,440)	(31,440)	(31,440)
Balance at December 31, 2006	35,811,709	$ 358	$ 307,965	$ (152)	$ (228,217)	$ 79,954	
2006 Comprehensive loss							$ (30,744)

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

ARQULE, INC.

CONSOLIDATED STATEMENTS OF CASH FLOWS

	YEAR ENDED DECEMBER 31,		
	2006	2005	2004
	(IN THOUSANDS)		
Cash flows from operating activities:			
Net loss	$ (31,440)	$ (7,520)	$ (4,921)
Income from discontinued operations	(15,783)	(16,105)	(17,161)
Adjustments to reconcile net loss to net cash provided by (used in) operating activities:			
Depreciation and amortization	2,254	3,666	4,868
Amortization of premium/discount on marketable securities	179	276	443
Amortization of deferred gain on sale leaseback	(552)	(277)	—
Non-cash stock compensation.	3,218	347	130
Loss on investment .	—	250	—
Loss on disposal of fixed assets.	4	124	8
Changes in operating assets and liabilities:			
Accounts receivable	6	63	(32)
Prepaid expenses and other current assets	(906)	304	(171)
Other assets	(442)	(1,339)	(184)
Accounts payable and accrued expenses	4,961	1,040	(750)
Restructuring accrual, net of current portion.	(681)	(681)	(2,020)
Deferred revenue	(1,610)	143	10,043
Net cash provided by (used in) operating activities from discontinued operations .	(7,046)	23,203	15,644
Net cash provided by (used in) operating activities	(47,838)	3,494	5,897
Cash flows from investing activities:			
Purchases of marketable securities	(85,570)	(166,841)	(55,048)
Proceeds from sale or maturity of marketable securities	132,335	94,500	47,898
Additions to property and equipment	(814)	(2,663)	(3,414)
Net proceeds from sale of facility	—	39,331	—
Net cash provided by (used in) investing activities from discontinued operations	1,302	(484)	(1,019)
Net cash provided by (used in) investing activities	47,253	(36,157)	(11,583)
Cash flows from financing activities:			
Principal payments of capital lease obligations	—	(135)	(151)
Principal payments of long-term debt	—	(169)	(6,886)
Proceeds from registered direct stock offering, net	—	28,349	—
Proceeds from issuance of common stock	2,022	2,292	1,015
Net cash provided by (used in) financing activities	2,022	30,337	(6,022)
Net increase (decrease) in cash and cash equivalents .	1,437	(2,326)	(11,708)
Cash and cash equivalents, beginning of period	4,805	7,131	18,839
Cash and cash equivalents, end of period	$ 6,242	$ 4,805	$ 7,131

SUPPLEMENTAL DISCLOSURE OF CASH FLOW INFORMATION:

During 2006, 2005 and 2004 the Company paid approximately $0, $369 and $185 respectively, related to interest on debt.

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

1. ORGANIZATION AND NATURE OF OPERATIONS

We are a clinical-stage biotechnology company organized as a Delaware Corporation in 1993 and are engaged in the research and development of cancer therapeutics. Our mission is to research, develop, and commercialize broadly effective, targeted cancer drugs with reduced toxicities compared to conventional cancer chemotherapeutics. Our expertise in molecular biology enables us to understand certain biological processes that are responsible for numerous types of human cancers and to discover novel drug candidates for these diseases. Our chemistry capabilities derived from our history of providing chemistry services for the pharmaceutical and biotechnology industries enable us to generate product candidates possessing certain pre-selected drug-like properties and a high degree of specificity for cancer cells. 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 products are in clinical-stage development. We are conducting human clinical trials with three product candidates, designated as: ARQ 197, ARQ 501 and ARQ 171. We retain proprietary rights to ARQ 197 and are developing ARQ 501 and ARQ 171 pursuant to a collaboration with Hoffmann-La Roche ("Roche").

As part of our business since inception until 2006, we provided chemistry services to collaborators and customers for their discovery programs. In September 2005, we announced a strategic decision to exit our chemistry services operations in order to focus operationally on developing our oncology portfolio. On December 2, 2005, we received notice that our major collaborator and customer, Pfizer Inc, (“Pfizer”) pursuant to the terms of the Collaborative Agreement (“Agreement”) with ArQule, was terminating the Agreement effective on May 22, 2006. We continued to provide chemistry services to Pfizer through the effective date of termination.

2. DISCONTINUED OPERATIONS

On September 27, 2005, we announced our intention to exit our chemistry services operations. We received notice on December 2, 2005 that Pfizer had elected to terminate the Agreement, pursuant to the Agreement terms, effective May 22, 2006. The Agreement provided for six months prior written notice by either party to the other for termination without cause and, in the event of termination by Pfizer, certain payments to us. In accordance with these provisions, we received approximately $19.8 million in December 2005 in connection with the termination. This amount was recorded as deferred revenue and was recognized as revenue when compounds were delivered through the termination date. We have fulfilled our compound production obligations under the Agreement, recognized the remaining deferred revenue, and ceased chemistry services operations in 2006.

The net book value of the assets associated with the chemistry services operations, which totaled $1.4 million, approximated the fair market value of the underlying assets. In December 2006, management completed the sale of the chemistry services assets for approximately $1.3 million, net of direct costs to sell such assets.

We considered the chemistry services asset group to be a “component of an entity”, as defined in Statement of Financial Accounting Standards No. 144, *Accounting for the Impairment or Disposal of Long-Lived Assets* (“SFAS 144”), since it comprised operations and cash flows that were clearly distinguished, both operationally and for financial reporting purposes, from the remainder of our operations. Pursuant to

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SFAS 144, we reported the results of the chemistry services component as discontinued operations in the year ended December 31, 2006 since the related cash flows had been eliminated from our ongoing operations and we did not have any significant continuing involvement in the operations of the component or the assets that were disposed.

The following table presents operating results for the discontinued chemical services operations in 2006, 2005 and 2004:

	2006	2005	2004
Revenue..	$ 26,718	$ 46,296	$ 49,443
Costs and expenses:			
Cost of revenue	8,375	30,191	31,723
Restructuring charge	2,498	—	559
Total costs and expenses.	10,873	30,191	32,282
Loss from disposition of assets .	(62)	—	—
Income from discontinued operations.	$ 15,783	$ 16,105	$ 17,161

Revenue Recognition—Compound Development Revenue (Discontinued Operations)

Historically, ArQule entered into various chemistry-based collaborative agreements with pharmaceutical and biotechnology companies under which ArQule produced and delivered compound arrays and other research and development services. Revenue from collaborative agreements included non-refundable technology transfer fees, funding of compound development work, payments based upon delivery of specialized compounds meeting the collaborators specified criteria, and certain milestones and royalties on product sales. Non-refundable technology transfer fees were recognized as revenue when we had the contractual right to receive such payment, provided a contractual arrangement existed, the contract price was fixed or determinable, the collection of the resulting receivable was reasonably assured and we had no further performance obligations under the license agreement. When we had performance obligations under the terms of a contract, non-refundable fees were recognized as revenue as we completed our obligations. Where our level of effort was relatively constant over the performance period, the revenue was recognized on a straight-line basis. Funding of compound development work was recognized over the term of the applicable contract using the proportional achievement of deliveries against a compound delivery schedule or the development labor expended against a total research and development labor plan as the measure of progress toward completion. Any significant changes in the assumptions underlying our estimates to complete a contract (e.g., changes in the number of person hours to develop compounds, or changes in throughput capacity of our machinery and equipment) could have impacted our revenue recognition. Payments based upon delivery of specialized compounds meeting the collaborator’s specified criteria were recognized as revenue upon delivery of these compounds. Revenues from milestone payments related to chemistry-based collaboration arrangements under which we had no continuing performance obligations were recognized upon achievement of the related milestone. Revenues from milestone payments related to arrangements under which the Company had continuing performance obligations were recognized as revenue upon achievement of the milestone only if all of the following conditions were met: the milestone payments were non-refundable; achievement of the milestone was not reasonably assured at the inception of the arrangement; substantive effort was involved in achieving the

milestone; and the amount of the milestone was reasonable in relation to the effort expended or the risk associated with achievement of the milestone. If any of these conditions were not met, the milestone payments were deferred and recognized as revenue over the term of the arrangement as we completed our performance obligations. Payments received under these arrangements prior to the completion of the related work were recorded as deferred revenue.

