

Regulus Therapeutics Inc.  
Form 4  
October 14, 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

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

5. Relationship of Reporting Person(s) to Issuer

(Check all applicable)

(Last) (First) (Middle)  
C/O REGULUS THERAPEUTICS  
INC., 3545 JOHN HOPKINS  
COURT #210

3. Date of Earliest Transaction  
(Month/Day/Year)  
10/09/2014

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

(Street)  
SAN DIEGO, CA 92121

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

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

(City) (State) (Zip)

**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: Beneficial Ownership (Instr. 4) |        |   |                     |
|---------------------------------|--------------------------------------|--|--------------------------------|---|---|--|---|--------|---|---------------------|
|                                 |                                      |  | Code                           | V   | Amount  | (D)  | Price   |        |   |                     |
| Common Stock                    | 10/09/2014                           |  | M                              |   | 105   | A  | \$ 0.38   | 2,571  | D |                     |
| Common Stock                    | 10/09/2014                           |  | S <sup>(1)</sup>               |   | 105   | D  | \$ 7  | 2,466  | D |                     |
| Common Stock                    | 10/14/2014                           |  | M                              |   | 400   | A  | \$ 0.38   | 2,866  | D |                     |
| Common Stock                    | 10/14/2014                           |  | S                              |   | 400   | D  | \$ 7  | 2,466  | D |                     |
| Common Stock                    |                                      |  |                                |   |   |  |   | 80,216 | I | By the Xanthopoulos |

Family Trust  
dated  
September 30,  
2011

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

**Persons who respond to the collection of information contained in this form are not required to respond unless the form displays a currently valid OMB control number.**

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 Security (Instr. 3) | 2. Conversion or Exercise Price of Derivative Security | 3. Transaction Date (Month/Day/Year) | 3A. Deemed Execution Date, if any (Month/Day/Year) | 4. Transaction Code (Instr. 8) | 5. Number of Derivative Securities Acquired (A) or Disposed of (D) (Instr. 3, 4, and 5) | 6. Date Exercisable and Expiration Date (Month/Day/Year) | 7. Title and Amount of Underlying Securities (Instr. 3 and 4) | 8. Price or Value of Underlying Securities (Instr. 3 and 4) |
|--|--|--------------------------------------|--|--------------------------------|---|--|---|---|
| Stock Option (Right to Buy)                | \$ 0.38  | 10/09/2014                           |  | M                              | 105   | <u>(2)</u> 02/08/2019                                    | Common Stock 105  | \$  |
| Stock Option (Right to Buy)                | \$ 0.38  | 10/14/2014                           |  | M                              | 400   | <u>(2)</u> 02/08/2019                                    | Common Stock 400  | \$  |

## Reporting Owners

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

## Signatures

/s/ Christopher Aker,  
Attorney-in-Fact

10/14/2014

\_\_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) Reported transaction occurred pursuant to a Rule 10b5-1 Plan adopted August 26, 2013.

(2) The shares subject to the option are fully vested.

Note: File three copies of this Form, one of which must be manually signed. If space is insufficient, *see* Instruction 6 for procedure.

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