

Regulus Therapeutics Inc.  
Form 4  
October 22, 2014

**FORM 4**

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

OMB APPROVAL

OMB Number: 3235-0287  
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Check this box if no longer subject to Section 16. Form 4 or Form 5 obligations may continue. See Instruction 1(b).

**STATEMENT OF CHANGES IN BENEFICIAL OWNERSHIP OF SECURITIES**

Filed pursuant to Section 16(a) of the Securities Exchange Act of 1934, Section 17(a) of the Public Utility Holding Company Act of 1935 or Section 30(h) of the Investment Company Act of 1940

(Print or Type Responses)

1. Name and Address of Reporting Person \*  
**XANTHOPOULOS KLEANTHIS G**  
  
(Last) (First) (Middle)  
  
**C/O REGULUS THERAPEUTICS  
INC., 3545 JOHN HOPKINS  
COURT #210**  
  
(Street)  
  
**SAN DIEGO, CA 92121**  
  
(City) (State) (Zip)

2. Issuer Name and Ticker or Trading Symbol  
**Regulus Therapeutics Inc. [RGLS]**

3. Date of Earliest Transaction  
(Month/Day/Year)  
**10/20/2014**

4. If Amendment, Date Original Filed(Month/Day/Year)

5. Relationship of Reporting Person(s) to Issuer  
  
(Check all applicable)

Director  10% Owner  
 Officer (give title below)  Other (specify below)  
**President and CEO**

6. Individual or Joint/Group Filing(Check Applicable Line)  
 Form filed by One Reporting Person  
 Form filed by More than One Reporting Person

**Table I - Non-Derivative Securities Acquired, Disposed of, or Beneficially Owned**

1. Title of Security (Instr. 3)	2. Transaction Date (Month/Day/Year)	2A. Deemed Execution Date, if any (Month/Day/Year)	3. Transaction Code (Instr. 8)	4. Securities Acquired (A) or Disposed of (D) (Instr. 3, 4 and 5)	5. Amount of Securities Beneficially Owned Following Reported Transaction(s) (Instr. 3 and 4)	6. Ownership Form: Direct (D) or Indirect (I) (Instr. 4)	7. Nature of Ownership (Instr. 4)		
				(A) or (D)	Code	V	Amount	(D)	Price

Reminder: Report on a separate line for each class of securities beneficially owned directly or indirectly.

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SEC 1474  
(9-02)

**Table II - Derivative Securities Acquired, Disposed of, or Beneficially Owned (e.g., puts, calls, warrants, options, convertible securities)**

1. Title of Derivative	2. Conversion	3. Transaction Date (Month/Day/Year)	3A. Deemed Execution Date, if	4. Transaction	5. Number of Derivative	6. Date Exercisable and Expiration Date	7. Title and Amount of Underlying Securities	8.
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Security (Instr. 3)	or Exercise Price of Derivative Security	any (Month/Day/Year)	Code (Instr. 8)	Securities Acquired (A) or Disposed of (D) (Instr. 3, 4, and 5)	(Month/Day/Year)	(Instr. 3 and 4)				
			Code	V	(A)	(D)	Date Exercisable	Expiration Date	Title	Amount or Number of Shares
Stock Option (Right to Buy)	\$ 6.13	10/20/2014	A		88,000		<u>(1)</u>	12/11/2023	Common Stock	88,000

## Reporting Owners

Reporting Owner Name / Address	Relationships			
	Director	10% Owner	Officer	Other
XANTHOPOULOS KLEANTHIS G C/O REGULUS THERAPEUTICS INC. 3545 JOHN HOPKINS COURT #210 SAN DIEGO, CA 92121	X		President and CEO	

## Signatures

/s/ Christopher Aker,  
Attorney-in-Fact  
10/22/2014

\*\*Signature of Reporting Person

Date

## Explanation of Responses:

\* If the form is filed by more than one reporting person, see Instruction 4(b)(v).

\*\* Intentional misstatements or omissions of facts constitute Federal Criminal Violations. See 18 U.S.C. 1001 and 15 U.S.C. 78ff(a).

(1) On December 12, 2013, the reporting person was granted an option to purchase 220,000 shares of common stock which vest upon achievement of certain performance criteria: 40% of the shares commence vesting upon achievement of the first goal, 30% of the shares commence vesting upon achievement of the second goal and 30% of the shares commence vesting upon achievement of the third goal, in each case, upon achievement of each goal, 50% of the shares shall immediately vest and the remaining shares shall vest in equal monthly installments over the two years following the vesting commencement date. On October 20, 2014 performance criteria for the first goal were met, resulting in the commencement of vesting of 88,000 shares.

Note: File three copies of this Form, one of which must be manually signed. If space is insufficient, see Instruction 6 for procedure. Potential persons who are to respond to the collection of information contained in this form are not required to respond unless the form displays a currently valid OMB number.