



Black Diamond Therapeutics Announces Preclinical Data Presentations on BDTX-189 and BDTX-1535 at American Association for Cancer Research Annual Meeting

April 10, 2021

CAMBRIDGE, Mass. and NEW YORK, April 10, 2021 (GLOBE NEWSWIRE) -- Black Diamond Therapeutics, Inc. (Nasdaq: BDTX), a precision oncology medicine company pioneering the discovery and development of small molecule, MasterKey therapies, today announced the presentation of preclinical data on BDTX-189 and BDTX-1535 at the American Association for Cancer Research Annual Meeting (AACR), taking place April 9-14, 2021.

"These preclinical data demonstrate achievement of a key goal of our pharmacokinetic (PK)/pharmacodynamic (PD) strategy for BDTX-189 with a preclinical PK/PD profile designed for rapid and sustained target inhibition with rapid clearance," said Elizabeth Buck, Ph.D., Executive Vice President, Discovery and Translational Sciences at Black Diamond Therapeutics. "We look forward to presenting preliminary clinical data, including detailed PK data, from the Phase 1 dose-escalation portion of the MasterKey-01 study in the first half of this year."

Dr. Buck continued: "Additionally, these data illustrate the MasterKey profile of BDTX-1535 as a brain-penetrant, epidermal growth factor receptor (EGFR) mutant selective inhibitor. BDTX-1535 has been shown to potently and selectively inhibit the family of EGFR variants implicated in glioblastoma multiforme (GBM), as well as Exon 18 mutations and the C797S mutations evident in non-small cell lung cancer (NSCLC). This breadth of coverage, coupled with a brain-penetrant PK profile, supports the potential to develop a novel and differentiated candidate for GBM and solid tumors expressing un-drugged EGFR mutations, including NSCLC."

The presentations describe the following data:

Preclinical PK BDTX-189 Data:

- Black Diamond employed a novel physiologically based pharmacokinetic (PBPK) modeling strategy, accounting for compound-specific determinants of BDTX-189 metabolism and disposition, to prospectively predict the clinical PK profile and active dose range of BDTX-189.
- Preclinical PBPK modeling indicated that BDTX-189 would be readily orally absorbed with a short elimination half-life (approximately two hours) while maintaining suppression of ErbB pathway biomarkers over the dosing interval, consistent with the irreversible mechanism of action and the desired PK/PD profile.
- Active dose levels in humans were projected to be in the 400–800 mg QD range based on the exposure-tumor growth inhibition relationship in multiple mouse patient-derived xenograft (PDX) models harboring ErbB allosteric mutations.
- Enrollment and dosing of patients in the Phase 1/2 MasterKey-01 study of BDTX-189 is ongoing, and the Company is on track to complete the dose-escalation portion of the Phase 1 clinical trial in the first half of 2021.

Preclinical BDTX-1535 Data:

- GBM tumors express a family of allosteric oncogenic EGFR variants that often appear together in GBM and, as shown by the Company's preclinical work, must all be effectively inhibited to secure a meaningful anti-tumor response. In cell-based assays, BDTX-1535 achieved potent and selective inhibition of all members of the family of oncogenic EGFR variants expressed in GBM.
- BDTX-1535 demonstrated a favorable brain-penetrant PK profile in mouse, rat, and dog models.
- Tumor growth inhibition in mouse models bearing intracranial GBM6 patient-derived tumors expressing allosteric EGFR mutants was achieved.
- BDTX-1535 demonstrated potent and selective inhibition of rare Exon 18 mutations and the C797S mutation, supporting the potential for utility beyond GBM, such as in NSCLC.
- Black Diamond expects to file an Investigational New Drug (IND) application for BDTX-1535 in the first half of 2022.

"Collectively, these data support the differentiated profiles of both BDTX-189 and BDTX-1535, the foundation of our ErbB franchise, and our ability to develop novel therapies for patients with genetically defined cancers," said David M. Epstein, Ph.D., President and Chief Executive Officer of Black Diamond Therapeutics.

The presentations from the AACR meeting are available on the "Scientific Presentations and Publications" section of the Black Diamond Therapeutics website.