In May 2003, the Financial Accounting Standards Board reached a consensus on EITF 00-21 which addresses how to determine whether an arrangement involving multiple deliverables contains more than one unit of accounting. In applying the guidance, revenue arrangements with multiple deliverables can only be considered as separate units of accounting if: a) the delivered item has value to the customer on a standalone basis, b) there is objective and reliable evidence of the fair value of the undelivered items and c) if the right of return exists, delivery of the undelivered items is considered probable and substantially in the control of the vendor. If these criteria are not met, the revenue elements must be considered a single unit of accounting for purposes of revenue recognition. EITF 00-21 became effective for new revenue arrangements entered into in fiscal periods beginning after June 15, 2003.

In February 2004, the Company entered into an amended contract with Pfizer. The amendment modified the quantity and composition of compounds to be produced and delivered by ArQule, with a corresponding adjustment to the remaining contractual billings for undelivered elements under the contract. We concluded that the modification was substantial enough to require evaluation of the contract to determine if EITF 00-21 applied. We concluded that because the contract does contain multiple deliverables (license to technology, research services and compound deliveries) EITF 00-21 did apply. We determined that there was not sufficient evidence of fair value of the undelivered elements (compounds), and therefore the amended contract represented a single unit of accounting for revenue recognition purposes. As a result, in Q1 2004 ArQule began treating the amended Pfizer Agreement as a single unit of accounting and recognizing revenue based on the actual delivery of compounds against the estimated total compound deliveries over the remaining term of the contract. The total estimated number of compounds that ArQule delivers to Pfizer is based on management's best estimate; changes in estimates of compounds to be delivered to Pfizer may result in adjustments to the amount of revenue we recognize per compound delivered.

Pfizer notified us in December 2005 that, in accordance with the provisions of the Agreement, it was terminating their collaboration with us effective May 22, 2006. In accordance with the terms of the Agreement we received $19,750 in December 2005 in connection with the termination. We were required to perform under the terms of the contract during the period from Pfizer's termination notification to us through the effective termination date of the contract, and we recognized revenue based on the total number of compounds delivered to Pfizer during that time.

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Compound development revenue was derived from the following contractual elements in 2006, 2005 and 2004:

	2006	2005	2004
Non-refundable technology transfer payments	$ 5	$ 10	$ 10
Funding of compound development	—	236	1,643
Payments based on delivery of specialized compounds	25,963	46,050	45,790
Milestone payments	750	—	2,000
Total compound development revenue	$ 26,718	$ 46,296	$ 49,443

In 2004 as a result of the amended Pfizer Agreement and the adoption of EITF 00-21, the Company began to account for Pfizer revenue as a single unit of accounting. Pfizer revenue in the above table is fully included in "Payments based on delivery of specialized compounds."

Cost of Compound Development Revenue (Discontinued Operations)

Cost of compound development revenue represents the actual costs incurred in connection with performance pursuant to our chemistry-based collaborative agreements and the costs incurred to develop and produce compounds under these agreements. These costs consist primarily of payroll and payroll-related costs, chemicals, supplies and overhead expenses.

3. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES

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

Basis of Consolidation

The consolidated financial statements include the accounts of ArQule, Inc. and its wholly-owned subsidiary ArQule U.K. Ltd.), (collectively, "we", "us", "our" and the "Company"). All intercompany transactions and balances have been eliminated. In February 2005, ArQule U.K. Ltd. was formally dissolved.

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 money market mutual funds, U.S. federal and state agency backed certificates, including auction rate certificates, corporate bonds and other investment grade debt securities that have strong credit ratings. As a matter of policy, we determine on a

quarterly basis the fair market value of our investment portfolio. Our securities are recorded on our balance sheet at fair market value. Unrealized gains and losses on securities are included in stockholders' equity, net of related tax effects. If the fair market value of a marketable security declines below its cost basis, and, based upon our consideration of all available evidence, we conclude such decline is "other than temporary", we mark the investment to market through a charge to current earnings. At December 31, 2006 and 2005, we have classified these investments as available-for-sale.

Fair Value of Financial Instruments

At December 31, 2006 and 2005, our financial instruments consist of cash, cash equivalents, marketable securities, accounts receivable, accounts payable, and accrued expenses. The carrying amounts of these instruments approximate their fair values.

Investments in Non-Marketable Equity Securities

Investments in non-marketable equity securities are accounted for under the cost method if ArQule owns less than 20 percent of the outstanding stock of the investee and our management determines we do not exert significant influence over the management of the investee. We assess the fair value of investments in non-marketable equity securities quarterly, or whenever events or changes in circumstances indicate the carrying value may not be recoverable. In the event fair value is determined to be less than the carrying value of an investment, the carrying value is written down to fair value if the decline in value is significant and is deemed to be other than temporary. Since there is no readily available market information concerning the fair value of these investments, such assessments require significant management judgment in analyzing the investee's financial position and projected future financial results and cash flows. Although our best estimates of fair value are based upon available information, the use of different estimates could yield different conclusions concerning the recoverability of the carrying value of investments.

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

On April 2, 2004, ArQule announced an alliance with Hoffmann-La Roche ("Roche") to discover and develop drug candidates targeting the E2F biological pathway. The alliance includes the compounds ArQ501 and ARQ 171 which are currently in phase 2 and 1 clinical development. Under the terms of the agreement, Roche obtained an option to license ArQule's E2F program in the field of cancer therapy. Roche provided immediate research funding of $15,000, and financial support for ongoing research and development. ArQule is responsible for advancing drug candidates from early stage development and commercialization of products resulting from this collaboration by paying an option fee. Assuming the successful development and commercialization of a compound under the program, ArQule could receive

up to $276,000 in pre-determined payments, plus royalties based on net sales. Additionally, ArQule has the option to co-promote products in the U.S.

ArQule considers the development portion of the arrangement to be a single unit of accounting under Emerging Issues Task Force No. 00-21, *Accounting for Revenue Arrangements with Multiple Deliverables* ("EITF 00-21") for purposes of revenue recognition, and will recognize the initial and ongoing development payments as research and development revenue over the maximum estimated development period. We estimate the maximum development period could extend until December 2009, although this period may ultimately be shorter depending upon the outcome of the development work, which would result in accelerated recognition of the development revenue. Milestone and royalty payments will be recognized as revenue when earned. The cost associated with satisfying the Roche contract is included in research and development expense in the Consolidated Statement of Operations as incurred.

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 conjunction 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. Development costs incurred in connection with chemistry services collaborations are included in cost of compound development revenue. We incurred research and development expenses of $47,428, $24,646, and $20,181 in 2006, 2005 and 2004, respectively

Restructuring Charges/Credits

The Company accounts for restructuring charges/credits in accordance with Statement of Financial Accounting Standards No. 146, *Accounting for Costs Associated with Exit or Disposal Activities* . Accruals are established for one-time employee termination benefits in the same period that the appropriate level of management and the Board of Directors approve and commit the Company to a termination that meets the following criteria and has been communicated to employees: a) specifically identifies the number, location and job level of employees to be terminated, b) specifies the benefits terminated employees are to receive, and c) assures that employees will be terminated within one year. Accruals are established for property and equipment and facility-related costs for facilities that have been abandoned and which have no future economic benefit to the Company at the time the Company ceases to occupy the facility.

Accruals for property and equipment and facility related costs of abandoned facilities require significant management judgment and the use of estimates, including assumptions concerning our ability to sublease certain operating leases for abandoned real estate and the ability of a sublessee to fulfill its contractual sublease obligation. Estimates of the time required to sublease facilities and sublease rates the Company will receive are based on management's analysis of the local real estate markets and general economic conditions in the regions of the abandoned facilities. If either the time it takes to sublease these facilities or the actual sublease rates achieved differ from the Company's assumptions, we may be required to adjust our restructuring accrual and record a restructuring charge or credit. When abandoned facilities are subleased, the Company must estimate the ability of the sublessee to satisfy the contractual lease obligation based on its financial position and projected ability to generate future working capital. If the

sublessee's actual performance on the sublease is different from the Company estimates, we may be required to adjust our restructuring accrual and record a restructuring charge or credit.

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 in accordance with SFAS 144.

On September 27, 2005, we announced our intention to exit our chemistry services operations when the Agreement with Pfizer ended in 2008. We concluded that our intention to exit our chemistry services operations was a triggering event and that an impairment review was required. As a result of that review, we determined that the anticipated undiscounted future cash flows from our chemistry services operations exceeded the net carrying value of the group of long-lived assets attributed to those operations, and therefore there was no impairment in the quarter ended September 30, 2005.

