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TG Therapeutics, Inc. Announces Publication of Clinical Data from the Phase 1 First-in-Human Trial of Umbralisib in The Lancet Oncology

Umbralisib is structurally distinct from other PI3K delta inhibitors with greater selectivity to PI3K delta and unique complementary inhibition of casein kinase-1 (CK1) epsilon

Single agent umbralisib was well tolerated, with limited Adverse Events (AEs) commonly associated with other PI3K delta inhibitors

NEW YORK, Feb. 21, 2018 (GLOBE NEWSWIRE) -- TG Therapeutics, Inc. (NASDAQ:TGTX) announced the publication of the results from the Phase 1 first-in-human study of umbralisib (TGR-1202), the Company's novel once-daily PI3K delta inhibitor, in *The Lancet Oncology*. The paper includes safety and efficacy information from 90 patients with relapsed or refractory b-cell malignancies, including patients with Chronic Lymphocytic Leukemia (CLL) and various forms of lymphoma treated with single agent umbralisib. In this study, umbralisib was well tolerated with a favorable safety profile distinct from prior generation PI3K delta inhibitors. Grade 3/4 immune mediated AEs commonly associated with other PI3K delta inhibitors were limited, with transaminitis occurring in 3 patients (< 3%), and pneumonia and colitis in 2 patients each (< 2% for each). Notably, both events of colitis occurred at doses exceeding the current Phase 3 dose. Umbralisib was also clinically active with 85% of relapsed or refractory CLL patients achieving an objective response (50% per IWCLL criteria; 35% a partial response with lymphocytosis) and 53% of patients with relapsed or refractory Follicular Lymphoma (FL) achieving an objective response, including 2 patients with a Complete Response (CR).

These data, in addition to the unique structural attributes and enhanced selectivity profile of umbralisib, are described further in the manuscript entitled, "Umbralisib, a novel PI3K and casein kinase-1 epsilon inhibitor, in relapsed or refractory chronic lymphocytic leukaemia and lymphoma: an open-label, phase 1, dose-escalation, first-in-human study," which was published yesterday in *The Lancet Oncology*. The online version of the article can be accessed at [http://www.thelancet.com/journals/lanonc/article/PIIS1470-2045\(18\)30082-2/fulltext](http://www.thelancet.com/journals/lanonc/article/PIIS1470-2045(18)30082-2/fulltext).

Dr. Howard A. Burris, the Chief Medical Officer and Executive Director of the Drug Development Program at the Sarah Cannon Research Institute in Nashville, TN, and lead author stated, "We are pleased to have treated the first patient ever with umbralisib over 5 years ago and believe it has an important place in the treatment landscape for patients with hematologic malignancies. Several patients from this Phase 1 study are still on study today, approaching 5 years of continuous daily therapy, speaking to both the safety and efficacy profile of this unique agent."

Dr. Owen A. O'Connor, Professor of Medicine and Experimental Therapeutics, Director Lymphoid Malignancies at Columbia Presbyterian Medical Center, stated, "Pre-clinically umbralisib has a very unique profile, selectively inhibiting both PI3K delta and CK1 epsilon, as previously described in our *Blood* paper. The clinical results in this paper support our thesis that the differentiated preclinical profile explains the differences seen in the clinic between umbralisib and the other PI3K delta inhibitors."

"We want to thank Dr. Burris, Dr. O'Connor and all the investigators who participated in this first-in-human Phase 1 trial for umbralisib, which has set the stage for our ongoing pivotal UNITY-CLL and UNITY-NHL trials. With over 1,000 patients treated with umbralisib to date, we and the investigators believe umbralisib is a differentiated, active and more selective PI3K delta inhibitor that exhibits a favorable safety profile as compared to prior generation molecules," stated Michael S. Weiss, the Company's Executive Chairman and Chief Executive Officer.

ABOUT TG THERAPEUTICS, INC.

TG Therapeutics is a biopharmaceutical company focused on the acquisition, development and commercialization of novel treatments for B-cell malignancies and autoimmune diseases. Currently, the company is developing two therapies targeting hematological malignancies and autoimmune diseases. Ublituximab (TG-1101) is a novel, glycoengineered monoclonal antibody that targets a specific and unique epitope on the CD20 antigen found on mature B-lymphocytes. TG Therapeutics is also developing umbralisib (TGR-1202), an orally available PI3K delta inhibitor. The delta isoform of PI3K is strongly expressed in cells of hematopoietic origin and is believed to be important in the proliferation and survival of B-lymphocytes. Both ublituximab and umbralisib, or the combination of which is referred to as 'U2', are in Phase 3 clinical development for patients with hematologic malignancies, with ublituximab also in Phase 3 clinical development for Multiple

Sclerosis. Additionally, the Company has recently brought its anti-PD-L1 monoclonal antibody into Phase 1 development and aims to bring additional pipeline assets into the clinic in the future. TG Therapeutics is headquartered in New York City.

Cautionary Statement

Some of the statements included in this press release may be forward-looking statements that involve a number of risks and uncertainties. For those statements, we claim the protection of the safe harbor for forward-looking statements contained in the Private Securities Litigation Reform Act of 1995. In addition to the risk factors identified from time to time in our reports filed with the Securities and Exchange Commission, factors that could cause our actual results to differ materially are the following: our ability to successfully and cost effectively complete preclinical and clinical trials; the risk that early preclinical and clinical trial results, that may have supported the acceptance of our data for publication or influenced our decision to proceed with additional clinical trials, will not be reproduced in future studies or in future data presentations; the risk that preclinical findings may not translate into predicted potential clinical outcomes or be reproduced in future experiments; the risk that early clinical data for umbralisib will not be reproduced in additional patients or future clinical trials; the risk that umbralisib will not maintain its differentiated safety profile as patients continue to be treated on drug for longer durations and more patients are enrolled; the risk that the company will not be able to deliver data or updates on schedule as planned; the risk projected BLA/NDA filings cannot be made on schedule as targeted or at all. Any forward-looking statements set forth in this press release speak only as of the date of this press release. We do not undertake to update any of these forward-looking statements to reflect events or circumstances that occur after the date hereof. This press release and prior releases are available at www.tgtherapeutics.com. The information found on our website is not incorporated by reference into this press release and is included for reference purposes only.

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