

ARQULE INC
Form SC 13G/A
February 14, 2017

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

SCHEDULE 13G
(Rule 13d-102)

INFORMATION TO BE INCLUDED IN STATEMENTS FILED PURSUANT
TO RULES 13d-1(b), (c), AND (d) AND AMENDMENTS THERETO FILED
PURSUANT TO RULE 13d-2(b)

(Amendment No. 4)1

ArQule, Inc.
(Name of Issuer)

Common Stock, par value \$0.01 per share
(Title of Class of Securities)

04269E107
(CUSIP Number)

December 31, 2016
(Date of Event Which Requires Filing of this Statement)

Check the appropriate box to designate the rule pursuant to which this Schedule is filed:

- Rule 13d-1(b)
- Rule 13d-1(c)
- Rule 13d-1(d)

1 The remainder of this cover page shall be filled out for a reporting person's initial filing on this form with respect to the subject class of securities, and for any subsequent amendment containing information which would alter the disclosures provided in a prior cover page.

The information required in the remainder of this cover page shall not be deemed to be "filed" for the purpose of Section 18 of the Securities Exchange Act of 1934 ("Act") or otherwise subject to the liabilities of that section of the Act but shall be subject to all other provisions of the Act (however, see the Notes).

CUSIP NO. 04269E107

1 NAME OF REPORTING PERSON

Biotechnology Value Fund, L.P.

2 CHECK THE APPROPRIATE BOX IF A MEMBER OF A GROUP (a) (b)

3 SEC USE ONLY

4 CITIZENSHIP OR PLACE OF ORGANIZATION

Delaware

NUMBER OF SHARES BENEFICIALLY OWNED BY EACH REPORTING PERSON WITH	5	SOLE VOTING POWER
		0 shares
	6	SHARED VOTING POWER
		3,405,587 (1)
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER
		3,405,587 (1)

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

3,405,587 (1)

10 CHECK BOX IF THE AGGREGATE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES

11 PERCENT OF CLASS REPRESENTED BY AMOUNT IN ROW (9)

4.8% (1)

12 TYPE OF REPORTING PERSON

PN

(1) Includes shares of Common Stock underlying certain Warrants (defined in Item 4)

CUSIP NO. 04269E107

1 NAME OF REPORTING PERSON

Biotechnology Value Fund II, L.P.

2 CHECK THE APPROPRIATE BOX IF A MEMBER OF A GROUP (a) (b)

3 SEC USE ONLY

4 CITIZENSHIP OR PLACE OF ORGANIZATION

Delaware

NUMBER OF SHARES BENEFICIALLY OWNED BY EACH REPORTING PERSON WITH	5	SOLE VOTING POWER
		0 shares
	6	SHARED VOTING POWER
		2,188,654 (1)
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER
		2,188,654 (1)

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

2,188,654 (1)

10 CHECK BOX IF THE AGGREGATE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES

11 PERCENT OF CLASS REPRESENTED BY AMOUNT IN ROW (9)

3.1% (1)

12 TYPE OF REPORTING PERSON

PN

(1) Includes shares of Common Stock underlying certain Warrants (defined in Item 4)

CUSIP NO. 04269E107

1 NAME OF REPORTING PERSON

Biotechnology Value Trading Fund OS LP

2 CHECK THE APPROPRIATE BOX IF A MEMBER OF A GROUP (a) (b)

3 SEC USE ONLY

4 CITIZENSHIP OR PLACE OF ORGANIZATION

Cayman Islands

NUMBER OF SHARES BENEFICIALLY OWNED BY EACH REPORTING PERSON WITH	5	SOLE VOTING POWER
		0 shares
	6	SHARED VOTING POWER
		808,199 (1)
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER
		808,199 (1)

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

808,199 (1)

10 CHECK BOX IF THE AGGREGATE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES

11 PERCENT OF CLASS REPRESENTED BY AMOUNT IN ROW (9)

1.1% (1)

12 TYPE OF REPORTING PERSON

PN

(1) Includes shares of Common Stock underlying certain Warrants (defined in Item 4)

CUSIP NO. 04269E107

1 NAME OF REPORTING PERSON

BVF Partners OS Ltd.

