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

SCHEDULE 14A

Proxy Statement Pursuant to Section 14(a) of the Securities Exchange Act of 1934 (Amendment No.)

Filed by the Registrant x Filed by a Party other than the Registrant o Check the appropriate box: **Preliminary Proxy Statement** Confidential, for Use of the Commission Only (as permitted by Rule 14a-6(e)(2)0 **Definitive Proxy Statement** X **Definitive Additional Materials** 0 Soliciting Material under §240.14a-12 0 ArQule, Inc. (Name of Registrant as Specified In Its Charter) (Name of Person(s) Filing Proxy Statement, if other than the Registrant) Payment of Filing Fee (Check the appropriate box): No fee required. Fee computed on table below per Exchange Act Rules 14a-6(i)(1) and 0-11. 0 Title of each class of securities to which transaction applies: (1) (2) Aggregate number of securities to which transaction applies: (3) Per unit price or other underlying value of transaction computed pursuant to Exchange Act Rule 0-11 (set forth the amount on which the filing fee is calculated and state how it was determined): (4) Proposed maximum aggregate value of transaction: Total fee paid: (5) Fee paid previously with preliminary materials. 0 Check box if any part of the fee is offset as provided by Exchange Act Rule 0-11(a)(2) and 0 identify the filing for which the offsetting fee was paid previously. Identify the previous filing by registration statement number, or the Form or Schedule and the date of its filing.

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LETTER TO SHAREHOLDERS

Clinical progress and setbacks characterize the cycle of new product development for biotechnology companies, and ArQule was no exception during 2012. Following the events of the past year, the primary clinical focus of the Company is now the Phase 3 METIV-HCC trial in second line hepatocellular carcinoma (HCC), which is being conducted under a Special Protocol Assessment (SPA) agreement with the FDA that includes a companion diagnostic for testing MET levels. We began enrolling patients in January, 2013, and the rate of enrollment will depend upon the screen failure rate for patients, all of whom must test MET-high upon enrollment in this trial.

The second line setting in HCC represents an urgent medical need, as no systemic therapy has yet been shown to be effective for patients with advanced HCC following failure of first-line therapy with sorafenib. We believe this setting presents a compelling opportunity to achieve Phase 3 success based on the findings from our randomized, double blind, placebo controlled Phase 2 second line HCC trial featured at the American Society for Clinical Oncology (ASCO) Annual Meeting in June, 2012.

These exciting findings were the first randomized data reported with a c-Met inhibitor administered as a single agent in this disease. Treatment with tivantinib not only met the overall endpoint of the study in the intent-to-treat population but also produced pronounced, consistent benefits in overall survival (OS), time-to-progression (TTP) and progression-free survival (PFS) among patients with high levels of MET. The complete findings from this trial were recently published in The Lancet Oncology.

In our non-small cell lung cancer (NSCLC) program, the Phase 3 MARQUEE trial was halted last fall for futility at a pre-planned interim analysis based on the recommendation of the independent Data Monitoring Committee. At the time, the top-line analysis showed a statistically significant improvement in PFS in the non-squamous cell intent-to-treat population who received the combination of tivantinib and erlotinib, but this benefit was not expected to carry over to OS. No unexpected safety findings were identified, and the trial formally concluded in December 2012. We plan to present detailed data analyses from MARQUEE at a peer-reviewed forum in the second half of this year.

The second Phase 3 trial in non-squamous NSCLC named ATTENTION and employing the same combination regimen as MARQUEE is continuing in Japan, South Korea and Taiwan under the sponsorship of our partner, Kyowa Hakko Kirin. The trial was originally intended to enroll 450 patients, but additional recruitment was permanently suspended once approximately 300 patients had been recruited because of an observed imbalance in cases of interstitial lung disease (ILD). Of interest, a recent epidemiological study identified ILD as an adverse drug reaction of concern in Japanese patients with NSCLC receiving erlotinib therapy alone.

Kyowa has informed us that where possible, patients already enrolled in ATTENTION were re-consented following the permanent suspension of additional recruitment and continued to receive treatment if still on therapy as per protocol. Data from the trial, expected to be available in late 2013 or early 2014, will serve to complement the analyses from the MARQUEE trial with regard to the impact of tivantinib in non-squamous NSCLC and pre-specified sub-groups.

Rounding out our NSCLC program with tivantinib are two additional trials. The first is a randomized, open-label Phase 2 trial in the U.S. in patients with KRAS mutations who are receiving tivantinib plus erlotinib versus chemotherapy, and the second is a Phase 2, open-label, single arm study of tivantinib plus erlotinib in Japan in patients with locally advanced or metastatic EGFR mutation-positive NSCLC. Data from the first trial are expected later this year, and data from the second should be available next year.

To determine if there is still a path forward in NSCLC for tivantinib and what that path might be, we will take into consideration the extensive body of data that is emerging from the MARQUEE data base, the final results of the ATTENTION trial and any additional data that will emerge from the ongoing Phase 2 trials.

In January of this year, we announced the top-line results of a randomized Phase 2 trial conducted by our partner Daiichi Sankyo Co., Inc. with tivantinib in combination with irinotecan and cetuximab in second-line colorectal cancer (CRC). We are targeting the June, 2013 ASCO meeting to present more detailed data from this trial that will include analyses of patient sub-groups, biomarker status, regional variability and more mature overall survival. Following the presentation of the full data set, we will convene a panel of CRC experts to assess any viable future strategy in this tumor type.

The National Cancer Institute is supporting a number of investigator-sponsored clinical trials with tivantinib as a single agent and in multiple combinations in several tumor types. These trials have progressed to the point where we expect them to generate data signals over the next 12 to 24 months. As these results become available, we will notify the investment community.

Our proprietary pipeline has been expanded significantly as a result of two developments. The most recent occurred in April, 2013 when we regained worldwide rights for compounds covered under our concluded AKIPTM (ArQule Kinase Inhibitor Platform) collaboration with Daiichi Sankyo, including the lead compound that emerged from this collaboration, ARQ 092, an inhibitor of the serine/threonine kinase, AKT. Regaining these rights adds significant value for ArQule, as it expands our pipeline in an exciting area of therapeutic development. Researchers presented data from an ongoing Phase 1 trial with ARQ 092 at the AACR (American Association for Cancer Research) Annual Meeting on April 9, 2013 showing that this novel oral agent has demonstrated a manageable safety profile and AKT target inhibition in data analyzed to date.

The second pipeline development took place late in 2012 when we initiated a Phase 1 trial with ARQ 087, an orally bioavailable, potent multi-kinase inhibitor with pan FGFR (fibroblast growth factor receptor) activity that was discovered and refined through our AKIPTM technology. Our Phase 1 patient selection strategy includes validating FGFR family members as predictive biomarkers and evaluating their association with toxicity and clinical activity.

Beyond ARQ 092 and ARQ 087, our early-stage product portfolio includes ARQ 621, an inhibitor of the Eg5 kinesin motor protein, and ARQ 736, an inhibitor of the RAF kinases, both of which have completed Phase 1 testing. Our strategy with all of these product candidates is to generate pre-clinical and early clinical data that will inform decisions to initiate Phase 2 testing with one or more of them either independently or on a partnered basis.

ArQule continues to be well capitalized. We ended 2012 with \$130.6 million in cash and marketable securities, which was in line with the top range of our guidance for the year. We expect that these financial resources will be sufficient to finance our working capital requirements well into 2015. The next 12 to 24 months will be an eventful period filled with clinical progress updates and data read-outs that will further define the prospects for our portfolio of products and target indications.

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Paolo Pucci Chief Executive Officer

ARQULE, INC.

NOTICE OF ANNUAL MEETING OF STOCKHOLDERS

TO BE HELD MAY 20, 2013

To Our Stockholders,

Our 2013 Annual Meeting of Stockholders will be held at the offices of ArQule, Inc. at 19 Presidential Way, Woburn, Massachusetts 01801-5140 at 10:00 a.m. Eastern Daylight Saving Time on May 20, 2013 for the following purposes:

1.

To elect Susan L. Kelley, M.D. and Michael D. Loberg, Ph.D. as directors to hold office for a term of three years and until their respective successors are elected and qualified;

2.

To ratify the selection of PricewaterhouseCoopers LLP, an independent registered public accounting firm, to audit our financial statements for the year ending December 31, 2013;

3.

To approve, by non-binding vote, the compensation of our named executive officers; and

4.

To transact any other business that may properly come before the meeting or any adjournment of the meeting. Only stockholders of record at the close of business on March 29, 2013 will be entitled to vote at the meeting or any adjournment. A list of these stockholders will be available during ordinary business hours at the offices of ArQule, Inc. for a period beginning ten days before the meeting. Any stockholder may examine the list for any purpose germane to the meeting.

We look forward to seeing you at the meeting.

IT IS IMPORTANT THAT YOUR SHARES ARE REPRESENTED AT THE MEETING. THEREFORE, WHETHER OR NOT YOU PLAN TO ATTEND THE MEETING, PLEASE COMPLETE YOUR PROXY AND RETURN IT IN THE ENCLOSED ENVELOPE, WHICH REQUIRES NO POSTAGE IF MAILED IN THE UNITED STATES. YOU MAY ALSO SUBMIT YOUR PROXY ELECTRONICALLY OR BY TELEPHONE, ACCORDING TO THE INSTRUCTIONS ON THE ENCLOSED PROXY CARD. IF YOU ATTEND THE MEETING AND WISH TO VOTE IN PERSON, YOUR PROXY WILL NOT BE USED. YOU MAY REVOKE YOUR PROXY AT ANY TIME BEFORE IT IS VOTED.

By order of our Board of Directors,

Peter S. Lawrence President and Chief Operating Officer

Woburn, Massachusetts

Dated: April 19, 2013

19 Presidential Way Woburn, Massachusetts 01801-5140 Telephone: (781) 994-0300

Proxy Statement

QUESTIONS AND ANSWERS ABOUT THE MEETING AND VOTING

Why am I receiving these materials?

ARQULE, INC.

ArQule, Inc., a Delaware corporation ("ArQule", the "Company", "we", "us", or "our") sent you the Notice of Annual Meeting this Proxy Statement and the accompanying proxy card because according to our stockholder records you own shares of our common stock, \$0.01 par value ("Common Stock"). Any stockholder of record at the close of business on March 29, 2013 is entitled to vote those shares at the Company's upcoming Annual Meeting of Stockholders ("Annual Meeting"), which will be held on May 20, 2013 at 10:00 Eastern Daylight Saving Time at our offices at 19 Presidential Way, Woburn, Massachusetts 01801-5140. Our Board of Directors (the "Board") is soliciting your proxy to vote at the Annual Meeting. All stockholders are invited to attend the Annual Meeting and are entitled to and requested to vote on the items of business described in this Proxy Statement; however, you do not need to attend the meeting to vote your shares. Instead, you may simply complete, sign and return the accompanying proxy card, or follow the instructions below to submit your proxy by telephone or on the Internet. Although our Annual Report on Form 10-K for the year ended December 31, 2012 is being delivered with the Proxy Statement, the Annual Report should not be deemed to be a part of the Proxy Statement.

What is a proxy statement and what is a proxy?

A proxy statement is a document that the Securities and Exchange Commission ("SEC") regulations require us to give you when we ask you to sign a proxy designating individuals to vote on your behalf. A proxy is your legal designation of another person to vote the shares you own. That other person is called a proxy. If you designate someone as your proxy in a written document, that document also is called a proxy or proxy card. We have designated Peter S. Lawrence and Robert J. Connaughton, Jr. as proxies for the 2013 Annual Meeting. We will first provide this Proxy Statement and proxy card on or about April 19, 2013.

What is the difference between holding shares as a stockholder of record and as a beneficial stockholder?

If your shares are registered directly in your name with our register and transfer agent, American Stock and Transfer, you are considered a stockholder of record with respect to those shares. If your shares are held in a bank or brokerage account or with another nominee, you are considered the "beneficial owner" of those shares.

What am I voting on?

The principal business expected to be transacted at the Annual Meeting, as more fully described below, will be:

the election of directors;

ratification of the selection of PricewaterhouseCoopers LLP to audit our financial statements for the year ending December 31, 2013;

approval, by non-binding vote, of our named executive officers' compensation.

We will also consider any other business as may properly come before the meeting or any adjournment thereof. See "What happens if additional matters are presented at the Annual Meeting?" below.

What are my voting choices for each of the proposals to be voted on at the Annual Meeting?

Proposal Voting Choices and Board Recommendation vote in favor of all nominees. Proposal 1: Election of Directors withhold authority to vote for all nominees. withhold authority to vote for any specified nominee. The Board recommends a vote FOR each of the nominees. vote in favor of the ratification; Proposal 2: Ratification of Independent vote against the ratification; or Registered Public Accounting Firm abstain from voting on the ratification. The Board recommends a vote FOR ratification. vote in favor of the advisory proposal; Proposal 3: Advisory Proposal to Approve vote against the advisory proposal; or **Executive Compensation** abstain from voting on the advisory proposal. The Board recommends a vote FOR the advisory vote to approve executive compensation.

For Proposal 1, the affirmative vote of a plurality of the shares of Common Stock cast by stockholders present at the meeting, in person or by proxy, and entitled to vote on this proposal is required to elect each of the nominees. In order to be approved, each other proposal will require the affirmative vote of a majority of shares cast by stockholders voting in person or by proxy at the meeting. As an advisory vote, Proposal 3, the proposal to approve executive compensation, is not binding on the Company. However, the Compensation, Nominating and Governance Committee of the Board (the "Compensation Committee") which is responsible for designing and administering our executive compensation program, values the opinions expressed by stockholders and will consider the outcome of the vote when making future compensation decisions.

What shares can I vote?

Each holder of ArQule Common Stock is entitled to one vote for each share of Common Stock held as of the record date on each matter to be voted on at the Annual Meeting. March 29, 2013 is the record date for our 2013 Annual Meeting. On that date, we had outstanding 62,381,085 shares of Common Stock.

How many shares must be present or represented to conduct business at the Annual Meeting?

The presence at the meeting, in person or by proxy, of a majority of our outstanding shares of Common Stock entitled to vote at the Annual Meeting shall constitute a quorum for the transaction of business. For purposes of determining whether a quorum exists, proxies received but marked "ABSTAIN" and so-called "broker non-votes" (described below) will be counted as present.

