Exelixis Announces Initiation of Phase 1 Trial Evaluating XL102 as a Single Agent and in Combination with Other Anti-Cancer Agents in Patients with Advanced or Metastatic Solid Tumors

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– Encouraging preclinical data presented in 2020 support advancement to clinical evaluation for XL102, Exelixis' novel oral CDK7 inhibitor –

– Expansion phase of the trial will include cohorts for ovarian, breast and prostate cancers –

ALAMEDA, Calif.--(BUSINESS WIRE)--Jan. 25, 2021-- Exelixis, Inc. (NASDAQ: EXEL) today announced initiation of the first-in-human phase 1 trial evaluating the safety, tolerability, pharmacokinetics and preliminary anti-tumor activity of XL102 alone or in combination with other anti-cancer agents in patients with inoperable locally advanced or metastatic solid tumors. XL102 is a potent, selective and orally bioavailable inhibitor of cyclin-dependent kinase 7 (CDK7), an important regulator of the cell cycle that has been implicated in cancer.

"The initiation of our first-in-human phase 1 trial of XL102 is an important step in our commitment to developing novel medicines that can help patients with cancer," said Gisela Schwab, M.D., President, Product Development and Medical Affairs and Chief Medical Officer, Exelixis. "The potential of this novel CDK7 inhibitor has been shown in preclinical studies demonstrating anti-proliferative activity and an ability to induce cell death in multiple cancer cell lines. We are excited to begin this trial and look forward to the possibility of helping more patients with advanced or metastatic solid tumors."

The XL102-101 trial is a phase 1, open-label dose-escalation and cohort-expansion study evaluating the safety, tolerability, pharmacokinetics, anti-tumor activity and effect on biomarkers of XL102 administered orally alone and in multiple combination regimens in up to 298 patients with advanced solid tumors. The study will include patients with advanced solid tumors for whom either life-prolonging therapies do not exist or available therapies are intolerable or no longer effective. It will begin with a dose-escalation stage to determine the maximum tolerated dose or recommended dose of XL102 as a single agent and in combination therapy. In the subsequent cohort-expansion stage, XL102 will be evaluated in patients with certain types of ovarian, breast and prostate cancers. The goal of the cohort-expansion stage is to evaluate the anti-tumor activity of XL102, as assessed per the Response Evaluation Criteria in Solid Tumors (RECIST) version 1.1, as well as its safety, tolerability and pharmacokinetic profile.

About XL102

XL102 is a potent, selective and orally bioavailable covalent inhibitor of CDK7, which is an important regulator of the cellular transcriptional and cell cycle machinery. CDK7 helps regulate cell cycle progression, with overexpression observed in multiple cancers, such as breast and gastric. In preclinical studies, XL102 revealed potent anti-proliferative activity, induced cell death in a large panel of cancer cell lines and caused tumor growth inhibition and regression in xenograft models, demonstrating its potential as a targeted antitumor agent.

XL102 (previously known as AUR102) was in-licensed by Exelixis from Aurigene in 2020. Exelixis has assumed responsibility for the future clinical development, commercialization and global manufacturing of XL102.

About Exelixis

Founded in 1994, Exelixis, Inc. (Nasdaq: EXEL) is a commercially successful, oncology-focused biotechnology company that strives to accelerate the discovery, development and commercialization of new medicines for difficult-to-treat cancers. Following early work in model system genetics, we established a broad drug discovery and development platform that has served as the foundation for our continued efforts to bring new cancer therapies to patients in need. Our discovery efforts have resulted in four commercially available products, CABOMETYX® (cabozantinib), COMETRIQ® (cabozantinib), COTELLIC® (cobimetinib) and MINNEBRO® (esaxerenone), and we have entered into partnerships with leading pharmaceutical companies to bring these important medicines to patients worldwide. Supported by revenues from our marketed products and collaborations, we are committed to prudently reinvesting in our business to maximize the potential of our pipeline. We are supplementing our existing therapeutic assets with targeted business development activities and internal drug discovery – all to deliver the next generation of Exelixis medicines and help patients recover stronger and live longer. Exelixis is a member of the Standard & Poor's (S&P) MidCap 400 index, which measures the performance of profitable mid-sized companies. In November 2020, the company was named to *Fortune*'s 100 Fastest-Growing Companies list for the first time, ranking 17th overall and the third-highest biopharmaceutical company. For more information about Exelixis, please visit www.exelixis.com, follow @ExelixisInc on Twitter or like Exelixis, Inc. on Facebook.

Forward-looking Statements

This press release contains forward-looking statements, including, without limitation, statements related to: the clinical and therapeutic potential of XL102 for patients with advanced or metastatic solid tumors; and Exelixis' plans to reinvest in its business to maximize the potential of the company's pipeline, including through targeted business development activities and internal drug discovery. Any statements that refer to expectations, projections or other characterizations of future events or circumstances are forward-looking statements and are based upon Exelixis' current plans, assumptions, beliefs, expectations, estimates and projections. Forward-looking statements involve risks and uncertainties. Actual results and the timing of events could differ materially from those anticipated in the forward-looking statements as a result of these risks and uncertainties, which include, without limitation: complexities and the unpredictability of the regulatory review and approval processes in the U.S. and elsewhere; Exelixis' continuing compliance with applicable legal and regulatory requirements; the potential failure of XL102 or the combination of XL102 and other anticancer agents to demonstrate safety and/or efficacy in XL102-101 and in future trials; uncertainties inherent in the product development process; the continuing COVID-19 pandemic and its impact on Exelixis' research and development operations, including Exelixis' ability to initiate new clinical trials and clinical trial sites, enroll clinical trial patients, conduct trials per protocol, and conduct drug research and discovery operations and related activities; the costs of conducting clinical trials, including the ability or willingness of Exelixis' collaboration partners to invest in the resources necessary to complete the

trials; Exelixis' dependence on third-party vendors for the development, manufacture and supply of XL102; Exelixis' ability to protect its intellectual property rights; market competition; changes in economic and business conditions; and other factors affecting Exelixis and its development programs discussed under the caption "Risk Factors" in Exelixis' Quarterly Report on Form 10-Q filed with the Securities and Exchange Commission (SEC) on November 5, 2020, and in Exelixis' future filings with the SEC. All forward-looking statements in this press release are based on information available to Exelixis as of the date of this press release, and Exelixis undertakes no obligation to update or revise any forward-looking statements contained herein, except as required by law.

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