

ARQULE INC  
Form S-3  
December 06, 2017

**As Filed with the Securities and Exchange Commission on December 6, 2017**

**Registration No. 333-**

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

**FORM S-3  
REGISTRATION STATEMENT UNDER  
THE SECURITIES ACT OF 1933**

**ARQULE, INC.**  
(Exact name of registrant as specified in its charter)

**DELAWARE**  
(State or other jurisdiction of incorporation or organization)

**04-3221586**  
(IRS Employer Identification No.)

**One Wall Street  
Burlington, Massachusetts 01803**

**(781) 994-0300**

(Address, including zip code, and telephone number, including area code, of registrant's principal executive offices)

**PAOLO PUCCI**

**Chief Executive Officer**

**ArQule, Inc.**

**One Wall Street**

**Burlington, Massachusetts 01803**

**(781) 994-0300**

(Name, address, including zip code, and telephone number, including area code, of agent for service)

**Copies to:**

**PETER S. LAWRENCE**

President and Chief Operating Officer

ArQule, Inc.

One Wall Street

Burlington, Massachusetts 01803

(781) 994-0300

**RICHARD E. BALTZ**

Arnold & Porter Kaye Scholer LLP

601 Massachusetts Ave, N.W.

Washington, D.C. 20001

(202) 942-5000

**Approximate date of commencement of proposed sale to the public: From time to time after the effective date of this Registration Statement.**

If the only securities being registered on this Form are being offered pursuant to dividend or interest reinvestment plans, please check the following box: "

If any of the securities being registered on this Form are to be offered on a delayed or continuous basis pursuant to Rule 415 under the Securities Act of 1933, other than securities offered only in connection with dividend or interest reinvestment plans, check the following box: x

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If this Form is filed to register additional securities for an offering pursuant to Rule 462(b) under the Securities Act, please check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering: "

If this form is a post-effective amendment filed pursuant to Rule 462(c) under the Securities Act of 1933, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering: "

If this form is a registration statement pursuant to General Instruction I.D. or a post-effective amendment thereto that shall become effective upon filing with the Commission pursuant to Rule 462(e) under the Securities Act, check the following box. "

If this Form is a post-effective amendment to a registration statement filed pursuant to General Instruction I.D. filed to register additional securities or additional classes of securities pursuant to Rule 413(b) under the Securities Act, check the following box. "

Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, a smaller reporting company or an emerging growth company. See the definitions of "large accelerated filer," "accelerated filer," "smaller reporting company" and "emerging growth company" in Rule 12b-2 of the Exchange Act.

	Non-accelerated filer "			
Large accelerated filer "	Accelerated filer x (Do not check if a smaller reporting company)	Smaller reporting company "	Emerging growth company "	

If an emerging growth company, indicate by check mark if the registrant has elected not to use the extended transition period for complying with any new or revised financial accounting standards provided to Section 7(a)(2)(B) of the Securities Act. "

CALCULATION OF REGISTRATION FEE

Title of each class of securities to be registered	Amount to be registered (1)	Proposed maximum offering price per share (2)	Proposed maximum aggregate offering price	Amount of registration fee
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Common Stock, par value \$0.01 per share	17,062,326	\$ 1.475	(2) \$25,166,930.85	\$ 3,133.29
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(1) Pursuant to Rule 416 under the Securities Act of 1933, as amended, this registration statement shall be deemed to cover any additional securities issuable pursuant to stock splits, stock dividends and similar transactions.

(2) Estimated solely for purposes of calculating the registration fee pursuant to Rule 457(c) of the Securities Act of 1933, as amended, based upon the average of the high and low sale prices of the Registrant's common stock as reported on the Nasdaq Global Market on November 30, 2017.

**The Registrant hereby amends this Registration Statement on such date or dates as may be necessary to delay its effective date until the Registrant shall file a further amendment which specifically states that this Registration Statement shall thereafter become effective in accordance with Section 8(a) of the Securities Act of 1933, as amended, or until the Registration Statement shall become effective on such date as the Securities and Exchange Commission, acting pursuant to said Section 8(a), may determine.**

**The information in this prospectus is not complete and may be changed. The selling stockholders may not sell any of the securities described in this prospectus until the registration statement that we have filed with the Securities and Exchange Commission to cover the securities is effective. This prospectus is not an offer to sell these securities and it is not soliciting an offer to buy these securities in any state where the offer or sale is not permitted.**

**Subject to Completion  
Preliminary Prospectus Dated December 6, 2017**

PROSPECTUS

**ArQule, Inc.**

Up to 17,062,326 shares of our Common Stock

This prospectus relates to up to 17,062,326 shares of our common stock that may be offered for sale by the selling stockholders named in this prospectus under “Selling Stockholders.” The shares consist of 13,938,651 outstanding shares of common stock and 3,123,675 shares of common stock issuable upon the exercise of outstanding warrants held by certain of the selling stockholders. We issued the shares and warrants pursuant to securities purchase agreements by and among the Company and the selling stockholders which, in each case, included registration rights for the shares issued.

The prices at which the selling stockholders may sell the shares will be determined by the prevailing market prices for the shares or in negotiated transactions. We do not know when or in what amount the selling stockholders may offer the shares for sale. We will not receive any of the proceeds from the sale of the shares. The shares may be offered from time to time by the selling stockholders, their pledgees and/or donees, beginning on the date of this prospectus. We have agreed to bear all costs, expenses and fees in connection with the registration of the common stock offered by the selling stockholders. However, we will not be paying any underwriting discounts, commissions or brokerage fees in this offering or any fees or expenses of the selling stockholders’ legal counsel.

The shares may be offered through ordinary brokerage transactions on the Nasdaq Global Market, the principal exchange on which our common stock is listed, in the over-the-counter market or other exchanges on which our shares are traded, in negotiated transactions or otherwise, at market prices prevailing at the time of sale or at negotiated

prices.