On December 2, 2005, we received notice that Pfizer had elected to terminate the Agreement, pursuant to the Agreement terms, effective May 22, 2006. We concluded that notification from Pfizer was also a triggering event and performed a second impairment review. As a result of this second review, we again determined that the anticipated undiscounted future cash flows from our chemistry services operations exceeded the net carrying value of the group of long-lived assets attributed to those operations, and therefore there was no impairment in the quarter ended December 31, 2005.

We were contractually required to perform under the terms of the Agreement until May 22, 2006 and, as such, the assets of the chemistry services operations were considered "held for use" at December 31, 2005. Although we were actively seeking a potential buyer for the chemistry services operations, the uncertainty of us successfully completing a sale transaction within one year, or deciding to abandon the assets, precluded us from classifying the assets of the chemistry services operations as "assets to be disposed of by sale" at December 31, 2005.

In the third quarter ended September 30, 2006, it became probable that we would sell the chemistry services operations, eliminate the associated cash flows, and have no continuing involvement in the chemistry services operations. Accordingly, the chemistry services operations was reported as "discontinued operations" in our statements of operations in accordance with SFAS 144.

The net book value of the assets associated with the chemistry services operations, which totaled $1.4 million, approximated the fair market value of the underlying assets. In December 2006, management completed the sale of the chemistry services assets, which consisted of commercially available laboratory instrumentation for approximately $1.3 million, net of direct costs to sell such assets.

Segment Data

Management 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 16 with respect to significant customers. Substantially all of our revenue since inception has been generated in the United States and substantially all of our long-lived assets are located in the United States.

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.

Earnings (Loss) Per Share

The computations of basic and diluted earnings (loss) per common share from continuing and discontinued operations are based upon the weighted average number of common shares outstanding and potentially dilutive securities. Potentially dilutive securities include stock options. Options to purchase 4,228,511, 4,084,265 and 3,872,946 shares of common stock were not included in the 2004, 2005 and 2006 computations of diluted net loss per share, respectively, because inclusion of such shares would have an anti-dilutive effect.

Stock-Based Compensation

Effective January 1, 2006, we adopted the provisions of Statement of Financial Accounting Standards No. 123(R) ("SFAS 123 (R)"), "Share-Based Payment", which establishes accounting for equity instruments exchanged for employee services. Under the provisions of SFAS 123(R), 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). Before January 1, 2006, we accounted for share-based compensation to employees in accordance with Accounting Principles Board Opinion No. 25, "Accounting for Stock Issued to Employees," and related interpretations. We also followed the disclosure requirements of SFAS No. 123, "Accounting for Stock-Based Compensation." We elected to adopt the modified prospective transition method as provided by SFAS 123(R) beginning January 1, 2006 and, accordingly, financial statement amounts for the periods beginning before January 1, 2006 presented in this Form 10-K have not been restated to reflect the fair value method of expensing stock-based compensation.

The following table presents stock-based compensation expense included in our Consolidated Statements of Operations (in thousands):

	Year ended December 31, 2006
Research and development	$ 1,556
General and administrative.	1,325
Discontinued operations	337
Total compensation expense.	$ 3,218

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In the year ended December 31, 2006, no stock-based compensation expense was capitalized and there were no recognized tax benefits associated with the stock-based compensation charge. The stock-based compensation charge reduced basic and diluted net loss in the year ended December 31, 2006 by $0.09 per share.

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 year ended December 31, 2006.

The fair value of stock options and employee stock purchase plan shares granted in 2006 were estimated on the grant date using the Black-Scholes option-pricing model with the following assumptions:

	Year ended December 31, 2006
Dividend yield (1)	0.0%
Expected volatility factor(2)	63-90%
Risk free interest (3)	4.3-4.9%
Expected term, excluding options issued pursuant the Employee Stock Purchase Plan(4)	3.9-4.9 years
Expected term—Employee Stock Purchase Plan (5)	6 months

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

(2) Measured using an average of historical daily price changes of our stock. The weighted average expected volatility in 2006 was approximately 90%.

(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.

We recognized employee stock-based compensation cost of $289 and $130 for the years ended December 31, 2005 and 2004, respectively. If compensation cost had been determined based on the fair value at the grant dates, our net loss for the years ended December 31, 2005 and 2004 would have been the pro forma amounts indicated in the table below (in thousands, except for per share data):

	2005	2004
Net loss as reported	$ (7,520)	$ (4,921)
Add: Stock-based employee compensation expense included in reported net loss	289	130
Less: Stock-based employee compensation under the fair-value method for all awards	(4,615)	(5,737)
Pro forma net loss	$ (11,846)	$ (10,528)
Basic and diluted net loss per share		
As reported	$ (0.22)	$ (0.17)
Pro forma	$ (0.34)	$ (0.37)

The fair value of stock options and employee stock purchase plan shares granted in 2005 and 2004 were estimated on the grant date using the Black-Scholes option-pricing model with the following assumptions:

	2005	2004
Dividend yield	0.0%	0.0%
Expected volatility factor	80%	95%
Risk-free interest rate.	4.4%	3.7%
Expected term, excluding options issued pursuant the Employee Stock Purchase Plan	4.5 years	5.0 years
Expected term—Employee Stock Purchase Plan	6-18 months	6-18 months

Comprehensive Income (Loss)

Other comprehensive income (loss) refers to revenues, expenses, gains and losses that under accounting principles generally accepted in the United States of America are included in comprehensive income (loss) but are excluded from net income (loss) as these amounts are recorded directly as an adjustment to stockholders' equity, net of tax. Our other comprehensive income (losses) were $696, ($462) and ($249) in 2006, 2005 and 2004 respectively, composed of unrealized gains and losses on marketable securities and additionally, in 2004 foreign currency translation adjustments.

Recent Accounting Pronouncements

In June 2006, the Financial Accounting Standards Board ("FASB") issued FASB Interpretation No. 48 ("FIN 48"), *Accounting for Uncertainty in Income Taxes, an interpretation of FASB Statement No. 109* . FIN 48 clarifies the accounting for uncertainties in income taxes recognized in an enterprise's financial statements. The interpretation requires that we determine whether it is more likely than not that a tax position will be sustained upon examination by the appropriate taxing authority. If a tax position meets the more likely than not recognition criteria, FIN 48 requires the tax position be measured at the largest amount of benefit greater than 50 percent likely of being realized upon ultimate settlement. This

accounting standard is effective for fiscal years beginning after December 15, 2006. The effect, if any, of adopting FIN 48 on our financial position and results of operations has not been finalized.

In September 2006, the FASB issued Statement of Financial Accounting Standards No. 157 ("SFAS 157"), *Fair Value Measurements* . SFAS 157 defines fair value, establishes a framework for measuring fair value in generally accepted accounting principles, and expands disclosures about fair value measurements. This accounting standard is effective for fiscal years beginning after November 15, 2007. The adoption of SFAS 157 is not anticipated to have a material effect on our financial position or results of operations.

In September 2006, the Securities and Exchange Commission ("SEC") issued Staff Accounting Bulletin No. 108, ("SAB 108") *Considering the Effects of Prior Year Misstatements When Quantifying Misstatements in Current Year Financial Statements* , which provides interpretive guidance on how registrants should quantify financial statement misstatements. Under SAB 108 registrants are required to consider both a "rollover" method which focuses primarily on the income statement impact of misstatements and the "iron curtain" method which focuses primarily on the balance sheet impact of misstatements. The transition provisions of SAB 108 permit a registrant to adjust retained earnings for the cumulative effect of immaterial errors relating to prior years. SAB 108 did not have an impact on our financial position and results of operations in 2006.

4. RELATED PARTIES

As part of the discontinued chemistry services operations we entered into a number of license, research and development agreements (the "Agreements") with corporate collaborators. Two separate agreements were entered into with Solvay Duphar B.V. ("Solvay"), and Novartis Institute for BioMedical Research, Inc., an affiliate of Novartis AG ("Novartis"). Revenue related to these agreements in the amount of $768 for the year ended December 31, 2004 is included in compound development revenue from discontinued operations. During that period certain members of our Board of Directors were employed at those companies. One current member of our Board of Directors was employed by Novartis until August 2004. There are no amounts due to or from related parties as of December 31, 2006 and 2005 or revenue from related parties in 2006 or 2005.

