Regulus Therapeutics Announces Closing of First Tranche of \$41.8 Million Private Placement of Equity

LA JOLLA, Calif., May 7, 2019 /PRNewswire/ -- Regulus Therapeutics Inc. (Nasdaq: RGLS), a biopharmaceutical company focused on the discovery and development of innovative medicines targeting microRNAs, today announced the closing of the first tranche of its previously announced private placement of equity. The Company received gross proceeds of approximately \$16.7 million from the sale of 9,730,534 shares of the Company's common stock ("Common Stock") and accompanying warrants to purchase up to an aggregate of 9,730,534 shares of common stock at a combined purchase price of \$1.205 per share. In addition, the Company sold 415,898 shares of non-voting Class A-1 convertible preferred stock, in lieu of shares of Common Stock, at a price of \$10.80 per share, and accompanying warrants to purchase an aggregate of 4,158,980 shares of Common Stock at a price of \$0.125 for each share of Common Stock underlying these warrants. Each share of non-voting Class A-1 convertible preferred stock is convertible into 10 shares of Common Stock, subject to certain beneficial ownership conversion limitations. The Company expects to use the proceeds from the transaction primarily to advance RGLS4326 for the treatment of ADPKD, to advance select programs from its pipeline of microRNA therapies and for general corporate purposes. H.C. Wainwright and Co. acted as exclusive placement agent for the financing.

Subject to the Company's public announcement on or before December 31, 2019 of its plan to recommence the Phase 1 multiple ascending dose clinical trial of RGLS4326 based upon correspondence from FDA (the "Public Announcement"), the investors who purchased securities in the first tranche of the private financing have agreed to purchase shares of non-voting convertible preferred stock and accompanying warrants to purchase shares of Common Stock in a second closing ("Milestone Closing"), subject to certain closing conditions. If the Milestone Closing occurs, the gross proceeds to the Company from that closing will be approximately \$25.1 million.

The offer and sale of the foregoing securities were made or will be made in a transaction not involving a public offering and have not been registered under the Securities Act of 1933, as amended (the "Securities Act"), or applicable state securities laws. Accordingly, the securities may not be reoffered or resold in the United States except pursuant to an effective registration statement or an applicable exemption from the registration requirements of the Securities Act and such applicable state securities laws.

This press release does not constitute an offer to sell or the solicitation of an offer to buy the securities, nor shall there be any sale of the securities in any state in which such offer, solicitation or sale would be unlawful prior to the registration or qualification under the securities laws of such state.

About Autosomal Dominant Polycystic Kidney Disease (ADPKD)

ADPKD, caused by the mutations in the PKD1 or PKD2 genes, is among the most common human monogenic disorders and a leading cause of end-stage renal disease. The disease is characterized by the development of multiple fluid filled cysts primarily in the kidneys, and to a lesser extent in the liver and other organs. Excessive kidney cyst cell proliferation, a central pathological feature, ultimately leads to end-stage renal disease in approximately 50% of ADPKD patients by age 60. It is estimated that approximately 1 in 1,000 people bear a mutation in either PKD1 or PKD2 genes worldwide.

About RGLS4326

RGLS4326 is a novel oligonucleotide designed to inhibit miR-17 and designed to preferentially target the kidney. Preclinical studies with RGLS4326 have demonstrated direct regulation of PKD1 and PKD2 in human ADPKD cyst cells, a reduction in kidney cyst formation, improved kidney weight/body weight ratio, decreased cyst cell proliferation, and preserved kidney function in mouse models of ADPKD.

About Regulus

Regulus Therapeutics Inc. (Nasdaq: RGLS) is a biopharmaceutical company focused on the discovery and development of innovative medicines targeting microRNAs. Regulus maintains its corporate headquarters in La Jolla, CA.

Forward-Looking Statements

Statements contained in this press release regarding matters that are not historical facts are "forward-looking statements" within the meaning of the Private Securities Litigation Reform Act of 1995, including statements associated with the anticipated use of proceeds from the private financing as well as the timing, size and

completion of the Milestone Closing. Because such statements are subject to risks and uncertainties, actual results may differ materially from those expressed or implied by such forward-looking statements. Words such as "believes," "anticipates," "plans," "expects," "intends," "will," "goal," "potential" and similar expressions are intended to identify forward-looking statements. These forward-looking statements are based upon Regulus' current expectations and involve assumptions that may never materialize or may prove to be incorrect. Actual results and the timing of events could differ materially from those anticipated in such forward-looking statements as a result of various risks and uncertainties, which include, without limitation, risks associated with the process of discovering, developing and commercializing drugs that are safe and effective for use as human therapeutics and in the endeavor of building a business around such drugs, feedback from the FDA and market conditions. These and other risks concerning Regulus' financial position and programs are described in additional detail in Regulus' filings with the Securities and Exchange Commission. All forward-looking statements contained in this press release speak only as of the date on which they were made. Regulus undertakes no obligation to update such statements to reflect events that occur or circumstances that exist after the date on which they were made.

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