

May 30, 2014

## **TG Therapeutics, Inc. Announces Presentation of Single Agent Data for Both TG-1101 and TGR-1202 in Ongoing Phase I Clinical Studies**

### **Highlights from TG-1101 Data Include:**

- 100% of CLL patients achieved a peripheral response with 67% achieving a partial response
- 44% of Indolent NHL patients achieved a complete response (22%) or partial response (22%)
- Well tolerated at highest doses tested, with infusion time averaging 90 minutes in later infusions

### **Highlights from TGR-1202 Data Include:**

- 100% of evaluable CLL patients treated at  $\geq 800$  mg exhibited significant nodal reductions, with approximately 80% achieving a partial response or a nodal partial response with lymphocytosis
- Additional responses seen in Hodgkin's Lymphoma and Indolent NHL
- No drug related hepatic toxicity or colitis observed to date, with patients on study for over 1 year

NEW YORK, May 30, 2014 (GLOBE NEWSWIRE) -- TG Therapeutics, Inc. (Nasdaq:TGTX), an innovative, clinical-stage biopharmaceutical company today announced clinical results from its ongoing Phase I single agent study of TG-1101 (ublituximab), the Company's novel glycoengineered anti-CD20 monoclonal antibody and from its ongoing first-in-human Phase I single agent study of TGR-1202, the Company's oral, once-daily, PI3K delta inhibitor. Data from these Phase I studies are being presented today at poster sessions during the 50<sup>th</sup> American Society of Clinical Oncology (ASCO) Annual Meeting in Chicago, IL, with both posters selected for discussion later in the day during oral Poster Highlight sessions.

Today's poster presentations include data from 35 patients with rituximab relapsed and refractory hematologic malignancies treated with TG-1101 at doses ranging from 450 mg to 1200 mg, and from 40 patients with relapsed and refractory hematologic malignancies treated with TGR-1202 at doses ranging from 50 mg to 1800 mg QD.

### **Overview of the data presented on TG-1101:**

#### **Safety and Tolerability**

TG-1101 (ublituximab) was well tolerated at all dose levels tested in 35 patients evaluable for safety, with Day 1 infusion related reactions (IRR) being the most frequently reported adverse event. All IRR's were Grade 1 or 2 in severity, were manageable and occurred more frequently in patients with CLL. Infusion times for the fourth and later infusions of TG-1101 averaged approximately 90 minutes.

#### **Clinical Activity**

The overall response rate (ORR) for the Phase 1 dose escalation component and expansion cohort was 43% (30% PR, 13% CR) among the 30 rituximab relapsed/refractory patients evaluable for efficacy. TG-1101 displayed marked clinical activity as a single agent in a variety of lymphoma subtypes, reporting a 67% (4/6) response rate in patients with CLL and 44% (8/18) response rate in iNHL (22% CR, 22% PR). A breakdown of response by lymphoma subtype is below:

<b>Lymphoma Type</b>	<b>Pts (n)</b>	<b>CR n (%)</b>	<b>PR n (%)</b>	<b>ORR n (%)</b>
CLL	6	--	4 (67)	4 (67)
iNHL	18	4 (22)	4 (22)	8 (44)
aNHL	6	--	1 (17)	1 (17)
<b>Total</b>	<b>30</b>	<b>4 (13)</b>	<b>9 (30)</b>	<b>13 (43)</b>

Among patients with CLL, depletion of circulating lymphocytes was rapid and profound with 100% of patients achieving a peripheral response (defined as either a normalization in absolute lymphocyte count (ALC) or  $> 50\%$  reduction in ALC from baseline) with a median time to peripheral response of 1 Day and a median reduction in ALC at the first response assessment

in excess of 90%.

A graph accompanying this release is available at <http://media.globenewswire.com/cache/8790/file/26740.pdf>

Responses have been durable, with a median progression free survival (PFS) among patients who achieved SD or better not yet reached, and a median PFS for all patients on study of 34 weeks (n=30). A number of patients in SD or better have continued on TG-1101 maintenance therapy, with improved responses observed over time with continued treatment.

Commenting on the Phase I data, Dr. Owen A. O'Connor, Director of Lymphoid Malignancies, Professor of Medicine and Experimental Therapeutics at Columbia Medical Center, New York Presbyterian Medical Center in NY, and Study Chair for the Phase I trial stated: "I am very impressed with the activity we've seen to date with ublituximab, especially as a single agent anti-CD20 monoclonal antibody in patients with heavily pre-treated disease, relapsed and in some cases refractory to prior rituximab. Ublituximab has been very well tolerated and convenient to administer to patients with a safety profile that lends itself to combination therapy."