Our common stock is quoted on the NASDAQ Global Market and traded under the symbol "ARQL." On December 5, 2017 the last reported sale price of our common stock on the NASDAQ Global Market was \$1.50 per share.

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**See "Risk Factors" beginning on page 4 for a discussion of certain material factors that you should consider in connection with an investment in our securities.**

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**Neither the Securities and Exchange Commission nor any state securities commission has approved or disapproved of these securities or passed upon the adequacy or accuracy of this prospectus. Any representation to the contrary is a criminal offense.**

The date of this prospectus is \_\_\_\_\_

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## ABOUT THIS PROSPECTUS

You should rely only on the information contained in or incorporated by reference into this prospectus (as supplemented and amended). We have not authorized anyone to provide you with different information. This document may only be used where it is legal to sell these securities. The information contained in this prospectus (and in any supplement or amendment to this prospectus) is accurate only as of the date on the front of the document, and any information we have incorporated by reference is accurate only as of the date of the document incorporated by reference, regardless of the time of delivery of this prospectus or any sale of our common stock. Our business, financial condition, results of operations and prospects may have changed since those dates.

We urge you to read carefully this prospectus (as supplemented and amended), together with the information incorporated herein by reference as described under the heading “Incorporation of Certain Documents by Reference” before deciding whether to invest in any of the common stock being offered.

Neither we nor the selling stockholders are making an offer to sell these securities in any jurisdiction where such offer or sale is not permitted. Furthermore, you should not consider this prospectus to be an offer or solicitation relating to our common stock if the person making the offer or solicitation is not qualified to do so or it is unlawful for you to receive such an offer or solicitation.

We have not taken any action to permit a public offering of the shares of common stock outside the United States or to permit the possession or distribution of this prospectus outside the United States. Persons outside the United States who come into possession of this prospectus must inform themselves about and observe any restrictions relating to the offering of the shares of common stock and the distribution of this prospectus outside of the United States.



## SUMMARY

*This summary highlights information contained in or incorporated by reference into this prospectus. This summary may not contain all of the information that you should consider before deciding whether or not you should exercise your subscription rights. You should carefully read this prospectus, including the documents incorporated by reference, which are described under the heading “Incorporation of Certain Documents by Reference” in this prospectus.*

We are a biopharmaceutical company engaged in the research and development of innovative therapeutics to treat cancers and rare diseases. Our mission is to discover, develop and commercialize novel small molecule drugs in areas of high unmet need that will dramatically extend and improve the lives of our patients. These drugs target biological pathways implicated in a wide range of cancers and certain non-oncology indications. Our discovery and development efforts are guided, when possible, by an understanding of the role of biomarkers, which are indicators of a particular biological condition or process and may predict the clinical benefit of our compounds in defined patient populations. Our clinical-stage pipeline consists of five drug candidates, all of which are in targeted patient populations, making ArQule a leader among companies our size in precision medicine.

ArQule has a long history of kinase drug discovery and development, having discovered and introduced ten kinase inhibitors into clinical trials. Our drug discovery efforts have been informed by our historical expertise in chemistry, our work in rational drug design and by our insight into kinase binding and regulation. We have applied this knowledge to produce significant chemical matter for a number of kinase targets and to build an extensive library of proprietary compounds with the potential to target multiple kinases in oncology and other therapeutic areas, such as rare diseases. We expect to bring further preclinical programs forward and to interrogate our library against new targets beyond kinases either directly or with collaborators.

Our proprietary pipeline of product candidates is directed toward molecular targets and biological processes with demonstrated roles in the development of both human cancers and rare, non-oncology diseases. All of these programs are being developed in targeted, biomarker-defined patient populations. By seeking out subgroups of patients that are most likely to respond to our drugs, we intend to identify small, often orphan, indications that allow for focused and efficient development. At the same time, in addition to pursuing these potentially fast-to-market strategies, we also pursue development in other indications that could allow us to expand the utility of the drugs if approved. The pipeline includes the following wholly-owned compounds:

- Derazantinib (ARQ 087), a multi-kinase inhibitor designed to preferentially inhibit the FGFR family of kinases, in a registrational trial in intrahepatic cholangiocarcinoma (iCCA) patients with FGFR2 fusions;

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- Miransertib (ARQ 092), a selective inhibitor of the AKT serine/threonine kinase, in Phase 1/2 in rare Overgrowth Diseases and in Phase 1 for multiple oncology indications and in the rare disease, Proteus syndrome, in partnership with the National Institutes of Health (NIH);
- ARQ 751, a next-generation inhibitor of AKT, in Phase 1 for solid tumors harboring the AKT1 or PI3K mutation;
- ARQ 531, an investigational, orally bioavailable, potent and reversible inhibitor of both wild type and C481S-mutant BTK, in Phase 1 for B-cell malignancies refractory to other therapeutic options; and
- ARQ 761, a  $\beta$ -lapachone analog being evaluated as a promoter of NQO1-mediated programmed cancer cell death, in Phase 1/2 in multiple oncology indications in partnership with The University of Texas Southwest Medical Center.

Our executive offices are located at One Wall Street, Burlington, MA 01803.

## The Offering

Maximum number of common  
stock offered by selling      17,062,326 shares  
stockholders

Common stock outstanding as      87,110,202 shares  
of November 30, 2017

Use of Proceeds      We will not receive any of the proceeds from the sale of shares under this prospectus.  
All of the proceeds from the sale or other disposition of the shares of common stock  
offered by this prospectus will be received by the selling stockholders.

Risk Factors      See "Risk Factors" and other information included or incorporated by reference in this  
prospectus for a discussion of certain factors that you should carefully consider before  
investing in our common stock.

