Regulus Therapeutics Inc. Form SC 13G/A February 14, 2018 **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)

 $(Amendment No. 2)^1$ 

Regulus Therapeutics Inc. (Name of Issuer)

Common Stock, \$0.001 par value (Title of Class of Securities)

75915K101 (CUSIP Number)

December 31, 2017 (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 disclosures provided in a prior cover page. 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 ("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*).

1	NAME OF REPORTING PERSON		
2	Biotechi Fund, L. CHECK TH APPROPRI BOX IF A MEMBER GROUP	IE IATE (a)	
3	SEC USE C	ONLY	
4	CITIZENSI OF ORGAN	HIP OR PLACE NIZATION	
	Delawar	·e	
NUMBER OF	5	SOLE VOTING POWER	
SHARES BENEFICIALLY	7	0 shares	
OWNED BY	6	SHARED VOTING POWER	
EACH REPORTING		6,140,322	
PERSON WITH	7	SOLE DISPOSITIVE POWER	
	8	0 shares SHARED DISPOSITIVE POWER	
9	BENEFICL	6,140,322 ATE AMOUNT ALLY OWNED REPORTING	
10	6,140,322 CHECK BOX IF THE AGGREGATE AMOUNT IN ROW		

(9) EXCLUDES CERTAIN SHARES

PERCENT OF CLASS11REPRESENTED BY<br/>AMOUNT IN ROW (9)

5.9% TYPE OF REPORTING PERSON

PN

1	NAME OF REPORTING PERSON	
2	Biotech II, L.P. CHECK TH APPROPRI BOX IF A MEMBER GROUP	IATE (a)
3	SEC USE C	ONLY
4	CITIZENS OF ORGAN	HIP OR PLACE NIZATION
NUMBER OF	Delawaı 5	re SOLE VOTING POWER
SHARES BENEFICIALLY	Z	
OWNED BY	6	SHARED VOTING POWER
EACH REPORTING		3,918,661
PERSON WITH	7	SOLE DISPOSITIVE POWER
	8	0 shares SHARED DISPOSITIVE POWER
9	BENEFICI	3,918,661 ATE AMOUNT ALLY OWNED REPORTING
10	3,918,66 CHECK BC THE AGGI AMOUNT (9) EXCLU CERTAIN	DX IF REGATE IN ROW

# SHARES

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

3.8% 12 TYPE OF REPORTING PERSON

PN

1	NAME OF REPORTING PERSON	
2		ATE (a)
3	SEC USE O	NLY
4	CITIZENSH OF ORGAN	HP OR PLACE NIZATION
	Cayman	Islands
NUMBER OF	5	SOLE VOTING
	5	POWER
SHARES BENEFICIALLY	<i>r</i>	0 shares
OWNED BY	6	SHARED VOTING POWER
EACH REPORTING		1,049,532
PERSON WITH	7	SOLE DISPOSITIVE POWER
	8	0 shares SHARED DISPOSITIVE POWER
9	1,049,532 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON	
10	1,049,532 CHECK BOX IF THE AGGREGATE AMOUNT IN ROW	

(9) EXCLUDES CERTAIN SHARES

PERCENT OF CLASS11REPRESENTED BY<br/>AMOUNT IN ROW (9)

1.0% TYPE OF REPORTING PERSON

PN

4

1	NAME OF REPORTING PERSON		
2	BVF Partners OS Ltd. CHECK THE APPROPRIATE BOX IF A (a) MEMBER OF A GROUP		
	(b)		
3	SEC USE ONLY		
4	CITIZENSHIP OR PLACE OF ORGANIZATION		
	Cayman	Islands	
NUMBER OF	5	SOLE VOTING	
SHARES		POWER	
BENEFICIALLY	7	0 shares	
OWNED BY	6	SHARED VOTING POWER	
EACH		1 040 522	
REPORTING PERSON WITH	7	1,049,532 SOLE DISPOSITIVE POWER	
	8	0 shares SHARED DISPOSITIVE POWER	
9	BENEFICIA	1,049,532 TE AMOUNT ALLY OWNED REPORTING	
10	1,049,532 CHECK BOX IF THE AGGREGATE AMOUNT IN ROW (9) EXCLUDES		

# CERTAIN SHARES

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

1.0%

# TYPE OF REPORTING

PERSON

CO

5

1	NAME OF REPORTING
1	PERSON
2	BVF Partners L.P. CHECK THE APPROPRIATE BOX IF A (a) MEMBER OF A GROUP
	(b)
3	SEC USE ONLY
4	CITIZENSHIP OR PLACE OF ORGANIZATION
	Delaware

	Delawa	are
NUMBER OF	5	SOLE VOTING POWER
SHARES BENEFICIALLY	7	0 shares
OWNED BY	6	SHARED VOTING POWER
EACH REPORTING		13,974,249
PERSON WITH	7	SOLE DISPOSITIVE POWER
	8	0 shares SHARED DISPOSITIVE POWER
9	BENEFIC	13,974,249 ATE AMOUNT IALLY OWNED BY PORTING PERSON
10	13,974 CHECK B THE AGG AMOUNT	OX IF REGATE

(9) EXCLUDES CERTAIN SHARES

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

13.4% TYPE OF REPORTING PERSON

PN, IA

- 1 NAME OF REPORTING PERSON BVF Inc.
- 2 CHECK THE APPROPRIATE 2 BOX IF A (a) MEMBER OF A GROUP (b)