2 CHECK THE APPROPRIATE BOX IF A MEMBER OF A GROUP (a) (b)

3 SEC USE ONLY

4 CITIZENSHIP OR PLACE OF ORGANIZATION

Cayman Islands

NUMBER OF SHARES BENEFICIALLY OWNED BY EACH REPORTING PERSON WITH	5	SOLE VOTING POWER
		0 shares
	6	SHARED VOTING POWER
		808,199 (1)
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER
		808,199 (1)

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

808,199 (1)

10 CHECK BOX IF THE AGGREGATE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES

11 PERCENT OF CLASS REPRESENTED BY AMOUNT IN ROW (9)

1.1% (1)

12 TYPE OF REPORTING PERSON

CO

(1) Includes shares of Common Stock underlying certain Warrants (defined in Item 4)

CUSIP NO. 04269E107

1 NAME OF REPORTING PERSON

BVF Partners L.P.

2 CHECK THE APPROPRIATE BOX IF A MEMBER OF A GROUP (a) (b)

3 SEC USE ONLY

4 CITIZENSHIP OR PLACE OF ORGANIZATION

Delaware

NUMBER OF SHARES BENEFICIALLY OWNED BY EACH REPORTING PERSON WITH	5	SOLE VOTING POWER
		0 shares
	6	SHARED VOTING POWER
		7,867,966 (1)
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER
		7,867,966 (1)

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

7,867,966 (1)

10 CHECK BOX IF THE AGGREGATE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES

11 PERCENT OF CLASS REPRESENTED BY AMOUNT IN ROW (9)

11.0% (1)

12 TYPE OF REPORTING PERSON

PN, IA

(1) Includes shares of Common Stock underlying certain Warrants (defined in Item 4)

CUSIP NO. 04269E107

1 NAME OF REPORTING PERSON

BVF Inc.

2 CHECK THE APPROPRIATE BOX IF A MEMBER OF A GROUP (a) (b)

3 SEC USE ONLY

4 CITIZENSHIP OR PLACE OF ORGANIZATION

Delaware

NUMBER OF SHARES BENEFICIALLY OWNED BY EACH REPORTING PERSON WITH	5	SOLE VOTING POWER
		0 shares
	6	SHARED VOTING POWER
		7,867,966 (1)
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER
		7,867,966 (1)

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

7,867,966 (1)

10 CHECK BOX IF THE AGGREGATE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES

11 PERCENT OF CLASS REPRESENTED BY AMOUNT IN ROW (9)

11.0% (1)

12 TYPE OF REPORTING PERSON

CO

(1) Includes shares of Common Stock underlying certain Warrants (defined in Item 4)

CUSIP NO. 04269E107

1 NAME OF REPORTING PERSON

Mark N. Lampert

2 CHECK THE APPROPRIATE BOX IF A MEMBER OF A GROUP (a) (b)

3 SEC USE ONLY

4 CITIZENSHIP OR PLACE OF ORGANIZATION

United States

NUMBER OF SHARES BENEFICIALLY OWNED BY EACH REPORTING PERSON WITH	5	SOLE VOTING POWER
		0 shares
	6	SHARED VOTING POWER
		7,867,966 (1)
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER
		7,867,966 (1)

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

7,867,966 (1)

10 CHECK BOX IF THE AGGREGATE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES

11 PERCENT OF CLASS REPRESENTED BY AMOUNT IN ROW (9)

11.0% (1)

12 TYPE OF REPORTING PERSON

IN

(1) Includes shares of Common Stock underlying certain Warrants (defined in Item 4)

CUSIP NO. 04269E107

Item 1(a). Name of Issuer:

ArQule, Inc., a Delaware corporation (the "Issuer").

Item 1(b). Address of Issuer's Principal Executive Offices:

One Wall Street
Burlington, Massachusetts 01803

Item 2(a). Name of Person Filing

Item 2(b). Address of Principal Business Office or, if None, Residence

Item 2(c). Citizenship

Biotechnology Value Fund, L.P. ("BVF")

1 Sansome Street, 30th Floor
San Francisco, California 94104
Citizenship: Delaware

Biotechnology Value Fund II, L.P. ("BVF2")

1 Sansome Street, 30th Floor
San Francisco, California 94104
Citizenship: Delaware

Biotechnology Value Trading Fund OS LP ("Trading Fund OS")

PO Box 309 Uglan House
Grand Cayman, KY1-1104
Cayman Islands
Citizenship: Cayman Islands

BVF Partners OS Ltd. ("Partners OS")

PO Box 309 Uglan House
Grand Cayman, KY1-1104
Cayman Islands
Citizenship: Cayman Islands

BVF Partners L.P. ("Partners")

1 Sansome Street, 30th Floor
San Francisco, California 94104
Citizenship: Delaware

BVF Inc.