## RISK FACTORS

An investment in our securities involves a high degree of risk. You should carefully consider the specific risks set forth under the caption “Risk Factors” in the applicable prospectus supplement before making an investment decision. The risks and uncertainties described in the prospectus supplement are not the only ones we face. Additional risks and uncertainties that we are unaware of or that we believe are not material at the time could also materially adversely affect our business, financial condition or results of operations. In any case, the value of our securities could decline, and you could lose all or part of your investment. You should also refer to the other information contained in this prospectus or incorporated herein by reference, including our financial statements and the notes to those statements and the risks and uncertainties described in Item 1A of our Annual Report on Form 10-K for the fiscal year ended December 31, 2016. See also the information contained under the heading “Forward Looking Statements” immediately below.

## FORWARD LOOKING STATEMENTS

In addition to historical information, this report contains forward-looking statements. You can identify these forward-looking statements by their use of words such as “anticipate,” “assume,” “believe,” “estimate,” “expect,” “forecast,” “intend,” “may,” “plan,” “project,” “target,” “will” and other words and terms of similar meaning. You also can identify them by the fact that they do not relate strictly to historical or current facts. All statements which address operating performance, events or developments that we expect or anticipate will occur in the future, such as projections about our future results of operations, our financial condition, research, development and commercialization of our products and anticipated trends in our business are forward-looking statements.

In this report we make forward-looking statements regarding our drug development pipeline and our existing and planned clinical trials as well as projected financial results and our ability to fund operations with current cash, cash equivalents and marketable securities.

Drug development involves a high degree of risk. Only a small number of research and development programs result in the commercialization of a product. For example, pre-clinical experiments associated with our product pipeline may fail or prove disappointing because our technology platform did not produce candidates with the desired characteristics. Animal xenograft pre-clinical studies may be unrepresentative of human response. Positive information about early stage clinical trial results will not ensure that later stage or larger scale clinical trials will be successful.

Furthermore, our drugs may not demonstrate promising therapeutic effects; in addition, they may not demonstrate appropriate safety profiles in ongoing or later stage or larger scale clinical trials as a result of known or as yet

unidentified side effects. The results achieved in later stage trials may not be sufficient to meet applicable regulatory standards. Problems or delays may arise during clinical trials or in the course of developing, testing or manufacturing our drugs that could lead us or our partner to discontinue development.

Even if later stage clinical trials are successful, the risk exists that unexpected concerns may arise from analysis of data or from additional data or that obstacles may arise or issues be identified in connection with review of clinical data with regulatory authorities or that regulatory authorities may disagree with our view of the data or require additional data or information or additional studies. Also, the planned timing of initiation of clinical trials and the duration and conclusion of such trials for our drugs are subject to the ability of the company to enroll patients, enter into agreements with clinical trial sites and investigators, and other technical hurdles and issues that may not be resolved.

We also make forward-looking statements regarding the adequacy of our financial resources. Our capital resources may not be adequate because our cash requirements may vary materially from those now planned depending upon the results of our drug discovery and development strategies, the outcomes of our clinical trials, our ability to enter into additional corporate collaborations in the future and the terms of such collaborations, results of research and development, the need for currently unanticipated capital expenditures, competitive and technological advances, acquisitions, financial market conditions and other factors. Additionally, our corporate collaborators may terminate their agreements with us, thereby eliminating that source of funding, because we may fail to satisfy the prescribed terms of the collaborations or for other reasons.

We cannot guarantee that we will be able to develop any of our drug candidates into a commercial product generating revenues. If we experience increased losses, we may have to seek additional financing from public and private sales of our securities, including equity securities. There can be no assurance that additional funding will be available when needed or on acceptable terms.

The factors, risks and uncertainties referred to above and others are more fully described under the heading “Risk Factors” in our Annual Report on Form 10-K for the fiscal year ended December 31, 2016 filed with the SEC on March 9, 2017, as updated from time to time in our subsequent Quarterly Reports on Form 10-Q and Current Reports on Form 8-K. The forward-looking statements contained herein represent our judgment as of the date of this report. We are not under any obligation, and we expressly disclaim any obligation, to update or alter any forward-looking statements, whether as a result of new information, future events or otherwise, except to the extent required by law.

## USE OF PROCEEDS

We will not receive any proceeds from the sale of the shares of our common stock by the selling stockholders.

The selling stockholders will pay any underwriting discounts and commissions and expenses incurred by the selling stockholders in disposing of the shares. We will bear all other costs, fees and expenses incurred in effecting the issuance and registration of the shares covered by this prospectus, including, without limitation, all registration and filing fees, NASDAQ Global Market listing fees and fees and expenses of our counsel and our accountants.

## SELLING STOCKHOLDERS

This prospectus covers an aggregate of 17,062,326 shares of our common stock.

We are registering the shares under the Securities Act to give the selling stockholders the opportunity, if they so desire, to publicly sell the shares for their own accounts in such amounts and at such times and prices as each may choose. The selling stockholders may from time to time offer and sell pursuant to this prospectus any or all of the below listed shares of common stock owned by them. The registration of these shares does not require that any of the shares be offered or sold by the selling stockholders. The selling stockholders may from time to time offer and sell all or a portion of their shares through ordinary brokerage transactions on the Nasdaq Global Market, the principal exchange on which our common stock is listed, in the over-the-counter market or other exchanges on which our shares are traded, in negotiated transactions or otherwise, at market prices then prevailing or related to the then current market price or at negotiated prices.

The following table sets forth information with respect to the number of shares of common stock beneficially owned by the selling stockholders named below and as adjusted to give effect to the sale of the shares offered hereby. The shares beneficially owned have been determined in accordance with rules promulgated by the SEC, and the information is not necessarily indicative of beneficial ownership for any other purpose.

The information in the table below is current as of November 30, 2017. All information contained in the table is based upon information provided to us by the selling stockholders and we have not independently verified this information. The selling stockholders are not making any representation that any shares covered by the prospectus will be offered for sale.

No affiliate of any of the selling stockholders has held any position or office with us or any of our affiliates, and no selling stockholder has had any other material relationship with us or any of our affiliates within the past three years other than as a result of its ownership of shares of equity securities.

As explained below under “Plan of Distribution,” we have agreed with the selling stockholders to bear certain expenses (other than broker discounts and commissions, if any) in connection with the registration statement, which includes this prospectus.

