Exelixis Initiates Phase II Clinical Development Program for XL647 in Patients With Metastatic Non-Small Cell Lung Cancer

August 1, 2006

- Study targets previously untreated patients -

SOUTH SAN FRANCISCO, Calif., Aug. 1 /PRNewswire-FirstCall/ -- Exelixis, Inc. (Nasdaq: EXEL) today announced the initiation of a Phase II trial of XL647, an orally bioavailable small molecule inhibitor of the HER2, EGF, VEGF and EphB4 receptor tyrosine kinases (RTKs). Although these individual RTKs are targets for currently approved therapies, XL647 was designed to potently inhibit all three targets simultaneously. The trial will be conducted in patients with advanced (stage IIIB or IV) non-small cell lung cancer (NSCLC) who have not previously been treated with chemotherapy. In this proof-of-concept trial, participants must meet at least two of the following criteria: asian, female, non-smoker or adenocarcinoma.

"We designed XL647 to potently inhibit EGFR and VEGFR, as well as HER2 and EphB4. We believe that simultaneously inhibiting this spectrum of targets may provide greater efficacy than has been achieved to date by inhibiting these targets individually," said George A. Scangos, Ph.D., president and chief executive officer of Exelixis. "As a single compound optimized for potency, activity, safety and tolerability, we believe the safety and tolerability profile of XL647 may be better than those from combinations of drugs designed to inhibit individual targets."

The multi-center, open-label Phase II study will be conducted in up to 15 clinical sites and will follow a two-stage enrollment strategy. The primary objectives of the Phase II study are to determine the response rate of subjects with NSCLC treated with XL647 and to evaluate the safety and tolerability of XL647. Secondary objectives include assessment of progression-free survival, duration of response, and overall survival, and characterization of pharmacokinetic and pharmacodynamic parameters of XL647.

As reported in June 2006 at the American Society of Clinical Oncology (ASCO) annual meeting, XL647 has exhibited favorable safety and tolerability profiles in a Phase I trial in patients with advanced solid tumors. The investigators reported that of 40 evaluable patients, one patient (non-small cell lung cancer [NSCLC]) has had a partial response and 12 others (NSCLC [3], chordoma [2], adenoid cystic carcinoma [2], adrenocortical carcinoma, colorectal, ovarian, mesothelioma and head and neck cancer) have had prolonged stable disease (>3.5 months). The first two patients treated at the 7.0 mg/kg dose experienced dose-limiting toxicities (DLTs) of grade 3 diarrhea, which resolved upon a reduction in dose to 4.68 mg/kg. One serious adverse event of grade 4 pulmonary embolism was considered potentially related to study treatment in a patient treated at the 0.28 mg/kg dose. One patient at the 3.12 mg/kg dose had an asymptomatic QTc prolongation on electrocardiogram. Expansion of the 4.68 mg/kg cohort to six patients occurred without further DLTs, and this is considered the maximum tolerated dose.

About XL647

XL647 is a potent inhibitor of multiple RTKs implicated in driving tumor cell proliferation and tumor vascularization (blood vessel formation). XL647 inhibits the EGF, HER2, and VEGF RTKs, each of which is a target of currently approved cancer therapies. In addition, XL647 inhibits EphB4, an RTK that is highly expressed in many human tumors and plays a role in promoting angiogenesis. In a broad array of preclinical tumor models including breast, lung, colon and prostate cancer, XL647 demonstrated potent inhibition of tumor growth and caused tumor regression. In cell culture models, XL647 retained significant potency against mutant EGFRs that cause resistance to current EGFR inhibitors.

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 an anticancer compound currently in Phase II clinical trials for advanced non-small cell lung cancer; 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, including without limitation statements related to Exelixis' clinical development program for XL647 and the therapeutic potential of XL647. 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 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.

SOURCE Exelixis, Inc.

CONTACT: investors, Charles Butler, Director, Corporate Communications, +1-650-837-7277, or cbutler@exelixis.com, or media, Soleil Maxwell Harrison, Senior Manager, Corporate Communications, +1-650-837-7012, or sharrison@exelixis.com, both of Exelixis, Inc.
Web site: http://www.exelixis.com