In January 2007, we entered into a $5.0 million, eight-month sponsored research agreement with the newly established Boston Biomedical, Inc. ("BBI"), an independent corporation led by our former chief scientific officer. BBI will conduct scientific research under the agreement that will include a number of *in vivo* and *in vitro* studies, reports and publications related to mechanisms of action and biomarkers for our lead products, which are in human clinical trials. See Note 17 to the consolidated financial statements for further terms of the agreement.

5. COLLABORATIONS AND ALLIANCES

Research and Development Alliance

On April 2, 2004, we announced an alliance with Hoffmann-La Roche ("Roche") to discover and develop drug candidates targeting the E2F biological pathway, including ARQ 501, which is currently in Phase 2 clinical testing, and ARQ 171, which is currently in Phase 1 clinical testing. Under the terms of the agreement, Roche obtained an option to license drugs resulting from our E2F program in the field of

cancer therapy. Roche provided immediate research funding of $15 million and financial support for ongoing research and development. To date, we have received approximately $26.8 million in research and development support from Roche under this agreement.

We are responsible for advancing drug candidates from early stage development into Phase 2 trials. Roche has an option to license worldwide rights for the development and commercialization of products resulting from the E2F-1 program based on a clinical data package from one of the ongoing Phase 2 ARQ 501 monotherapy trials and the Phase 2 ARQ 501-gemcitabine combination therapy trial, as well as from the Phase 1 trial with ARQ 171. In order to license these rights, Roche must pay an option fee.

Assuming the successful development and commercialization of a compound under the program, we could receive up to $276 million in predetermined payments, plus royalties based on net sales. Additionally, we have the option to co-promote products in the U.S. Revenue from the Roche alliance is included in research and development revenue in the consolidated statements of operations.

Chemistry-Based Collaborations (Discontinued Operations)

Pfizer. Our largest chemistry-based collaboration had been with Pfizer. Since the inception of this relationship in 1999, we have managed and staffed a facility that produces collections of chemical compounds exclusively for Pfizer using our automated high-speed compound production system. Pfizer received a non-exclusive license to use this system in its internal production program. The original contract with Pfizer was expanded in December 2001 and renegotiated in February 2002. Since December 2001, we produced for Pfizer annually an average of approximately 160,000 chemical compounds. We have received payments of approximately $289,000 from Pfizer since the inception of the relationship in 1999. Pfizer made an equity investment in our company of $10,000 in 2001, at the onset of the expanded agreement, plus investments totaling $8,000 in 2003 based on the achievement of certain delivery milestones. Pfizer owns all rights in compounds produced pursuant to the collaboration.

We received notice on December 2, 2005 that Pfizer had elected to terminate the Agreement, pursuant to the Agreement terms, effective May 22, 2006. We continued to provide chemistry services to Pfizer pursuant to the Agreement through the effective date of termination. The Agreement provided for six months prior written notice by either party to the other for termination without cause and, in the event of termination by Pfizer, certain payments to us. In accordance with these provisions, we received approximately $19,750 in December 2005 in connection with the termination. This amount was recorded as deferred revenue and was recognized to revenue as compounds were delivered through the termination date of the collaboration.

Also, we successfully completed major collaborations with Bayer, Solvay, GlaxoSmithKline, Pharmacia, Wyeth Pharmaceuticals, Johnson & Johnson, Sankyo, and Novartis. The collaboration agreements contain trailing obligations of our collaborators to make, under specified circumstances, milestone and royalty payments.

Bayer. In October 1999, we entered into a three-year collaboration with Bayer AG to produce large collections of compounds designed exclusively for Bayer in accordance with its specifications. We refer to such collections as Custom Array ™ libraries. In December 2002, we extended the production period until September 30, 2003. Bayer owns all rights in compounds for an initial period, after which we will co-own rights in compounds that Bayer has not claimed in a patent application. We received a $3,000 upfront

payment and an additional $28,017 during the term of the agreement for delivery and success fees. As of December 31, 2006, we have completed our contractual obligations and have received a total of $31,017 under this agreement. Bayer will pay no milestones or royalties to us on compounds that they develop and market.

GlaxoSmithKline. In November 2000, we entered into a five-year collaboration and license agreement with SmithKline Beecham Corporation (now GlaxoSmithKline). Under the terms of the agreement, GlaxoSmithKline received access to our Compass Array libraries and Mapping Array libraries for screening primarily in the anti-infective field. GlaxoSmithKline elected to terminate the agreement in November 2002, before the end of the five-year term. As of December 31, 2006, we have received $1,469 under this collaboration. GlaxoSmithKline has agreed to pay us development milestones and royalties on sales of products resulting from the collaboration. To date, we have not received any milestone or royalty payments.

Sankyo. In November 1997, we entered into a three-year agreement with Sankyo Company, Ltd. to discover and optimize drug candidates. Under the terms of the agreement, Sankyo received a subscription to our Mapping Array ™ Program. The program involved a large collection of compounds provided on a non-exclusive basis to several pharmaceutical companies as a tool to discover new lead compounds. Sankyo also committed to a minimum number of Directed Array ™ Programs during the term of the agreement. In April 2001, we extended our agreement with Sankyo through June 2004 to include access to the Compass Array ™ libraries, which are a subset of the Mapping Arrays ™, in addition to continuing to use our Directed Array ™ Program, which involves a target-focused library. The total value of the extended agreement is up to $14,892 in committed payments of which, as of December 31, 2006, we have received the entire balance. To date, we have not received any milestone or royalty payments under this agreement.

Wyeth Pharmaceuticals. In July 1997, we entered into a four and one half year agreement with Wyeth Pharmaceuticals (“Wyeth”). Under this agreement, Wyeth subscribed to our Mapping Array and Directed Array Programs. We discontinued our Mapping Array Program as of 2002, and as a consequence and in agreement with Wyeth, we did not renew our collaboration. Wyeth has continuing rights to screen the compounds from the Mapping and Directed Array Programs and continuing obligations to pay us development milestones and royalties from the sales of products resulting from compounds we shipped during the collaboration. Wyeth has filed two INDs based upon compounds from our Direct Array Program; one is currently in phase 1 clinical trials, while Wyeth has ceased development on the second. A third compound derived from our collaboration is progressing within Wyeth’s internal development track. Through December 31, 2006, Wyeth has made milestone payments to us in connection with these compounds in October 2002, February 2004, December 2004, February 2005 and March 2006. As of December 31, 2006, we have received $29,134 under this agreement.

Novartis Institute for BioMedical Research, Inc. On September 3, 2003, we entered into a one year chemistry services collaboration with Novartis Institute for BioMedical Research, Inc. (“Novartis”), an affiliate of Novartis AG. As part of the collaboration we applied our integrated chemistry technology platform to generate and optimize small molecule compounds for NIBRI’s anti-infective drug discovery program. In September 2004, this contract was extended six months. As of December 31, 2006, we have received $1,500 from NIBRI, under the collaborative agreement, which is now complete.

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

6. MARKETABLE SECURITIES

The following is a summary of the fair market value of available-for-sale marketable securities we held at December 31, 2006 and 2005:

December 31, 2006	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
Corporate bonds				
Due within 1 year	$ 37,779	$ 11	$ (105)	$ 37,685
Due after 10 years	14,627	—	—	14,627
Total corporate bonds	52,406	11	(105)	52,312
US federal and state agency backed securities				
Due within 1 year	12,179	—	(58)	12,121
Due after 10 years	25,157	—	—	25,157
Total US federal and state agency backed securities	37,336	—	(58)	37,278
Total marketable securities	$ 89,742	$ 11	$ (163)	$ 89,590

December 31, 2005	Amortized Cost	Gross Unrealized Gain	Gross Unrealized Losses	Fair Value
Corporate bonds				
Due within 1 year	$ 16,202	$ —	$ (73)	$ 16,129
Due within 1 to 5 years	35,038	13	(298)	34,753
Due after 10 years	12,676	—	—	12,676
Total corporate bonds	63,916	13	(371)	63,558
US federal and state agency backed securities				
Due within 1 year	32,644	—	(379)	32,265
Due within 1 to 5 years	16,678	—	(111)	16,567
Due after 10 years	23,448	—	—	23,448
Total US federal and state agency backed securities	72,770	—	(490)	72,280
Total marketable securities	$ 136,686	$ 13	$ (861)	$ 135,838

At December 31, 2006 and 2005, marketable securities are carried at fair market value and are classified as current as the funds are highly liquid and are available to meet working capital needs and to fund current operations. The net unrealized losses on marketable securities at December 31, 2006 and 2005 were $152 and $848, respectively.

