Exelixis Files IND Application for XL281

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Next-Generation Kinase Inhibitor Enters Clinical Development

SOUTH SAN FRANCISCO, Calif., Oct. 13 /PRNewswire-FirstCall/ -- Exelixis, Inc. (Nasdaq: EXEL) has submitted an investigational new drug (IND) application to the U.S. Food and Drug Administration for XL281, a novel anticancer compound designed to potently inhibit the RAS/RAF/MEK/ERK signaling pathway. Mutational activation of RAS occurs in about 30 percent of all human tumors, including non-small cell lung, pancreatic, and colon cancer. XL281 is a specific inhibitor of RAF kinases, including the mutant form of B-RAF, which is activated in 60 percent of melanomas, 24-44 percent of thyroid cancers, and 9 percent of colon cancers.

"XL281 emerged from our strategy to advance novel compounds that potently and selectively inhibit mutationally activated downstream kinases implicated in promoting the growth of specific tumor types," said George A. Scangos, Ph.D., president and chief executive officer of Exelixis. "We have identified five additional next-generation compounds that selectively inhibit key targets in the PI3 kinase, RAS/RAF and JAK/STAT pathways and expect to file IND applications throughout the next nine months."

About XL281

XL281 is a novel small molecule drug designed to specifically inhibit RAF kinases, which lie immediately downstream of RAS and are key components of the RAS/RAF/MEK/ERK kinase signaling pathway. Genetic lesions that activate this pathway are common in human tumors, with activating mutations in K-Ras occurring in 30 percent of tumors and activating mutations in B-RAF occurring in approximately 60 percent of melanomas. The RAS/RAF/MEK/ERK pathway also plays a key role in the transmission of growth-promoting signals downstream of receptor tyrosine kinases. This suggests that deregulation of this pathway plays a pivotal role in the progression of many human tumors, and that inhibition of the pathway may provide clinical benefit in the treatment of cancer. In preclinical studies, XL281 showed potent inhibition of B-RAF, mutationally activated B-RAF and C-RAF, and did not interact with kinases outside of the RAF family. XL281 displays high oral bioavailability and strongly inhibits RAS/RAF/MEK/ERK signaling in human tumor models. This translates into substantial inhibition of tumor growth in preclinical xenograft models of human tumors that overexpress receptor tyrosine kinases or harbor activating mutations in RAS or RAF. Phase I clinical trials of XL281 are expected to initiate in late 2006 or early 2007.

About Exelixis

Exelixis, Inc. is a development-stage biotechnology company dedicated to the discovery and development of novel small molecule therapeutics for the treatment of cancer and other serious diseases. The company is leveraging its fully integrated drug discovery platform to fuel the growth of its development pipeline, which is primarily focused on cancer. Currently, Exelixis' broad product pipeline includes investigational compounds in Phase III (XL119, exclusively out-licensed to Helsinn Healthcare S.A), Phase II, and Phase I clinical development for cancer and renal disease. Exelixis has established strategic corporate alliances with major pharmaceutical and biotechnology companies including GlaxoSmithKline, Bristol-Myers Squibb Company, Genentech, and Sankyo. For more information, please visit the company's web site at www.exelixis.com .

This press release contains forward-looking statements, including without limitation statements related to the expected timing of the initiation of the Phase I clinical trial for XL281, the potential clinical development path for XL281 and the anticipated filing of additional IND applications. Words such as "believes," "anticipates," "plans," "expects," "intends," "will," "slated," "goal" and similar expressions are intended to identify forward-looking statements. These forward-looking statements are based upon Exelixis' current expectations. Forward-looking statements involve risks and uncertainties. Exelixis' actual results and the timing of events could differ materially from those anticipated in such forward-looking statements as a result of these risks and uncertainties, which include, without limitation, the potential failure of product candidates to demonstrate safety and efficacy in clinical testing; the ability of Helsinn Healthcare S.A. to conduct the Phase III clinical trial of XL119 sufficient to achieve FDA approval; the ability to complete and initiate trials at the referenced times; the ability to conduct clinical trials sufficient to achieve a positive completion; the ability to file INDs at the referenced times; the ability of Exelixis to advance additional preclinical compounds into clinical development; the uncertainty of the FDA approval process; and the therapeutic and commercial value of the company's compounds. These and other risk factors are discussed under "Risk Factors" and elsewhere in our quarterly report on Form 10-Q for the quarter ended June 30, 2006 and other filings with the Securities and Exchange Commission. The company expressly disclaims any obligation or undertaking to release publicly any updates or revisions to any forward-looking statements contained herein to reflect any change in the company's expectations with regard thereto or any change in events, conditions or circumstances on which any such statements are based.

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