Exelixis' XL880, the First Orally-Available MET Inhibitor in Clinical Development, Continues to Show Promise in a Phase I Trial in Patients With Advanced Solid Tumors

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ATLANTA, June 3, 2006 /PRNewswire-FirstCall via COMTEX News Network/ -- Exelixis, Inc. (Nasdaq: EXEL) announces that updated results from a Phase I trial of XL880 in patients with advanced solid malignancies were reported today. XL880 is an orally bioavailable small molecule inhibitor of the kinases MET and VEGF. Results show that the compound is well tolerated and as of the March 21 cutoff, a maximum tolerated dose (MTD) had not yet been established. Results of the Phase I trial of XL880 were presented in a poster, titled "A Phase I Study of a Novel Spectrum Selective Kinase Inhibitor (SSKI), XL880, Administered Orally in Patients with Advanced Solid Tumors (STs)," (Abstract # 3041) in a poster discussion session at the 42nd Annual Meeting of the American Society of Clinical Oncology (ASCO). The conference is taking place June 2 to 6 in Atlanta.

As of March 21, 2006, twenty-five patients had been treated across 5 dose levels ranging from 0.1 to 1.6 mg/kg and were evaluable for safety endpoints. Nineteen patients had been followed for at least three months as of the March 21, 2006 cut-off, and were evaluable for responses. Two patients in the trial had papillary renal cell carcinoma, and both have had partial responses (one confirmed, one unconfirmed). Seven patients had stable disease for 3+ to 13 months. Analysis of biopsy samples taken from a patient with melanoma who showed tumor reduction indicated that XL880 inhibited the activation of MET, RON, ERK and AKT, decreased proliferation and increased apoptosis. These changes were not observed in samples of normal tissue taken from the same patient. One patient with medullary thyroid cancer enrolled after the cut-off showed tumor reduction on physical exam and a reduction in calcitonin, a marker for disease.

"We are very encouraged by the Phase I data with XL880, the first MET inhibitor in the clinic," said George A. Scangos, Ph.D., president and chief executive officer of Exelixis. "Partial responses in the two treated papillary renal cell cancer patients, tumor shrinkage in patients with melanoma, thyroid and carcinoid, in addition to changes in target activity, tumor cell proliferation and apoptosis observed in biopsy samples of a melanoma patient provide compelling evidence that the compound is inhibiting the expected targets and has biologic activity. We expect to initiate Phase II trials of XL880 in the middle of 2006."

"We are excited that XL880 continues to show favorable safety and PK profiles as we increase the dosage," said Dr. Joseph P. Eder, M.D., Clinical Director, Translational Pharmacology and Early Clinical Trials Program at the Dana-Farber Cancer Institute and Associate Professor of Medicine at Harvard Medical School and an author on the study. "MET is a very exciting target for cancer therapy, because it is mutationally activated in hereditary papillary renal cell carcinoma and is activated or overexpressed in multiple myeloma, glioma and other solid tumors. Inhibition of MET in concert with other promoters for tumor cell growth and tumor angiogenesis may provide clinically significant anti-tumor effects. XL880 may have a dual mechanism of anti-tumor activity, targeting both the tumor itself as well as its blood supply. The data strongly support the evaluation of XL880 in Phase II trials."

After the March 21, 2006 cut-off date, two dose limiting toxicities were encountered. One episode of grade 3 lipase elevation and one episode of grade 3 transaminase elevation were reported.

About the Trial

The primary objective of the Phase I dose escalation trial was to establish a MTD and to assess safety and tolerability of oral administration of XL880. Secondary objectives included PK analyses and tumor response. Patients with advanced solid malignancies were enrolled in successive cohorts to receive XL880 orally as a single dose on day 1, followed by 5 continuous daily doses starting on day 4. Patients then continued to receive dosing for 5 continuous days followed by a break with cycles repeated every 14 days. Patients were allowed to stay on-study in the absence of unacceptable toxicity until evidence of disease progression.

About XL880

XL880 is an orally available small molecule compound designed to target multiple RTKs implicated in the development, progression and spread of cancer. The primary targets of XL880 are the hepatocyte growth factor (ligand for MET) and vascular endothelial growth factor RTK families, although platelet-derived growth factor receptor (PDGFR), c-KIT, FLT3 and Tie-2 are also inhibited. Activation or overexpression of MET has been documented as a negative prognostic indicator in patients with various carcinomas, and in patients with multiple myeloma, glioma and other solid tumors. Activation of MET by mutation is the causative factor in an inherited kidney cancer syndrome, hereditary papilliary renal cell carcinaoma. Mutational activation of MET has also been found in sporadic kidney cancer, lung carcinomas and head and neck carcinomas. MET is a key driver of tumor cell growth, motility, invasion, metastasis and angiogenesis. XL880 is the first orally bioavailable small molecule MET inhibitor to enter the clinic.

About Exelixis

Exelixis, Inc. is a biotechnology company dedicated to the discovery and development of novel therapeutics that will potentially enhance the care and lives of patients with cancer and other serious diseases. The company is leveraging its fully integrated gene-to-drug platform to fuel the growth of its proprietary drug pipeline. Exelixis' development pipeline covers cancer and metabolism and is comprised of the following compounds: XL119 (becatecarin), for which a multinational Phase III clinical trial in bile duct tumor is ongoing and which has been exclusively licensed to Helsinn Healthcare S.A.; XL784, which is being advanced in a Phase II trial as a treatment for renal disease; XL999, an anticancer compound currently in Phase II clinical trials for a variety of solid tumors and hematologic malignancies; XL647, XL880, XL820, XL844 and XL184, anticancer compounds currently in Phase I clinical trials; and multiple compounds in preclinical development for diseases including cancer and various metabolic and cardiovascular disorders. Exelixis has established broad corporate alliances with major pharmaceutical and biotechnology companies including GlaxoSmithKline (GSK) and Bristol-Myers Squibb Company. Pursuant to a product development and commercialization agreement between Exelixis and GSK, GSK has the option, after completion of clinical proof-of-concept by Exelixis, to elect to develop a certain number of compounds in Exelixis' product pipeline, which may include XL784 and the cancer compounds identified in this press release (other than XL119), thus potentially triggering

milestone payments and royalties from GSK and co-promotion rights by Exelixis. For more information, please visit the company's web site at www.exelixis.com.

This press release contains forward-looking statements. 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 3 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 March 31, 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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