Exelixis Reports Updated Phase 2 Data for XL184 in Patients With Recurrent Glioblastoma to Be Presented at ASCO

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30% Response Rate in Antiangiogenic Therapy Naïve Patients

SOUTH SAN FRANCISCO, Calif., May 20, 2010 (BUSINESS WIRE) --Exelixis, Inc. (NASDAQ:EXEL) today reported promising interim data from an ongoing phase 2 trial of XL184 in patients with recurrent glioblastoma (GB), the most common and aggressive form of brain cancer. Dr. Patrick Wen from the Dana Farber Cancer Institute in Boston, MA will present the data in an Oral Session (Abstract #2006) on Saturday, June 5 at 5:00 p.m. at the 2010 Annual Meeting of the American Society of Clinical Oncology in Chicago.

The phase 2 study is evaluating the safety, tolerability, and clinical activity of XL184 at continuous daily doses of 175 mg or 125 mg in patients with previously treated GB, and includes two prospectively defined populations of patients who either did or did not receive prior therapy with an antiangiogenic agent. As of May 19, 2010 a total of 195 patients in first or second relapse have been enrolled in three successive cohorts. Efficacy (consisting of response assessment and progression-free survival) and safety data are available for 105 and 153 patients, respectively, with a data cut-off date of April 28, 2010.

As previously reported, 46 patients were treated at the 175 mg dose in a fully enrolled cohort 1. Newly reported data includes 59 patients treated at the lower dose of 125 mg in a fully enrolled cohort 2. Cohort 3 (125 mg), which uses the newly endorsed response assessment in neuro-oncology (RANO) response criteria, continues to enroll with the majority of patients not yet evaluable for response. Substantial activity of XL184 was observed, with 82% of the overall trial population and 91% of the antiangiogenic therapy naïve population with at least one post-baseline MRI scan experiencing tumor reduction as determined by an independent radiology facility (IRF) using a blinded double-reader paradigm.

Experience at 125 mg XL184 in recurrent GB

The dose of 125 mg is being assessed with the goal of further improving the tolerability of XL184 in this population while maintaining efficacy. Tumor response in cohort 2 was determined by IRF per modified Macdonald criteria. Confirmed partial responses were reported in 11 of 37 (30%) patients without prior antiangiogenic therapy, with a median duration of response of 5.1 months (range = 0.9-6.9+). In patients without prior antiangiogenic therapy, progression-free survival at 6 months (PFS6) assessed by Kaplan-Meier estimate was 25%, with a 30% rate of censoring at the time of analysis. Median PFS interval was 16 and 7.9 weeks for antiangiogenic naïve and antiangiogenic pretreated patients, respectively.

Experience at 175 mg XL184 in recurrent GB

Preliminary data from cohort 1 (175 mg) were originally presented at the 2009 ASCO meeting, and are updated at this year's meeting. Confirmed partial responses determined by IRF were reported in 7 of 34 (21%) patients without prior antiangiogenic therapy, with a median duration of response of 2.9 months (range = 1.9-12.8).

Safety and tolerability at 125 mg XL184

The lower dose of 125 mg XL184 appears to provide clinically meaningful improvement in safety and tolerability, while maintaining a high rate of durable response in this recurrent GB population. At the 125 mg dose, the rate of treatment interruptions (52%) and discontinuations for AEs (8.4%) has decreased considerably compared to the higher dose of 175 mg (interruption rate of 83%, and discontinuation for AEs of 20%). The most frequently observed grade 3/4 adverse events commonly related to VEGFR inhibition were: hypertension (125 mg: 7% vs. 175 mg: 2%), hemorrhage (125 mg: 3% vs. 175 mg: 9%), and thromboembolic events (125 mg: 12% vs. 175 mg: 4%); one grade 5 adverse event of pulmonary embolism was also observed in the 125 mg cohort. The rates of grade 3/4 adverse events regardless of relationship to XL184 were generally lower in patients receiving 125 mg XL184 compared to those receiving 175 mg: fatigue (125 mg: 14% vs. 175 mg: 35%), transaminase elevation (125 mg: 11% vs. 175 mg: 13%), headache (125 mg: 2% vs. 175 mg: 11%), palmar-plantar erythrodysaesthesia (125 mg: 5% vs. 175 mg: 7%), and diarrhea (125 mg: 4% vs. 175 mg: 7%).