What if I am a beneficial owner and do not give voting instructions to my bank, broker or other nominee?

As a beneficial owner, in order to ensure that your shares of Common Stock are voted in the way you would like, you must provide voting instructions to your bank, broker or other nominee by the deadline provided in the materials received from your banker, broker or other nominee. If you do not provide voting instructions to your bank, broker or other nominee, whether your shares of Common Stock can be voted by such person depends on the type of item being voted on.

Non-Discretionary Items. The election of directors and the advisory proposal to approve executive compensation are non-discretionary items and may not be voted by banks, brokers or other nominees who have not received specific voting instructions from beneficial owners. If such a matter comes before the meeting and you have not specifically instructed your bank, broker or other nominee how to vote your shares, your shares will not be voted on that matter, creating what is called a "broker non-vote".

Discretionary Items. The ratification of the appointment of PricewaterhouseCoopers LLP as our independent registered public accounting firm is a discretionary item. Generally, banks, brokers or other nominees that do not receive specific voting instructions from beneficial owners may vote on this proposal at their discretion. How are abstentions and broker non-votes counted?

Abstentions and broker non-votes are included in determining whether a quorum is present and will have the following effects on each proposal:

| Proposal | Abstentions | Broker Non-Votes |
|--|-----------------------------------|-----------------------------------|
| Proposal 1: Election of Directors | N/A | Not counted and no effect on vote |
| Proposal 2: Ratification of Independent Registered Public Accounting Firm | Not counted and no effect on vote | N/A |
| Proposal 3: Advisory Proposal to Approve Executive Compensation | Not counted and no effect on vote | Not counted and no effect on vote |

How do I vote in person if my shares are held by my broker?

If your shares are held by your broker in "street name", you will need to instruct your broker how to vote your shares in the manner provided by your broker. If your shares are held in "street name" and you wish to vote them in person at the meeting, you must obtain from your broker a properly executed legal proxy, identifying you as an ArQule stockholder, authorizing you to act on behalf of the broker at the meeting and specifying the number of shares with respect to which the authorization is granted.

What different methods can I use to vote?

Your vote is very important. Whether or not you plan to attend the meeting, we urge you to either:

- complete, sign, date and return the accompanying proxy card;
- vote on the Internet pursuant to the instructions provided in the proxy card, or

vote by telephone (toll-free) in the United States or Canada, in accordance with the instructions on the proxy card. What if I am a stockholder of record and do not specify a choice for a matter when returning a proxy?

Stockholders should specify their choice for each matter on the Proxy Card. If you submit a proxy without directions for voting on the matters to be considered at the Annual Meeting, the proxy will be voted "FOR" the election of the nominees listed herein and "FOR" proposals 2 and 3.

Can I change my vote after I have already voted?

Stockholders may revoke the authority granted by an executed proxy at any time before its exercise by voting in person at the meeting or by filing with our President and Chief Operating Officer a written revocation or a duly executed proxy with a later date. If your shares are held in "street name", you should contact your broker for instructions on changing your vote.

Who will bear the cost of soliciting votes for the Annual Meeting?

We will bear the cost of solicitation of proxies, including charges and expenses of brokerage firms and others for forwarding solicitation material by mail to beneficial owners of stock. We have engaged The Proxy Advisory Group, LLC®, to assist in the solicitation of proxies and to provide related advice and informational support for a services fee and the reimbursement of customary disbursements in an amount that is not expected to exceed \$24,000 in the aggregate. In addition, our officers, employees and other representatives may solicit proxies in person or by telephone.

What happens if additional matters are presented at the Annual Meeting?

Other than the items of business described in this Proxy Statement, we are not aware of any other business to be acted upon at the Annual Meeting. If you grant a proxy, the persons named as proxy holders will have the discretion to vote your shares on any additional matters properly presented for a vote at the meeting. If for any reason either of our nominees is not available as a candidate for director, the persons named as proxy holders will vote your proxy for such other candidates as may be nominated by the Board.

When will the Company announce the voting results?

We will announce the preliminary voting results at the Annual Meeting. The Company will report the final results in a Current Report on Form 8-K filed with the SEC which can be accessed on the SEC's website at www.sec.gov or on our website at http://investors.arqule.com/sec.cfmhttp://investors.arqule.com/sec.cfm.

Can I access the Notice of Annual Meeting, Proxy Statement and Annual Report on Form 10-K on the internet?

The Notice of Annual Meeting, Proxy Statement and Annual Report are available at www.proxyvote.com. In addition, stockholders are able to view these documents by accessing the "Investors and Media" Section of our website at www.arqule.com and clicking on the heading "Financial Information".

Instead of receiving future copies of our Notice of Annual Meeting, Proxy Statement and Form 10-K by mail, stockholders can elect to receive an e-mail that will provide electronic links to these documents. Opting to receive your proxy materials online will save us the cost of producing and mailing your documents to your home or business, and also will give you an electronic link to the proxy voting site.

Stockholders of Record. If you vote on the internet at www.proxyvote.com, simply follow the prompts for enrolling in the electronic proxy delivery service. You also may enroll in the electronic proxy delivery service at any time in the future by going directly to www.proxyvote.com and following the enrollment instructions.

Beneficial Owners. If you hold your shares of Common Stock in a bank or brokerage account, you also may have the opportunity to receive copies of these documents electronically. Please check the information provided in your proxy material provided to you by your bank or broker regarding the availability of this service.

PROPOSAL 1—ELECTION OF DIRECTORS

Our By-laws provide that the number of directors is established by our Board. For 2013, the number of directors is currently fixed at seven, divided into three classes as equal in number as possible and defined by the expiration dates of their terms of service. At the meeting, two directors will be elected to terms of three years expiring in 2016, and until their respective successors are elected and qualified.

Susan L. Kelley, M.D. and Michael D. Loberg, Ph.D., both of whom are presently serving as directors, have been nominated for re-election by our Board for a term of three years. Unless your proxy withholds authority to vote for any of the nominees, the shares represented by your proxy will be voted for their election as the Board's nominees. If any nominee is unable to serve, which is not expected, the shares represented by your proxy will be voted for such other candidate as may be nominated by the Board.

Vote Required

The affirmative vote of a plurality of the shares of Common Stock cast by the stockholders present at the meeting, in person or by proxy, and entitled to vote on this proposal is required to elect each of the nominees. Broker non-votes and votes withheld will not affect the outcome of the election of directors.

Set forth below is certain information about the qualifications and other directorships of the nominees and our continuing incumbent directors.

Nominees for Election the 2013 Annual Meeting

Susan L. Kelley, M.D. (Age: 58) Dr. Kelley has been a director since April 27, 2011. From 2001 to 2008, Dr. Kelley was employed by Bayer Healthcare Pharmaceuticals and Bayer-Schering Pharma in Germany and the United States, serving as Vice President, Global Strategic Drug Development, Cancer and Metabolics from April 2001 to May 2002 and from May 2002 until June 2008 as Vice President, Global Clinical Development and Therapeutic Area Head-Oncology. From July 2008 to March 2012, she was Chief Medical Officer of The Multiple Myeloma Research Foundation/Consortium. Most recently, she has been an independent consultant to the pharmaceutical and biotechnology industries in the field of oncology drug development and strategy. In March of 2013, Dr. Kelley was appointed a non-executive director of Alchemia Limited, an Australian biotechnology company. Dr. Kelley has an A.B. Biology, magna cum laude from Colgate University and an M.D. from Duke University School of Medicine. She was a Fellow in Medical Oncology and Clinical Fellow in Medicine at Dana-Farber Cancer Institute, Harvard Medical School and a Fellow in Medical Oncology and Pharmacology at Yale University School of Medicine where she also served as a Clinical Assistant Professor of Medicine.

Michael D. Loberg, Ph.D. (Age: 65) Dr. Loberg has been a director since January 2007. Dr. Loberg is a member of the Board of Directors of Inotek Pharmaceuticals Corporation, a developer of ophthalmic medicines, and recently served as its Interim Chief Executive Officer. Previously, he served as Chief Executive Officer and a member of the Board of Directors of NitroMed, Inc., a pharmaceutical company, from September 1997 to March 2006 and as its President from September 2003 to March 2006. From 1979 to 1997, Dr. Loberg held a number of senior management positions at Bristol-Myers Squibb, including President of Bristol-Myers Squibb'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 his employment with Bristol-Myers Squibb, Dr. Loberg was an associate professor of medicine and pharmacy from 1976 to 1979 and an assistant professor from 1973 to 1976 at the University of Maryland. Since 2004, Dr. Loberg has been a director of Kereos, Inc., a developer of targeted molecular imaging agents and therapeutics. Until May 2009 when he did not stand for reelection, he was a director of AMAG, a biotechnology company focused on renal disease. He holds a B.S. in Chemistry from Trinity College and a Ph.D. in Chemistry from Washington University.

OUR BOARD OF DIRECTORS RECOMMENDS A VOTE "FOR" THE ELECTION OF THE NOMINEES LISTED ABOVE.

Directors with Terms Expiring at the 2014 Annual Meeting

Ronald M. Lindsay, Ph.D. (Age: 65) Dr. Lindsay has been a director since June 2005. He currently operates Milestone Consulting, a biopharmaceutical consulting enterprise. He is a director and since October, 2009, has served as Executive Vice President of Research and Development of Sequenom, Inc. Dr. Lindsay is Executive Chairman of NeuroCentRx Pharmaceuticals Ltd., Edinburgh, Scotland, which he co-founded in 2008. 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. 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.

William G. Messenger, D. Min. (Age: 52) Dr. 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. Dr. Messenger also serves as the Executive Editor of the Theology of Work Project, a small international organization conducting research and publishing in the field of business ethics. He currently serves as adjunct professor of business ethics at Laidlaw-Carey Graduate School, Auckland, New Zealand and a lecturer in business ethics at Holy Cross College, Worcester, MA. From 1999 to 2008, Dr. Messenger served as Director of the Mockler Center for Faith and Ethics in the Workplace at Gordon-Cornwell Theological Seminary. Dr. Messenger received a B.S. in Physics with highest honors from Case Western Reserve University, an M.B.A. with high distinction from Harvard Business School, a Master of Divinity degree, summa cum laude, from Boston University School of Theology, and a Doctor of Ministry from Gordon-Conwell Theological Seminary.

Patrick J. Zenner (Age: 66) Mr. Zenner was named Chairman of the Board in May 2004 and has been a director since 2002. Mr. 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. Mr. Zenner held various executive positions during his 32-year career with the company. 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 of the Board of Directors of West Pharmaceutical Services, Inc. In 2010, he resigned from the boards of Geron Corporation, Xoma Ltd. and Exact Sciences, Inc. and in 2012 he resigned from the board of Par Pharmaceutical Companies, Inc. following its acquisition by TPG, a private investment firm. Until its sale in September 2009, Mr. Zenner was a director of CuraGen Corporation. He has a B.S./B.A. from Creighton University and an M.B.A. from Fairleigh Dickinson University.

Directors with Term Expiring at the 2015 Annual Meeting

Timothy C. Barabe (Age: 60) Mr. Barabe has been a director since November 2001. Mr. Barabe intends to retire in the second quarter of 2013 from his current position as Executive Vice President and Chief Financial Officer of Affymetrix, Inc. Previously, from July 2006 until March 2010, he was Senior Vice President and Chief Financial Officer of Human Genome Sciences, Inc. He was with Regent Medical Limited, a U.K.-based, privately owned, surgical supply company, where he was Chief Financial Officer, from 2004 to 2006. Mr. Barabe served with Novartis AG from 1982 through August 2004 in a succession of senior executive positions in finance and general management, most recently as the Chief Financial Officer of Sandoz GmbH, the generic pharmaceutical 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.

Paolo Pucci (Age: 51) Mr. Pucci joined ArQule as Chief Executive Officer and a member of the Board in June 2008 from Bayer A.G., where he served as Senior Vice President and President in charge of the Bayer-Schering Pharmaceuticals Global Oncology/Specialized Therapeutics Business Units. Previously,

Mr. Pucci was Senior Vice President of Bayer Pharmaceuticals Global Specialty Business Unit, President of U.S. Pharmaceutical Operations and a member of the Bayer Pharmaceuticals Global Management Committee. At Bayer, Mr. Pucci was involved in a broad range of activities related to Nexavar® (sorafenib), an oral multiple kinase inhibitor used to treat liver and kidney cancers. These activities included clinical development, regulatory review, corporate alliance management, product launch and marketing. Mr. Pucci joined Bayer as head of its Italian Pharmaceutical operations in 2001. Prior to Bayer, Mr. Pucci held positions of increasing responsibility with Eli Lilly, culminating with his appointment as Managing Director, Eli Lilly Sweden AB. At Lilly, his responsibilities included operations, sales, marketing and strategic planning. On November 1, 2012, Mr. Pucci was appointed to the Board of Directors of Dyax Corporation. In April 2013, he was elected as a non-executive director of Algeta ASA, a Norwegian oncology company. Mr. Pucci holds an M.B.A from the University of Chicago and is a graduate of the Università Degli Studi Di Napoli in Naples, Italy.

Corporate Governance Guidelines and Code of Conduct

At ArQule, we value honesty, integrity, and fairness in our dealings with our fellow employees, our stockholders, our collaborators and our communities. In addition to meeting both the letter and the spirit of regulations and rules adopted by the SEC, other federal and state laws and regulations and the standards of the Nasdaq Global Market ("Nasdaq"), our directors have mandated that our business dealings comply with the highest ethical and corporate governance standards.

We have adopted general corporate governance principles, the ArQule Corporate Code of Conduct ("Code of Conduct") and related policies to provide guidance to our directors and management in their efforts to provide effective and appropriate corporate governance. As is the case with our other policies and practices, the tenets reflected in our governance principles, Code of Conduct and policies are intended to align the interests of our directors, management and other employees with those of our stockholders. We will review and, if necessary in our judgment, modify the guidelines from time to time.