We considered the following factors and made the following assumptions regarding the table:



solely for purposes of the following table, we have assumed that the shares issuable pursuant to the warrants are owned by the selling stockholders even though the warrants have not been exercised;

unless otherwise indicated below, to our knowledge, the selling stockholders named below have sole voting and investment power with respect to their shares of common stock;

the “Number of Shares Beneficially Owned After Offering” column assumes the sale of all shares offered pursuant to this registration statement; and

the “Percentage Beneficial Ownership After Offering” column is based upon 87,110,202 shares of our common stock outstanding as of November 30, 2017.

Notwithstanding these assumptions, the selling stockholders may sell less than all of the shares listed on the table. In addition, the shares listed below may be sold pursuant to this prospectus or in privately negotiated transactions. Accordingly, we cannot estimate the number of shares of common stock that the selling stockholders will sell under this prospectus.

Name of Selling Stockholder	Number of Shares Beneficially Owned Prior to Offering	Number of Shares Registered for Sale	Number of Shares Beneficially Owned After Offering(1)	Percentage Beneficial Ownership After Offering(1)	
DAFNA Lifescience LP (2)	250,661	412,115	250,661	+	
DAFNA Lifescience Select LP (2)	176,817	286,385	176,817	+	
Nantahala Capital Partners SI LP (3)	5,637,639	1,600,899	5,637,639	6.47	%
Nantahala Capital Partners Limited Partnership (3)	553,019	157,039	553,019	+	
Blackwell Partners LLC - Series A (3)	1,380,898	392,128	1,380,898	1.59	%
Silver Creek CS SAV LLC (3)	737,639	209,465	737,639	+	
Corbin Equity Fund LP (3)	556,726	158,091	556,726	+	
21 April Fund Ltd. (4)(a)(*)	6,080,647	1,382,277	6,080,647	6.98	%
21 April Fund LP (4)(b)(*)	1,564,113	350,948	1,564,113	1.80	%
First Eagle Value in Biotechnology Master Fund Ltd. (4)(c)(*)	4,383,970	636,263	4,383,970	5.03	%
Biotechnology Value Fund II LP (5)(d)(e)	2,075,366	3,872,235	2,075,366	2.38	%
Biotechnology Value Trading Fund OS LP (6)(d)(e)	639,130	939,267	639,130	+	
Biotechnology Value Fund LP (5)(d)(e)	3,256,486	5,649,398	3,256,486	3.74	%
MSI BVF SPV LLC (5)(d)(e)	1,001,931	508,481	1,001,931	1.15	%
Investment 10 LLC (5)(d)(e)	427,215	507,335	427,215	+	

(+) Less than 1%

(1) We do not know when or in what amounts the selling stockholders will offer shares for sale, if at all. The selling stockholders may sell any or all of the shares included in and offered by this prospectus. Because the selling stockholders may offer all or some of the shares pursuant to this offering, we cannot estimate the number of shares that will be held by the selling stockholders after completion of the offering. However, for purposes of this table, we have assumed that after completion of the offering, none of the shares included in and covered by this prospectus will be held by the selling stockholders.

(2) Nathan Fischel, C.E.O. and Fariba Ghodsian, C.I.O. may be deemed to have voting and/or investment control over the shares.

(3) Nantahala Capital Management, LLC is a Registered Investment Adviser and has been delegated the legal power to vote and/or direct the disposition of securities on behalf of these entities, and is a beneficial owner of such securities. Nantahala Capital Management, LLC beneficially owns an additional 1,373,830 shares of the Company's common stock held by an advisory client that is not a Selling Stockholder pursuant to this prospectus. The above shall not be deemed to be an admission by the record owners or these Selling Stockholders that they are themselves

beneficial owners of these shares of common stock or warrants for purposes of Section 13(d) of the Exchange Act or any other purpose. Wilmot Harkey and Daniel Mack are managing members of Nantahala Capital Management, LLC and may be deemed to have voting and investment control over the shares held by the selling stockholders.

(4) First Eagle Investment Management, LLC is the investment adviser of First Eagle Value in Biotechnology Master Fund, Ltd. and 21 April Fund, Ltd., general partner and manager of 21 April Fund, LP., and may be deemed to have voting and/or investment power over the shares held by each of these three funds.

(a) First Eagle Investment Management, LLC, a Delaware limited liability company and a U.S. registered investment adviser serves as investment adviser to the selling shareholder. First Eagle Investment Management, LLC is a subsidiary of First Eagle Holdings, Inc., a Delaware corporation. Michael M. Kellen may be deemed to have voting and investment control over the shares held by the selling shareholder.

(b) First Eagle Investment Management, LLC, a Delaware limited liability company and a U.S. registered investment adviser serves as manager and general partner to the selling shareholder. First Eagle Investment Management, LLC is a subsidiary of First Eagle Holdings, Inc., a Delaware corporation. Michael M. Kellen may be deemed to have voting and investment control over the shares held by the selling shareholder.

(c) First Eagle Investment Management, LLC, a Delaware limited liability company and a U.S. registered investment adviser serves as investment adviser to the selling shareholder. First Eagle Investment Management, LLC is a subsidiary of First Eagle Holdings, Inc., a Delaware corporation. Dan DeClue may be deemed to have voting and investment control over the shares held by the selling shareholder.

(\*The selling shareholder is an affiliate of a broker-dealer. Based on information provided to us by such selling shareholder, such selling shareholder purchased the shares being offered for resale in the ordinary course of business and, at the time of purchase, such selling shareholder had no agreements or understandings, directly or indirectly, with any person to distribute the shares.

(5) BVF Partners L.P. is the general partner of Biotechnology Value Fund II LP and Biotechnology Value Fund LP and the investment manager of each of MSI BVF SPV LLC, Investment 10 LLC and Biotechnology Value Trading Fund OS LP and may be deemed to beneficially own the shares held by Biotechnology Value Fund II LP, Biotechnology Value Fund LP, Biotechnology Value Trading Fund OS LP, Investment 10 LLC and MSI BVF SPV LLC.