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

The following table summarizes our investments with gross unrealized losses, aggregated by investment category and length of time that individual securities have been in a continuous unrealized loss position, at December 31, 2006:

	Less than 12 Months		12 months or more		Total	
	Fair Value	Unrealized Losses	Fair Value	Unrealized Losses	Fair Value	Unrealized Losses
U.S. federal or state agency backed securities.	$ 4,123	$ 14	$ 7,998	$ 44	$ 12,121	$ 58
Corporate bonds	9,552	8	25,556	97	35,108	105
Total temporarily impaired securities	$ 13,675	$ 22	$ 33,554	$ 141	$ 47,229	$ 163

The securities summarized above represent a total of 35 investments purchased by the Company in order to maximize its return on liquid assets in excess of its immediate needs. The temporary impairments relate to unfavorable market interest rate fluctuations that have decreased the fair value of the investments below the original investment cost. The Company believes these fluctuations are temporary and therefore has not realized an impairment loss on these investments at December 31, 2006.

7. PROPERTY AND EQUIPMENT

Property and equipment consist of the following at December 31, 2006 and 2005:

	USEFUL lIFE ESTIMATED (YEARS)	2006	2005
Machinery and equipment	5	$ 12,189	$ 24,612
Leasehold improvements	3-10	2,143	1,975
Furniture and fixtures	7	1,209	1,204
Computer equipment	3	5,634	5,952
Construction-in-progress	—	113	136
		21,288	33,879
Less: Accumulated depreciation and amortization		16,739	25,854
		$ 4,549	$ 8,025

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. In accordance with Statement of Financial Accounting Standards No. 98, *Accounting for Leases* , 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 reduced our net fixed assets by $33,709, representing the net book value of the assets sold on the date of the lease amendment, and 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.

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In December 2006, we completed the sale of the assets from our discontinued chemistry service operations. These assets had a net book value of $1,364 and were sold for $1,302 net of direct costs to sell such assets, resulting in a $62 loss on disposal.

8. OTHER ASSETS

Other assets include the following at December 31, 2006 and 2005:

	2006	2005
Security deposits	$ 1,002	956
Prepaid rent, net of current portion	962	735
Other long-term prepaid assets	313	367
Total other assets	$ 2,277	$ 2,058

In July 2001, we purchased approximately 1.8 million preferred shares of a privately owned proteomics company for $5,000. This represented approximately an 8% ownership interest. At December 31, 2003, we performed an assessment based on an analysis of the investment's current financial condition, its prospects of generating additional cash flow from operating activities, the current market conditions for raising capital funding for companies in this industry and the likelihood that any funding raised would significantly dilute our ownership percentage. As a result of this analysis it was our judgment that a permanent impairment had occurred and that the fair value of our investment was $250, resulting in a non-cash loss on investment of $4,750. In the second quarter of 2005, events affecting the financial condition of the investment caused us to conclude that the fair value of the investment had further declined, and as such, we recorded a non-cash loss on investment of $250 to write-off the remaining carrying value of this investment.

9. ACCOUNTS PAYABLE AND ACCRUED EXPENSES

Accounts payable and accrued expenses include the following at December 31, 2006 and 2005:

	2006	2005
Accounts payable	$ 208	$ 267
Accrued payroll	1,726	3,049
Accrued outsourced pre-clinical and clinical fees	6,197	2,154
Accrued professional fees	434	455
Accrued restructuring-current portion	678	659
Other accrued expenses	1,033	1,084
	$ 10,276	$ 7,668

10. RESTRUCTURING ACTIONS

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 facilities in Redwood City, California and Cambridge, United Kingdom, along with the termination of 128 employees in these facilities and our Massachusetts facilities. The Company recorded a restructuring charge of approximately $12,695, including a facility-related charge of $9,607.

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Facility-related costs relate to the remaining lease payment obligations associated with the abandonment of our facilities in Redwood City, California and Cambridge and the non-cash write-off of leasehold improvements and equipment no longer expected to provide future economic benefit at the abandoned facilities, less assumed proceeds from sale.

In October 2003, we completed an agreement with InPharmatica Ltd. to sell certain assets of our former operations in the United Kingdom. As a result, we reversed $290 of restructuring accrual to reflect a change in its original estimate of the remaining lease obligations and assumed sublease income in the United Kingdom. Throughout the latter half of 2003, we were in negotiations with a third-party to sublease its facility in California on favorable terms. Those negotiations were terminated in January 2004. As a result, the adequacy of the accrual relative to the lease obligation and assumed sublease income for the California facility was reassessed, and based on continued deterioration in the local real estate market, an additional provision of $1,529 was recorded in the fourth quarter of 2003.

In the first quarter of 2004, we implemented a restructuring to shift resources from our chemistry services operations to our internal cancer therapy research. The restructuring included the termination of 53 staff and managerial employees, or approximately 18% of the workforce, in the following areas: 30 in chemistry production positions, 7 in chemistry-based research and development positions and 16 in administrative positions. In connection with these actions we recorded a restructuring charge of $1,072 in the first quarter of 2004 for termination benefits.

In the third quarter of 2004, we entered into a sublease for the California facility. The term of the sublease extends through 2010, the remaining term of the Company's primary lease obligation. As a result of signing the sublease, we reassessed the remaining restructuring accrual and, since the sublease was on terms more favorable than previously estimated, we recorded a $1,496 restructuring credit in the third quarter of 2004.

The original facility-related restructuring charge for abandoning the California and United Kingdom facilities occurred in 2002 and was accounted for in accordance with Emerging Issues Task Force Issue No. 94-3, *Liability Recognition for Certain Employee Termination Benefits and Other Costs to Exit an Activity* . This guidance required liabilities for future obligations for abandoned real estate to be recorded based on the estimated, non-discounted future net cash flows. Consequently, the subsequent adjustments to the facility-related accrual in 2003 and 2004 were also recorded on the basis of non-discounted future net cash flows.

Activities against the restructuring accrual in 2005 and 2006 were as follows:

	Balance as of December 31, 2004	2005 Provisions	2005 Payments	Balance as of December 31, 2005
Facility-related	$ 3,421	$ —	$ (715)	$ 2,706

	Balance as of December 31, 2005	2006 Provisions	2006 Payments	Balance as of December 31, 2006
Termination benefits-discontinued operations	$ —	$ 2,383	$ (2,383)	$ —
Other charges-discontinued operations	—	115	(115)	—
Facility-related	2,706	—	(662)	2,044
Total restructuring accrual	$ 2,706	$ 2,498	$ (3,160)	$ 2,044

The facility-related accrual, which primarily represents the difference between the Company's lease and other facility related obligations for its California facility and the amount of sublease and other payments it will receive under its sublease agreement, will be paid out through 2010. The portions of the restructuring accrual that are expected to be paid out within one year and longer than one year are included in the Consolidated Balance Sheet under "Accounts payable and accrued expenses" and "Restructuring accrual—long-term portion", respectively.

Accruals for abandoned facilities under lease requires significant management judgment and the use of estimates, including assumptions concerning the ability of a sublessee to fulfill its contractual sublease obligation. As a result of signing the sublease for the California facility, we adjusted our accrual for abandoned facilities to reflect the full amount of the anticipated sublease income to be received. This assumption about the subleasee's ability to fulfill its contractual obligation is based on an analysis of their financial position and ability to generate future working capital. If the subleasee is unable to meet its obligations, and the Company is unable to enter into another sublease for the facility, ArQule may be required to adjust its restructuring accrual and record additional restructuring expense of up to $2,758.

On January 19, 2006, our Board of Directors authorized termination benefits for employees in connection with a plan of termination for our chemistry services operations. The termination benefits, which affected 104 employees, consisted of cash payments and continuation of health care benefits. In 2006, a restructuring charge of $2.5 million was recorded pursuant to this action and is included in the 2006 Consolidated Statement of Operations as part of "Income from discontinued operations". As of December 31, 2006, all affected employees had been separated from the Company and the restructuring costs were fully paid.

11. DEBT

Beginning in 1999, the Company entered into various term loan agreements with Fleet National Bank (now Bank of America) to finance equipment purchases, the acquisition of its facility and land in Woburn, Massachusetts and the build out of its leased facility in Redwood City, California. These amounts were fully repaid in 2004.

12. STOCKHOLDERS' EQUITY

Preferred Stock

We are authorized to issue up to one million shares of preferred stock. As of December 31, 2006 and 2005, 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.

