Exelixis Initiates Randomized Phase 2 Clinical Trial of Cabozantinib Plus Abiraterone in Chemotherapy-Naïve Patients with Metastatic Castration-Resistant Prostate Cancer

December 2, 2013

SOUTH SAN FRANCISCO, Calif.--(BUSINESS WIRE)--Dec. 2, 2013-- Exelixis, Inc. (NASDAQ:EXEL) today announced that it has initiated a phase 2 clinical trial comparing cabozantinib plus abiraterone and prednisone (abiraterone/prednisone) versus abiraterone/prednisone in patients with castration-resistant prostate cancer (CRPC) who have bone metastases and have not been previously treated with chemotherapy. The primary endpoint for the randomized, open-label trial is radiographic progression-free survival (PFS).

“A growing body of data support the potential clinical utility of cabozantinib in treating patients with metastatic CRPC,” said Christopher Sweeney, MBBS, clinical director, genitourinary oncology at the Dana-Farber Cancer Institute in Boston, and the lead investigator on the phase 2 trial. “Phase 1 experience from our institution provided important insight into clinical activity when cabozantinib is administered in combination with full dose abiraterone in this patient population, opening the door to potential new treatment options for CRPC patients who have bone metastases but have not yet received chemotherapy. Continued study of cabozantinib in a variety of CRPC indications may help to advance the treatment of the disease.”

The phase 2 trial will compare abiraterone/prednisone against abiraterone/prednisone in combination with one of the three cabozantinib doses: 40 mg daily, 20 mg daily, or 20 mg every other day. The trial is expected to enroll 280 chemotherapy-naïve CRPC patients who have bone metastases, and will be conducted at approximately 50 sites in North America. In addition to evaluating radiographic PFS, the trial includes pre-specified outcome measures of safety and tolerability, pharmacokinetics of cabozantinib in combination with abiraterone, overall survival (OS), and bone scan response by computer-aided detection.

“With its differentiated mechanism targeting MET, RET, and VEGFR2, we believe cabozantinib has the potential to be therapeutically complementary with prostate cancer therapies such as abiraterone and enzalutamide,” said Michael M. Morrissey, Ph.D., president and chief executive officer of Exelixis. “We believe that the results of this trial will provide important insight into the role that cabozantinib might play in earlier lines of therapy, including patients who have not yet received chemotherapy. This trial, and our planned phase 1 trial of cabozantinib in combination with enzalutamide, which is expected to start in the first half of 2014, should support our efforts to realize the full clinical and commercial potential of cabozantinib in CRPC.”

About Cabozantinib

Cabozantinib inhibits the activity of tyrosine kinases including MET, RET and VEGFR2. These receptor tyrosine kinases are involved in both normal cellular function and in pathologic processes such as oncogenesis, metastasis, tumor angiogenesis, and maintenance of the tumor microenvironment. COMETRIQ® (cabozantinib) is currently approved by the U.S. Food and Drug Administration for the treatment of progressive, metastatic medullary thyroid cancer.

WARNING: PERFORATIONS AND FISTULAS, and HEMORRHAGE

- **Serious and sometimes fatal gastrointestinal perforations and fistulas occur in COMETRIQ-treated patients.**
- **Severe and sometimes fatal hemorrhage occurs in COMETRIQ-treated patients.**
- COMETRIQ treatment results in an increase in thrombotic events, such as heart attacks.
- Wound complications have been reported with COMETRIQ.
- COMETRIQ treatment results in an increase in hypertension.
- Osteonecrosis of the jaw has been observed in COMETRIQ-treated patients.
- Palmar-Plantar Erythrodysesthesia (PPE) Syndrome occurs in patients treated with COMETRIQ.
- The kidneys can be adversely affected by COMETRIQ. Proteinuria and nephrotic syndrome have been reported in patients receiving COMETRIQ.
- Reversible Posterior Leukoencephalopathy Syndrome has been observed with COMETRIQ.
- COMETRIQ is not recommended for use in patients with moderate or severe hepatic impairment.
- COMETRIQ can cause fetal harm when administered to a pregnant woman.

Adverse Reactions – The most commonly reported adverse drug reactions (≥25%) are diarrhea, stomatitis, palmar-plantar erythrodysesthesia syndrome (PPES), decreased weight, decreased appetite, nausea, fatigue, oral pain, hair color changes, dysgeusia, hypertension, abdominal pain, and constipation. The most common laboratory abnormalities (≥25%) are increased AST, increased ALT, lymphopenia, increased alkaline phosphatase, hypocalcemia, neutropenia, thrombocytopenia, hypophosphatemia, and hyperbilirubinemia.

Drug Interactions – COMETRIQ is a CYP3A4 substrate. Co-administration of strong CYP3A4 inhibitors can increase cabozantinib exposure. Chronic co-administration of strong CYP3A4 inducers can reduce cabozantinib exposure.

For full prescribing information, including Boxed Warning, please visit www.COMETRIQ.com.

About Exelixis

Exelixis, Inc. is a biotechnology company committed to developing small molecule therapies for the treatment of cancer. Exelixis is focusing its proprietary resources and development efforts exclusively on COMETRIQ® (cabozantinib). Exelixis has also established a portfolio of other novel compounds that it believes have the potential to address serious unmet medical needs, many of which are being advanced by partners as part of collaborations. For more information, please visit the company's web site at www.exelixis.com.

Forward-Looking Statements

This press release contains forward-looking statements, including, without limitation, statements related to: the continued development and clinical, therapeutic and commercial potential of, and opportunities for, cabozantinib; the potential clinical utility of cabozantinib in treating patients with metastatic CRPC; the potential for cabozantinib as a new treatment option for CRPC patients who have bone metastases but have not yet received chemotherapy; the belief that continued study of cabozantinib in a variety of CRPC indications may help to advance the treatment of the disease; the belief that cabozantinib has the potential to be therapeutically complementary with prostate cancer therapies such as abiraterone and enzalutamide; plans to initiate a phase 1 clinical trial of cabozantinib in combination with enzalutamide and the expected timing thereof; the belief that the referenced phase 2 and phase 1 trials of cabozantinib should support Exelixis' efforts to realize the full clinical and commercial potential of cabozantinib in CRPC; and the design, plans and goals for the referenced phase 2 trial of cabozantinib, and the potential success thereof. Words such as "endpoint," "support," "potential," "utility," "can," "opening the door," "new," "continued," "may," "will," "expected," "believe," "provide," "might," "should," "efforts," "realize," 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: the availability of data at the expected times; risks related to the potential failure of cabozantinib to demonstrate safety and efficacy in clinical testing; the uncertain timing and level of expenses associated with the development of cabozantinib; Exelixis' ability to conduct clinical trials of cabozantinib sufficient to achieve a positive completion; the sufficiency of Exelixis' capital and other resources; market competition; and changes in economic and business conditions. These and other risk factors are discussed under "Risk Factors" and elsewhere in Exelixis' quarterly report on Form 10-Q for the three months ended September 27, 2013, filed with the Securities and Exchange Commission (SEC) on October 30, 2013, and Exelixis' other filings with the SEC. 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.

Source: Exelixis, Inc.

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