Exelixis Announces Clinical Trial Collaboration and Supply Agreement with Merck KGaA, Darmstadt, Germany and Pfizer to Evaluate XL092 and Avelumab in Various Forms of Locally Advanced or Metastatic Urothelial Carcinoma

March 18, 2021

– New expansion cohorts to be added to ongoing STELLAR-001 trial following dose-escalation phase –

ALAMEDA, Calif.--(BUSINESS WIRE)--Mar. 18, 2021-- Exelixis, Inc. (Nasdaq:EXEL) today announced a clinical trial collaboration and supply agreement with Merck KGaA, Darmstadt, Germany and Pfizer for the ongoing phase 1b dose escalation study STELLAR-001 (previously called "XL092-001"), adding three new cohorts that will evaluate the safety and tolerability of XL092, Exelixis' novel next generation tyrosine kinase inhibitor (TKI), in combination with avelumab (BAVENCIO®), an anti-PD-L1 immune checkpoint inhibitor (ICI), in patients with locally advanced or metastatic urothelial carcinoma (UC). Avelumab is being co-developed and co-commercialized by Merck KGaA, Darmstadt, Germany and Pfizer. Exelixis is sponsoring the STELLAR-001 clinical trial, and Merck KGaA, Darmstadt, Germany and Pfizer will provide avelumab for use in the trial.

"We are pleased to collaborate with Merck KGaA, Darmstadt, Germany and Pfizer to study the potential of XL092 in combination with avelumab as part of the broad development program evaluating our novel next generation tyrosine kinase inhibitor across a wide variety of cancers," said Gisela Schwab, M.D., President, Product Development and Medical Affairs and Chief Medical Officer, Exelixis. "Although several therapies are now available to treat bladder cancers, the prognosis for patients with advanced disease remains poor and more options are needed. Evaluating how XL092 may positively impact care when paired with immunotherapy is central to our goal of improving therapeutic outcomes for patients with this and other difficult-to-treat cancers."

Based on the dose-escalation results, the trial has the potential to enroll up to three expansion cohorts evaluating XL092 in combination with avelumab in metastatic UC, including as maintenance therapy, in patients who have progressed following treatment with an ICI, and in patients previously treated with platinum-containing chemotherapy.

XL092 is an investigational, next-generation oral TKI that targets VEGF receptors, MET, AXL, MER and other kinases implicated in the growth and spread of cancer. Preclinical findings presented at the 32nd EORTC-NCI-AACR Symposium in October 2020 showed that XL092 in combination with an ICI was more efficacious than either XL092 or anti-PD1 alone. Single-agent avelumab is the only ICI approved in the U.S. for maintenance treatment of patients with locally advanced or metastatic UC that has not progressed with first-line platinum-based chemotherapy.

More information about this trial is available at ClinicalTrials.gov.

About the STELLAR-001 Clinical Trial

Initiated in February 2019, the dose-escalation evaluation of XL092 in the monotherapy arm of the phase 1 trial is ongoing. Once the recommended doses of both single-agent XL092 and XL092 in combination with ICIs are established, the trial will begin to enroll expansion cohorts for patients with UC, castration-resistant prostate cancer (CRPC), clear cell and non-clear cell renal cell carcinoma (RCC), and hormone receptor-positive breast cancer.

About XL092

XL092 is a next-generation oral TKI that targets VEGF receptors, MET, AXL, MER and other kinases implicated in cancer's growth and spread. In designing XL092, Exelixis sought to build upon the experience and target profile of cabozantinib, the company's flagship medicine, while improving key characteristics, including clinical half-life. XL092 is the first internally discovered Exelixis compound to enter the clinic following the company's reinitiation of drug discovery activities.

About Genitourinary Cancers

Genitourinary cancers are those that affect the urinary tract, bladder, kidneys, ureter, prostate, testicles, penis or adrenal glands — parts of the body involved in reproduction and excretion — and include RCC, CRPC and UC[1].

Urothelial cancers encompass carcinomas of the bladder, ureter and renal pelvis at a ratio of 50:3:1, respectively.[2] Bladder cancer occurs mainly in older people, with 90 percent of patients aged 55 or older.[3] With an estimated 84,000 new cases to be diagnosed in 2021, bladder cancer accounts for about five percent of all new cases of cancer in the U.S. each year.[3,4] It is the fourth most common cancer in men.[5]

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 therapeutic potential of XL092 in combination with avelumab to treat a wide variety of cancers; Exelixis' future development plans for XL092; 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: the potential failure of the combination of XL092 and avelumab to demonstrate safety and/or efficacy in STELLAR-001; uncertainties inherent in the product development process; complexities and the unpredictability of the regulatory review and approval processes in the U.S. and elsewhere; Exelixis' and its collaboration partners' continuing compliance with applicable legal and regulatory requirements; 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 XL092; Exelixis' and its collaboration partners' ability to protect their respective 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-K filed with the Securities and Exchange Commission (SEC) on February 10, 2021, 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.

[1] National Cancer Institute Dictionary of Cancer Terms. Genitourinary System. https://www.cancer.gov/publications/dictionaries/cancer-terms/def/genitourinary-system. Accessed March 2021.

[2] Hurwitz, M. et al. Urothelial and Kidney Cancers. Cancer Management. http://www.cancernetwork.com/cancer-management/urothelial-and-kidney-cancers. Accessed March 2021.

[3] American Cancer Society. Bladder Cancer Key Statistics. https://www.cancer.org/cancer/bladdercancer/detailedguide/bladder-cancer-key-statistics. Accessed March 2021.

[4] National Cancer Institute. SEER Stat Fact Sheets: Bladder Cancer. https://seer.cancer.gov/statfacts/html/urinb.html. Accessed March 2021.

[5] American Cancer Society: Cancer Facts & Figures 2021. Available at: https://www.cancer.org/content/dam/cancer-org/research/cancer-facts-and-statistics/annual-cancer-facts-and-figures/2021/cancer-facts-and-figures-2021.pdf. Accessed March 2021.

View source version on businesswire.com: https://www.businesswire.com/news/home/20210318005146/en/

Investors:
Susan Hubbard
EVP, Public Affairs and
Investor Relations
Exelixis, Inc.
(650) 837-8194
shubbard@exelixis.com

Media:
Lindsay Treadway
Senior Director, Public Affairs
and Advocacy Relations
Exelixis, Inc.
(650) 837-7522
ltreadway@exelixis.com

Source: Exelixis, Inc.