(d) BVF Inc., as the general partner of BVF Partners L.P., may be deemed to beneficially own the shares beneficially owned by Partners.

(e) Mark Lampert, as a director and officer of BVF Inc., may be deemed to beneficially own the shares beneficially owned by BVF Inc.

(6) BVF Partners OS Ltd. is the general partner of Biotechnology Value Trading Fund OS LP and may be deemed to beneficially own the shares held by Biotechnology Value Trading Fund OS LP.

(d) BVF Inc., as the general partner of BVF Partners L.P., may be deemed to beneficially own the shares beneficially owned by Partners.

(e) Mark Lampert, as a director and officer of BVF Inc., may be deemed to beneficially own the shares beneficially owned by BVF Inc.

## PLAN OF DISTRIBUTION

Each of the selling stockholders and any of their pledgees, assignees and successors-in-interest may, from time to time, sell any or all of their shares of common stock on any stock exchange, market or trading facility on which the shares are traded or in private transactions. These sales may be at fixed or negotiated prices. The selling stockholders may use any one or more of the following methods when selling shares:

- ordinary brokerage transactions and transactions in which the broker-dealer solicits purchasers;

· block trades in which the broker-dealer will attempt to sell the shares as agent but may position and resell a portion of the block as principal to facilitate the transaction;

- purchases by a broker-dealer as principal and resale by the broker-dealer for its account;

- an exchange distribution in accordance with the rules of the applicable exchange;

- privately negotiated transactions;

· settlement of short sales entered into after the effective date of the registration statement of which this prospectus is a part;

· in transactions through broker-dealers that agree with the selling stockholders to sell a specified number of such securities at a stipulated price per security;

· through the writing or settlement of options or other hedging transactions, whether through an options exchange or otherwise; or

- a combination of any such methods or any other method permitted pursuant to applicable law.

The selling stockholders may, from time to time, pledge or grant a security interest in some or all of the shares of common stock owned by them and, if they default in the performance of their secured obligations, the pledgees or secured parties may offer and sell the shares of common stock, from time to time, under this prospectus, or under an amendment to this prospectus under Rule 424(b)(3) or other applicable provision of the Securities Act amending the list of selling stockholders to include the pledgee, transferee or other successors in interest as selling stockholders

under this prospectus. The selling stockholders also may transfer the shares of common stock in other circumstances, in which case the transferees, pledgees or other successors in interest will be the selling beneficial owners for purposes of this prospectus.

The selling stockholders may also sell shares under Rule 144 under the Securities Act, if available, rather than under this prospectus.

In connection with the sale of the securities or interests therein, the selling stockholders may enter into hedging transactions with broker-dealers or other financial institutions, which may in turn engage in short sales of the securities in the course of hedging the positions they assume. The selling stockholders may also sell securities short and deliver these securities to close out their short positions, or loan or pledge the securities to broker-dealers that in turn may sell these securities. The selling stockholders may also enter into option or other transactions with broker-dealers or other financial institutions or create one or more derivative securities which require the delivery to such broker-dealer or other financial institution of securities offered by this prospectus, which securities such broker-dealer or other financial institution may resell pursuant to this prospectus (as supplemented or amended to reflect such transaction).

The selling stockholders and any broker-dealers or agents that are involved in selling the securities may be deemed to be “underwriters” within the meaning of the Securities Act in connection with such sales. In such event, any commissions received by such broker-dealers or agents and any profit on the resale of the securities purchased by them may be deemed to be underwriting commissions or discounts under the Securities Act. Each selling stockholder has informed us that it does not have any written or oral agreement or understanding, directly or indirectly, with any person to distribute the securities. In no event shall any broker-dealer receive fees, commissions and markups which, in the aggregate, would exceed eight percent (8%).

We are required to pay certain fees and expenses incurred by us incident to the registration of the securities. We have agreed to indemnify the selling stockholders against certain losses, claims, damages and liabilities, including liabilities under the Securities Act.

Because selling stockholders may be deemed to be “underwriters” within the meaning of the Securities Act, they will be subject to the prospectus delivery requirements of the Securities Act including Rule 172 thereunder. In addition, any securities covered by this prospectus which qualify for sale pursuant to Rule 144 under the Securities Act may be sold under Rule 144 rather than under this prospectus. The selling stockholders have advised us that there is no underwriter or coordinating broker acting in connection with the proposed sale of the common stock by the selling stockholders.

We agreed to keep this prospectus effective until the earlier of (i) the date on which the securities may be resold by the selling stockholders without registration and without regard to any volume or manner-of-sale limitations by reason of Rule 144, without the requirement for the Company to be in compliance with the current public information under Rule 144 under the Securities Act or any other rule of similar effect, and (ii) such time as the selling stockholders own no securities that are required to be registered pursuant to their respective agreements. The common stock will be sold only through registered or licensed brokers or dealers if required under applicable state securities laws. In addition, in certain states, the common stock covered hereby may not be sold unless they have been registered or qualified for sale in the applicable state or an exemption from the registration or qualification requirement is available and is complied with.

Under applicable rules and regulations under the Exchange Act, any person engaged in the distribution of the common stock may not simultaneously engage in market making activities with respect to the common stock for the applicable restricted period, as defined in Regulation M, prior to the commencement of the distribution. In addition, the selling stockholders will be subject to applicable provisions of the Exchange Act and the rules and regulations thereunder, including Regulation M, which may limit the timing of purchases and sales of securities of the common stock by the selling stockholders or any other person. If we are notified by any selling stockholder that any material arrangement has been entered into with a broker-dealer for the sale of shares of common stock we will file, if required, a supplement to this prospectus. If the selling stockholders use this prospectus for any sale of the shares of common stock, they will be subject to the prospectus delivery requirements of the Securities Act.