1 Sansome Street, 30th Floor
San Francisco, California 94104
Citizenship: Delaware

Mark N. Lampert ("Mr. Lampert")

1 Sansome Street, 30th Floor
San Francisco, California 94104

Citizenship: United States

Each of the foregoing is referred to as a "Reporting Person" and collectively as the "Reporting Persons."

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CUSIP NO. 04269E107

Item 2(d). Title of Class of Securities:

Common Stock, par value \$0.01 per share (the "Common Stock")

Item 2(e). CUSIP Number:

04269E107

Item 3. If This Statement is Filed Pursuant to Rule 13d-1(b), or 13d-2(b) or (c), Check Whether the Person Filing is a:

/x/ Not applicable.

(a) // Broker or dealer registered under Section 15 of the Exchange Act.

(b) // Bank as defined in Section 3(a)(6) of the Exchange Act.

(c) // Insurance company as defined in Section 3(a)(19) of the Exchange Act.

(d) // Investment company registered under Section 8 of the Investment Company Act.

(e) // An investment adviser in accordance with Rule 13d-1(b)(1)(ii)(E).

(f) // An employee benefit plan or endowment fund in accordance with Rule 13d-1(b)(1)(ii)(F).

(g) // A parent holding company or control person in accordance with Rule 13d-1(b)(1)(ii)(G).

(h) // A savings association as defined in Section 3(b) of the Federal Deposit Insurance Act.

(i) // A church plan that is excluded from the definition of an investment company under Section 3(c)(14) of the Investment Company Act.

(j) // Group, in accordance with Rule 13d-1(b)(1)(ii)(J).

(k) // Group, in accordance with Rule 240.13d-1(b)(1)(ii)(K). If filing as a non-U.S. institution in accordance with Rule 240.13d-1(b)(1)(ii)(J), please specify the type of institution: _____

Item 4. Ownership

(a) Amount beneficially owned:

As of the close of business on December 31, 2016, the Reporting Persons hold warrants exercisable for an aggregate of 467,838 shares of Common Stock (the "Warrants"). The Warrants are currently exercisable for \$2.50 per share. The expiration date for the Warrants will expire on the first anniversary of a "Regulatory Event," as defined by the Issuer as the Issuer's public announcement of the pre-planned interim assessment to be conducted by the data monitoring committee of the METIV-HCC trial as set forth in the Special Protocol Assessment with the United States Food and Drug Administration.

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As of the close of business on December 31, 2016 (i) BVF beneficially owned 3,405,587 shares of Common Stock, including 149,101 shares of Common Stock issuable upon the exercise of certain Warrants, (ii) BVF2 beneficially owned 2,188,654 shares of Common Stock, including 113,288 shares of Common Stock issuable upon the exercise of certain Warrants, and (iii) Trading Fund OS beneficially owned 808,199 shares of Common Stock including 169,069 shares of Common Stock issuable upon the exercise of certain Warrants.

Partners OS as the general partner of Trading Fund OS may be deemed to beneficially own the 808,199 shares of Common Stock beneficially owned by Trading Fund OS, including 169,069 shares of Common Stock issuable upon the exercise of certain Warrants.

Partners, as the general partner of BVF, BVF2, the investment manager of Trading Fund OS, and the sole member of Partners OS, may be deemed to beneficially own the 7,867,966 shares of Common Stock beneficially owned in the aggregate by BVF, BVF2, Trading Fund OS, and certain Partners managed accounts (the "Partners Managed Accounts"), including 1,465,526 shares of Common Stock held in the Partners Managed Accounts (which includes 36,380 shares of Common Stock issuable upon the exercise of certain Warrants).

BVF Inc., as the general partner of Partners, may be deemed to beneficially own the 7,867,966 shares of Common Stock beneficially owned by Partners.

Mr. Lampert, as a director and officer of BVF Inc., may be deemed to beneficially own the 7,867,966 shares of Common Stock beneficially owned by BVF Inc.