The Code of Conduct applies to our directors, employees and officers, including our Chief Executive Officer, President and Chief Operating Officer, Vice President of Finance, Corporate Controller and Treasurer (our principal executive officer, principal financial officer and principal accounting officer, respectively), and our Chief Medical Officer and Chief Scientific Officer. The Code of Conduct addresses: the standards of conduct expected of each director, officer and employee; conflicts of interest; corporate disclosure processes; compliance with laws, rules and regulations (including insider trading laws); corporate opportunities; confidentiality; fair dealing; and protection and proper use of Company assets. It also strongly encourages the reporting of any illegal or unethical behavior. Waivers of the requirements of the Code of Conduct or associated policies with respect to members of the Board and executive officers are subject to the approval of the full Board or a committee of the Board to which resolution of the matter is delegated and will be disclosed on our website. The governance principles, Code of Conduct, and certain related policies are available on our website at http://www.arqule.com in the "Investors and Media" Section under the heading "Corporate Governance".

Director Qualifications and Nomination Process

Director Qualifications

Our Compensation Committee identifies nominees for directors from various sources including referrals from current Board members and industry contacts. In the past, the Compensation Committee has used third party consultants to assist in identifying, evaluating, and recruiting potential nominees; however, no third party consultant was used for this purpose during 2012. The directors have not set formal criteria or qualifications for individuals to be nominated or re-nominated as candidates for Board membership. Instead, the Compensation Committee has developed a general profile for candidates reflecting the personal and professional characteristics that our directors believe a suitable individual should possess. Such characteristics include integrity, business acumen and educational background,

relevant industry experience, understanding of interpersonal relationships, no conflict of interest, a high degree of commitment to the functioning of the Board and its committees, and the ability to meet the independence and financial literacy requirements defined by applicable Nasdaq and SEC rules. Additionally, the Compensation Committee

carefully considers issues of diversity among its members in identifying and considering potential nominees and attempts, where appropriate, to achieve a diversity of professional experiences, business cultures, perspectives, genders, ages and ethnicities, among other characteristics, in the membership of the Board and its committees. The Company does not require members of the Board (or our executive officers) to purchase or hold a minimum number of shares of our Common Stock.

Our Company is a clinical-stage biotechnology company engaged in the research and development of innovative cancer therapeutics. In light of the Company's current needs and business priorities, the Compensation Committee believes that the Board's membership should include directors with a high level of scientific and relevant business experience. Our business requires an understanding of the science behind our pre-clinical and clinical product candidates, as well as the clinical development and commercialization processes. Accordingly, the Compensation Committee has determined that scientific, drug development and commercialization experience should be represented on the Board. In addition, as a public company, our Board should include individuals who are financially literate to serve as members of the audit and other committees. We also believe that members should have a firm grounding in corporate governance and business ethics. Lastly, our business is dynamic and rapidly evolving and benefits from having a Board that includes individuals from a variety of backgrounds and professional experiences who contribute to the Board's overall ability to identify and ask difficult questions and to think innovatively.

The following table summarizes how the qualifications, attributes, skills and experience described above relate to each individual director's contributions to the Board and its committees. An "X" in the chart below indicates a specific competency for which the director has been nominated to serve on the Company's Board and its committees.

The lack of an "X" for a particular qualification does not mean that the director does not possess that qualification or skill. Rather, an "X" indicates a primary area of focus or expertise of a director on which the Board currently relies.

| | Timothy C. Barabe (1) | Ronald M. Lindsay (3) | Michael Loberg (2)(3) | William Messenger (1)(2) | Paolo Pucci | Patrick Zenner (1)(2) | Susan L. Kelley (3) |
|--|--------------------------------|--------------------------------|-----------------------------|--------------------------------|----------------|-----------------------------|------------------------------|
| High level of financial literacy | X | | X | X | X | X | |
| Relevant biotechnology business experience | X | X | X | X | X | X | X |
| Extensive knowledge of drug research and development | | X | X | | X | | X |
| Extensive knowledge of drug commercialization and marketing | X | X | | | X | X | |
| Expertise in corporate governance and business ethics | X | | | X | | X | |
| Diversity of background, professional experience or culture | | | X | X | X | | X |

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Member of Audit Committee

(2)Member of Compensation Committee(3)Member of Science Committee

Member of Science Committee Stockholder Nominations

The Compensation Committee has not established any special procedures for stockholder submissions of nominees for election to the Board. Our By-laws permit any stockholder entitled to vote for the election of directors to nominate one or more directors. We believe that this long-standing mechanism, in place since incorporation of the Company, provides the appropriate means for stockholder nominations. Pursuant to our By-laws, a stockholder wishing to nominate a director candidate must deliver or mail written notice of such nomination to the Chairman of the Board, the President, or the Secretary of the

Company at our principal executive office. If a stockholder is nominating a director candidate for election at the annual meeting of stockholders, notice must be received at least 75 days before the anniversary date of the prior year's meeting, assuming there was an annual meeting in the prior year and the date of the current year's annual meeting is within 30 days of the anniversary date of the prior year's meeting. Otherwise, notice must be received at least 45 days before the date of the current year's annual meeting or a special meeting, if at least 60 days' notice or prior public disclosure of the date of the current year's annual meeting or the special meeting is provided. If neither of the previous two sentences applies, notice must be received no later than 15 days after the date on which notice of the date of the current year's annual meeting or the special meeting was mailed or public disclosure was made of such meeting date. The notice must include the stockholder's name and address, the class and number of shares of securities beneficially owned by such stockholder, and each nominee's:

(i)

name, age, business address, and home address;

(ii)

principal occupation or employment;

(iii)

beneficial ownership of Company securities, including the class and number of shares of stock; and

(iv)

any other information relating to the nominee that is required to be disclosed in solicitations for proxies for election of directors by Regulation 14A under the Securities Exchange Act of 1934, as amended (the "Exchange Act"). The Compensation Committee will consider all nominees submitted by stockholders in the manner described above and will evaluate all potential nominees using the same criteria.

The Board's Role in Risk Oversight

Our Board retains ultimate responsibility for risk oversight and our management retains the responsibility for risk management. The role of our Board in ArQule's risk oversight process includes receiving regular reports on areas of material long- and short-term internal and external risks to our Company, including clinical, regulatory, financial, legal, compensation, strategic and reputational risks. The full Board (or the appropriate committee in the case of risks that are under the purview of a particular committee) receives these reports from the member of management responsible for the function from which the risk arises so that it can understand and assess our ongoing risk identification, risk management and risk mitigation strategies. Our Board also administers its risk oversight function through the required approval by the Board (or a committee of the Board) of significant transactions and other material decisions, and regular periodic reports from our independent registered public accounting firm and other outside consultants regarding various areas of potential risk, including, among others, those relating to our internal controls and financial reporting. As part of its charter, the Audit Committee discusses with management and our independent registered public accounting firm significant risks and exposures and the steps management has taken to minimize those risks.

Communications with Directors

We do not have a formal process for communication by stockholders to our directors. However, stockholders and others who wish to communicate may write to the Board as a whole, or to individual directors c/o:

Investor Relations
ArQule, Inc.
19 Presidential Way
Woburn, Massachusetts 01801-5140
Attn. William B. Boni
Vice President, Investor Relations/Corporate Communications

Such communications will be forwarded directly to the addressee(s).

Director Independence

For a director to be designated as independent, as defined by the Nasdaq listing standards set forth below, our Board must determine that he or she has no "material relationship" with ArQule other than that of a director. When assessing the materiality of a director's relationship with ArQule, the Board considers:

all relevant facts and circumstances, not only of the director's relationship with the Company, but also that of the persons or organizations with which the director is affiliated;

the frequency and regularity of any services the director performed for the Company outside of the scope of duties as a director;

whether the director carried out those services at arm's length in the ordinary course of business; and

whether the director provided those services on substantially the same terms as those prevailing at the time for unrelated parties in comparable transactions.

For a Nasdaq-listed company, a director is not considered independent if any of the following circumstances exist:

the director is currently, or was at any time during the preceding three years, employed by the listed company, its parent or subsidiaries, or if any of the director's family members is, or was, an executive officer of the listed company, its parent or subsidiaries, at any time during the preceding three years;

the director has accepted, or has a family member who has accepted, from the listed company, its parent or subsidiaries, any payment in excess of \$120,000 during any twelve-month period within the preceding three years, other than (a) compensation for board or board committee services, (b) compensation paid to a family member who is a non-executive employee of the listed company, its parent or any subsidiary; or (c) benefits under a tax-qualified retirement plan or non-discretionary compensation;

the director is, or has a family member who is, employed as an executive officer of any other entity where at any time during the preceding three years any of the executive officers of the company served on the compensation committee of such other entity;

the director is, or has a family member who is, a partner in, or a controlling stockholder or an executive officer of, any organization to which the listed company made, or from which the company received, payments (other than payments arising solely from investments in the listed company's securities or payments under non-discretionary charitable contribution matching programs) that exceed the greater of \$200,000 or 5% of the recipient's consolidated gross revenues during the current or any of the past three fiscal years;

the director is, or has a family member who is, a current partner of the listed company's outside auditors, or was a partner or employee of the listed company's outside auditor who worked on the listed company's audit at any time during the past three years; or

the director otherwise has a relationship that, in the opinion of the listed company's board of directors, would interfere with the exercise of independent judgment in carrying out the responsibilities of a director. On January 14, 2013, our Board determined that all of our directors, other than our Chief Executive Officer, are "independent directors" as defined in the listing standards of the Nasdaq Marketplace Rules, and these independent directors constitute a majority of the members of the Board.

Compensation Committee Interlocks and Insider Participation

No member of the Compensation Committee during 2012 was an officer, former officer, or employee of the Company or had a relationship disclosable under our policies or SEC regulations. Further, during 2012, no executive officer of the Company served as:

a member of the compensation committee (or equivalent) of any other entity, one of whose executive officers served as one of our directors or was an immediate family member of a director, or served on our Compensation Committee; or

a director of any other entity, one of whose executive officers or his immediate family member served on our Compensation Committee.

BOARD COMMITTEES AND MEETINGS

During 2012, the Board held nine meetings in person or by telephone. Each director attended at least 75% of the aggregate number of these meetings and the committees of the Board on which he or she served.

We do not have a policy regarding attendance of directors at our annual meeting of stockholders. In May 2012, six of our directors attended our annual meeting. We also do not have a formal policy regarding the separation of our Board Chairman and Chief Executive Officer positions. At this time, the positions are separate, as the Board believes this structure to be in the best current interests of the Company and our stockholders.

Committees of the Board

Our Board has a standing audit committee (the "Audit Committee"), compensation, nominating, and governance committee (the "Compensation Committee"), and science committee (the "Science Committee"). Independent directors chair and entirely make up each of these committees. The Board has adopted written charters for each of our standing committees, which may be viewed by accessing the "Investors and Media" Section of our website at www.arqule.com and clicking on the heading "Corporate Governance".

Audit Committee

In 2012, the members of the Audit Committee were Mr. Barabe (Chairman), Dr. Messenger, and Mr. Zenner. The Audit Committee met five times in 2012. Each member of the Audit Committee meets the independence and financial literacy requirements as defined by applicable Nasdaq and SEC rules. The Board has determined that Mr. Barabe is an "audit committee financial expert" as defined by the rules and regulations of the SEC.

The duties and principal purposes of the Audit Committee include:

- generally, oversight of the integrity of the Company's financial reporting process;
- in particular, monitoring of:
- the integrity of the Company's financial statements;
- the Company's compliance with legal and regulatory requirements; and
- the qualifications, independence and performance of the Company's independent registered public accountants and, as necessary and appropriate, of its internal audit function;

pre-approval of all audit services;

preparation of the audit committee report that is required to be included in the proxy statement for our annual meeting of stockholders;

- assessment of significant financial risks and exposures and the adequacy of the Company's overall risk assessment and risk management policies and procedures to mitigate such risks and exposures;
- evaluation of whether risks presented by the Company's financial policies and practices are likely to have a material adverse effect on the Company; and

assessment of the steps management has taken to control risks to the Company.

The Company's independent registered public accounting firm (currently, PricewaterhouseCoopers LLP) is ultimately accountable to the Audit Committee in its capacity as a committee of the Board. The Audit Committee has sole authority and responsibility to select, hire, oversee, evaluate, approve the compensation of, and, where appropriate, replace our independent registered public accounting firm.

A more detailed description of the duties of the Audit Committee is provided in the committee's charter.

The report of the Audit Committee is on page 31.

Compensation Committee

In 2012, the members of the Compensation Committee were Dr. Messenger (Chairman), Dr. Loberg and Mr. Zenner. The Compensation Committee met six times in 2012.

The duties and purposes of the Compensation Committee include:

- advising the Board concerning the Company's compensation philosophy and policies, in general, and, in particular, to determine, or recommend to the Board for determination, the compensation of the Company's Chief Executive Officer and all other executive officers and directors:
- advising the Board regarding succession planning for the Company's Chief Executive Officer;
- identifying individuals qualified to become members of the Board;
- recommending candidates to the Board to fill vacancies on the Board;
- recommending to the Board the directors to be appointed to its committees;
- assessing, or ensuring that the Board assesses, the performance of individual members of the Board and the Board as a whole:
- administering our stock option, stock purchase, and other stock compensation plans;
- reviewing and approving or rejecting proposed related party transactions;
- reviewing with management the annual compensation discussion and analysis ("CD&A") section of the Company's disclosures to the SEC prepared by management and recommending to the Board whether the CD&A should be included in the Company's filings with the SEC;
- reviewing and approving an annual report on the Compensation Committee's review of the CD&A for inclusion in the Company's proxy statement;

overseeing the Company's efforts to meet its corporate governance, legal, and regulatory obligations and identifying, reviewing, and resolving issues relating to such matters; and

reviewing and discussing with management any risks created by the Company's compensation practices and determining the adequacy of the Company's risk assessment and risk management policies and procedures to mitigate such risks and exposures.

A more detailed description of the duties of the Compensation Committee is provided in the committee's charter.

The report of the Compensation Committee is on page 22.

Science Committee

In 2012, the members of the Science Committee were Dr. Lindsay (Chairman), Dr. Loberg and Dr. Kelley. The Science Committee met seven times during 2012.

The Science Committee is responsible for:

- reviewing the scientific direction of the Company;
- playing a role in assessing the manner by which the Company will continue to enhance its capabilities as a drug discovery organization (whether by acquisition, merger, in-licensing, internal growth, or a combination of those methods);
- evaluating the scientific opportunities under consideration by management; and
- regularly reviewing data relating to pre-clinical and clinical testing and analysis being conducted by the Company. A more detailed description of the duties of the Science Committee is provided in the committee's charter.

