Black Diamond Therapeutics Presents Preclinical Data on BDTX-1535, BRAF, and FGFR Programs at the 33rd AACR-NCI-EORTC International Conference on Molecular Targets and Cancer Therapeutics

October 8, 2021

CAMBRIDGE, Mass. and NEW YORK, Oct. 08, 2021 (GLOBE NEWSWIRE) -- Black Diamond Therapeutics, Inc. (Nasdaq: BDTX), a precision oncology medicine company pioneering the discovery and development of MasterKey therapies, today announced the presentation of preclinical data for three early-stage pipeline programs in oral and poster sessions at the AACR-NCI-EORTC Virtual International Conference on Molecular Targets and Cancer Therapeutics.

"Despite clinical advances in precision medicines for patients with non-small cell lung cancer (NSCLC) harboring an epidermal growth factor receptor (EGFR) mutation, multiple areas of unmet need persist, which include patients whose tumors have developed resistance to current-generation therapies, express non-canonical (or uncommon) mutations, and have metastasized to the brain," said Elizabeth Buck, Ph.D., Chief Scientific Officer of Black Diamond Therapeutics. "BDTX-1535 has demonstrated a breadth of coverage of oncogenic EGFR mutations expressed in NSCLC, which coupled with a brain-penetrant pharmacokinetic (PK) profile, supports the potential of BDTX-1535 as an optimal therapeutic candidate for these NSCLC patient populations."

Dr. Buck continued: "Additionally, B-Raf (BRAF) and fibroblast growth factor receptor (FGFR) are validated therapeutic targets, yet current standards of care are associated with meaningful limitations, yielding persistent unmet needs for these cancer patients. Our BRAF program compounds are designed to selectively target a full spectrum of Class II/III BRAF oncogenic mutations without inducing paradoxical activation, which can lead to secondary malignancies. Our FGFR compounds are designed to target a full spectrum of oncogenic FGFR2 and FGFR3 mutations, including known resistance mutations, while sparing FGFR1, the inhibition of which is associated with toxicities, including hyperphosphatemia."

The presentations describe the following data:

BDTX-1535 Program:
The presentation describes preclinical data for BDTX-1535, which is designed as a potent, selective, and brain-penetrant inhibitor of a spectrum of EGFR mutations expressed in glioblastoma multiforme (GBM) and NSCLC.

- In cell-based assays, BDTX-1535 achieved potent and selective inhibition of EGFR mutations expressed in NSCLC, including the EGFR- C797S mutation that can arise following treatment with osimertinib.
- BDTX-1535 demonstrated a favorable brain-penetrant PK profile in mouse, rat, and dog models.
- In an EGFR Exon19+C797S mouse allograft efficacy model, BDTX-1535 showed dose-dependent tumor growth inhibition and achieved complete regression without notable impact on body weight.
- Black Diamond expects to file an Investigational New Drug (IND) application for BDTX-1535 in the first half of 2022.

BRAF Program:
The presentation describes preclinical data for a lead compound from Black Diamond's BRAF program, which is designed for potency and selectivity against a spectrum of non-canonical Class II/III (non-V600) mutations, as well as to avoid induction of paradoxical activation.

- In cell-based assays, the lead compound demonstrated potent inhibition of a spectrum of Class II/III BRAF mutations.
- In contrast to current-generation BRAF inhibitors, such as encorafenib and vemurafenib, treatment of cells harboring wild type BRAF (WT-BRAF) with the Black Diamond compound was not observed to lead to an increase in protein kinase RNA-like endoplasmic reticulum kinase (pERK), a signal of paradoxical activation.
- In a BRAF-KIAA1549 fusion allograft tumor model, the lead compound exhibited dose-dependent inhibition of pERK and anti-tumor efficacy.
- Black Diamond anticipates an IND filing in 2022.

FGFR Program:
The presentation illustrates the Black Diamond approach, which centers on a four-pronged optimization strategy designed to deliver an inhibitor with broad coverage of FGFR2 and FGFR3 oncogenes, while sparing inhibition of FGFR1 and retaining activity against resistance mutations.

- In cell-based assays, FGFR program compounds demonstrated potent and selective inhibition of a spectrum of FGFR2/3 oncogenic mutations, while sparing FGFR1.
- Additionally, in cell-based assays, FGFR program compounds demonstrated improved potency against resistance mutations.
- In an *in vivo* study conducted in a UM-UC-14 (FGFR3-S249C) mouse model, FGFR program compounds demonstrated anti-tumor activity. Additionally, in mouse and rat models, FGFR program compounds did not promote hyperphosphatemia.
- Black Diamond anticipates an IND filing in 2022.

"Our BDTX-1535, BRAF, and FGFR programs exemplify Black Diamond's MasterKey approach to drug discovery in which we are able to harness the power of our proprietary MAP drug discovery engine to design spectrum-selective candidates engineered to overcome the limitations of current therapies in each target area," said David M. Epstein, Ph.D., President and Chief Executive Officer of Black Diamond Therapeutics. "These programs underscore the productivity of our MAP engine, and we look forward to providing updates across our pipeline as we advance toward our goal of delivering product candidates that can expand the reach of precision medicine and, in turn, address areas of true unmet need."

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

About Black Diamond Therapeutics, Inc.
Black Diamond Therapeutics is a precision oncology medicine company pioneering the discovery of 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, the Mutation-Allostery-Pharmacology (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 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 and advancement of BDTX-1535 in IND-enabling studies, including expectations for filing an IND, and the development of the BRAF and FRGR programs, including the timing for filing INDs in each program. 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 studies 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 Annual Report on Form 10-K for the year ended December 31, 2020, filed with the United States Securities and Exchange Commission and in 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