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Rigel Announces Initiation of Phase 1 Study Evaluating R763 in Hematological Malignancies

The Second Clinical Study Initiated With R763 in Oncology

SOUTH SAN FRANCISCO, Calif., Feb. 13 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) announced today that its partner Merck Serono has begun enrolling patients in a Phase 1 study evaluating the safety and tolerability of R763, a highly potent, orally available multi-Aurora kinase inhibitor, for the treatment of patients with hematological malignancies. This is the second of several Phase 1 studies to be conducted in order to evaluate the safety and initial efficacy of R763 in different types of cancers, including solid tumors, hematological malignancies, and in combination with standard therapies. Merck Serono licensed development and commercialization rights to R763 and Rigel's Aurora kinase program in October 2005.

This Phase 1, open-label, dose-escalation study is designed to evaluate safety and tolerability at 2 different dosing regimens of the Aurora kinase inhibitor R763. Up to 54 subjects with acute or chronic myeloid leukemia (AML or CML) or myelodysplastic syndrome (MDS) will be enrolled in each regimen and dosed orally with R763.

"We are excited with the prospect of testing R763 in these leukemias. R763 has shown encouraging results in in vitro and in vivo models of hematological malignancies where Aurora kinase is thought to play a role. R763's ability to potently inhibit this enzyme is promising and we look forward to reviewing the results of this trial", said Donald G. Payan, M.D., executive vice president and chief scientific officer of Rigel.

Aurora Kinase and 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 and inhibition of this enzyme disrupts cell division and promotes programmed cell death (apoptosis). Increased knowledge of Aurora kinase and its regulation may result in novel approaches to the treatment of cancer.

Rigel's lead oncology drug candidate, R763 (also known as AS703569), is a highly potent inhibitor of Aurora kinase. It 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. 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 diseases, cancer and viral diseases. Our goal is to file one new investigational new drug (IND) application in a significant indication each year. We have achieved this goal 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. We have product development programs in inflammatory/autoimmune diseases such as rheumatoid arthritis, thrombocytopenia, and asthma and allergy, 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 thereof, and the potential efficacy of 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," "intends," "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 September 30, 2006. Rigel does not undertake any obligation to update forward-looking statements.

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