DIRECTOR COMPENSATION

The following table provides information concerning compensation paid by the Company to its non-employee directors during 2012. Any director who is also an employee of the Company is not compensated for his or her service as a director. During 2012 Mr. Pucci, the Company's Chief Executive Officer, also served on the Board, but did not receive any compensation for services as a director.

| Name | Fees Earned or Paid in Cash (\$) | Option Awards(1) (\$) | Total (\$) |
|-------------------------------|-------------------------------------|-----------------------|------------|
| Timothy C. Barabe(2) | \$ 63,250 | \$ 53,787 | \$ 117,037 |
| Ronald M. Lindsay, Ph.D. | 73,500 | 53,787 | 127,287 |
| Michael D. Loberg, Ph.D.(3) | 64,750 | 53,787 | 118,537 |
| William G. Messenger, D. Min. | 67,500 | 53,787 | 121,287 |
| Susan L. Kelley, M.D. | 58,000 | 53,787 | 111,787 |
| Patrick J. Zenner | 72,500 | 89,645 | 162,145 |

(1)

This column reflects the aggregate grant date fair values for all option awards granted during 2012. Assumptions used in the calculation of these amounts are included in Note 2 to the Company's audited financial statements for the year ended December 31, 2012, set forth in the Company's Annual Report on Form 10-K filed with the SEC on March 14, 2013 ("2012 Annual Report on Form 10-K"). As of December 31, 2012, for each director the aggregate number of shares of Common Stock that may be acquired upon exercise of outstanding option awards is as follows: Mr. Barabe, 100,000; Dr. Kelley, 60,000; Dr. Lindsay, 95,000; Dr. Loberg, 95,000; Dr. Messenger, 100,000; and Mr. Zenner, 150,000.

(2)

Mr. Barabe elected to have a portion of his fees for service as a director in the amount of \$37,909 paid to him in the form of 8,197 shares of our Common Stock in accordance with our 2005 Director Stock Compensation Plan. The number of shares was determined on the date of the payment of director fees based on the closing market price for a share on that date.

(3)

Dr. Loberg elected to have a portion of his fees for service as a director in the amount of \$31,796 paid to him in the form of 6,905 shares of our Common Stock in accordance with our 2005 Director Stock Compensation Plan. The number of shares was determined on the date of the payment of director fees based on the closing market price for a share on that date.

Currently, each of our non-employee directors who is serving as a director prior to and immediately following any annual meeting of stockholders receives a \$25,000 annual retainer. Each non-employee director receives \$2,000 for each day on which the Board meets and the director attends. For attendance at committee meetings, a non-employee director will be paid \$1,250 per day for meetings of the Audit

Committee and the Compensation Committee, and \$2,500 for meetings of the Science Committee. In addition to the base compensation for directors, chairs of committees receive additional compensation. The director serving as Chairman of the Board (currently, Mr. Zenner) receives an additional \$20,000 annual retainer; the director serving as Chairman of the Audit Committee (currently, Mr. Barabe) receives an additional \$15,000 annual retainer, the director serving as Chairman of the Compensation Committee (currently, Dr. Messenger) receives an additional \$15,000 annual retainer; and the director serving as Chairman of the Science Committee (currently, Dr. Lindsay) receives an additional \$20,000 annual retainer.

All of our non-employee directors, currently six directors, are eligible to participate in our Amended and Restated 1996 Director Stock Option Plan. Pursuant to the Director Stock Option Plan, an option to purchase 30,000 shares of Common Stock is automatically granted to each non-employee director at the time that he or she is first elected or appointed to the Board. This initial option becomes exercisable as to 10,000 shares on the date of the Company's next annual meeting following the date of grant and as to 10,000 shares on the date of each of the next two annual meetings.

Also, at each annual meeting of stockholders, each eligible director (other than the Chairman) serving as a member of the Board prior to and immediately after such annual meeting is automatically granted an option to purchase 15,000 shares of Common Stock (whether or not the director is a nominee for election at such annual meeting). This annual option becomes exercisable as to all shares one year from the date of grant.

Upon the initial election of a non-employee director as Chairman of the Board, the non-employee director will be automatically granted an option to purchase 25,000 shares of Common Stock (in addition to the initial option awarded to directors). This initial option becomes exercisable as to 8,334 shares on the date of the Company's next annual meeting following the date of grant and as to 8,333 shares on the date of each of the next two annual meetings.

In addition, at each annual meeting of stockholders, the eligible director serving as Chairman of the Board prior to and immediately after such annual meeting is automatically granted an option to purchase 25,000 shares of Common Stock (whether or not the Chairman is a nominee for election at such annual meeting). This annual option becomes exercisable as to all shares one year from the date of grant.

Pursuant to our 2005 Director Stock Compensation Plan, the Company's non-employee directors may elect to receive in lieu of all or a part of their cash compensation for service as a director, an equivalent amount of Common Stock. As noted in the table above, in 2012 Mr. Barabe and Dr. Loberg received a portion of their director fees in the amount of \$37,909 and \$31,796, respectively, in the form of Common Stock. The number of shares was determined on the date of the payment of director fees based on the closing market price for a share of Common Stock.

COMPENSATION DISCUSSION AND ANALYSIS

The Compensation Committee is responsible for determining the recommended compensation of our named executive officers, including our Chief Executive Officer.

Compensation Philosophy

Guiding Principles:

Our executive compensation program is designed to be closely linked to corporate performance and returns to stockholders. To this end, we have developed an overall compensation strategy and a specific compensation plan that establish competitive base salaries and tie a significant portion of executive compensation to the Company's success in meeting specified and measurable Company-wide performance goals. By using stock options and other stock-based awards, we ensure that part of each executive's compensation is closely tied to the performance of our stock. We believe that a significant part of overall compensation for senior executives should be "at risk", i.e., contingent upon successful implementation of the Company's strategy and achievement of its goals. Individuals with the greatest influence on company-wide performance should have the largest amount of cash benefits and stock-based awards at risk. In our view, a balanced approach to compensation decisions motivates management's efforts to drive positive outcomes in both current and future environments and mitigates the risk that any one incentive could lead executive officers to take actions that are not in our best interests. In addition, the tying of compensation to performance goals that must be achieved in a heavily regulated business environment such as ours adds an additional layer of scrutiny to the Company's actions and lessens greatly the opportunities for individuals to take inappropriate actions without discovery and sanctions.

Objectives:

To attract and retain the best executive talent available;

•

To motivate our executives to achieve the goals inherent in our business strategy;

•

To link executive and stockholder interests through equity-based compensation; and

•

To provide a compensation package that recognizes individual contributions as well as corporate performance. Key compensation elements:

Base salary;

•

Annual performance-based cash bonuses;

•

Stock-based incentive awards; and

•

Employee benefits.

Each of these elements is described in more detail below.

The Role of the Compensation Committee

The members of the Compensation Committee are currently Michael D. Loberg, Ph.D., William G. Messenger, D. Min. (Chairman), and Patrick J. Zenner. Each of the current members is an "independent director" under Nasdaq listing standards, a "Non-Employee Director" within the meaning of Section 16 of the Exchange Act, and an "outside director"

within the meaning of Section 162(m) of the Internal Revenue Code of 1986, as amended (the "Tax Code").

The Compensation Committee advises our Board concerning the Company's compensation philosophy and policies, in general, and, in particular, determines, or recommends to the Board for determination, the compensation of our Chief Executive Officer and other named executive officers and of members of the Board. Recommendations and decisions made by the Compensation Committee are reported to the full Board for approval, endorsement or ratification, as appropriate.

As part of our Board's risk oversight responsibilities, our Compensation Committee reviews the Company's compensation policies and practices as generally applicable to our employees and discuss with management any risks created by such policies and practices. Based on that review and discussions with management, and taking into consideration ArQule's risk assessment and risk management policies and procedures, we believe that our compensation policies and procedures do not encourage excessive and unnecessary risk-taking and that the level of risk that they do encourage is not reasonably likely to have a material adverse effect on ArQule.

The Compensation Committee's Process

General

Our Compensation Committee sets the mix of elements of executive compensation to be recommended to the Board for approval including base salary, annual performance-based bonuses and stock-based awards for our named executive officers. While the Compensation Committee considers the elements of compensation described below separately, it takes into account the full compensation package afforded to each executive officer in making its recommendations. The Compensation Committee also makes recommendations concerning the appropriate linkage of executive compensation to individual and corporate performance and financial returns to stockholders.

Independent Compensation Consultant

The Compensation Committee retained Radford, an Aon Hewitt Company ("Radford"), as its independent compensation consultant for compensation deliberations in 2012. Pursuant to SEC rules, the Committee assessed Radford's independence and concluded that no conflict of interest exists that would prevent Radford from exercising independent judgment when advising the Compensation Committee.

For 2012, Radford provided the following services to the Compensation Committee:

- reviewed and provided recommendations on the composition of ArQule's peer group of companies;
- provided compensation data related to executives of ArQule's peer group companies based on data from SEC filings and Radford's Global Life Science Survey;
- provided compensation data related to the boards of directors of our peer group companies based on SEC filings and Radford's experience in the life sciences industry;
- conducted a competitive review of the compensation of our named executive officers, including advising on the design and structure of our equity incentive compensation program;
- conducted a competitive review of the compensation of our directors, including advising on Board participation fees and its equity incentive compensation program; and
- prepared an analysis of our Common Stock usage under our equity incentive plan in comparison to stock usage by companies in ArQule's peer group based on data from SEC filings.
- While the Company pays the costs of Radford's services, our Compensation Committee has the authority to engage and terminate Radford's engagement. Radford makes recommendations to the Compensation Committee, but has no authority to make compensation decisions on behalf of the Compensation Committee or the Company. Radford attends Compensation Committee meetings either in person or via conference call as deemed appropriate by the Compensation Committee. Our management provides historical data, reviews reports for accuracy and interacts directly with Radford, at the direction of the Compensation Committee. The Compensation Committee, at its discretion, also communicates and meets with Radford without participation of the Company's management.

Radford did not provide any additional services to the Company in 2012, other than providing survey data for our broader employee base to our management. The costs of the survey data provided by Radford to management in 2012 were \$6,100. The Compensation Committee permitted these other non-executive

compensation services as it determined that these services did not constitute a conflict of interest or prevent Radford from objectively performing its work for the Compensation Committee. Total fees paid to Radford for survey data and advisory fees were \$52,150 in the aggregate.

The Compensation Committee considers the views of our Chief Executive Officer regarding achievement of individual and departmental objectives of those executives reporting directly to him. With the assistance of our Vice President of Human Development, approximately every two years the Compensation Committee reviews peer group compensation data and additional selected compensation data produced by Radford. Our peer group of companies, which we review periodically, is comprised principally of non-commercial, life sciences companies with products in Phase 2 or Phase 3 clinical trials that Radford has deemed to be most comparable to us in market capitalization and head count. For 2012, our peer group companies are listed below. In addition, the peer group survey data was supplemented with broader competitive market data from the Radford Global Life Sciences Executive Survey. Radford derived the data from non-commercial, public life sciences companies with between 50 and 350 employees. The overall market composite considered by the Compensation Committee was represented 50 percent by the peer group and 50 percent by the broader market reference group.

ArQule Peer Group Companies

Affymax Immunomedics

Allos Therapeutics, Inc.

Arena Pharmaceuticals

Lexicon Pharmaceuticals

Ariad Pharmaceuticals, Inc. Maxygen, Inc. Array BioPharma, Inc. Micromet Inc.

Astex Pharma NPS Pharmaceuticals

AVEO Pharmaceuticals Rigel Pharmaceuticals, Inc.

Geron Corporation Targacept, Inc.

ImmunoGen, Inc.

Benchmarking

In general terms, as a basis for its recommendations, the Compensation Committee benchmarks total compensation for all of our employees to the median compensation (i.e. 50th percentile) of employees performing similar job functions at biotechnology companies nationally, adjusted for differences in company size, stage of development, location, and performance. However, we strongly believe in retaining the best talent among our executive management team. Therefore, we have recommended, and may recommend in the future, total compensation packages for executive management that vary substantially from the median based on factors such as industry experience, scope of responsibility, knowledge, and unique qualifications.

Say-on-Pay

In May 2012 our stockholders cast an advisory vote on the compensation of our named executive officers. The compensation disclosure and analysis and compensation tables in our 2012 proxy statement provided our stockholders with then current information identical in scope with the discussion and analysis and tables in this section.

In the 2012 proxy statement, we stated our belief that our compensation policies and procedures support our business strategy by rewarding successful achievement of specific financial and operational goals and providing performance-based incentives to maximize stockholder value. We also expressed our belief that these policies and procedures are closely aligned with the long-term interests of our stockholders.

Our stockholders endorsed our compensation philosophy with 98% of stockholders' votes cast to approve our named executive officers' compensation. Consequently, our current intention is to continue implementing our existing programs and policies.

Elements of Compensation

Base Salary

Salary levels are considered annually as part of our performance review process, but also in the cases of promotion or other change in the job responsibilities of an executive officer. For named executive officers, initial base salaries generally are established in connection with negotiation of an offer of employment and employment agreement. Increases in base salary have several elements. In addition to promotion and increased responsibilities, merit and company-wide general increases are also factored in. Salaries of our named executive officers for 2012 and certain prior years are also reported in the Summary Compensation Table.

The following table shows changes in the annualized base salaries of our named executive officers from 2011 to 2012:

| Name and Principal Position | 2011 Annualized Base Salary (\$) | 2012 Annualized Base Salary (\$) | % Increase | Comment |
|-----------------------------------|---|---|---------------|--|
| Paolo Pucci, CEO | 485,000 | 489,000 | 1.0 | Base salary negotiated in and adjusted per amended employment agreement and annual review. |
| Peter S. Lawrence, COO | 402,000 | 414,000 | 3.0 | Base salary negotiated in and adjusted per amended employment agreement and annual review. |
| Dr. Brian Schwartz, CMO | 348,000 | 370,000 | 6.2 | Base salary negotiated in and adjusted per employment agreement and annual review. |
| Dr. Thomas C.K. Chan, CSO(1) | 341,000 | 345,000 | 1.0 | Base salary negotiated in and adjusted per employment agreement and annual review. |

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As previously reported, Dr. Chan entered into an Amendment to Employment Agreement on November 2, 2012, pursuant to which his employment with the Company was terminated effective November 22, 2012. Performance-Based Bonuses

Cash bonuses represent a percentage of each named executive officer's salary. In determining the target award opportunity for the bonus of a particular executive, we consider compensation data and level of strategic contribution to the Company's performance. This determination is made at the time an executive officer is hired and generally is one of the negotiated terms of his or her employment agreement. Adjustments to bonus targets are also considered, subject to the requirements of those employment agreements, as part of the annual review process.