## LEGAL MATTERS

The validity of the shares of common stock offered hereby has been passed upon for us by Arnold & Porter Kaye Scholer LLP, Washington, D.C.



## EXPERTS

The financial statements and management's assessment of the effectiveness of internal control over financial reporting (which is included in Management's Report on Internal Control over Financial Reporting) incorporated in this Prospectus by reference to the Annual Report on Form 10-K for the year ended December 31, 2016 have been so incorporated in reliance on the report of PricewaterhouseCoopers LLP, an independent registered public accounting firm, given on the authority of said firm as experts in auditing and accounting.

## INCORPORATION OF CERTAIN DOCUMENTS BY REFERENCE

The SEC allows us to incorporate by reference the information that we file with the SEC, which means that we can disclose important information to you by referring you to those documents. The information incorporated by reference is considered to be part of this prospectus. These documents may include periodic reports, such as Annual Reports on Form 10-K, Quarterly Reports on Form 10-Q and Current Reports on Form 8-K, as well as Proxy Statements. Any documents that we subsequently file with the SEC will automatically update and replace the information previously filed with the SEC. Thus, for example, in the case of a conflict or inconsistency between information set forth in this prospectus and information incorporated by reference into this prospectus, you should rely on the information contained in the document that was filed later. Any documents that we file with the SEC after the date of this Registration Statement and prior to the effectiveness of this Registration Statement shall be deemed to be incorporated by reference into this prospectus.

This prospectus incorporates by reference the documents listed below that we previously have filed with the SEC and any additional documents that we may file with the SEC (File No.000-21429) under Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act (excluding portions thereof deemed to be “furnished” to the SEC pursuant to Item 2.02, Item 7.01 or Item 9.01 of a Current Report on Form 8-K) between the date of this prospectus and the termination of the offering of the securities:

1. Our Annual Report on Form 10-K for the year ended December 31, 2016 filed with the Commission on March 9, 2017;
2. Our Current Reports on Form 8-K filed with the Commission on January 10, 2017, February 17, 2017, March 7, 2017, March 27, 2017, April 10, 2017, April 11, 2017, May 3, 2017, May 24, 2017, July 26, 2017, August 4, 2017, September 29, 2017, October 16, 2017, November 8, 2017 and November 9, 2017;
3. Our Quarterly Reports on Form 10-Q for the quarters ending March 31, 2017, June 30, 2017 and September 30, 2017 and filed with the Commission on May 3, 2017, August 4, 2017 and November 9, 2017, respectively;
4. Our definitive Proxy Statement on Schedule 14A, filed with the Commission on April 13, 2017; and
5. The description of our common stock contained in our Registration Statement on Form 8-A, filed with the SEC on September 25, 1996, including any amendment or report filed for the purpose of updating such description.

You can obtain a copy of any or all of the documents listed above, at no cost, by requesting them in writing, by email or by telephone at the following address:

Dawn Schottlandt

Vice President, Investor Relations/Corporate Communications  
ArQule, Inc.  
One Wall Street

Burlington, MA 01803  
(781) 994-0300

## WHERE YOU CAN FIND MORE INFORMATION

We have filed with the SEC a registration statement under the Securities Act that registers the distribution of the securities offered under this prospectus. The registration statement, including the attached exhibits and schedules and the information incorporated by reference, contains additional relevant information about us and the securities. The rules and regulations of the SEC allow us to omit from this prospectus certain information included in the registration statement.

In addition, we file annual, quarterly and special reports, proxy statements and other information with the SEC. You may read and copy this information and the registration statement at the SEC public reference room located at 100 F Street, N.E., Washington D.C. 20549. Please call the SEC at 1-800-SEC-0330 for more information about the operation of the public reference room.

In addition, any information we file with the SEC, including the documents incorporated by reference into this prospectus, is also available on the SEC's website at <http://www.sec.gov>. We also maintain a web site at <http://www.arqule.com>, which provides additional information about our company and through which you can also access our SEC filings. The information set forth on our web site is not part of this prospectus.

**PART II****INFORMATION NOT REQUIRED IN PROSPECTUS****ITEM 14. Other Expenses of Issuance and Distribution.**

The following table sets forth the estimated costs and expenses in connection with the sale and distribution of the securities being registered, all of which will be paid by the Company. All amounts are estimates except with respect to the SEC registration fee.

	Amount
SEC Registration Fee	\$3,133
Accounting fees and expenses	17,000
Printing fees and expenses	10,000
Legal fees and expenses	20,000
Miscellaneous expenses	5,000
Total	\$55,133

**ITEM 15. Indemnification of Directors and Officers.**

Section 145 of the Delaware General Corporation Law (“DGCL”), permits, under certain circumstances, the indemnification of any person who was or is a party or is threatened to be made a party to any threatened, pending or completed action, suit or proceeding, whether civil, criminal, administrative or investigative (other than an action by or in the right of the corporation) by reason of the fact that he is or was a director, officer, employee or agent of the corporation, or is or was serving in a similar capacity for another enterprise at the request of the corporation. To the extent that a present or former director or officer of the corporation has been successful in defending any such proceeding, the DGCL provides that he shall be indemnified against expenses (including attorneys’ fees), actually and reasonably incurred by him in connection therewith. With respect to a proceeding by or in the right of the corporation, such person may be indemnified against expenses (including attorneys’ fees), actually and reasonably incurred, if he acted in good faith and in a manner he reasonably believed to be in or not opposed to the best interests of the corporation. The DGCL provides, however, that indemnification shall not be permitted in such a proceeding if such person is adjudged liable to the corporation unless, and only to the extent that, the court, upon application, determines that he is entitled to indemnification under the circumstances. With respect to proceedings other than those brought by or in the right of the corporation, notwithstanding the outcome of such a proceeding, such person may be indemnified against judgments, fines and amounts paid in settlement, as well as expenses, if he acted in good faith and in a manner he reasonably believed to be in or not opposed to the best interests of the corporation and, with respect to any criminal action, had no reason to believe his conduct was unlawful. Except with respect to mandatory indemnification of expenses to successful defendants as described in the preceding paragraph or pursuant to a court order, the

indemnification described in this paragraph may be made only upon a determination in each specific case (1) by majority vote of the directors that are not parties to the proceeding, even though less than a quorum, or (2) by a committee of the directors that are not a party to the proceeding who have been appointed by a majority vote of directors who are not party to the proceeding, even though less than a quorum, or (3) if there are no such directors, or if such directors so direct, by independent legal counsel in a written opinion, or (4) by the stockholders.