3 SEC USE ONLY

#### 4 CITIZENSHIP OR PLACE OF ORGANIZATION

#### Delaware

	Deluv	vuic
NUMBER OF	5	SOLE VOTING POWER
SHARES		
BENEFICIALLY	r	0 shares
OWNED BY	6	SHARED
OWNED DI	0	VOTING POWER
EACH REPORTING		13,974,249
		SOLE
PERSON WITH	7	DISPOSITIVE
	7	POWER
		FOWER
		0 shares
		SHARED
	0	STRICED
	8	DISPOSITIVE
		POWER
		13,974,249
	AGGRE	GATE AMOUNT
9		CIALLY OWNED BY
		EPORTING PERSON
	EACHK	EFORTING FERSON
	13,974	1 2/19
	-	
	CHECK	-
	THE AG	GREGATE

AMOUNT IN ROW

(9) EXCLUDES CERTAIN SHARES

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

13.4% TYPE OF REPORTING PERSON

CO

1	NAME OF D PERSON	REPORTING	
2	Mark N. CHECK TH APPROPRI BOX IF A MEMBER ( GROUP	ATE (a)	
3	SEC USE O		
4	CITIZENSH ORGANIZA	HP OR PLACE OF ATION	
	United S	tates	
NUMBER OF	5	SOLE VOTING	
SHARES	5	POWER	
SHAKES BENEFICIALLY	<b>,</b>	0 shares	
OWNED BY	6	SHARED	
	0	VOTING POWER	
EACH REPORTING		13,974,249	
KEPUKTING		SOLE	
PERSON WITH	7	DISPOSITIVE	
		POWER	
		0 shares	
		SHARED	
	8	DISPOSITIVE	
		POWER	
		13,974,249	
		TE AMOUNT	
9		ALLY OWNED BY	
	EACH REP	ORTING PERSON	
	13,974,2	49	
	CHECK BOX IF		
	THE AGGREGATE		
10	AMOUNT I	IN ROW	
10	(9) EXCLUDES		
	CERTAIN		
	SHARES		

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

13.4% TYPE OF REPORTING PERSON

IN

Item 1(a).

Name of Issuer:

Regulus Therapeutics Inc., a Delaware corporation (the "Issuer").

Item 1(b).

Address of Issuer's Principal Executive Offices:

10614 Science Center Drive

San Diego, California 92121

Item 2(a).Name of Person FilingItem 2(b).Address of Principal Business Office or, if None, ResidenceItem 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, 30<sup>th</sup> Floor

San Francisco, California 94104

Citizenship: Delaware

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

PO Box 309 Ugland House

Grand Cayman, KY1-1104

# Cayman Islands

Citizenship: Cayman Islands

- BVF Partners OS Ltd. ("Partners OS")
- PO Box 309 Ugland 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, 30<sup>th</sup> 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."

Item 2(d).

Title of Class of Securities:

Common Stock, par value \$0.001 per share (the "Common Stock")

Item 2(e).

**CUSIP** Number:

75915K101

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

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(a)

Amount beneficially owned:

As of the close of business on December 31, 2017 (i) BVF beneficially owned 6,140,322 shares of Common Stock, (ii) BVF2 beneficially owned 3,918,661 shares of Common Stock, and (iii) Trading Fund OS beneficially owned 1,049,532 shares of Common Stock.

Partners OS, as the general partner of Trading Fund, OS may be deemed to beneficially own the 1,049,532 shares of Common Stock beneficially owned by Trading Fund OS.

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 13,974,249 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 2,865,734 shares of Common Stock held in the Partners Managed Accounts.

BVF Inc., as the general partner of Partners, may be deemed to beneficially own the 13,974,249 Common Stock beneficially owned by Partners.

Mr. Lampert, as a director and officer of BVF Inc., may be deemed to beneficially own the 13,974,249 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 Common Stock owned by another Reporting Person. Partners OS disclaims beneficial ownership of the Common Stock beneficially owned by Trading Fund OS. Each of Partners, BVF Inc. and Mr. Lampert disclaims beneficial ownership of the 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 103,955,147 Common Stock outstanding as of November 3, 2017, which is the total number of shares of Common Stock outstanding as reported in the Issuer's Quarterly Report filed on Form 10-Q with the Securities and Exchange Commission on November 8, 2017.

As of the close of business on December 31, 2017 (i) BVF beneficially owned approximately 5.9% of the outstanding Common Stock, (ii) BVF2 beneficially owned approximately 3.8% of the outstanding Common Stock, (iii) Trading Fund OS beneficially owned approximately 1.0% of the outstanding Common Stock (iv) Partners OS may be deemed to beneficially own approximately 1.0% of the outstanding Common Stock, and (v) each of Partners, BVF Inc. and Mr. Lampert may be deemed to beneficially own approximately 13.4% of the outstanding Common Stock (approximately 2.8% of which is held in the Partners Managed Accounts).

(c)

Number of shares as to which such person has:

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(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.		

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CUSIP No. 75915K101

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 Identification and Classification of the Subsidiary That Acquired the Security Being Reported on by the ParentHolding Company or Control Person.

Not Applicable.

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

See Exhibit 99.1 to Schedule 13G filed with the Securities and Exchange Commission on May 5, 2017.

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.

## **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, 2018

#### BIOTECHNOLOGY VALUE FUND, L.P. BVF INC.

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

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

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