At the beginning of each fiscal year, we set corporate goals at minimum, planned, and maximum levels of performance and weight the goals according to their importance to our corporate strategy. Levels of performance for these goals are expressed as percentages which, when determined by our Board following conclusion of the fiscal year, are aggregated to arrive at an overall level of performance for the Company. In determining individual bonus amounts for our named executive officers, our directors take into consideration the Company's overall performance, the named executive officer's achievement of specific individual goals, and the amount of the named executive officer's target bonus.

The following is a summary description of the primary corporate goals for 2012 used to determine performance-based bonuses:

c-Met Program—Tivantinib (ARQ 197)

Complete patient recruitment for the Phase 3 MARQUEE clinical trial by a specified date.

Read-out clinical data from the Phase 2 colorectal cancer clinical trial by a specified date.

Complete patient recruitment for the Phase 2 KRAS mutant lung cancer trial by a specified date.

With collaborator, select next clinical trial by end of 2012.

Discovery Program

Enter into a new agreement, or extend an existing agreement, involving the Company's ArQule Kinase Inhibitor ProgramTM.

Complete lead optimization for a specified compound in the Company's pipeline.

Meet lead optimization criteria selected by a potential collaborator for a specified compound in the Company's pipeline.

Formalize project management procedures and implement project plans for specified compounds in the Company's pipeline.

Business Development

Execute a memorandum of understanding or letter of intent for an early stage program involving a specified compound in the Company's pipeline, enter into a new collaboration involving the Company's ArQule Kinase Inhibitor ProgramTM, enter into a definitive research and development deal with an identified potential collaborator, or extend an existing collaboration involving the Company's ArQule Kinase Inhibitor ProgramTM.

Finance

End 2012 with a specified amount of cash and marketable securities while meeting all key budget objectives.

Publications & Presentations

Publish and present in a specified number and type of public forums data regarding our drug discovery and development programs.

Following the recommendations of the Compensation Committee, our Board determined that, on a weighted basis, our overall level of performance warranted payment of bonuses at 95% of the bonus targets. This determination reflected results with respect to the c-Met Program and Finance goals that were determined to be above planned performance and with respect to Discovery, Business Development and Publications & Presentations goals that were determined to be below planned performance. The following table shows percentages of target and actual bonuses paid to our named executive officers.

2012 Name and 2012 Comment

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| Principal Position | Bonus Target (% of Base Salary) | Bonus Actual (% of Base Salary) | |
|-------------------------------|---------------------------------------|---------------------------------------|--|
| Paolo Pucci, CEO | 60.0% | 57.0% | Target bonus set by terms of amended employment agreement. Actual bonus 95% of target. |
| Peter S. Lawrence, COO | 40.0% | 38.0% | Target bonus set by terms of amended employment agreement. Actual bonus 95% of target. |
| Dr. Brian Schwartz, CMO | 35.0% | 33.3% | Target bonus set by terms of employment agreement. Actual bonus 95% of target. |
| Dr. Thomas C. K. Chan, CSO | 35.0% | 33.3% | Target bonus set by terms of employment agreement. Actual bonus 95% of target. |
| 19 | | | |

The amounts of cash bonus awards made to our named executive officers are also reported in the Summary Compensation Table on page 23.

Stock-based Awards

Primarily, we grant stock options and/or shares of restricted stock to our named executive officers under our Amended and Restated 1994 Equity Incentive Plan. It is our current policy to grant stock options and/or shares of restricted stock with an exercise price or share valuation equal to the closing price of our Common Stock as reported by Nasdaq on the date of grant. Options vest over various periods of time, generally four years. Restricted stock awards have restrictions which lapse over various periods of time, also generally four years. We have also awarded performance-based stock units (PSUs), each of which represents a contingent right to receive one share of Common Stock. In July 2010, we awarded PSUs to Mr. Pucci, in February 2012, we awarded PSUs to Dr. Schwartz and in March 2013, we awarded PSUs to Mr. Lawrence and Dr. Schwartz. As previously reported, on March 8, 2013, we amended each of Mr. Pucci, Mr. Lawrence and Dr. Schwartz's employment agreements to change the vesting terms and performance conditions of the PSUs.

Stock option grants, and awards of restricted stock and stock units, are designed to encourage the creation of stockholder value over the long term since the full benefits of the options and awards cannot be realized unless stock price appreciation is achieved, and, once achieved, is maintained and increased. Accordingly, awards of options, restricted stock and stock units align the interests of executive officers and employees with those of stockholders. In determining the amount of these grants and awards, we evaluate the executive's job level, promotions, responsibilities he or she will assume in the upcoming year, and responsibilities in prior years. In addition, we take into account the size of the executive's grants and awards in the past and market data relating to compensation. After consideration of all of these factors, as well as corporate and individual performance, in January 2013 for performance in 2012, we awarded Mr. Pucci an option to purchase 285,000 shares of our Common Stock; Mr. Lawrence, an option to purchase 123,500 shares of our Common Stock and Dr. Schwartz, an option to purchase 85,500 shares of our Common Stock. We also awarded Mr. Lawrence 25,000 shares of restricted stock and Dr. Schwartz, 30,000 shares of restricted stock as part of a company-wide retention grant program. All of these options vest ratably over a four year period commencing from the date awarded. Restrictions on restricted stock lapse ratably over a four year period commencing from the date awarded.

The Compensation Committee comes to a recommendation regarding annual stock option awards at a meeting in December prior to the first meeting of the Board in the following calendar year. The effective date for such awards is the date of such meeting of the full Board. At its January meeting, the Board acted upon the recommendations of the Compensation Committee.

Employment Agreements

ArQule generally enters into employment agreements with named executive officers. Typically, these agreements are offered in connection with recruiting executive officers when ArQule deems it necessary or appropriate to attract, incentivize and retain new hires. Agreements of this type exist to establish initial salary and bonuses, benefits, initial option grants, reporting lines, and change of control and related severance provisions, among other things. Mr. Pucci, Mr. Lawrence and Dr. Schwartz have such agreements. As previously reported, Dr. Chan entered into an Amendment to Employment Agreement on November 2, 2012, pursuant to which his employment with the Company terminated effective November 22, 2012. Additional information regarding these agreements is set forth under "Employment Agreements" and "Payments Upon Termination or Change of Control".

Other Elements of Compensation and Perquisites

We provide our named executive officers with certain benefits and perquisites. The value of such benefits and perquisites provided in 2012 was less than \$10,000 for each named executive officer. We provided these benefits on

the same terms as those applicable to all of our other employees. The primary benefits are:

health (medical, dental and vision) insurance for which the Company pays a portion of the premiums;

a life insurance benefit equivalent to two times base salary up to a maximum of \$400,000 for which the Company pays the premiums;

long-term disability insurance equal to 60% of base salary up to \$15,000 per month, the premiums for which are paid by the Company with the amount of the premiums being included in the taxable compensation of employees;

if necessary in given circumstances to attract management talent, housing allowances and relocation costs;

a retirement plan (401(k) Plan) under which an employee can choose to contribute up to 60% (subject to Tax Code limits) of compensation on a pre-tax basis with a matching contribution from the Company of \$0.50 for each \$1.00 contributed up to the first 6% of compensation;

a tax-qualified stock purchase plan which permits participants to acquire shares of Common Stock at a price that is 85% of the stock price on either the first day or last day of the designated offering period (generally six months), whichever is lower; and

tuition reimbursement up to \$3,000 per year for undergraduate courses and \$6,000 for graduate courses. Currently, the Company does not have a nonqualified deferred compensation plan, a pension plan, or other defined benefit plan. In addition, the Company does not have a policy on adjustments to, or recovery of, awards if the performance measures on which they were based are adjusted or restated.

Potential Payments to Named Executive Officers Upon Termination or Change of Control

The employment agreements of Mr. Pucci, Mr. Lawrence and Dr. Schwartz provide for certain payments to be made to them in the event that their employment with the Company is, or is deemed to be (as provided in the employment agreements), terminated without "cause". Severance benefits are an important tool in attracting and retaining key employees, and provide a degree of financial security to such employees where their employment is terminated through no fault of their own. Each of the agreements also provides for acceleration of vesting of the executive's stock-based awards in certain circumstances following the occurrence of a change of control of the Company. We believe that it is generally appropriate to vest stock-based awards to key employees in a change of control transaction, as such a transaction may often result in the elimination or reduction of the employee's ability to realize value from his or her options.

For information regarding the severance and change of control benefits provided to Mr. Pucci, Mr. Lawrence and Dr. Schwartz under their employment agreements, see "Payments Upon Termination or Change of Control".

Tax Considerations

If an executive is entitled to nonqualified deferred compensation benefits that are subject to Section 409A of the Tax Code, and such benefits do not comply with Section 409A, the executive would be subject to adverse tax treatment, including accelerated income recognition (in the first year that benefits are no longer subject to a substantial risk of forfeiture) and an additional income tax of 20% of the amount so recognized. The employment agreements of our named executive officers described herein contain provisions intended to limit or eliminate adverse tax consequences through timing of payments.

Section 162(m) of the Tax Code generally denies a deduction to any publicly held corporation for compensation paid to its named executive officers to the extent that any such individual's compensation exceeds \$1 million, subject to certain exceptions, including one for "performance-based compensation". Generally, the Compensation Committee seeks to maximize executive compensation deductions for federal income tax purposes. However, certain awards under the Company's Amended and Restated 1994 Equity Incentive Plan may result in an amount of compensation not

being deductible under Section 162(m) of the Tax Code. At the Company's present stage of development, management and the Compensation Committee believe that the loss of a deduction is not significant to the Company. Management and the

Compensation Committee take the issue of deductibility into consideration as our Amended and Restated 1994 Equity Incentive Plan and other benefit plans are updated. The Compensation Committee believes that none of the Company's named executive officers received compensation in 2012 that was nondeductible under Section 162(m) of the Tax Code.

COMPENSATION COMMITTEE REPORT

The Compensation, Nominating and Governance Committee of the Board of Directors of ArQule, Inc., composed entirely of independent directors in accordance with applicable laws, regulations, Nasdaq listing requirements and our governance guidelines, sets and administers policies that govern the Company's executive compensation programs and various incentive and stock programs. The Compensation, Nominating and Governance Committee has reviewed and discussed the Compensation Discussion and Analysis with the management of ArQule, Inc. Based on this review and discussion, the Committee recommended to the full Board of Directors that the Compensation Discussion and Analysis be included in this Annual Report.

Submitted by the Compensation, Nominating and Governance Committee,

William G. Messenger, Chairman Michael D. Loberg Patrick J. Zenner

EXECUTIVE COMPENSATION

The table and text below describe the cash and additional incentive compensation paid to the Company's Chief Executive Officer, President and Chief Operating Officer (principal financial officer for SEC reporting purposes), Chief Scientific Officer and Chief Medical Officer (the "named executive officers") for the fiscal periods indicated.

SUMMARY COMPENSATION TABLE

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The following table summarizes total compensation earned during the fiscal years ended December 31, 2012, 2011 and 2010 by each of our named executive officers:

| ie l pal ion | Year | Salary (\$) (1) | Bonus (\$) | Stock Awards (\$) | Option Awards (\$) (2) | Non-Equity Incentive Plan Compensation (\$) (3) | All Other Compensation (\$) (4) | To (S |
|-----------------------|------|-----------------------|---------------|-------------------------|---------------------------------|---|--|----------|
| | 2012 | 488,786 | | _ | 1,549,485 | 278,927 | 7,950 | 2,325 |
| | 2011 | 483,769 | _ | _ | 1,214,070 | 261,630 | 7,950 | 1,967 |
| ive | 2010 | 461,250 | | _ | 714,688 | 313,500 | 7,926 | 1,497 |
| | 2012 | 412,530 | | | 726,782 | 157,290 | 7,950 | 1,304 |
| nce ent, | 2011 | 401,111 | _ | _ | 627,270 | 144,671 | 7,950 | 1,181 |
| ing ;, ıl el | 2010 | 391,472 | _ | _ | 270,075 | 172,508 | 7,926 | 841,9 |
| ary | 2012 | 367,520 | | | 538,631 | 123,029 | 7,950 | 1,037 |
| an rtz | 2012 | 347,630 | _ | _ | 566,566 | 109,749 | 7,950 | 1,031 |
| ıl | | , | | | | , | .,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,, | -,00 |
| nior | 2010 | 337,753 | _ | _ | 186,975 | 130,231 | 7,926 | 662,8 |
| ent | | | | | | | | |
| | 2012 | 347,284 | _ | _ | 398,439 | 105,110 | 600 | 851,4 |
| ıs | 2011 | 340,680 | _ | | 566,566 | 107,555 | 600 | 1,015 |
| 5) | | | | | | | | |
| fic nior | 2010 | 331,000 | _ | _ | 186,975 | 127,628 | 576 | 646,1 |

(1)

The amounts in this column include compensation earned but deferred at the election of the named executive officer, under the Company's retirement savings plan established under Section 401(k) of the Tax Code.

(2)

This column reflects the aggregate grant date fair values for all option awards granted during the fiscal year. Assumptions used in the calculation of these amounts are included in Note 2 to the Company's audited financial statements for the year ended December 31, 2012, included in the Company's 2012 Annual Report on Form 10-K. Additional information regarding stock options issued to the named executive officers is provided in the table "Outstanding Equity Awards at Fiscal Year-End".

(3)

Represents bonus amounts earned under our annual incentive program for 2012 and paid in the first quarter of 2013. Additional information regarding the annual incentive program is provided in "Compensation Discussion and Analysis—Performance-Based Bonuses".

(4)

In 2012, for each named executive officer, the amount includes the Company's contributions to a 401(k) plan account for the executive and payment of group term life insurance premiums.

