

Regulus Therapeutics Inc.  
Form SC 13G  
October 15, 2012

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. )1

Regulus Therapeutics Inc.  
(Name of Issuer)

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

75915K101  
(CUSIP Number)

October 4, 2012  
(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)

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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 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. 75915K101

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
		1,902,561
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

1,902,561

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

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

5.6%

12 TYPE OF REPORTING PERSON

PN

CUSIP NO. 75915K101

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
		1,097,439
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER
		1,097,439

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

1,097,439

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

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

3.2%

12 TYPE OF REPORTING PERSON

PN

CUSIP NO. 75915K101

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
		3,000,000
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

3,000,000

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

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

8.8%

12 TYPE OF REPORTING PERSON

PN

CUSIP NO. 75915K101

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
		3,000,000
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

3,000,000

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

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

8.8%

12 TYPE OF REPORTING PERSON

CO

CUSIP NO. 75915K101

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
		3,000,000
	7	SOLE DISPOSITIVE POWER
		0 shares
	8	SHARED DISPOSITIVE POWER
		3,000,000

9 AGGREGATE AMOUNT BENEFICIALLY OWNED BY EACH REPORTING PERSON

3,000,000

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

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

8.8%

12 TYPE OF REPORTING PERSON

IN

CUSIP NO. 75915K101

Item 1(a). Name of Issuer:

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

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

3545 John Hopkins Court  
Suite 210  
San Diego, California 92121

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")  
900 North Michigan Avenue, Suite 1100  
Chicago, Illinois 60611  
Citizenship: Delaware

Biotechnology Value Fund II, L.P. ("BVF2")  
900 North Michigan Avenue, Suite 1100  
Chicago, Illinois 60611  
Citizenship: Delaware

BVF Partners L.P. ("Partners")  
900 North Michigan Avenue, Suite 1100  
Chicago, Illinois 60611  
Citizenship: Delaware

BVF Inc.  
900 North Michigan Avenue, Suite 1100  
Chicago, Illinois 60611  
Citizenship: Delaware

Mark N. Lampert ("Mr. Lampert")  
900 North Michigan Avenue, Suite 1100  
Chicago, Illinois 60611  
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, \$0.001 par value per share (the "Common Stock")

Item 2(e). CUSIP Number:

75915K101





CUSIP NO. 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

- (a) Amount beneficially owned:

Following the effectiveness of the Company's registration statement dated October 4, 2012, (i) BVF beneficially owned 1,902,561 shares of Common Stock and (ii) BVF2 beneficially owned 1,097,439 shares of Common Stock.

Partners, as the general partner of BVF and BVF2 may be deemed to beneficially own the 3,000,000 shares of Common Stock beneficially owned in the aggregate by BVF, and BVF2.

BVF Inc., as the general partner of Partners, may be deemed to beneficially own the 3,000,000 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 3,000,000 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. Each of Partners, BVF Inc. and Mr. Lampert disclaims beneficial ownership of the shares of Common Stock beneficially owned by BVF and BVF2 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.

8

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CUSIP NO. 75915K101

(b) Percent of class:

The following percentages are based on 34,130,393 shares of Common Stock outstanding as of October 4, 2012, as disclosed in the Issuer's Prospectus filed with the Securities and Exchange Commission on October 5, 2012.

Following the effectiveness of the Company's registration statement dated October 4, 2012, (i) BVF beneficially owned approximately 5.6% of the outstanding shares of Common Stock, (ii) BVF2 beneficially owned approximately 3.2% of the outstanding shares of Common Stock and (iii) each of Partners, BVF Inc. and Mr. Lampert may be deemed to beneficially own approximately 8.8% of the outstanding shares of Common Stock.

(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 and BVF2.

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.

Item 9. Notice of Dissolution of Group.

Not Applicable.

9

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CUSIP NO. 75915K101

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.

10

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CUSIP NO. 75915K101

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: October 15, 2012

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 PARTNERS L.P.

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 INC.

By: /s/ Mark N. Lampert

Mark N. Lampert  
President

/s/ Mark N. Lampert  
MARK N. LAMPERT

