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Rigel Announces Start of Phase 1 Combination Therapy Study of R763/AS703569 in Advanced Malignancies

SOUTH SAN FRANCISCO, Calif., July 12 /PRNewswire-FirstCall/ -- Rigel Pharmaceuticals Inc. (Nasdaq: RIGL) today announced that its partner Merck Serono, a division of Merck KGaA, Darmstadt, Germany, has begun enrolling patients in a Phase 1 study to evaluate R763/AS703569 in combination with a standard of care therapy in patients with advanced malignancies. This is the third Phase 1 study planned to evaluate the safety and initial activity of R763/AS703569, a highly potent, orally available Aurora kinase inhibitor. In October 2005, Merck Serono licensed development and commercialization rights to R763/AS703569 (AS703569 is the Merck Serono nomenclature for R763).

This Phase 1, multi-center, open-label, and dose escalating study is designed to determine the maximum tolerated dose, safety and dosing regimen of R763/AS703569 in combination with gemcitabine, a commonly prescribed chemotherapeutic agent administered by intravenous infusion. The study will evaluate 2 different treatment regimens whereby the study drug will be given in sequence with the gemcitabine over 21 day cycles. As many as 72 patients with advanced malignancies, including, pancreatic, ovarian, breast, non-small cell lung and colorectal, will be evaluated.

The October 2005 licensing agreement gave Merck Serono rights to develop and commercialize R763 and Rigel's Aurora kinase program. In September 2006, Merck Serono initiated a Phase 1 study of R763/AS703569 in patients with solid tumors and subsequently, another Phase 1 study in patients with hematological malignancies. Both of those Phase 1 studies are presently ongoing.

Activity of Aurora Kinase Inhibitors in Cancer

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, whereas inhibition of this enzyme disrupts cell division and promotes programmed cell death (apoptosis). Regulation of Aurora kinase enzymes may result in novel approaches to the treatment of a variety of cancers.

Rigel's lead oncology drug candidate, R763/AS703569, is a highly potent inhibitor of Aurora kinase. It has exhibited anti-tumor activity against a broad range of cancer cell lines and is

particularly effective against leukemia, lung, breast, ovarian, pancreas, cervical carcinoma cells and histiocytic cells. Rigel discovered R763 using its proprietary cell-based PAD (Proliferation, Apoptosis and DNA content) assays applied to tumor cell lines.

About Rigel (http://www.rigel.com)

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 Rigel's plans to pursue clinical development of product candidates, and the timing of results thereof. 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," "intends," "indicates," "promising," "expects," "anticipates" 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 March 31, 2007. Rigel does not undertake any obligation to update forward-looking statements.

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