(5)

Dr. Chan served as our Chief Scientific Officer until November 22, 2012. In accordance with Dr. Chan's Amendment to Employment Agreement dated November 2, 2012, he received his current salary through November 22, 2012, and in 2013, he was paid \$105,110 for his 2012 annual bonus which was pro-rated reflecting the portion of the year he was employed by the Company.

EMPLOYMENT AGREEMENTS

The Company is party to employment agreements with its named executive officers. A summary of the material terms of these agreements follows below. For information regarding the post-employment and change of control benefits provided by these agreements, see "Payments Upon Termination or Change of Control".

Employment Agreement with Paolo Pucci

Mr. Pucci's agreement originally provided that the Company would employ him as its Chief Executive Officer, effective June 9, 2008, for four years at an initial annual base salary of \$450,000. The base salary was subject to annual review and upward adjustment by the Company. The agreement also provided that Mr. Pucci was eligible to receive a discretionary annual cash bonus based on a target amount of 50% of his base salary. The award of a bonus is in the discretion of the Company's Board based on Company and individual performance.

On July 15, 2010, the Company, acting through its Compensation Committee, amended certain terms of Mr. Pucci's employment agreement. The amendment: (i) extended the term of the agreement from June 9, 2012 to June 30, 2015; (ii) increased Mr. Pucci's minimum base salary by approximately five percent; (iii) increased the target amount of the discretionary annual cash bonus payable to Mr. Pucci under the agreement from 50% to 60% of Mr. Pucci's annual base salary; (iv) revised the definition of the occurrences following a change of control of the Company which constitute a deemed termination of Mr. Pucci's employment; (v) awarded Mr. Pucci stock options covering 100,000 shares of the Company's Common Stock; (vi) awarded Mr. Pucci 390,000 PSUs in tranches of 300,000 and 90,000 units that vest, respectively, upon the achievement of certain performance goals related to the development of the Company's products by a date specified by the Compensation Committee and the average price of its Common Stock; and (vii) provided that, if a deemed termination without cause of Mr. Pucci's employment under the agreement occurs prior to achievement of such product development, 300,000 of the PSUs will vest if the average price of Common Stock has met or exceeded a target price over a specified period established by the Compensation Committee.

On March 8, 2013, the Company further amended certain terms of Mr. Pucci's employment agreement. The March 8, 2013 amendment: (i) extended the term of the agreement from June 30, 2015 to March 8, 2017; and (ii) revised the vesting events pertaining to the award to Mr. Pucci of 390,000 PSUs in connection with the July 15, 2010 Amendment. The March 8, 2013 amendment extended the period for achievement of the product development goal and reduced the target price for the stock performance goal. In addition, the March 8, 2013 amendment stipulates that all PSUs will be subject to accelerated vesting if Mr. Pucci is terminated or deemed terminated without cause in connection with a change of control of the Company.

All other material terms of the employment agreement that were in effect prior to the amendment remain in effect.

Employment Agreement with Peter S. Lawrence

Mr. Lawrence's agreement, as amended on October 4, 2007 and April 14, 2008, provides that the Company will employ Mr. Lawrence as President and Chief Operating Officer at an initial base salary of \$375,000 per year. The base salary is subject to annual review and upward adjustment by the Company. Mr. Lawrence is also eligible to receive a discretionary annual cash bonus based on a target amount of 40% of his base salary. The award of a bonus is in the discretion of the Company's Board based on Company and individual performance.

On March 8, 2013, the Company further amended certain terms of Mr. Lawrence's employment agreement. The March 8, 2013 amendment provides for a term of employment through March 8, 2017 and gives effect to the award to Mr. Lawrence of 125,000 PSUs. The PSUs will vest if, during the course of Mr. Lawrence's employment with the Company, a certain performance goal related to the development of the Company's products is achieved by a date specified by the Compensation Committee. In addition, the March 8, 2013 amendment stipulates that all PSUs will be subject to accelerated vesting if Mr. Lawrence is terminated or deemed terminated without cause in connection with a

change of control of the Company.

The March 8, 2013 amendment also adds a provision to the agreement requiring that Mr. Lawrence be terminated or deemed terminated without cause in connection with a change of control of the Company in order for him to receive accelerated vesting of stock options and restricted stock. Prior to this change, Mr. Lawrence would have been entitled to receive accelerated vesting solely as a result of a change of control.

All other material terms of the agreement that were in effect prior to the Compensation Committee's approval of the March 8, 2013 amendment remain in effect.

Employment Agreement with Brian Schwartz

Dr. Schwartz's agreement provides that the Company will employ Dr. Schwartz as its Chief Medical Officer at an initial annual base salary of \$325,000. The base salary is subject to annual review and upward or downward adjustment by the Company. Dr. Schwartz is also eligible to receive a discretionary annual cash bonus based on a target amount of 35% of base salary. The award of a bonus is in the discretion of the Company's Board based on Company and individual performance. On February 23, 2012, the Company, acting through its Compensation Committee, amended Dr. Schwartz's employment agreement by granting Dr. Schwartz 50,000 PSUs that vest upon the achievement of certain performance goals related to the development of the Company's products by a date specified by the Compensation Committee.

On March 8, 2013, the Company further amended certain terms of Dr. Schwartz's employment agreement. The March 8, 2013 amendment provides for a term of employment through March 8, 2017 and gives effect to the award to Dr. Schwartz of 120,000 PSUs. The units will vest if, during the course of Dr. Schwartz's employment with the Company, a performance goal related to the development of the Company's products is achieved by a date specified by the Compensation Committee. In addition, the March 8, 2013 amendment stipulates that all PSUs will be subject to accelerated vesting if Dr. Schwartz is terminated or deemed terminated without cause in connection with a change of control of the Company.

All other material terms of the agreement that were in effect prior to the Compensation Committee's approval of the March 8, 2013 amendment remain in effect.

Employment Agreement with Thomas C. K. Chan

As previously reported, Dr. Chan entered into an Amendment to Employment Agreement on November 2, 2012, pursuant to which his employment with the Company was terminated effective November 22, 2012. Pursuant to the agreement, Dr. Chan waived any claim for compensation under his prior employment agreement and released the Company from all liability related to his employment with the Company and otherwise. In addition, he received payment in 2013 of a pro rata portion of his discretionary bonus for 2012 calculated by multiplying the amount of his target bonus amount times a percentage based on the scoring of achievement of the Company's 2012 corporate goals by the Compensation Committee with the endorsement of the Board. Dr. Chan also received an extension of the period following his departure in which to exercise stock options for the purchase of 330,000 shares of Common Stock issued to him under the Company's 1994 Amended and Restated Equity Incentive Plan and vested as of the termination date from February 22, 2013 to December 31, 2013.

GRANTS OF PLAN-BASED AWARDS FOR FISCAL YEAR 2012

The following table sets forth certain information with respect to awards granted during the fiscal year ended December 31, 2012 to our named executive officers under our equity and non-equity incentive plans.

| | | \mathbf{U} | nated Future P nder Non-Equ ntive Plan Awa | All Other Option Awards: Number of | Grant Date Fair Value of Stock | |
|----------------------------|---------------|----------------|--|---|--|------------------------------------|
| Name | Grant Date | Threshold (\$) | Target (\$) | Maximum (\$) | Securities Underlying Options (#) | and Option Awards(2) (\$) |
| Paolo Pucci | N/A | _ | 293,607 | 440,411 | | |
| | 2/1/2012 | | | | 315,000 | 1,549,485 |
| Peter S. Lawrence | N/A | _ | 165,568 | 248,353 | | |
| | 2/1/2012 | | | | 147,750 | 726,782 |
| Dr. Brian Schwartz | N/A | _ | 133,389 | 200,084 | | |
| | 2/1/2012 | | | | 109,500 | 538,631 |
| | 2/23/2012 | | | | 50,000 | 375,000 |
| Dr. Thomas C.K. Chan | N/A | _ | _ | _ | | |
| | 2/1/2012 | | | | 81,000 | 398,439 |

⁽¹⁾

The dollar amount for PSUs is calculated as the number of PSUs granted times the market price on the date of award.

The threshold amount under the cash bonus program is zero. The target amount is based on the individual's current salary. The target represents 60% of Mr. Pucci's base salary, 40% and 35% of the base salaries of Mr. Lawrence and Dr. Schwartz respectively. The maximum amount is 150% of the target amount.

⁽²⁾

OUTSTANDING EQUITY AWARDS AT 2012 FISCAL YEAR-END

The following table sets forth certain information with respect to the value of all unexercised options previously awarded to our named executive officers as of December 31, 2012:

| | | Option Awards | | | Stock | k Awards |
|-----------------------------------|--|---|----------------------------------|------------------------------|--|--|
| Name | Number of Securities Underlying Unexercised Options (#) Exercisable | Number of Securities Underlying Unexercised Options (#) (1) Unexercisable | Option Exercise Price (\$) | Option Expiration Date | Number of Shares or Units of Stock that Have Not | Market Value of Shares or Units of Stock that Have Not Vested(2) |
| Paolo Pucci(3) | 500,000 | | \$ 3.95 | 6/9/2018 | | |
| i deci(3) | 112,500 | 112,500 | 3.42 | 1/21/2020 | | |
| | 75,000 | 25,000 | 4.14 | 7/15/2020 | | |
| | 75,000 | 225,000 | 6.70 | 1/24/2021 | | |
| | | 315,000 | 7.95 | 2/1/2022 | | |
| | | | | | 16,875 | \$ 59,738 |
| | | | | | 390,000 | \$ 1,614,600 |
| Peter S. Lawrence | 300,000 | | 6.20 | 4/13/2016 | | |
| | 50,000 | | 6.16 | 1/16/2017 | | |
| | 100,000 | | 7.56 | 10/4/2017 | | |
| | 200,000 | | 4.75 | 1/17/2018 | | |
| | 65,000 | 65,000 | 3.42 | 1/21/2020 | | |
| | 32,500 | 97,500 | 6.70 | 1/24/2021 | | |
| | 6,250 | 18,750 | 6.70 | 1/24/2021 | | |
| | | 147,750 | 7.95 | 2/1/2022 | | |
| | | | | | 18,750 | \$ 66,375 |
| Dr. Brian Schwartz | 200,000 | | 3.62 | 7/14/2018 | | |
| | 45,000 | 45,000 | 3.42 | 1/21/2020 | | |
| | 22,500 | 67,500 | 6.70 | 1/24/2021 | | |
| | 12,500 | 37,500 | 6.70 | 1/24/2021 | | |
| | | 109,500 | 7.95 | 2/1/2022 | | |
| | | | | | 2,925 | \$ 10,355 |
| | | | | | 50,000 | \$ 375,000 |
| Dr. Thomas C. K. Chan(4) | 50,000 | | 6.93 | 12/31/2013 | | |

| Option Awards | | | Stock Awards |
|---------------|------|------------|---------------------|
| 50,000 | 6.16 | 12/31/2013 | |
| 50,000 | 4.75 | 12/31/2013 | |
| 100,000 | 2.86 | 12/31/2013 | |
| 45,000 | 3.42 | 12/31/2013 | |
| 22,500 | 6.70 | 12/31/2013 | |
| 12,500 | 6.70 | 12/31/2013 | |

(1)

Except as otherwise noted, each option vests at the rate of one-fourth of the underlying shares annually beginning on the anniversary of the date of grant.

(2)

Market Value is calculated as the number of shares of unvested stock awarded times the market price on the date of award.

(3)

In accordance with Mr. Pucci's employment agreement dated as of April 15, 2008, Mr. Pucci was granted an option to purchase 500,000 shares of the Company's Common Stock, of which 125,000 vested on June 9, 2008, and 125,000 vested on the anniversary of the date of grant for the following three years. On June 9, 2008, Mr. Pucci was also awarded 125,000 shares of restricted stock, 50% of which vested immediately and the remaining shares vested on June 9, 2009.

In accordance with an amendment to Mr. Pucci's employment agreement dated as of July 15, 2010, Mr. Pucci was granted an option to purchase 100,000 shares of the Company's Common Stock, vesting annually over four years and 390,000 PSUs, each of which represents a contingent right to receive one share of the Company's Common Stock, in tranches of 300,000 and 90,000 units that vest, respectively, upon the achievement of certain performance targets related to the development of the

Company's products and the average price of the Company's Common Stock; provided that, if a deemed termination of Mr. Pucci's employment under the Employment Agreement occurs prior to achievement of such product development milestones, 300,000 of the PSUs will vest if the average price of the Company's Common Stock meets or exceeds over a specified period a target price established by the Compensation Committee.

(4) In accordance with Dr. Chan's Amendment to Employment Agreement dated November 2, 2012, 330,000 of his vested options were amended to extend the date by which his vested options could be exercised to December 31, 2013. OPTION EXERCISES AND STOCK VESTED IN FISCAL YEAR 2012

The table below sets forth certain information regarding stock option exercises and vested stock awards for the Company's executive officers during the last fiscal year.

| | Option A | wards | Stock Awards | | |
|--------------------------|--|---|---|--|--|
| Name | Number of Securities Acquired on Exercise | Value Realized Upon Exercise (\$) | Number of Shares Acquired on Vesting | Value Realized on Vesting (\$) (1) | |
| Paolo Pucci | | _ | 16,875 | \$ 118,463 | |
| Peter S. Lawrence | | _ | 18,750 | 131,625 | |
| Dr. Brian Schwartz | | _ | 2,925 | 20,534 | |
| Dr. Thomas C. K. Chan | _ | _ | 5,000 | 35,100 | |

(1) On January 20, 2009, Mr. Pucci, Mr. Lawrence, Dr. Schwartz and Dr. Chan were awarded 67,500, 75,000, 11,700 and 20,000 shares of restricted stock, respectively, the restrictions on 25% of which lapsed on each of the next four anniversaries of the award date. In the case of Dr. Chan, the last date on which restrictions lapsed was January 20, 2012. The fair market value of the Company's Common Stock was \$7.02 on January 20, 2012.

PAYMENTS UPON TERMINATION OR CHANGE OF CONTROL

The Company has employment agreements with Mr. Pucci, Mr. Lawrence and Dr. Schwartz. Each of these employment agreements provides for the payment of severance and change of control benefits. The following discussion and table provide information about the severance and change of control provisions of these employment agreements, and are qualified by reference to the full text of the agreements, as amended, each of which is on file with the SEC.