At December 31, 2006, we have 3,257,794 common shares reserved for future issuance under the Employee Stock Purchase Plan and for the exercise of common stock options pursuant to the Equity Incentive Plan and the Directors Plan.

On January 28, 2005, we completed a stock offering whereby we sold 5.79 million shares of common stock at $5.25 per share for aggregate net proceeds of $28,349 after commissions and offering expenses.

13. STOCK OPTION PLANS

During 2005, our Shareholders approved an amendment to the 1994 Amended and Restated Equity Incentive Plan (the "Equity Incentive Plan") to increase the number of shares available to 9,600,000. All shares are awarded at the discretion of our Board of Directors in a variety of stock based forms including stock options and restricted stock. 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, 2006, no stock appreciation rights have been issued. At December 31, 2006, there were 2,930,354 shares available for future grant under the Equity Incentive Plan.

During 2005, our Shareholders approved an amendment to the 1996 Amended and Restated Director Stock Option Plan ("Director Plan") to increase the number of shares available to 500,500. In May 2006, our shareholders approved an amendment to the Director Plan to increase the number of options granted to the Chairman of the Board and Directors. 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 15,000 and vesting immediately, and (B) to each other Director (1) upon his or her initial election to our board in the amount of 20,000 and vesting over three years and (2) upon his or her re-election or continuation on our board in the amount of 10,000 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, 2006, options to purchase 406,432 shares of common stock have been granted under this plan of which 348,168 shares are currently exercisable. As of December 31, 2006, 116,568 shares are available for future grant.

During 2006, we issued 15,000 fully-vested options to certain members of our Scientific Advisory Board under the Equity Incentive Plan. In 2005 and 2004, we issued 13,500 and 12,000 of such grants, respectively. Compensation expense in 2006, 2005 and 2004 was $74, $58 and $54, respectively. In 2005, we amended the terms of certain options awarded to employees whose positions were terminated, resulting in a non-cash charge of $289. In connection with our restructuring actions in February 2004, we amended the terms of certain options awarded to employees whose positions were eliminated, resulting in a non-cash restructuring charge of $76.

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

Option activity under the Plans for the years ended December 31, 2004, 2005 and 2006 was as follows:

Stock Options	Number of Shares	Weighted Average Exercise Price
Outstanding as of December 31, 2003	3,895,918	$ 9.24
Granted	1,067,125	5.38
Exercised	(139,483)	4.34
Cancelled	(595,049)	11.55
Outstanding as of December 31, 2004	4,228,511	8.10
Granted	1,199,705	6.42
Exercised	(406,610)	4.50
Cancelled	(937,341)	10.53
Outstanding as of December 31, 2005	4,084,265	7.41
Granted	1,464,260	5.70
Exercised	(348,403)	4.40
Cancelled	(1,327,176)	8.50
Outstanding as of December 31, 2006	3,872,946	$ 6.66
Exercisable as of December 31, 2006	1,823,737	$ 7.62
Weighted average grant-date fair value of options granted during the year ended December 31, 2006		$ 4.03

The following table summarizes information about options outstanding at December 31, 2006:

	Options Outstanding			Options Exercisable	
Range of Exercise Prices	Number Outstanding at December 31, 2006	Weighted Average Remaining Contractual Life	Weighted Average Exercise Price	Exercisable as of December 31, 2006	Weighted Average Exercise Price
$0.00 — $2.80	3,000	6.2	$ 2.19	3,000	$ 2.19
2.80 — 5.60	1,570,328	6.1	4.76	1,041,563	4.63
5.60 — 8.40	1,829,185	8.6	6.15	308,741	6.45
8.40 — 11.20	146,070	4.4	9.76	146,070	9.76
11.20 — 14.00	126,954	5.0	13.32	126,954	13.32
14.00 — 16.80	17,750	1.2	16.60	17,750	16.60
16.80 — 19.60	65,659	2.7	18.14	65,659	18.14
19.60 — 22.40	86,500	3.3	20.04	86,500	20.04
22.40 — 25.20	7,500	3.9	23.13	7,500	23.13
25.20 — 28.00	20,000	4.0	28.00	20,000	28.00
	3,872,946	7.0	$ 6.66	1,823,737	$ 7.62

The aggregate intrinsic value of options outstanding at December 31, 2006 was $1,980, of which $1,360 related to exercisable options. The weighted average fair value of options granted in year ended December 31, 2006, 2005 and 2004 was $4.03, $4.52 and $3.89 per share, respectively. The intrinsic value of

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options exercised in the year ended December 31, 2006, 2005 and 2004 was $317, $805 and $227, respectively.

The total compensation cost not yet recognized as of December 31, 2006 related to non-vested option awards was $6.4 million, which will be recognized over a weighted-average period of 3 years. During the year ended December 31, 2006, there were 604,466 shares forfeited with a weighted average grant date fair values of $4.08 per share. The weighted average remaining contractual life for options exercisable at December 31, 2006 was 5.3 years.

On January 19, 2006, we granted 40,860 shares of restricted stock to employees of our chemistry services business, which vested upon their separation from ArQule pursuant to a plan of termination (See Note 10, Restructuring Actions). Through December 31, 2006, 3,880 shares were forfeited, and the remaining 36,980 shares were fully vested. The shares of restricted stock were issued at no cost to the recipients. The fair value of the restricted stock at the time of grant was $5.73 per share, and was expensed ratably over the vesting period. We recognized share-based compensation expense related to the restricted stock of $212 for the year ended December 31, 2006.

In 1996, the stockholders adopted the 1996 Employee Stock Purchase Plan (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. As of December 31, 2006, 1,019,128 shares have been purchased pursuant to the Purchase Plan. In May 2005, our shareholders approved an amendment to the Purchase Plan to increase the aggregate number of shares of the Company’s common stock that may be issued from 1,020,000 shares to 1,230,000 shares. As of December 31, 2006, there were 210,872 shares available for future sale under the Employee Stock Purchase Plan.

14. INCOME TAXES

There was no current or deferred tax expense for the year ended December 31, 2006, 2005 or 2004.

The following is a reconciliation between the U.S. federal statutory rate and the effective tax rate for continuing operations for the years ended December 31, 2006, 2005 and 2004:

	2006	2005	2004
Income tax (benefit) expense at statutory rate	$ (16,056)	$ (8,033)	$ (7,508)
State tax (benefit) expense, net of Federal tax (benefit) expense	(2,799)	(1,431)	(1,332)
Permanent items	688	108	34
Effect of change in valuation allowance	19,662	10,133	2,465
Stock-based compensation.	—	—	6,542
Tax credits	(2,001)	(893)	(470)
Other	506	116	269
Tax expense	$ —	$ —	$ —

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

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, 2006 and 2005:

	2006	2005
Deferred tax assets:		
Pre-operating costs capitalized for tax purposes	$ 41	$ 62
Net operating loss carryforwards	41,534	35,231
Tax credit carryforwards	12,303	10,651
Equity based compensation	518	43
Book depreciation in excess of tax	3,674	3,109
Reserves and accruals	456	1,042
Deferred revenue	2,109	3,004
Loss on investment	2,013	2,013
Other	41	25
	62,689	55,180
Valuation allowance	(62,689)	(55,180)
Deferred tax liabilities	—	—
Net deferred tax assets	$ —	$ —

Total valuation allowance increased by $7,509 for the year ended December 31, 2006. As required by Statement of Financial Accounting Standards No. 109, *Accounting for Income Taxes* , 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 & 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, 2006.

As of December 31, 2006, we had federal NOL, state NOL, and research and development credit carryforwards of approximately $126,104, $75,723 and $13,724 respectively, which can be used to offset future federal and state income tax liabilities and expire at various dates through 2026. Federal net capital loss carryforwards of approximately $5,000 can be used to offset future federal capital gains and expire at various dates through 2008.

Approximately $17,247 of our federal NOL and $1,816 of our state NOL were generated form 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. Our ability to utilize our NOL, net capital loss and credit carryforwards may be limited in the event of an ownership change as defined in Internal Revenue Code section 382 and 383. Generally, an ownership change occurs when the ownership percentage of 5% or greater shareholders increases by more than 50% over a three-year period. Accordingly, purchases of our stock in amounts greater than specified levels could inadvertently limit our ability to utilize our NOL, net capital loss and credit carryforwards for tax purposes.

