

November 14, 2007



## **Merck Serono Exercises Option to Rigel's R763/AS703569 and Aurora Kinase Inhibitors in the Japanese Territory**

SOUTH SAN FRANCISCO, Calif., Nov. 14 /PRNewswire-FirstCall/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that Merck Serono, a division of Merck KGaA, has exercised its option to add the Japanese territory to its current Aurora kinase collaboration that includes the lead product, R763/AS703569. In exchange for these extended development and marketing rights, Rigel will receive a \$3 million payment.

In October 2005, the two companies entered into a worldwide collaboration, excluding Japan, to develop R763/AS703569 and other Aurora kinase inhibitors. As part of this agreement, Merck Serono had the right to add Japan to its territory.

R763/AS703569 is an oral, highly potent inhibitor of Aurora kinases and has exhibited anti-tumor activity against a broad range of cancer cell lines. To date, three Phase 1 clinical trials with R763/AS703569, sponsored by Merck Serono, have been initiated and are ongoing in patients with solid tumors and leukemia.

"Developing a novel, effective, oral therapeutic for cancer patients is the primary goal of our Aurora kinase collaboration with Merck Serono," said Rigel's chief operating officer, Raul Rodriguez. "We are proud that Merck Serono has re-affirmed its commitment to this program."

If proven safe and efficacious, this regimen could dramatically alter the lives of patients with a variety of cancers including pancreatic, ovarian, breast, non-small cell lung and colorectal as well as those with hematological malignancies.

### **Aurora Kinase Inhibitors and Cancer**

Cancer continues to be a leading cause of death in the U.S. with 2 million new cases diagnosed each year. The over-expression of Aurora kinase can cause cells to rapidly develop an abnormal number of chromosomes. Elevated levels of Aurora kinase are frequently associated with various human cancers and inhibition of this enzyme disrupts cell division and promotes programmed cell death (apoptosis).

Rigel's lead oncology drug candidate, R763/AS703569, is a highly potent inhibitor of Aurora

kinases A and B, which is administered orally allowing patients to take the drug at home as prescribed. R763/AS703569 has exhibited potent anti-tumor activity against a broad panel of cancer cell lines. Leukemia cells, lung, breast, pancreas, ovarian and cervical carcinoma cells, and histiocytic cells are particularly sensitive to R763/AS703569. Rigel discovered R763/AS703569 using its proprietary high-content cell-based screening technologies applied to tumor cell lines.

## About Rigel

Rigel is a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory/autoimmune diseases and cancer, as well as viral and metabolic diseases. Our goal is to file one new investigational new drug (IND) application in a significant indication each year. Rigel has achieved this goal every year since 2002. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Rigel's productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. Rigel has product development programs in inflammatory/autoimmune diseases such as rheumatoid arthritis, thrombocytopenia and asthma, as well as in cancer.

This press release contains "forward-looking" statements, including statements related to the potential efficacy and safety of Rigel's product candidates. Any statements contained in this press release that are not statements of historical fact may be deemed to be forward-looking statements. Words such as "plans," "goal," "if," "could," and similar expressions are intended to identify these forward-looking statements. There are a number of important factors that could cause Rigel's results to differ materially from those indicated by these forward-looking statements, including risks associated with the timing and success of clinical trials and the commercialization of product candidates, as well as other risks detailed from time to time in Rigel's SEC reports, including its Form 10-Q for the quarter ended September 30, 2007. Rigel does not undertake any obligation to update forward-looking statements.

Contact: Raul Rodriguez  
Phone: 650.624.1302  
Email: [invrel@rigel.com](mailto:invrel@rigel.com)

Rigel Media Contact: Susan C. Rogers, Alchemy Consulting, Inc.  
Phone: 650.430.3777  
Email: [susan@alchemyemail.com](mailto:susan@alchemyemail.com)

SOURCE Rigel Pharmaceuticals, Inc.