"We are encouraged by the clinical activity, tolerability and safety profile of XL184 at the 125 mg dose in recurrent GB," said Michael M. Morrissey, Ph.D. president of research and development at Exelixis. "The results to be presented at ASCO demonstrate that the 125 mg daily dose of XL184 has robust clinical activity which we believe compares favorably with current treatment options. We are also pleased to see evidence of activity in patients who have failed prior antiangiogenic therapy, which remains an area of high unmet medical need. We believe the 125 mg daily dose of XL184 is suitable for late stage studies in GB."

About XL184

XL184 (BMS-907351) is an investigational oral inhibitor of MET, VEGFR2, and RET that produces antiangiogenic, antiproliferative, and antiinvasive effects in preclinical tumor models. MET is mutationally activated in some tumor types, such as hereditary and sporadic papillary renal cell carcinoma and some head and neck cancers. More frequently, MET is either over-expressed or activated in the absence of mutation in glioblastomas, breast carcinomas, some gastric cancers, and other solid tumors. MET amplification has been demonstrated in some NSCLCs. Expression of VEGF has been observed in a variety of cancers and has been associated with prognostic significance. Targeting the VEGF receptor has been recognized as a potential anti-cancer strategy in multiple tumors. Dual targeting of MET and VEGFR2 blocks two of the major mechanisms tumors use to overcome

hypoxia. Activated RET is involved in cell signaling cascades that regulate cell proliferation, migration, differentiation, and survival. RET is mutationally activated in papillary thyroid cancer (PTC) and in both familial and sporadic forms of medullary thyroid cancer (MTC). Exelixis is co-developing XL184 with Bristol-Myers Squibb Company, and is currently conducting multiple clinical studies with XL184.

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 biological expertise and integrated research and development capabilities to generate a pipeline of development compounds with significant therapeutic and commercial potential for the treatment of cancer and potentially other serious diseases. Currently, Exelixis' broad product pipeline includes investigational compounds in phase 3, phase 2, and phase 1 clinical development. Exelixis has established strategic corporate alliances with major pharmaceutical and biotechnology companies, including Bristol-Myers Squibb Company, sanofi-aventis, GlaxoSmithKline, Genentech (a wholly owned member of the Roche Group), Boehringer Ingelheim, and Daiichi-Sankyo. For more information, please visit the company's web site at http://www.exelixis.com.

Forward-Looking Statements

This press release contains forward-looking statements by Exelixis, including, without limitation, statements related to the continued development and therapeutic potential of XL184. Words such as "demonstrate," "believe" and similar expressions are intended to identify forward-looking statements. These forward-looking statements are based upon Exelixis' current plans, assumptions, beliefs and 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, risks related to the potential failure of XL184 to demonstrate safety and efficacy in clinical testing; the ability to conduct clinical trials for XL184 sufficient to achieve a positive completion; the therapeutic and commercial value of XL184; the uncertainty of the FDA approval process; market competition; and Exelixis' dependence on its relationship with its collaboration partners. These and other risk factors are discussed under "Risk Factors" in Exelixis' Quarterly Report for the quarter ended April 2, 2010 and Exelixis' other reports filed with the Securities and Exchange Commission. Exelixis expressly disclaims any duty, obligation or undertaking to release publicly any updates or revisions to any forward-looking statements contained herein to reflect any change in Exelixis' expectations with regard thereto or any change in events, conditions or circumstances on which any such statements are based.

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