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15. COMMITMENTS AND CONTINGENCIES

Leases

We lease facilities under non-cancelable operating leases. At December 31, 2006, the minimum lease commitments for all leased facilities, net of sublease income, are as follows:

YEAR ENDING DECEMBER 31,	OPERATING LEASES
2007	$ 3,830
2008	3,854
2009	3,982
2010	3,476
2011	3,523
Thereafter	10,900
Total minimum lease payments	$ 29,565

Included in the total minimum payments for operating leases is approximately $2.0 million related to unoccupied real estate in California, net of contractual sublease income, which is accrued as a net liability as a part of the Company's restructuring accrual. (See Note 10).

Rent expense under non-cancelable operating leases was approximately $3,142, $2,341 and $1,552 for the years ended December 31, 2006, 2005 and 2004, respectively. Sublease income, which is recorded as a reduction of rent expense, was approximately $402, $316, and $410 for the years ended December 31, 2006, 2005 and 2004 respectively.

On January 16, 2002, we brought a complaint in the Superior Court of Middlesex County in the Commonwealth of Massachusetts for declaratory relief and damages against Cummings Properties, LLC ("Cummings") arising from a dispute over increased lease rates related to approximately 35,500 square feet of laboratory and office space in Medford, Massachusetts. As a result of developments in the pre-trial phase of our litigation, in the fourth quarter of 2004, we recorded an expense of $637 to accrue the difference between our contractual lease obligations for a portion of the Medford facility and the amount of contractual sublease income we expected to receive over the term of the lease ("accrued loss on sublease"). On October 11, 2005, the parties agreed to settle the lawsuit and file with the Court a stipulation of dismissal of the lawsuit with prejudice. In exchange for Cummings forgiving a portion of the rental payment obligations for the period from November 1, 2005 through July 30, 2006, we paid Cummings $262 and assigned our sublease rent payments during that period to Cummings and guaranteed those payments. There are no remaining sublease payments due at December 31, 2006.

16. CONCENTRATION OF CREDIT RISK

Revenue from one customer represented 100% of total revenue during 2004, 2005 and 2006. One customer accounted for 78% of our accounts receivable balance at December 31, 2004, and 100% of our accounts receivable balance at December 31, 2005. There was no accounts receivable balance at December 31, 2006. We do not require collateral on accounts receivable balances.

17. SUBSEQUENT EVENT

In January 2007, we entered into a $5.0 million, eight-month sponsored research agreement with the newly established Boston Biomedical, Inc. ("BBI"), an independent corporation led by our former chief scientific officer. Approximately 26 former employees of ArQule have joined BBI.

BBI is conducting scientific research under the agreement that will include a number of *in vivo* and *in vitro* studies, reports and publications related to mechanisms of action and biomarkers for our lead products, which are in human clinical trials. These products include ARQ 197, ARQ 501 and ARQ 171. We will retain all intellectual property and technology rights related to research conducted by BBI employees under the contract. ArQule has no equity position in BBI.

In connection with the foregoing events, on January 26, 2007, our former chief scientific officer entered into a separation agreement and general release with us and was paid a lump sum severance payment comprised of (i) one year's salary in the amount of $321 (ii) the average of his cash bonuses over the last two years in the amount of $110 and (iii) the amount of $113 to which he was entitled under our Annual Incentive Program for fiscal year 2006.

In addition, he was granted an option to purchase 64,375 shares of our common stock, which is fully vested and exercisable on the date of grant and expires on December 31, 2008. His previously vested option grants covering 216,250 shares were amended to extend the exercise period through December 31, 2007. In connection with his appointment as Chairman of our Scientific Advisory Board, he was granted an additional option to purchase 12,500 shares, which are fully vested and exercisable on the date of grant and will expire ten years after the date of grant. As a result of his separation of service, all his unvested options have lapsed.

Approximately 26 of our former employees joined BBI in January 2007 and each employee who transitioned to BBI executed and delivered a Separation Agreement and General Release. In consideration for entering into such agreement, each employee received a fully-vested option to purchase shares of our common stock with an exercise period terminating December 31, 2008, as well as an amendment to their previously vested stock options to extend the exercise period through December 31, 2007. The total number of fully vested stock options issued to these employees was 87,500, and the total number of stock options that were amended to extend the exercise was 92,504. As a result of separation of service all unvested options of such employees have lapsed.

In the first quarter of 2007, we will expense approximately: $431 related to lump sum cash payments under the separation and general release agreement with our former chief scientific officer, $205 for stock options granted to him, and $169 arising from the extension of the exercise period of his vested options. Additionally, in the first quarter of 2007 we will expense approximately $200 for stock options granted to other employees related to their separation agreements and releases, and $72 arising from the extension of the exercise period of their vested options.

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18. SELECTED QUARTERLY FINANCIAL DATA

(UNAUDITED—RESTATED FOR DISCONTINUED OPERATIONS)

	FIRST QUARTER	SECOND QUARTER	THIRD QUARTER	FOURTH QUARTER
2006				
Net revenues	$ 1,652	$ 1,652	$ 1,652	$ 1,670
Net loss from continuing operations	(9,719)	(9,415)	(15,321)	(12,768)
Income (loss) from discontinued operations	14,005	1,840	—	(62)
Net income (loss)	4,286	(7,575)	(15,321)	(12,830)
Basic and diluted income (loss) per share:				
Net loss from continuing operations	$ (0.28)	$ (0.26)	$ (0.43)	$ (0.36)
Net income from discontinued operations	0.40	0.05	—	—
Net income (loss) per share	$ 0.12	$ (0.21)	$ (0.43)	$ (0.36)

	FIRST QUARTER	SECOND QUARTER	THIRD QUARTER	FOURTH QUARTER
2005				
Net revenues	$ 1,652	$ 1,652	$ 1,652	$ 1,672
Net loss from continuing operations	(6,355)	(6,529)	(5,224)	(5,517)
Income from discontinued operations	4,912	4,102	4,307	2,784
Net loss	(1,443)	(2,427)	(917)	(2,733)
Basic and diluted income (loss) per share:				
Net loss from continuing operations	$ (0.19)	$ (0.19)	$ (0.15)	$ (0.16)
Net income from discontinued operations	0.15	0.12	0.12	0.08
Net loss per share	$ (0.04)	$ (0.07)	$ (0.03)	$ (0.08)

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

Under the supervision and with the participation of our management, including our principal executive officer and principal financial officer, we conducted an evaluation of our disclosure controls and procedures, as such term is defined under Rule 13a-15(e) promulgated under the Securities Exchange Act of 1934, as amended (the "Exchange Act"). Based on this evaluation, our principal executive officer and our principal financial officer concluded that our disclosure controls and procedures were effective as of the end of the period covered by this annual report.

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, 2006.

Our management's assessment of the effectiveness of our internal control over financial reporting as of December 31, 2006 has been audited by PricewaterhouseCoopers LLP, an independent registered public accounting firm, as stated in their report which is included herein.

ITEM 9B. OTHER INFORMATION

None.

PART III

Certain information relating to our directors and executive officers is contained under the caption "Executive Officers and Directors" in Part I of this Annual Report on Form 10-K. The remainder of the information required by Items 10, 11, 12, 13, and 14 of Form 10-K is incorporated by reference from the discussion responsive thereto under the captions "Election of Directors" and "To Ratify The Selection Of an Independent Registered Public Accounting Firm" in our Proxy Statement relating to our 2007 Annual Meeting of Stockholders scheduled for May 18, 2007.

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 and are shown in the financial statements of the footnotes thereto.