The foregoing should not be construed in and of itself as an admission by any Reporting Person as to beneficial ownership of any shares of Common Stock owned by another Reporting Person. Partners OS disclaims beneficial ownership of the shares of Common Stock beneficially owned by Trading Fund OS. Each of Partners, BVF Inc. and Mr. Lampert disclaims beneficial ownership of the shares of Common Stock beneficially owned by BVF, BVF2, Trading Fund OS, and the Partners Managed Accounts, and the filing of this statement shall not be construed as an admission that any such person or entity is the beneficial owner of any such securities.

(b) Percent of class:

The following percentages are based on a denominator which is the sum of: (i) 71,118,709 shares of Common Stock outstanding as of October 24, 2016, as disclosed in the Issuer's Quarterly Report filed on Form 10-Q with the Securities and Exchange Commission on November 7, 2016 and (ii) certain or all of the 467,838 shares of Common Stock underlying certain Warrants, as applicable.

As of the close of business on December 31, 2016 (i) BVF beneficially owned approximately 4.8% of the outstanding shares of Common Stock, including shares of Common Stock issuable upon the exercise of certain Warrants (ii) BVF2 beneficially owned approximately 3.1% of the outstanding shares of Common Stock, including shares of Common Stock issuable upon the exercise of certain Warrants (iii) Trading Fund OS beneficially owned approximately 1.1% of the outstanding shares of Common Stock including shares of Common Stock issuable upon the exercise of certain Warrants (iv) Partners OS may be deemed to beneficially own approximately 1.1% of the outstanding shares of Common Stock, and (v) each of Partners, BVF Inc. and Mr. Lampert may be deemed to beneficially own approximately 11.0% of the outstanding shares of Common Stock (approximately 2.1% of which is held in the Partners Managed Accounts, including shares of Common Stock issuable upon the exercise of certain Warrants).

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- (c) Number of shares as to which such person has:
 - (i) Sole power to vote or to direct the vote
See Cover Pages Items 5-9.
 - (ii) Shared power to vote or to direct the vote
See Cover Pages Items 5-9.
 - (iii) Sole power to dispose or to direct the disposition of
See Cover Pages Items 5-9.
 - (iv) Shared power to dispose or to direct the disposition of
See Cover Pages Items 5-9.

Item 5. Ownership of Five Percent or Less of a Class.

Not Applicable.

Item 6. Ownership of More than Five Percent on Behalf of Another Person.

Partners, BVF Inc. and Mr. Lampert share voting and dispositive power over the shares of Common Stock beneficially owned by BVF, BVF2, Trading Fund OS, and the Partners Managed Accounts.

Item 7. Identification and Classification of the Subsidiary That Acquired the Security Being Reported on by the Parent Holding Company or Control Person.

Not Applicable.

Item 8. Identification and Classification of Members of the Group.

See Exhibit 99.1 to Amendment No. 3 to the Schedule 13G filed with the Securities and Exchange Commission on February 16, 2016.

Item 9. Notice of Dissolution of Group.

Not Applicable.

Item 10. Certifications.

By signing below each of the undersigned certifies that, to the best of its knowledge and belief, the securities referred to above were not acquired and are not held for the purpose of or with the effect of changing or influencing the control of the issuer of the securities and were not acquired and are not held in connection with or as a participant in any transaction having that purpose or effect.

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SIGNATURE

After reasonable inquiry and to the best of his knowledge and belief, each of the undersigned certifies that the information set forth in this statement is true, complete and correct.

Dated: February 14, 2017

BIOTECHNOLOGY VALUE FUND, L.P.

By: BVF Partners L.P., its general partner

By: BVF Inc., its general partner

By: /s/ Mark N. Lampert
Mark N. Lampert
President

BVF INC.

By: /s/ Mark N. Lampert
Mark N. Lampert
President

/s/ Mark N. Lampert
MARK N. LAMPERT

BIOTECHNOLOGY VALUE FUND II, L.P.

By: BVF Partners L.P., its general partner

By: BVF Inc., its general partner

By: /s/ Mark N. Lampert
Mark N. Lampert
President

BVF PARTNERS L.P.

By: BVF Inc., its general partner

By: /s/ Mark N. Lampert
Mark N. Lampert
President

BVF PARTNERS OS LTD.

By: BVF Partners L.P., its sole member

By: BVF Inc., its general partner

By: /s/ Mark N. Lampert
Mark N. Lampert
President

BIOTECHNOLOGY VALUE TRADING FUND OS LP

By: BVF Partners L.P., its investment manager

By: BVF Inc., its general partner

By: /s/ Mark N. Lampert

Mark N. Lampert

President

