ARQULE INC Form SC 13G/A February 28, 2003

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SECURITIES AND EXCHANGE COMMISSION

Washington, DC 20549

SCHEDULE 13G/A

(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 13d-2(b) (Amendment No. 1)¹

ArQule, Inc.
(Name of Issuer)
Common Stock
(Title of Class of Securities)
04269E 10 7
(CUSIP Number)
February 25, 2003
(Date of Event Which Requires Filing of this Statement)

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

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

The information required on 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 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*).

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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 disclosures provided in a prior cover page.

1 NAME OF REPORT Biotechnology Value I.R.S. IDENTIFICAT		
2 CHECK THE APPRO	OPRIATE BOX IF A MEMBER OF A GROUP*	(a) ý (b) o
3 SEC USE ONLY		
4 CITIZENSHIP OR P. Delaware	LACE OF ORGANIZATION	
NUMBER OF SHARES	5 SOLE VOTING POWER 0	
BENEFICIALLY OWNED BY	6 SHARED VOTING POWER 717,623	
EACH REPORTING PERSON	7 SOLE DISPOSITIVE POWER 0	
WITH:	8 SHARED DISPOSITIVE POWER 717,623	
9 AGGREGATE AM717,623	OUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON	
10 CHECK IF THE AC	GGREGATE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES*	0
11 PERCENT OF CLA 3.4%	ASS REPRESENTED BY AMOUNT IN ROW (9)	
12 TYPE OF REPORT	'ING PERSON*	
PN		
	*SEE INSTRUCTIONS BEFORE FILLING OUT!	
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NAME	OE BED	ORTING	PERSON

Biotechnology Value Fund II, L.P.

BVF Investments, L.L.C.

I.R.S. IDENTIFICATION NOS. OF ABOVE PERSONS (ENTITIES ONLY):

I.R.S. IDENTIFICATION NOS. OF ABOVE PERSONS (ENTITIES ONLY):

2 CHECK THE APP	CHECK THE APPROPRIATE BOX IF A MEMBER OF A GROUP*		
3 SEC USE ONLY			
4 CITIZENSHIP OR Delaware	PLACE OF ORGANIZATION		
NUMBER OF SHARES	7 SOLE VOTING POWER 0		
BENEFICIALLY OWNED BY	6 SHARED VOTING POWER 499,000		
EACH REPORTING PERSON	7 SOLE DISPOSITIVE POWER 0		
WITH:	8 SHARED DISPOSITIVE POWER 499,000		
9 AGGREGATE AI 499,000	MOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON		
10 CHECK IF THE A	AGGREGATE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES*	C	
11 PERCENT OF CI	LASS REPRESENTED BY AMOUNT IN ROW (9)		
2.3%			
12 TYPE OF REPOR	RTING PERSON*		
PN			
	*SEE INSTRUCTIONS BEFORE FILLING OUT!		
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1 NAME OF DEDOD	PTING DED SON.		

2	CHECK THE APPR	ROPRIATI	E BOX IF A MEMBER OF A GROUP*	(a) ý (b) o
3	SEC USE ONLY			
4	CITIZENSHIP OR I	PLACE O	F ORGANIZATION	
	Delaware			
]	NUMBER OF SHARES	5	SOLE VOTING POWER 0	
Bl	ENEFICIALLY OWNED BY	6	SHARED VOTING POWER 1,168,800	
	EACH REPORTING PERSON	7	SOLE DISPOSITIVE POWER 0	
	WITH:	8	SHARED DISPOSITIVE POWER 1,168,800	
9	AGGREGATE AN	MOUNT B	ENEFICIALLY OWNED BY EACH REPORTING PERSON	
10	CHECK IF THE A	GGREGA	TE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES*	0
11		ASS REPI	RESENTED BY AMOUNT IN ROW (9)	
	5.5%			
12	TYPE OF REPOR	TING PE	RSON*	
	00		**************************************	
			*SEE INSTRUCTIONS BEFORE FILLING OUT!	
CUS	IP No. 04269E 10 7		13G	Page 5 of 9 Pages
1	NAME OF REPOR' BVF Partners L.P. I.R.S. IDENTIFICA		S. OF ABOVE PERSONS (ENTITIES ONLY):	
2	CHECK THE APPR	ROPRIATI	E BOX IF A MEMBER OF A GROUP*	(a) ý (b) o

3 SEC USE ON	NLY	
4 CITIZENSH	IP OR PLACE OF ORGANIZATION	
Delaware		
NUMBER OF SHARES	5 SOLE VOTING POWER 0	
BENEFICIALLY OWNED BY	6 SHARED VOTING POWER 2,537,423	
EACH REPORTING PERSON	7 SOLE DISPOSITIVE POWER 0	
WITH:	8 SHARED DISPOSITIVE POWER 2,537,423	
9 AGGREGA 2,537,423	TE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON	
10 CHECK IF	THE AGGREGATE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES*	C
11 PERCENT 11.9%	OF CLASS REPRESENTED BY AMOUNT IN ROW (9)	
12 TYPE OF R	EPORTING PERSON*	
	*SEE INSTRUCTIONS BEFORE FILLING OUT!	
CUSIP No. 04269E	10 7 13G	Page 6 of 9 Pages
BVF Inc.	EPORTING PERSON: 5. IDENTIFICATION NOS. OF ABOVE PERSONS (ENTITIES ONLY):	
2 CHECK THE	E APPROPRIATE BOX IF A MEMBER OF A GROUP*	(a) ý (b) c