The DGCL permits a corporation to advance expenses incurred by a proposed indemnitee in advance of final disposition of the proceeding, provided that the indemnitee undertakes to repay such advanced expenses if it is ultimately determined that he is not entitled to indemnification. Also, a corporation may purchase insurance on behalf of an indemnitee against any liability asserted against him in his designated capacity, whether or not the corporation itself would be empowered to indemnify him against such liability. ArQule has adopted provisions in its bylaws that provide for indemnification of its officers and directors to the maximum extent permitted under the DGCL. As authorized by the DGCL, ArQule's Restated Certificate of Incorporation limits the liability of directors of ArQule for monetary damages. The effect of this provision is to eliminate the rights of ArQule and its stockholders to recover monetary damages against a director for breach of the fiduciary duty of care as a director except in certain limited situations. This provision does not limit or eliminate the rights of ArQule or any stockholder to seek non-monetary relief such as an injunction or rescission in the event of a breach of a director's duty of care. This provision will not alter the liability of directors under federal securities laws. ArQule has purchased an insurance policy that purports to insure the officers and directors of ArQule against certain liabilities incurred by them in the discharge of their functions as such officers and directors. The foregoing descriptions are only general summaries. For additional information we refer you to the full text of our Restated Certification of Incorporation, filed as Exhibit 3.1 to our Annual Report on Form 10-K filed on March 2, 2011 and our Amended and Restated Bylaws, filed as Exhibit 3.1 to our Form 8-K filed on November 19, 2007.

**ITEM 16. Exhibits.**

The exhibits listed on the Index to Exhibits of this Registration Statement are filed herewith or are incorporated herein by reference to other filings.

**ITEM 17. Undertakings.**

A. The undersigned Registrant hereby undertakes:

(1) To file, during any period in which offers or sales are being made, a post-effective amendment to this registration statement:

(i) To include any prospectus required by section 10(a)(3) of the Securities Act of 1933;

(ii) To reflect in the prospectus any facts or events arising after the effective date of the registration statement (or the most recent post-effective amendment thereof) which, individually or in the aggregate, represent a fundamental change in the information set forth in the registration statement;

(iii) To include any material information with respect to the plan of distribution not previously disclosed in the registration statement or any material change to such information in the registration statement;

*Provided, however, That:*

Paragraphs (a)(1)(i), (a)(1)(ii) and (a)(1)(iii) of this section do not apply if the registration statement is on Form S-3 or Form F-3 and the information required to be included in a post-effective amendment by those paragraphs is (A) contained in reports filed with or furnished to the Commission by the registrant pursuant to section 13 or section 15(d) of the Securities Exchange Act of 1934 that are incorporated by reference in the registration statement, or is contained in a form of prospectus filed pursuant to Rule 424(b) that is part of the registration statement.

That, for the purpose of determining any liability under the Securities Act of 1933, each such post-effective (2) amendment shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.

(3) To remove from registration by means of a post-effective amendment any of the securities being registered which remain unsold at the termination of the offering.

(4) That, for the purpose of determining liability under the Securities Act of 1933 to any purchaser:

(i) If the registrant is relying on Rule 430B:

(A) Each prospectus filed by the registrant pursuant to Rule 424(b)(3) shall be deemed to be part of the registration statement as of the date the filed prospectus was deemed part of and included in the registration statement; and

(B) Each prospectus required to be filed pursuant to Rule 424(b)(2), (b)(5), or (b)(7) as part of a registration statement in reliance on Rule 430B relating to an offering made pursuant to Rule 415(a)(1)(i), (vii), or (x) for the purpose of providing the information required by section 10(a) of the Securities Act of 1933 shall be deemed to be part of and included in the registration statement as of the earlier of the date such form of prospectus is first used after effectiveness or the date of the first contract of sale of securities in the offering described in the prospectus. As provided in Rule 430B, for liability purposes of the issuer and any person that is at that date an underwriter, such date shall be deemed to be a new effective date of the registration statement relating to the securities in the registration statement to which that prospectus relates, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof. *Provided, however,* that no statement made in a registration statement or prospectus that is part of the registration statement or made in a document incorporated or deemed incorporated by reference into the registration statement or prospectus that is part of the registration statement will, as to a purchaser with a time of contract of sale prior to such effective date, supersede or modify any statement that was made in the registration statement or prospectus that was part of the registration statement or made in any such document immediately prior to such effective date; or



If the registrant is subject to Rule 430C, each prospectus filed pursuant to Rule 424(b) as part of a registration statement relating to an offering, other than registration statements relying on Rule 430B or other than prospectuses filed in reliance on Rule 430A, shall be deemed to be part of and included in the registration statement as of the date it is first used after effectiveness. *Provided, however,* that no statement made in a (ii) registration statement or prospectus that is part of the registration statement or made in a document incorporated or deemed incorporated by reference into the registration statement or prospectus that is part of the registration statement will, as to a purchaser with a time of contract of sale prior to such first use, supersede or modify any statement that was made in the registration statement or prospectus that was part of the registration statement or made in any such document immediately prior to such date of first use.

