Regulus Appoints Paul C. Grint, M.D. as Chief Medical Officer

- Recognized Industry Leader Brings Extensive Clinical and Product Development Expertise -

LA JOLLA, Calif., June 16, 2014 /PRNewswire/ -- Regulus Therapeutics Inc. (NASDAQ:RGLS), a biopharmaceutical company leading the discovery and development of innovative medicines targeting microRNAs, announced today the appointment of Paul C. Grint, M.D. to its executive management team as Chief Medical Officer. In his new role, Dr. Grint will be responsible for leading and expanding Regulus' microRNA clinical portfolio and will serve as a key member of its executive leadership team.

"We are extremely pleased that Paul is joining Regulus at such an important time in the growth of our company. Paul brings to Regulus over two decades of experience in biologics and small molecule drug development, including the successful development of numerous commercial products in oncology, anti-infectives and immunology in both domestic and international markets," said Kleanthis G. Xanthopoulos, Ph.D., President and CEO of Regulus. "Paul is a recognized expert in product development and his addition to our accomplished team will strengthen our capabilities to bring this next innovative wave of RNA therapies targeting microRNAs to patients in need."

Dr. Grint joined Regulus from Cerexa, Inc., a wholly-owned subsidiary of Forest Laboratories, Inc., where he served as President and was responsible for the oversight of anti-infective product development. Prior to joining Cerexa, Inc., Dr. Grint served as Senior Vice President of Research at Forest Research Institute, Inc., Chief Medical Officer at Kalypsys, Inc., and Senior Vice President and Chief Medical Officer at Zephyr Sciences, Inc., and he also served in similar executive level positions at Pfizer Inc., IDEC Pharmaceuticals Corporation, and Schering-Plough Corporation. Dr. Grint received his bachelor's degree from St. Mary's Hospital in London and his medical degree from St. Bartholomew's Hospital Medical College at the University of London. Dr. Grint is a Fellow of the Royal College of Pathologists, a member of numerous professional and medical societies, and the author or co-author of over fifty scientific publications.

"The discovery of microRNAs in humans is one of the most exciting scientific developments in recent history and I believe that microRNA therapeutics will be a major class of new medicines with broad therapeutic application in many important diseases," said Paul C. Grint, M.D., Chief Medical Officer of Regulus. "I am extremely excited about the clinical potential of targeting microRNAs – the ability to regulate entire pathways by controlling the translation of clusters of genes in disease pathways may prove to be a very powerful way to treat many complex diseases. To date, Regulus has made tremendous progress in advancing its technology platform and I look forward to working with the accomplished Regulus team to build a meaningful clinical portfolio based on microRNAs."

About microRNAs

microRNAs are small RNA molecules, typically 20 to 25 nucleotides in length, that do not encode proteins but instead regulate gene expression. More than 800 microRNAs have been identified in the human genome, and over one-third of all human genes are believed to be regulated by microRNAs. A single microRNA can regulate entire networks of genes. As such, these molecules are considered master regulators of the human genome. microRNA expression, or function, has been shown to be significantly altered or dysregulated in many disease states, including oncology, fibrosis, metabolic diseases, immune-inflammatory diseases and HCV. Targeting microRNAs with anti-miRs, chemically modified, single-stranded oligonucleotides, offers a unique approach to treating disease by modulating entire biological pathways and may become a new and major class of drugs with broad therapeutic application.

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 therapeutics pipeline, 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. Specifically, Regulus is developing RG-012, an anti-miR targeting microRNA-21 for the treatment of Alport syndrome, a life-threatening kidney disease driven by genetic mutations with no approved therapy, and 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 and a research collaboration with Biogen Idec focused on microRNA biomarkers. 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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