The following terms are used in the discussion below:

- "Change of Control" means any of the following:
- the acquisition by any person or entity of our Common Stock so that such person or entity holds or controls 50% or more of our outstanding Common Stock;
- the merger or consolidation of the Company with or into any other entity in circumstances where the holders of the Company's outstanding shares of capital stock before the transaction do not retain stock representing a majority of the voting power of the surviving entity;

- a sale of all or substantially all of the assets of the Company to a third party;
- within any 24-month period, the election by the Company's stockholders of 20% or more of the Company's directors other than pursuant to nomination by management; or
- the execution of an agreement approved by the Board providing for any of the above.

"Cause" means any of the following:

arbitrary, unreasonable, or willful failure of the executive to follow the reasonable instructions of the Chief Executive Officer (or, in the case of the Chief Executive Officer, the Board), or otherwise perform his or her duties;

willful misconduct by the executive that is materially injurious to the Company;

willful commission by the executive of an act constituting fraud with respect to the Company;

conviction of the executive for a felony under state or federal law; or

material breach by the executive of his or her obligations to the Company regarding confidentiality of information or rights in intellectual property.

Termination "without Cause" means any of the following occurrences:

the Company terminates the executive's employment without Cause; or

the executive terminates his employment upon the occurrence of any of the following: (a) the Company substantially reduces or diminishes the executive's responsibilities or title without cause; (b) the Company reduces the executive's base salary or bonus target (other than in connection with a Company-wide decrease in salary or bonus, respectively); (c) the Company materially breaches any of its obligations to the executive under his or her employment agreement, and fails to cure such breach; (d) the Company relocates the executive's place of employment without his or her consent by a distance of more than fifty (50) miles; or (e) a successor in interest to the Company fails to assume the obligations of the employment agreement.

In the case of Mr. Pucci, Termination without Cause shall also occur if, following a Change of Control, the executive terminates his employment:

because the executive is unable to have direct responsibility for the Company's fully-integrated profit and loss statement (whether as a stand-alone entity or as a division or other business unit of some other acquiring entity); or

because of the exclusion from, or failure to appoint the executive to, the board of directors, or the executive committee, management committee or equivalent corporate managerial body of senior executives, of the acquiring company.

Employment Agreement with Paolo Pucci

Mr. Pucci's agreement, as amended, provides for continued employment until March 8, 2017. If Mr. Pucci is terminated without Cause, the Company will be required to pay Mr. Pucci an amount equal to twenty-four months of his base salary in effect at the time of termination, plus an amount equal to the total of bonuses paid to him with respect to the two years preceding the year in which he is terminated. These amounts will be paid in substantially equal installments according to the Company's normal payroll schedule during the twenty-four month period following termination. In addition, the Company will, at its expense, continue to provide Mr. Pucci with certain employee benefits for a period of twenty-four months from the date of termination. Finally, all of Mr. Pucci's unvested stock options and restricted stock that would have become exercisable or vested within one year from the termination date will immediately become exercisable or vested free of restrictions without regard to the original vesting schedule. If a Change of Control occurs prior to achievement of the product development milestone, and the Company subsequently terminates (or is deemed to terminate) Mr. Pucci's employment without Cause within a specified period, 390,000 of his PSUs will vest without regard to the product development milestone. Termination of Mr. Pucci's employment by reason of scheduled expiration of his employment agreement will not be considered a termination without Cause.

Employment Agreement with Peter S. Lawrence

Mr. Lawrence's employment agreement, as amended, provides that, in the event that his employment is terminated without Cause, he is entitled, subject to the execution of a general release of claims, to a lump sum severance payment equal to twelve months' base salary as of the date of termination, plus the average

of the annual performance bonuses that he received in the preceding two years. In addition, 50% of any then unvested options that were granted at the time that the employment agreement was executed will become immediately exercisable on the termination date, and the Company will pay, for the twelve-month period following the date of termination, the cost of continuing the health and other employee benefits that Mr. Lawrence is entitled to receive under his employment agreement at the level in effect as of the termination date. The employment agreement further provides that, if the Company terminates (or is deemed to terminate) Mr. Lawrence's employment with the Company without Cause within a specified period upon a Change of Control of the Company, any then unvested options held by Mr. Lawrence will become immediately exercisable. Additionally, if a Change of Control occurs prior to achievement of the product development milestone, and the Company subsequently terminates (or is deemed to terminate) Mr. Lawrence's employment without Cause within a specified period, 125,000 of his PSUs will vest without regard to the product development milestone.

Employment Agreement with Brian Schwartz

Dr. Schwartz's employment agreement provides that, in the event that his employment is terminated without Cause, he is entitled, subject to the execution of a general release of claims, to a severance payment equal to twelve months' base salary as of the date of termination, plus the average of the annual performance bonuses that he received in the preceding two years. This amount will be paid in substantially equal installments according to the Company's normal payroll schedule during the twelve-month period following termination. In addition, the Company will pay, for the 12-month period following the date of termination, the cost of continuing the benefits that Dr. Schwartz is entitled to receive under his employment agreement at the level in effect as of the termination date. If Dr. Schwartz's employment is terminated without Cause within one year after a Change of Control of the Company, in addition to the severance benefits described above, 100% of any then unvested stock options will become immediately exercisable without regard to the original vesting schedule and any shares of restricted stock previously granted shall immediately be free and clear of any restrictions. Additionally, if a Change of Control occurs prior to achievement of the product development milestone, and the Company subsequently terminates (or is deemed to terminate) Dr. Schwartz's employment without Cause within a specified period, 125,000 of his PSUs will vest without regard to the product development milestone.

The following table sets forth information with respect to compensation that would have been payable to Mr. Pucci, Mr. Lawrence and Dr. Schwartz if the named executive officer's employment had been terminated without Cause as of December 31, 2012 immediately following a Change of Control of the Company:

| Name | Cash Payment(1) (\$) | Equity Acceleration(2) (\$) | Benefits and Perquisites(3) (\$) | Total (\$) |
|--------------------|----------------------|-----------------------------|--|--------------|
| Paolo Pucci | \$ 1,553,820 | _ | \$ 24,363 | \$ 1,578,183 |
| Peter S. Lawrence | 579,489 | _ | 24,382 | 603,871 |
| Dr. Brian Schwartz | 499,516 | | 24,382 | 523,898 |

⁽¹⁾

For Mr. Pucci, the cash payment is calculated as the sum of an amount equal to two times his annual salary as of December 31, 2012, plus a bonus payment equal to the sum of the 2011 and 2012 bonuses. For each of Mr. Lawrence and Dr. Schwartz, the cash payment is calculated as the sum of the executive's annual salary as of December 31, 2012, plus a bonus payment equal to 40% and 35%, respectively, of annual salary.

(2)

Reflects the aggregate intrinsic value of unvested stock options as of December 31, 2012. Aggregate intrinsic value represents the value for only those options which have an exercise price less than the market value of our stock on December 31, 2012.

(3)

Reflects the premiums for twelve months for group medical, dental, life and disability programs. Amounts are based on the premiums in effect at December 31, 2012.

SECURITIES AUTHORIZED FOR ISSUANCE UNDER EQUITY COMPENSATION PLANS

As of March 29, 2013 there were 8,259,603 shares subject to issuance upon exercise of outstanding options and there were no stock appreciation rights under all of our equity compensation plans referred to in the table below, at a weighted average exercise price of \$5.27, and with a weighted average remaining life of 6.4 years. There were a total of 927,697 shares subject to outstanding restricted stock and PSUs and other full value awards that remain subject to forfeiture. As of March 29, 2012, there were 2,042,341 shares available for future issuance under those plans. The following table provides information as of December 31, 2012 regarding compensation plans (including individual compensation arrangements) under which our equity securities are authorized for issuance.

| | (a) | (b) | (c)(1) |
|--|---|---|---|
| Plan Category | Number of securities to be issued upon exercise of outstanding options, warrants and rights | Weighted-average exercise price of outstanding options, warrants and rights | Number of securities remaining available for future issuance under equity compensation plans (excluding securities reflected in column (a)) |
| Equity compensation plans approved by security holders | 7,157,458 | \$ 5.70 | 5,184,778 |
| Equity compensation plans not approved by security holders | _ | _ | _ |
| Total | 7,157,458 | \$ 5.70 | 5,184,778 |

⁽¹⁾

Column "(c)" includes 681,900 shares available for issuance under the ArQule, Inc. Amended and Restated 1996 Employee Stock Purchase Plan, 4,387,745 available for issuance under the ArQule, Inc. Amended and Restated 1994 Equity Incentive Plan and 276,000 available for issuance under the ArQule, Inc. Amended and Restated 1996 Director Stock Option Plan.

REPORT OF THE AUDIT COMMITTEE

In the course of its oversight of the Company's financial reporting process, the Audit Committee of the Board of Directors has:

- reviewed and discussed with management the Company's audited financial statements for the fiscal year ended December 31, 2012;
- discussed with our independent registered public accounting firm, PricewaterhouseCoopers LLP, matters required to be discussed under Public Company Accounting Oversight Board standards;
- received the written disclosures and the letter from PricewaterhouseCoopers LLP pursuant to applicable requirements of the Public Company Accounting Oversight Board regarding the independent accountant's communications with the Audit Committee concerning independence;

discussed with PricewaterhouseCoopers LLP the firm's independence; and

considered whether the provision of non-audit services by PricewaterhouseCoopers LLP is compatible with maintaining independence.

Based on the foregoing review and discussions, the Audit Committee recommended to the Board of Directors that the Company's audited financial statements be included in the Company's Annual Report on Form 10-K for the year ended December 31, 2012 for filing with the SEC.

By the Audit Committee,

Timothy C. Barabe, Chairman William G. Messenger Patrick J. Zenner

PROPOSAL 2—RATIFICATION OF SELECTION OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

We are asking our stockholders to ratify the selection by our Audit Committee of PricewaterhouseCoopers LLP, an independent registered public accounting firm, to audit our financial statements for the fiscal year ending December 31, 2013. PricewaterhouseCoopers LLP has audited our financial statements since our inception.

The Audit Committee of our Board selected PricewaterhouseCoopers LLP to audit our financial statements for the year ending December 31, 2013. We expect that representatives of PricewaterhouseCoopers LLP will attend our 2013 Annual Meeting of Stockholders to respond to appropriate questions. They will also have the opportunity to make a statement if they so desire. PricewaterhouseCoopers LLP has no direct or indirect financial interest in the Company or in any of its subsidiaries, nor has it had any connection with the Company or any of its subsidiaries in the capacity of promoter, underwriter, voting trustee, director, officer or employee.

The following table presents fees billed and expected to be billed for professional audit and audit related services rendered by PricewaterhouseCoopers LLP for fiscal years 2012 and 2011.

| | 2012 | 2011 |
|--------------------|------------|------------|
| Audit Fees | \$ 479,000 | \$ 474,786 |
| Audit-Related Fees | | _ |
| Tax Fees | | _ |
| All Other Fees | | _ |
| Total | \$ 479,000 | \$ 474,000 |

"Audit Fees" are fees for the audit of our financial statements and internal controls over financial reporting, included in our Annual Reports on Form 10-K, the review of interim financial statements included in our Quarterly Reports on Form 10-Q, other services normally associated with statutory and regulatory filings or engagements, and services that generally only the principal auditor can reasonably provide to a client, such as comfort letters, consents and assistance with review of documents to be filed with the SEC. These fees included \$55,000 in 2012 related to the Company's April 2012 Common Stock offering and in 2011 included \$39,386 related to the Company's January 2011 Common Stock offering.

The Audit Committee pre-approves each proposed service by PricewaterhouseCoopers LLP on a case-by-case basis. The Audit Committee does not have any pre-approval policies or procedures for PricewaterhouseCoopers LLP's services. The Audit Committee approved 100% of the audit and audit-related services PricewaterhouseCoopers LLP provided to us in 2012 and 2011.

The affirmative vote of a majority of the total votes cast by the stockholders present at the meeting, in person or by proxy, and entitled to vote on this proposal is necessary to ratify the selection of PricewaterhouseCoopers LLP to audit our financial statements.

OUR BOARD OF DIRECTORS RECOMMENDS A VOTE "FOR" THIS PROPOSAL.

PROPOSAL 3—ADVISORY VOTE ON APPROVAL OF THE COMPENSATION OF THE COMPANY'S NAMED EXECUTIVE OFFICERS

The SEC's proxy rules permit our stockholders to cast an advisory vote on the compensation of our named executive officers as described in this proxy statement. Furthermore, our Board recognizes that stockholders have a legitimate interest in executive compensation matters and a growing interest in voicing their approval on our policies with respect to such matters.

Accordingly, this proposal gives you, as a stockholder, the opportunity to endorse or not endorse our overall compensation programs and policies relating to our named executive officers, as described in detail in the Compensation Discussion and Analysis, the Summary Compensation Table and related compensation tables (and accompanying narrative disclosures) contained in this proxy statement, by voting for or against the compensation of our named executive officers. The affirmative vote of a majority of the total votes cast by the stockholders present at the meeting, in person or by proxy, is necessary to approve this proposal.

Your vote will not directly affect or otherwise limit any compensation or award arrangements that have already been granted to any of our named executive officers. Because your vote is advisory, it will not be binding on the Board. However, our Compensation Committee will take into account the outcome of the vote when considering future executive compensation arrangements.

We believe that our compensation policies and procedures support our business strategy by rewarding successful achievement of specific business goals, as well as our operating and financial results. Furthermore, we believe that our compensation policies and procedures, which provide performance-based incentives to maximize stockholder value, are strongly aligned with the long-term interests of our stockholders.

OUR BOARD OF DIRECTORS RECOMMENDS A VOTE "FOR" THIS PROPOSAL.

CERTAIN RELATIONSHIPS AND RELATED TRANSACTIONS

Review and Approval of Related Person Transactions

Pursuant to our written Conflict of Interest Policy, no director, director nominee, or executive officer may enter into any transaction or relationship that is disclosable by the Company pursuant to SEC Regulation S-K, Item 404, without the prior approval of the disinterested members of our Compensation Committee. No director or executive officer may directly or indirectly approve, or represent the Company or the other party in arranging, the terms of any transaction between the Company and a party with which he/she has any relationship of a type that is disclosable by the Company pursuant to Item 404. All transactions between ArQule and a party with which a director or executive officer has such a relationship shall be on an arm's length basis.