About BDTX-189

BDTX-189 is an orally available, irreversible small molecule inhibitor that is designed to block the function of family of oncogenic proteins defined by driver mutations across a range of tumor types, and which affect both of the epidermal growth factor receptor (EGFR) and the tyrosine-protein kinase, ErbB-2, or human epidermal growth factor receptor 2 (HER2). BDTX-189 is designed as a MasterKey inhibitor targeting a family of previously un-drugged and functionally similar mutations in a tumor-agnostic manner. These mutations include extracellular domain allosteric mutations of HER2,

as well as EGFR and HER2 kinase domain Exon 20 insertions, and additional activating oncogenic drivers of ErbB. The ErbB receptors are a group of receptor tyrosine kinases involved in key cellular functions, including cell growth and survival. BDTX-189 is also designed to spare normal, or wild-type, EGFR, which we believe has the potential to improve upon the toxicity profiles of current ErbB kinase inhibitors. Currently, there are no medicines approved by the U.S. Food and Drug Administration (FDA) to target all of these oncogenic mutations with a single therapy.

BDTX-189 is currently being evaluated in a Phase 1/2 clinical trial (MasterKey-01) in adult patients with advanced solid tumors with at least one MasterKey mutation who have no standard therapy available or for whom standard therapy is considered unsuitable or intolerable. In July 2020, the FDA granted Fast Track designation to BDTX-189 for the treatment of adult patients with solid tumors harboring an allosteric HER2 mutation or an EGFR or HER2 Exon 20 insertion mutation who have progressed following prior treatment and who have no satisfactory treatment options.

About Black Diamond Therapeutics

Black Diamond Therapeutics is a precision oncology medicine company pioneering the discovery of small molecule, MasterKey therapies. Black Diamond targets undrugged mutations in patients with genetically defined cancers. Black Diamond is built upon a deep understanding of cancer genetics, protein structure and function, and medicinal chemistry. The Company's proprietary technology platform and drug discovery engine, Mutation-Allostery-Pharmacology, or MAP, platform, is designed to allow Black Diamond to analyze population-level genetic sequencing data to identify oncogenic mutations that promote cancer across tumor types, group these mutations into families, and develop a single small molecule therapy in a tumor-agnostic manner that targets a specific family of mutations, termed a MasterKey therapy. Black Diamond was founded by David M. Epstein, Ph.D., and Elizabeth Buck, Ph.D. For more information, please visit www.blackdiamondtherapeutics.com.

Forward-Looking Statements

Statements contained in this press release regarding matters that are not historical facts are "forward-looking statements" within the meaning of the Private Securities Litigation Reform Act of 1995. Because such statements are subject to risks and uncertainties, actual results may differ materially from those expressed or implied by such forward-looking statements. Such statements include, but are not limited to, statements regarding the continued development of BDTX-189 and the timing for completing the dose escalation portion, initiating the safety expansion cohort, or starting the Phase 2 portion of the ongoing clinical trial of BDTX-189, the continued development and advancement of BDTX-1535 in IND-enabling studies, including expectations for filing an IND. Any forward-looking statements in this statement are based on management's current expectations of future events and are subject to a number of risks and uncertainties that could cause actual results to differ materially and adversely from those set forth in or implied by such forward-looking statements. Risks that contribute to the uncertain nature of the forward-looking statements include: the success, cost, and timing of the Company's product candidate development activities and planned IND-enabling and clinical trials, the Company's ability to execute on its strategy, regulatory developments in the United States, the Company's ability to fund operations, and the impact that the current COVID-19 pandemic will have on the Company's clinical trials and preclinical studies, supply chain, and operations, as well as those risks and uncertainties set forth in its 2019 annual report on Form 10-K filed with the United States Securities and Exchange Commission and its other filings filed with the United States Securities and Exchange Commission. All forward-looking statements contained in this press release speak only as of the date on which they were made. The Company undertakes no obligation to update such statements to reflect events that occur or circumstances that exist after the date on which they were made.

Contacts:

For Investors:

Natalie Wildenradt
investors@bdtx.com

For Media:

Kathy Vincent
(310) 403-8951
media@bdtx.com