4 CITIZENSHIP OR F	PLACE OF	FORGANIZATION	
Dolumuit			
NUMBER OF SHARES	5	SOLE VOTING POWER 0	
BENEFICIALLY OWNED BY	6	SHARED VOTING POWER 2,537,423	
EACH REPORTING	7	SOLE DISPOSITIVE POWER 0	
PERSON WITH:	8	SHARED DISPOSITIVE POWER 2,537,423	
9 AGGREGATE AM	MOUNT BI	ENEFICIALLY OWNED BY EACH REPORTING PERSON	
2,537,423			
10 CHECK IF THE A	AGGREGA	TE AMOUNT IN ROW (9) EXCLUDES CERTAIN SHARES*	0
11 PERCENT OF CLA	ASS REPR	RESENTED BY AMOUNT IN ROW (9)	
11.9%			
12 TYPE OF REPORT	TING PER	SON*	
IA, CO			
		*SEE INSTRUCTIONS BEFORE FILLING OUT!	
	İ		
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ITEM 1(a). NAME OF ISSU	ER:		

ArQule, Inc. ("ArQule")

ITEM 1(b). ADDRESS OF ISSUER'S PRINCIPAL EXECUTIVE OFFICES:

19 Presidential Way

Woburn, Massachusetts 01801-5140

ITEM 2(a). NAME OF PERSON FILING:

This Amendment to Schedule 13G is being filed on behalf of the following persons* (the "Reporting Persons"):

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

(ii)	
	Biotechnology Value Fund II, L.P. ("BVF2")
(iii)	DVE Investments I I C ("Investments")
(iv)	BVF Investments, L.L.C. ("Investments")
(11)	BVF Partners L.P. ("Partners")

(v) BVF Inc. ("BVF Inc.")

Attached as Exhibit 1 is a copy of an agreement among the Reporting Persons filing (as specified hereinabove) that this Amendment to Schedule 13G is being filed on behalf of each of them.

ITEM 2(b). ADDRESS OF PRINCIPAL BUSINESS OFFICE:

The principal business office of the Reporting Persons comprising the group filing this Amendment to Schedule 13G is located at 227 West Monroe Street, Suite 4800, Chicago, Illinois, 60606.

ITEM 2(c). CITIZENSHIP:

BVF: BVF2: Investments: Partners:

BVF Inc.:

- a Delaware limited partnership
- a Delaware limited partnership
- a Delaware limited liability company
- a Delaware limited partnership
- a Delaware corporation

ITEM 2(d). TITLE OF CLASS OF SECURITIES:

Common Stock.

ITEM 2(e). CUSIP Number:

04269E 107

CUSIP No. 04269E 107

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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: One of the following

Not applicable as this Amendment to Schedule 13G is filed pursuant to Rule 13d-1(c).

ITEM 4. OWNERSHIP:

The information in items 1 and 5 through 11 on the cover pages (pp. 2 - 6) on this Amendment to Schedule 13G is hereby incorporated by reference.

ITEM 5. OWNERSHIP OF FIVE PERCENT OR LESS OF A CLASS:

If this statement is being filed to report the fact that as of the date hereof the Reporting Persons have ceased to be the beneficial owner of more than five percent of the class of securities check the following. o

ITEM 6. OWNERSHIP OF MORE THAN FIVE PERCENT ON BEHALF OF ANOTHER PERSON:

BVF shares voting and dispositive power over the shares of the common stock it beneficially owns with Partners. BVF2 also shares voting and dispositive power over the shares of the common stock it beneficially owns with Partners. Investments also shares voting and dispositive power over the shares of the common stock it beneficially owns with Partners. Pursuant to the operating agreement of Investments, Partners is authorized, among other things, to invest the funds of Ziff Asset Management, L.P., the majority member of Investments, in shares of the

common stock Investments beneficially owns and to vote and exercise dispositive power over those shares of common stock. Partners and BVF Inc. share voting and dispositive power over the shares of the common stock they beneficially own with, in addition to BVF, BVF2 and Investments, a managed account on whose behalf Partners, as investment manager, purchased such shares. The managed account does not own more than 5% of the common stock of ArQule.

ITEM 7. IDENTIFICATION AND CLASSIFICATION OF THE SUBSIDIARY WHICH ACQUIRED THE SECURITY BEING REPORTED ON BY THE PARENT HOLDING COMPANY:

Not applicable.

ITEM 8. IDENTIFICATION AND CLASSIFICATION OF MEMBERS OF A GROUP:

Not applicable.

ITEM 9. NOTICE OF DISSOLUTION OF GROUP:

Not applicable.

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ITEM 10. CERTIFICATION

By signing below I certify that, to the best of my 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.

After reasonable inquiry and to the best of my knowledge and belief, I certify that the information set forth in this statement is true, complete and correct.

Dated: February 28, 2003

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

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 INVESTMENTS, L.L.C.

By: BVF Partners L.P., its manager

By: BVF Inc., its general partner

By: /s/ MARK N. LAMPERT

Mark N. Lampert President

BVF PARTNERS L.P.

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

By: /s/ MARK N. LAMPERT

Mark N. Lampert President

BVF INC.

By: /s/ MARK N. LAMPERT

Mark N. Lampert President

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