TG Therapeutics Announces Preclinical and Clinical Data Evaluating TG-1701 at the 16th International Congress on Malignant Lymphoma

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NEW YORK, June 18, 2021 (GLOBE NEWSWIRE) -- TG Therapeutics, Inc. (NASDAQ: TGTX), today announced data from two presentations evaluating TG-1701, the Company's investigational once-daily, oral BTK inhibitor, presented today during the 16 th International Congress on Malignant Lymphoma (ICML). One presentation evaluated TG-1701 preclinically and the other included Phase 1 data evaluating TG-1701 as a monotherapy and as a triple therapy in combination with ublituximab, the Company's novel glycoengineered anti-CD20 monoclonal antibody, and UKONIQ® (umbralisib), the Company's once-daily, inhibitor of PI3K-delta and CK1-epsilon in patients with front line or relapsed/refractory non-Hodgkin's lymphoma (NHL) and chronic lymphocytic leukemia (CLL). The Phase 1 data presented today were previously presented at the 2021 American Society of Clinical Oncology (ASCO) annual meeting and the 2021 European Hematology Association annual meeting.

PRESENTATION HIGHLIGHTS:

Poster Presentation Title: Antitumoral activity of the novel BTK inhibitor TG-1701 is associated with disruption of Ikaros signaling and improvement of anti-CD20 therapy in B-cell non-Hodgkin lymphoma

- TG-1701 is a novel irreversible BTK inhibitor currently in Phase 1 clinical development, as monotherapy or in combination with ublituximab and UKONIQ (umbralisib).
- In patient samples from a Phase 1 clinical trial of TG-1701, phosphoproteomic analysis differentiated early and late CLL responders to TG-1701 therapy.
- Disruption of an active Ikaros pathway is a signature of early responders, while absence of Ikaros modulation upon TG-1701 therapy is a signature of non-/late responders.
- TG-1701 did not impair FcγR-driven ADCC and ADCP and cooperated with U2 in *in vitro* and *in vivo* models of BTKi-sensitive and BTKi-resistant B-NHL.

Poster Presentation Title: TG-1701, A Selective Bruton Tyrosine Kinase (BTK) Inhibitor, as Monotherapy and in Combination with Ublituximab and Umbralisib (U2) in Patients with B-cell Malignancies

- A total of 125 patients with R/R CLL or B-cell lymphoma have been treated with TG-1701, with patients receiving monotherapy in the dose-escalation cohort (n=25), 200 mg in a dose-expansion cohort (n=61), 300 mg in a CLL dose-expansion cohort (n=20), or TG-1701 in combination with U2 in the dose escalation cohort (n=19).
- TG-1701 monotherapy was well tolerated and the maximum tolerated dose was not reached up to 400 mg QD.
- Adverse Events (AEs) of special interest in patients treated with 200 mg and 300 mg QD of TG-1701 (n=81), included Grade 3 hypertension (4.9%), atrial fibrillation (1.2%), and no instances of major bleeding observed. Grade 3 AEs occurring in ≥10% of patients treated with U2+1701 included diarrhea (11%), neutropenia (11%), ALT increase (16%), and AST increase (16%), and Grade 4 AEs occurring in ≥10% of patients treated with U2+1701 included neutropenia (11%).
- At a median follow up of 12.2 months in the 200 mg QD monotherapy expansion cohorts, overall response rates (ORR) were: 95% (19/20) in CLL, 65% (13/20) in mantle cell lymphoma (MCL), and 95% (19/20) in Waldenstrom macroglobulinemia (WM).
- 100% ORR observed at a median follow up of 8.6 months in the 300 mg CLL monotherapy cohort (n=19).
- At a median follow up of 15.6 months, the 1701+U2 dose escalation (using doses of 100mg to 300 mg QD of TG-1701) resulted in 79% ORR, with 21% CR rate across patients with WM, CLL, marginal zone lymphoma (MZL), diffuse large B-cell lymphoma (DLBCL) and follicular lymphoma (FL) (n=19).

Data presented at ICML 2021 is available on the Publications page of the Company's website at https://www.tgtherapeutics.com/publications/.

ABOUT TG THERAPEUTICS, INC.
TG Therapeutics is a fully-integrated, commercial stage biopharmaceutical company focused on the acquisition, development and commercialization of novel treatments for B-cell malignancies and autoimmune diseases. In addition to an active research pipeline including five investigational medicines across these therapeutic areas, TG has received accelerated approval from the U.S. FDA for UKONIQ® (umbralisib), for the treatment of adult patients with relapsed/refractory marginal zone lymphoma who have received at least one prior anti-CD20-based regimen and relapsed/refractory follicular lymphoma who have received at least three prior lines of systemic therapies. Currently, the Company has two programs in Phase 3 development for the treatment of patients with relapsing forms of multiple sclerosis (RMS) and patients with chronic lymphocytic leukemia (CLL) and several investigational medicines in Phase 1 clinical development. For more information, visit www.tgtherapeutics.com, and follow us on Twitter @TGTherapeutics and Linkedin.

UKONIQ® is a trademark of TG Therapeutics, Inc.

Cautionary Statement
This press release contains 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 U.S. Private Securities Litigation Reform Act of 1995. Such forward-looking statements include but are not limited to statements regarding the expectations and plans for clinical trials evaluating TG-1701 as monotherapy and in combination with UKONIQ® (umbralisib) and ublituximab (U2), the availability of results from those trials, and the potential of TG-1701 as a treatment for CLL.

In addition to the risk factors identified from time to time in our reports filed with the U.S. Securities and Exchange Commission, factors that could cause our actual results to differ materially are the following: the risk that interim, top-line, or other early clinical trial results, including the clinical studies evaluating TG-1701 in combination with U2, will not be reproduced in final data sets or in future studies; the risk that the safety profile observed with TG-1701 as monotherapy and in combination with U2, may change as additional patients are exposed for longer durations; the risk that TG-1701 as monotherapy or in combination with U2 will not prove to be safe and efficacious; the uncertainties inherent in research and development; the risk that the ongoing COVID-19 pandemic and associated government control measures have an adverse impact on our research and development plans or commercialization efforts; and the risk that preclinical findings will not be validated in clinical trials. Further discussion about these and other risks and uncertainties can be found in our Annual Report on Form 10-K for the fiscal year ended December 31, 2020 and in our other filings with the U.S. Securities and Exchange Commission.

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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