(5) That, for the purpose of determining liability of the registrant under the Securities Act to any purchaser in the initial distribution of the securities, the undersigned Registrant undertakes that in a primary offering of securities of the undersigned registrant pursuant to this registration statement, regardless of the underwriting method used to sell the securities to the purchaser, if the securities are offered or sold to such purchaser by means of any of the following communications, the undersigned registrant will be a seller to the purchaser and will be considered to offer or sell such securities to such purchaser: (i) Any preliminary prospectus or prospectus of the undersigned registrant relating to the offering required to be filed pursuant to Rule 424; (ii) any free writing prospectus relating to the offering prepared by or on behalf of the undersigned registrant or used or referred to by the undersigned registrant; (iii) the portion of any other free writing prospectus relating to the offering containing material information about the undersigned registrant or its securities provided by or on behalf of the undersigned registrant; and (iv) any other communication that is an offer in the offering made by the undersigned registrant to the purchaser.

B. The undersigned Registrant hereby undertakes that, for purposes of determining any liability under the Securities Act, each filing of the Registrant's annual report pursuant to section 13(a) or section 15(d) of the Securities Exchange Act of 1934 (and, where applicable, each filing of an employee benefit plan's annual report pursuant to Section 15(d) of the Securities Exchange Act of 1934) that is incorporated by reference in the Registration Statement shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.

C. Insofar as indemnification for liabilities arising under the Securities Act may be permitted to directors, officers, and controlling persons of the Registrant pursuant to the foregoing provisions, or otherwise, the Registrant has been advised that in the opinion of the Securities and Exchange Commission such indemnification is against public policy as expressed in the Securities Act and is, therefore, unenforceable. In the event that a claim for indemnification against such liabilities (other than the payment by the Registrant of expenses incurred or paid by a director, officer, or controlling person of the Registrant in the successful defense of any action, suit, or proceeding) is asserted by such director, officer, or controlling person in connection with the securities being registered, the Registrant will, unless in the opinion of its counsel the matter has been settled by controlling precedent, submit to a court of appropriate jurisdiction the question whether such indemnification by it is against public policy as expressed in the Securities Act and will be governed by the final adjudication of such issue.



**INDEX TO EXHIBITS**

Exhibit 3.1 Restated Certificate of Incorporation of the Company. Filed as Exhibit 3.1 to the Company's Annual Report on Form 10-K filed on March 2, 2011 (File No. 000-21429) and incorporated herein by reference.

Exhibit 3.2 Certificate of Designations of Series A Preferred Stock of the Company. Filed as Exhibit 3.1 to the Company's Current Report on Form 8-K filed on November 8, 2017 (File No. 000-21429) and incorporated herein by reference.

Exhibit 3.3 Amended and Restated By-laws of the Company. Filed as Exhibit 3.1 to the Company's Current Report on Form 8-K filed on January 27, 2014 (File No. 000-21429) and incorporated herein by reference.

Exhibit 4 Form of Warrant. Filed as Exhibit 4.1 to the Company's Current Report on Form 8-K filed on October 16, 2017 (File No. 000-21429) and incorporated herein by reference.

Exhibit 5 Opinion of Arnold & Porter Kaye Scholer LLP

Exhibit 10 Form of Securities Purchase Agreement. Filed as Exhibit 10.1 to the Company's Current Report on Form 8-K filed on October 16, 2017 (File No. 000-21429) and incorporated herein by reference.

Exhibit 23.1 Consent of PricewaterhouseCoopers LLP, independent registered public accounting firm

Exhibit 23.2 Consent of Arnold & Porter Kaye Scholer LLP (included in Exhibit 5)

Exhibit 24 Powers of Attorney (included on signature page)

**SIGNATURES**

Pursuant to the requirements of the Securities Act of 1933, as amended, the registrant certifies that it has reasonable grounds to believe that it meets all of the requirements for filing on Form S-3 and has duly caused this registration statement to be signed on its behalf by the undersigned, thereunto duly authorized, in the City of Burlington, Commonwealth of Massachusetts, on December 6, 2017.

**ARQULE, INC.**

By: /s/ Paolo Pucci  
Paolo Pucci  
Chief Executive  
Officer

**POWER OF ATTORNEY**

KNOW ALL PERSONS BY THESE PRESENTS that each person whose signature appears below constitutes and appoints each of Paolo Pucci and Peter S. Lawrence as his or her true and lawful attorney-in-fact and agent, with full power of substitution and resubstitution, for him or her and in his or her name, place and stead, in any and all capacities, to sign any or all amendments (including pre-effective and post-effective amendments, exhibits thereto and other documents in connection therewith) to this registration statement on Form S-3 and to file the same, with all exhibits thereof, and all documents in connection therewith, with the Securities and Exchange Commission, granting unto said attorneys-in-fact and agents, and each of them, full power and authority to do and perform each and every act and thing requisite and necessary to be done in connection therewith, as fully to all intents and purposes as he or she might or could do in person, hereby ratifying and confirming all that said attorneys-in-fact, proxies and agents or any of them, or their, his or her substitute or substitutes, may lawfully do or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Act of 1933, as amended, this registration statement has been signed by the following persons in the capacities and on the dates indicated.

<b>SIGNATURE</b>	<b>TITLE</b>	<b>DATE</b>
/s/ Paolo Pucci Paolo Pucci	Chief Executive Officer and Director (Principal Executive Officer)	December 6, 2017

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/s/ Peter S. Lawrence Peter S. Lawrence	President and Chief Operating Officer (Principal Financial Officer)	December 6, 2017
/s/Robert J. Weiskopf Robert. J. Weiskopf	Chief Financial Officer and Treasurer (Principal Accounting Officer)	December 6, 2017
/s/ Patrick J. Zenner Patrick J. Zenner	Director — Chairman of the Board	December 6, 2017
/s/ Timothy C. Barabe Timothy C. Barabe	Director	December 6, 2017
/s/ Susan L. Kelley Susan L. Kelley	Director	December 6, 2017
/s/ Ronald M. Lindsay Ronald M. Lindsay	Director	December 6, 2017
/s/ Michael D. Loberg Michael D. Loberg	Director	December 6, 2017
/s/ William G. Messenger William G. Messenger	Director	December 6, 2017
/s/ Ran Nussbaum Ran Nussbaum	Director	December 6, 2017