3. EXHIBITS

EXHIBIT NO.	DESCRIPTION
3.1	Amended and Restated Certificate of Incorporation of the Company. Filed as Exhibit 3.1 to the Company's Registration Statement on Form S-1 (File No. 333-22945) and incorporated herein by reference.
3.2	Certificate of Amendment to Amended and Restated Certificate of Incorporation filed as Exhibit 3.1 to the Company's Quarterly Report on Form 10-Q for the quarter ended June 30, 2006 (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 Quarterly Report on Form 10-Q for the quarter ended June 30, 1999 (File No. 000-21429) and incorporated herein by reference.
4.1	Specimen Common Stock Certificate. Filed as Exhibit 4.1 to the Company's Registration Statement on Form S-1 (File No. 333-11105) and incorporated herein by reference.
10.1*	Amended and Restated 1994 Equity Incentive Plan, as amended through May 11, 2005. Filed as Exhibit 4 to the Company's Registration Statement on Form S-8 filed on September 30, 2005 (File No. 333-128740) and incorporated herein by reference.
10.2*	Amended and Restated 1996 Employee Stock Purchase Plan. Filed as Annex C to the Company's Proxy Statement filed on April 14, 2006 (File No. 000-21429) and incorporated herein by reference.
10.3*	Amended and Restated 1996 Director Stock Option Plan. Filed as Annex B to the Company's Proxy Statement filed on April 14, 2006 (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 Research and Development and License Agreement between Solvay Pharmaceuticals B.V. and the Company, dated as of January 1, 2001. Filed as Exhibit 10.6.1 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2003 filed with the Commission on March 12, 2004 (File No. 000-21429) and incorporated herein by reference.
10.6+	Research and License Agreement between the Company and American Home Products Corporation acting through its Wyeth-Ayerst Research Division dated July 3, 1997. Filed as Exhibit 99.4 to the Company's Current Report on Form 8-K filed with the Commission on August 19, 2003 (File No. 000-21249) and incorporated herein by reference.
10.7+	Amended and Restated Research and Development Agreement between the Company and Sankyo Co., Ltd., dated as of April 2, 2001. Initially filed as Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the quarter ended June 30, 2001 (File No. 000-21429) with certain confidential material omitted and filed herewith in its entirety.
10.8+	Technology Acquisition Agreement between Pfizer Inc and the Company, dated as of July 19, 1999. Filed as Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the quarter ended September 30, 1999 (File No. 000-21429) and incorporated herein by reference.
10.9+	Collaboration Agreement between Pfizer Inc and the Company, dated as of December 19, 2001. Filed as Exhibit 10.39 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2001 filed with the commission on March 27, 2002 (File No. 000-21429) and incorporated herein by reference.
10.10	Lease by and between Pacific Shores Center LLC and the Company, dated March 1, 2002. Filed as Exhibit 10.40 to the Company's Quarterly Report on Form 10-Q for the quarter ended March 31, 2002 (File No. 000-21429) and incorporate herein by reference.

10.11*	Employment Agreement between the Company and Chiang J. Li, MD, dated September 5, 2003. Filed as Exhibit 10.44 to the Company's Quarterly Report on Form 10-Q for the quarter ended September 30, 2003 (File No. 000-21429) and incorporated herein by reference.
10.12*	Employment Agreement between the Company and Stephen A Hill, dated January 1, 2004. Filed as Exhibit 10.45 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2003 filed with the Commission on March 12, 2004 (File No. 000-21429) and incorporated herein by reference.
10.13+	Amendment to the Collaboration Agreement between Pfizer Inc and the Company dated January 29, 2004. Filed as Exhibit 10.48 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2003 filed with the Commission on March 12, 2004 (File No. 000-21429) and incorporated herein by reference.
10.14+	Strategic Alliance Agreement by and between F. Hoffmann - La Roche Ltd., Hoffmann - La Roche Inc. and the Company dated April 1, 2004. Filed as Exhibit 10.49+ to the Company's Quarterly Report on Form 10-Q for the quarter ended March 31,2004 filed with the Commission on May 7, 2004 (File No. 000-21429) and incorporated herein by reference.
10.15	Form of Agreement of Purchase and Sale between ARE-MA Region No. 20, LLC and the Company, dated April 28, 2005. Filed as Exhibit 10.1 to the Company's Current Report on Form 8-K filed with the Commission on May 6, 2005 (File No. 000-21429) and incorporated herein by reference.
10.16	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 with the Commission on August 5, 2005 (file No. 000-21429) and incorporated herein by reference.
10.17*	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.
10.18*	Employment Agreement between the Company and Nigel J. Rulewski, MD, dated August 1, 2006. Filed as Exhibit 10.1 to the Company's Current Report on Form 8-K dated August 1, 2006 (File No. 000-21429) and incorporated herein by reference.
10.19	Scientific Advisory Board Chairman Agreement, by and between the Company and Chiang J. Li, M.D., dated January 26, 2007. Filed as Exhibit 10.1 to the Company's Current Report on Form 8-K dated February 1, 2007 (File No. 000-21429) and incorporated herein by reference.
10.20*	Separation Agreement and General Release, by and between the Company and Chiang J. Li, M.D., dated January 26, 2007. Filed as Exhibit 10.2 to the Company's Current Report on Form 8-K dated February 1, 2007 (File No. 000-21429) and incorporated herein by reference.
10.21+	Research Agreement, by and between the Company and BBI, dated January 26, 2007. Filed as Exhibit 10.1 to the Company's Current Report on Form 8-K/A dated March 7, 2007 (File No. 000-21429) and incorporated herein by reference.
23.1	Consent of PricewaterhouseCoopers LLP, an Independent Registered Public Accounting Firm, filed herewith.
31.1	Rule 13a-14(a) Certificate of Chief Executive Officer, filed herewith.
31.2	Rule 13a-14(a) Certificate of Chief Financial Officer, filed herewith.
32	Rule 13a-14(b) Certificate of Chief Executive Officer and Chief Financial Officer, filed herewith.

* 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/ STEPHEN A. HILL
Stephen A. Hill
President and Chief Executive Officer

Date: March 9, 2007

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/ STEPHEN A. HILL Stephen A. Hill	President, Chief Executive Officer and Director (Principal Executive Officer)	March 9, 2007
/s/ RICHARD H. WOODRICH Richard H. Woodrich	Acting Chief Financial Officer (Principal Accounting and Financial Officer)	March 9, 2007
/s/ PATRICK J. ZENNER Patrick J. Zenner	Director—Chairman of the Board	March 9, 2007
/s/ TIMOTHY C. BARABE Timothy C. Barabe	Director	March 9, 2007
/s/ RONALD M. LINDSAY Ronald M. Lindsay	Director	March 9, 2007
/s/ MICHAEL D. LOBERG Michael D. Loberg	Director	March 9, 2007
/s/ WILLIAM G. MESSENGER William G. Messenger	Director	March 9, 2007
/s/ NANCY A. SIMONIAN Nancy A. Simonian	Director	March 9, 2007

EXHIBIT 23.1

CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

We hereby consent to the incorporation by reference in the Registration Statements on Form S-8 (File Nos. 333-130159, 333-128741, 333-128740, 333-128738) and Form S-3 (File Nos. 333-109564 and 333-111181) of ArQule, Inc., of our report dated March 9, 2007 relating to the financial statements, management's assessment of the effectiveness of internal control over financial reporting and the effectiveness of internal control over financial reporting, which appears in this Form 10-K.

/s/ PricewaterhouseCoopers LLP

Boston, Massachusetts
March 9, 2007

Exhibit 31.1

CERTIFICATE OF CHIEF EXECUTIVE OFFICER

I, Stephen A. Hill, certify that:

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

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

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

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

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

   b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles;

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

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

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

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

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

Date: March 9, 2007

/s/ STEPHEN A. HILL
Stephen A. Hill
President and Chief Executive Officer

Exhibit 31.2

CERTIFICATE OF CHIEF FINANCIAL OFFICER

I, Richard H. Woodrich, certify that:

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

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

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

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

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

   b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles;

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

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

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

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

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

Date: March 9, 2007

/s/ RICHARD H. WOODRICH
Richard H. Woodrich
Acting Chief Financial Officer
(Principal Accounting and Financial Officer)

Exhibit 32

ArQule, Inc.

CERTIFICATE OF THE CHIEF EXECUTIVE OFFICER AND CHIEF FINANCIAL OFFICER

The undersigned, Stephen A. Hill, President and Chief Executive Officer of ArQule, Inc. (the "Company") and Richard H. Woodrich, Principal Financial and Accounting Officer of the Company, both duly elected and currently serving, do each hereby certify that, to the best of his/her knowledge:

1. The annual report on Form 10-K for the period ending December 31, 2006 **,** filed on behalf of the Company pursuant to the Securities Exchange Act of 1934 (the "Exchange Act") and containing the financial statements of the Company, fully complies with the requirements of section 13(a) of the Exchange Act; and

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

This certification accompanies the Company's Annual Report on Form 10-K for the year ended December 31, 2006 pursuant to Section 906 of the Sarbanes-Oxley Act of 2002 (the "2002 Act") and shall not be deemed filed by the Company for purposes of Section 18 of the Exchange Act.

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

IN WITNESS WHEREOF, the undersigned have executed this Certificate as of the 9th day of March 2007.

/s/ STEPHEN A. HILL
Name: Stephen A. Hill
Title: President and Chief Executive Officer

/s/ RICHARD H. WOODRICH
Name: Richard H. Woodrich
Title: Acting Chief Financial Officer (Principal Accounting and Financial Officer)