Relationships or transactions disclosable under Item 404 may be deemed acceptable and appropriate upon full disclosure of the relationship or transaction, review of all of the relevant factors, including those specified in our Conflict of Interest Policy, and approval by the disinterested members of our Compensation Committee.

Certain Relationships and Related Party Transactions

There is no amount due to or from a related party as of December 31, 2012 and there was no revenue from a related party in 2012.

SHARE OWNERSHIP OF CERTAIN BENEFICIAL OWNERS

The following table and footnotes set forth certain information regarding the beneficial ownership of the Company's Common Stock by persons known by us to be beneficial owners of more than 5% of our Common Stock.

We had approximately 62,623,782 shares of Common Stock outstanding as of March 29, 2013.

| Name | Common Stock Owned | Options to Purchase Common Stock | Total Stock and Stock-based Holdings | Percent of Class |
|--|--------------------------|--|--|------------------|
| BlackRock, Inc.(1) | 5,305,108 | _ | 5,305,108 | 8.51 % |
| 55 East 52nd Street New York, NY 10055 | | | | |
| First Eagle Investment Management, LLC(2) | 4,539,651 | _ | 4,539,651 | 7.28 % |
| 1345 Avenue of the Americas New York, NY 10105 | | | | |
| The Vanguard Group, Inc.(3) | 3,487,378 | _ | 3,487,378 | 5.59 % |
| 100 Vanguard Blvd. Malvern, PA 19355 | | | | |
| Pfizer Inc(4) | 3,273,679 | _ | 3,273,679 | 5.23 % |
| 235 East 42nd Street New York, New York 10017 | | | | |
| FMR LLC(5) | 3,269,804 | _ | 3,269,804 | 5.25 % |

| Name | Common Stock Owned | Options to Purchase Common Stock | Total Stock and Stock-based Holdings | Percent of Class |
|---|--------------------------|--|--|------------------|
| 82 Devonshire Street, Boston, MA 02109 | | | | |

(1)

These shares are beneficially owned by BlackRock, Inc. based on the Schedule 13G Amendment it filed with the SEC on February 1, 2013. The percentage of ownership is calculated as of the filing date of the 13G Amendment. According to the Schedule 13G Amendment, BlackRock, Inc. has sole voting power and sole dispositive power over all of the shares.

(2)

These shares are beneficially owned by First Eagle Investment Management, LLC based on the Schedule 13G it filed with the SEC on February 11, 2013. The percentage of ownership is calculated as of the filing date of the Schedule 13G. According to the Schedule 13G, First Eagle Investment Management, LLC has sole voting power and sole dispositive power over all of the shares.

(3)

These shares are beneficially owned by The Vanguard Group based on the Schedule 13G Amendment it filed with the SEC on February 11, 2013. The percentage of ownership is calculated as of the filing date of the 13G Amendment. According to the Schedule 13G Amendment, The Vanguard Group has (a) sole power to vote or direct to vote 82,768 shares, (b) sole power to dispose of or to direct the disposition of 3,404,610 shares and (c) shared power to dispose or direct the disposition of 82,768 shares

(4)

These shares (issued to Pfizer Holdings Europe, Latouche House, International Financial Services Centre, Dublin 1, Ireland, a subsidiary of Pfizer Inc) are beneficially owned by Pfizer Inc based on the Schedule 13D filed with the SEC on February 14, 2006 by Pfizer Inc. The percentage of ownership is calculated as of March 29, 2013.

(5)

These shares are beneficially owned by FMR LLC based on the Schedule 13G Amendment it filed with the SEC on February 14, 2013. The percentage of ownership is calculated as of the filing date of the 13G Amendment. According to the Schedule 13G Amendment, FMR LLC is a parent holding company and has sole power to dispose or to direct the disposition of 7,948,445 shares. The Schedule 13G Amendment further states that FMR LLC does not have the sole power to vote or direct the voting of such shares, which power resides with the Fidelity Funds' Board of Trustees. The following table and footnotes set forth certain information regarding the beneficial ownership of the Company's Common Stock as of March 29, 2013 by (i) our directors, (ii) our named executive officers, and (iii) all directors and executive officers as a group. Shares of Common Stock underlying options include shares for which options are currently exercisable or will become exercisable within 60 days after March 29, 2013. Those options are deemed to be outstanding for computing the percentage of the person or group holding such options, but are not deemed outstanding for computing the percentage of any other person or group.

| Directors and Executive Officers(1) | Common Stock Owned | Options to Purchase Common Stock | Total Stock and Stock-based Holdings | Percer of Clas | |
|--|--------------------------|--|--|-------------------|---|
| Timothy C. Barabe(2) | 52,570 | 100,000 | 152,570 | | * |
| Susan L. Kelley | | 50,000 | 50,000 | | * |
| Ronald M. Lindsay | 20,000 | 95,000 | 115,000 | | * |
| Michael D. Loberg | 80,364 | 95,000 | 175,364 | | * |
| William G. Messenger | 1,500 | 100,000 | 101,500 | | * |
| Patrick J. Zenner | 35,300 | 150,000 | 185,300 | | * |
| Thomas C. K. Chan | 16,994 | 330,000 | 346,994 | | * |
| Peter S. Lawrence | 63,857 | 861,937 | 925,794 | 1.5 | % |
| Paolo Pucci | 235,419 | 972,500 | 1,207,919 | 1.9 | % |
| Brian Schwartz | 38,057 | 364,875 | 402,932 | | * |
| Directors and executive officers as a group (10 persons) | 544,061 | 3,119,312 | 3,663,373 | 5.9 | % |

*

Indicates less than 1%.

(1)

The persons named in the table have sole voting and investment power with respect to the shares beneficially owned by them, except as noted below or as otherwise provided under community property laws. Total Stock and Stock-based Holdings numbers include shares of Common Stock issuable pursuant to outstanding options that may be exercised within 60 days after March 29, 2013.

(2)

Mr. Barabe disclaims any direct, indirect or beneficial ownership of 420 shares of Common Stock owned by his spouse.

SECTION 16(a) BENEFICIAL OWNERSHIP REPORTING COMPLIANCE

Our executive officers and directors are required under Section 16(a) of the Exchange Act to file reports of ownership and changes in ownership of our securities with the SEC. Copies of those reports must also be furnished to us, unless we and the person reporting have agreed that we will file on his or her behalf pursuant to a power of attorney.

We file reports required under Section 16(a) on behalf of all our directors and officers pursuant to powers of attorney. Based solely on a review of the copies of reports furnished to, or filed by, us and written representations that no other reports were required, we believe that during 2012, our executive officers and directors complied with all applicable Section 16(a) filing requirements.

STOCKHOLDER PROPOSALS

If you wish to bring business before the 2014 Annual Meeting of Stockholders and have your proposal included in the proxy statement and card for that meeting, you must give written notice to ArQule by December 20, 2013, provided that the 2014 Annual Meeting of Stockholders is within 30 days of May 20, 2013 (December 20, 2013 being the date 120 days before the anniversary of the date the 2013 proxy statement was mailed to stockholders).

If you intend to bring such a proposal at the 2014 Annual Meeting outside the SEC's stockholder proposal rules, or wish to propose a director nomination at the 2014 Annual Meeting, you must provide written notice to ArQule of such proposal or nomination by March 6, 2014 (the date 75 days before the anniversary of the 2013 Annual Meeting).

Notices of stockholder proposals and nominations should be given in writing to:

Peter S. Lawrence President and Chief Operating Officer ArQule, Inc. 19 Presidential Way Woburn, Massachusetts, 01801

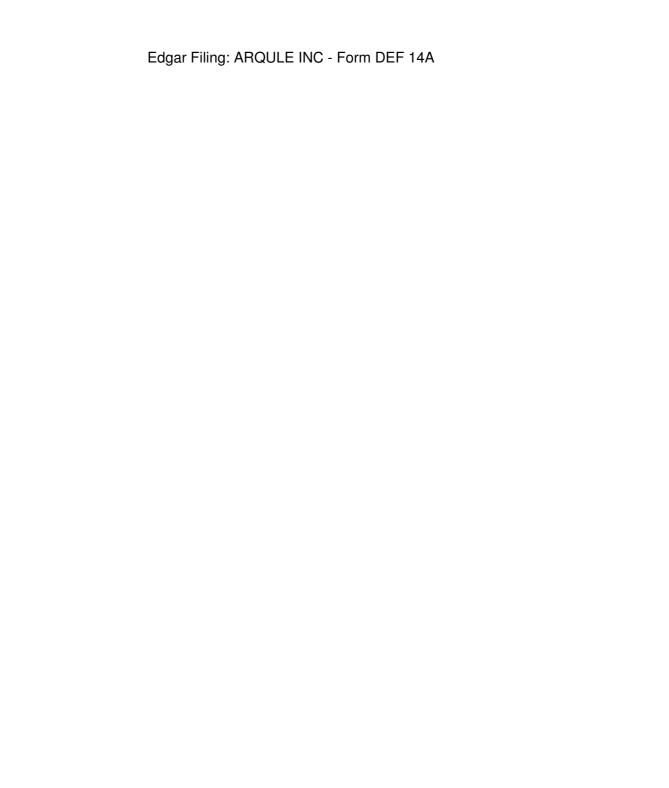
OTHER MATTERS

Our Board does not know of any business to come before the Annual Meeting other than the matters described in the Notice of Annual Meeting. If other business is properly presented for consideration at the meeting, the enclosed proxy authorizes the persons named therein to vote the shares represented thereby in their discretion.

A copy of our Annual Report on Form 10-K for the year ended December 31, 2012, as filed with the SEC on March 14, 2013, accompanies this Proxy Statement. Any exhibit to Form 10-K is also available upon written request at a reasonable charge for copying and mailing. Written requests should be addressed to:

William B. Boni
Vice President, Investor Relations/Corporate Communications
ArQule, Inc.
19 Presidential Way
Woburn, Massachusetts 01801

Copies of these documents may also be accessed electronically by means of the SEC's website at http://www.sec.gov and http://www.proxyvote.com. The Annual Report on Form 10-K is not part of the proxy solicitation materials.



ARQULE, INC.19 PRESIDENTIAL WAYWOBURN, MA 01801VOTE BY INTERNET - www.proxyvote.com Use the Internet to transmit your voting instructions and for electronic delivery ofinformation up until 5:00 P.M. Eastern Daylight Saving Time, May 19, 2013. Haveyour proxy card in hand when you access the web site and follow the instructionsto obtain your records and to create an electronic voting instruction form.ELECTRONIC DELIVERY OF FUTURE PROXY MATERIALSIf you would like to reduce the costs incurred by ArQule, Inc. in mailingproxy materials, you can consent to receiving all future proxy statements, proxy cards and annual reports electronically via

e-mail or the Internet. To signup for electronic delivery, please follow the instructions above to vote using the Internet and, when prompted, indicate that you agree to receive or access proxymaterials electronically in future years. VOTE BY PHONE - 1-800-690-6903 Use any touch-tone telephone to transmit your voting instructions up until5:00 P.M. Eastern Daylight Saving Time, May 19, 2013. Have your proxy card inhand when you call and then follow the instructions. VOTE BY MAIL Mark, sign and date your proxy card and return it in the postage-paid envelope we have provided or return it to ArQule, Inc., c/o Broadridge, 51 Mercedes Way, Edgewood, NY 11717.TO VOTE, MARK BLOCKS BELOW IN BLUE OR BLACK INK AS FOLLOWS: M58474-P37136 KEEP THIS PORTION FOR YOUR RECORDS THIS PROXY CARD IS VALID ONLY WHEN SIGNED AND DATED ARQULE, INC. The Board of Directors recommends a vote "FOR" the election of the nominees listed below and "FOR" proposals 2 and 3. For All Withhold All For All Except 1. To elect Susan L. Kelley, M.D. and Michael D. Loberg, Ph.D, as directors to hold office for a term of three years and until their respective successors are elected and qualified. To withhold authority to vote for any individualnominee(s), mark "For All Except" and write thename(s) of the nominee(s) on the line below. 2. To ratify the selection of PricewaterhouseCoopers LLP, an independent registered public accounting firm, to audit our financial statements for the year ending December 31, 2013. For Against Abstain3. To approve, by non-binding vote, the compensation of our named executive officers. Please sign exactly as name appears on stock certificate. When shares are held by joint tenants, both should sign. When signing as attorney, executor, administrator, trustee, or guardian, please give full title as such. If a corporation, please sign in full corporate name by President or other authorized officer. If a partnership, please sign in partnership name by authorized person. Signature [PLEASE SIGN WITHIN BOX] Date Signature (Joint Owners) Date

Important Notice Regarding the Availability of Proxy Materials for the Annual Meeting: The Notice and Proxy Statement, Form 10-K and Stockholder Letter are available at www.proxyvote.com. M58475-P37136 THIS PROXY IS SOLICITED ON BEHALF OF THE BOARD OF DIRECTORSOFARQULE, INC. ANNUAL MEETING OF STOCKHOLDERSMay 20, 2013 The undersigned stockholder of ArQule, Inc. hereby appoints Peter S. Lawrence and Robert J. Connaughton, Jr., and each of them acting individually, as proxies, each with the power to appoint his substitute, and hereby authorizes them to represent and to vote, as designated on the reverse side of this ballot, all of the shares of common stock of ArQule, Inc. that the undersigned is entitled to vote at the Annual Meeting of Stockholders to be held at the offices of ArQule, Inc. at 19 Presidential Way, Woburn, Massachusetts 01801-5140 at 10:00 a.m. Eastern Daylight Saving Time on May 20, 2013 and any adjournment or postponement thereof. THIS PROXY, WHEN PROPERLY EXECUTED, WILL BE VOTED AS DIRECTED BY THE UNDERSIGNED STOCKHOLDER. IF NO SUCH DIRECTIONS ARE GIVEN, THIS PROXY WILL BE VOTED "FOR" THE ELECTION OF THE NOMINEES LISTED ON THE REVERSE SIDE AND "FOR" PROPOSALS 2 AND 3.PLEASE MARK, SIGN, DATE AND RETURN THIS PROXY CARD PROMPTLY USING THE ENCLOSED REPLY ENVELOPE. Continued and to be signed on reverse side