Regulus Renews Strategic Alliance with Sanofi to Focus on Orphan Disease and Oncology Targets and Receives Additional $10 Million Equity Investment

-Regulus to Develop microRNA-21 for Alport Syndrome, a Life-Threatening Orphan Kidney Disease, and microRNA-21 in Oncology, to Human Proof-of-Concept-
-Sanofi Obtains Exclusive Option to Regulus' Program Targeting microRNA-221/microRNA-222-
-Regulus' Milestones Remain with Upside in Potential Royalties-

LA JOLLA, Calif., Feb. 5, 2014 /PRNewswire/ -- Regulus Therapeutics Inc. (*NASDAQ:RGLS*) announced today that it has renewed its strategic alliance with Sanofi to discover, develop, and commercialize microRNA therapeutics to focus on specific orphan disease and oncology targets. Regulus will lead development of its fibrosis program targeting microRNA-21 ("miR-21") for the treatment of Alport Syndrome, an orphan, life-threatening genetic kidney disease with no approved therapy, and for its microRNA-21 ("miR-21") program in oncology. Sanofi has retained its interest in these microRNA-21 programs and has gained rights to Regulus' preclinical program targeting microRNA-221/microRNA-222 ("miR-221/222"). Regulus is responsible for advancing the clinical candidates in these programs to proof-of-concept. Sanofi shall have the exclusive option, exercisable after proof-of-concept, to take over further development and commercialization of each microRNA therapeutic program. At this stage, Regulus will have the option to co-promote any microRNA therapeutic product in the United States.

"The renewal of our strategic relationship with Sanofi further underscores the commitment of both companies to realize the tremendous promise of RNA therapeutics and the possibility to transform the field of drug discovery by targeting microRNAs," said Kleanthis G. Xanthopoulos, Ph.D., President and CEO of Regulus. "We believe that Regulus' microRNA therapeutic platform, coupled with our focus on orphan diseases and oncology indications, combine perfectly with Sanofi's resources and their proven capabilities as a global-healthcare leader to bring innovative medicines to patients in need. We look forward to advancing our programs together and building a meaningful clinical portfolio."

The refocused relationship allows Sanofi and Regulus to continue to collaborate on several meaningful microRNA therapeutic programs, with a greater focus on orphan diseases and oncology. Under the original agreement from 2010, Sanofi had rights on up to four microRNA targets, which included Regulus' lead fibrosis program targeting miR-21. In 2012, the companies expanded the alliance to collaborate on an oncology program targeting miR-21. In 2013, the companies entered into an option letter agreement to allow for negotiation of the extended strategic alliance announced today. Sanofi retained its interest in developing microRNA-21 therapeutics for fibrosis and oncology indications and now has opt-in rights to Regulus' miR-21 and miR-221/222 program. If Sanofi chooses to exercise its option on any of these programs, Sanofi will reimburse Regulus for a significant portion of its preclinical and clinical development costs. Regulus continues to be eligible to receive royalties on microRNA therapeutic products commercialized by Sanofi.

Additionally, Sanofi has increased its ownership stake in Regulus through an additional $10 million common stock investment at $7.67 per share, which represents the volume-weighted average share price over the last 30 trading days.

About Regulus

Regulus Therapeutics Inc. (*NASDAQ:RGLS*) is a biopharmaceutical company leading the discovery and development of innovative medicines targeting microRNAs. Regulus is uniquely positioned to leverage a mature therapeutic platform that harnesses the oligonucleotide drug discovery and development expertise of Alnylam Pharmaceuticals, Inc. and Isis Pharmaceuticals, Inc., which founded the company. Regulus has a well-balanced microRNA therapeutic pipeline entering clinical development, an emerging microRNA biomarkers platform to support its therapeutic programs, and a rich intellectual property estate to retain its leadership in the microRNA field. Regulus intends to focus its proprietary efforts on developing microRNA therapeutics for oncology indications and orphan diseases and is currently advancing several programs toward clinical development in oncology, fibrosis and metabolic diseases. Regulus is also developing RG-101, a GalNAc-conjugated anti-miR targeting microRNA-122, for the treatment of chronic hepatitis C virus infection. Regulus' commitment to innovation and its leadership in the microRNA field have enabled the formation of strategic alliances with AstraZeneca, GlaxoSmithKline and Sanofi. In addition, the Company has established Regulus microMarkers™, a research and development division focused on identifying microRNAs as biomarkers of human disease, which is designed to support its therapeutic pipeline, collaborators and strategic partners.

For more information, please visit http://www.regulusrx.com.

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 Regulus' expectations regarding future therapeutic and commercial potential of Regulus' business plans, technologies and intellectual property related to microRNA therapeutics being discovered and developed by Regulus. 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. These and other risks concerning Regulus' programs are described in additional detail in Regulus' SEC filings. 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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