## **Overview of the data presented on TGR-1202:**

### ***Safety and Tolerability***

TGR-1202 has been well-tolerated with no dose-related trends in adverse events observed and no MTD reached to date. Grade 3 events continue to be limited. Notably, of the 40 patients evaluable for safety, no drug related transaminase elevations or events of colitis have been observed, with several patients on daily TGR-1202 for over 1 year.

### ***Clinical Activity***

Clinical activity was observed in patients with CLL treated at doses  $\geq 800$  mg with all (9/9) patients exhibiting significant nodal reductions. Seven of nine evaluable patients (78%) exhibited a nodal response ( $> 50\%$  reduction in nodal size) of which three of these patients achieved a partial response per the IWCLL 2008 criteria. The remaining two patients exhibited  $> 40\%$  reductions in nodal size at first efficacy assessment and remain on study awaiting upcoming efficacy assessments.

Among all disease types, 26 patients had been treated at doses  $\geq 800$  mg and were evaluable for efficacy (including patients who started at lower doses and were escalated), with 20/26 (77%) achieving a reduction in nodal size with TGR-1202. In addition to CLL, responses were observed in patients with follicular lymphoma (1 PR of 2 evaluable patients started at  $\geq 800$  mg) and Hodgkin's lymphoma (1 PR of 4 evaluable patients started at  $\geq 800$  mg).

Enrollment into the study continues as dose escalation of a recently introduced micronized formulation of TGR-1202 dosed in a fed state is ongoing. We project these modifications will provide exposures 3-4 fold greater than those seen with equivalent doses of the previous formulation of TGR-1202 dosed in a fasting state.

Dr. Howard A. Burris, the Principal Investigator for the study and Chief Medical Officer of the Sarah Cannon Research Institute in Nashville, TN stated, "We have been very pleased with the promising activity of TGR-1202, especially coupled with its once per day dosing and the well-tolerated safety profile demonstrated to date. The absence of liver related toxicity and colitis is particularly encouraging. We are excited to continue to escalate the dose of TGR-1202 to build upon the substantial single agent activity demonstrated thus far."

Michael S. Weiss, the Company's Executive Chairman and Interim CEO commented on the data, "Our goal since inception has been to develop novel drug combinations for the treatment of B-cell malignancies that offer patients better outcomes without the harsh side effects of traditional chemotherapy. Collectively, today's data bring us much closer to accomplishing our goal. Specifically, we believe we have unequivocally confirmed a high-level of single agent activity for each of these agents with data supportive of combining them safely, ideally for even greater activity. Individually, we believe each of TG-1101 and TGR-1202 exhibit best-in-class attributes setting up for what could be a best-in-class combination. We have been aggressively enrolling into our combination clinical trials, and look forward to sharing preliminary data from these combination studies in the coming weeks and months as we continue to target initiation of one or more registration studies before the end of this year."

Presentation details are as follows:

Title: A phase I trial of ublituximab (TG-1101), a novel glycoengineered anti-CD20 monoclonal antibody in B-cell non-Hodgkin lymphoma patients with prior exposure to rituximab.

- Abstract Number: 8524
- Presentation Date & Time: Friday, May 30, 2014, 1:00 PM - 4:00 PM CT
- Poster Display: Room S405, Poster Board #4
- Presenter: Owen A. O'Connor, MD, PhD, Columbia University Medical Center, New York, NY

- **Discussion Session: 4:30 - 5:45 PM CT; Room S406**
- Link to Poster: [www.tgtherapeutics.com/ASCO2014-Poster-8524.pdf](http://www.tgtherapeutics.com/ASCO2014-Poster-8524.pdf)

Title: Activity of TGR-1202, a novel once-daily PI3K delta inhibitor, in patients with relapsed or refractory hematologic malignancies.

- Abstract Number: 2513
- Presentation Date & Time: Friday, May 30, 2014, 1:00 PM - 4:00 PM CT
- Poster Display: Room E354b, Poster Board #27
- Presenter: Howard A. Burris, MD, Sarah Cannon Research Institute, Nashville, TN
- **Discussion Session: 4:30 - 5:45 PM CT; E Arie Crown Theater**
- Link to Poster: [www.tgtherapeutics.com/ASCO2014-Poster-2513.pdf](http://www.tgtherapeutics.com/ASCO2014-Poster-2513.pdf)

## ABOUT TG THERAPEUTICS, INC.

TG Therapeutics is an innovative, clinical-stage biopharmaceutical company focused on the acquisition, development and commercialization of medically important pharmaceutical products for the treatment of cancer and other underserved therapeutic needs. Currently, the company is developing two therapies targeting hematological malignancies. TG-1101 (ubltximab) 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 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 TG-1101 and TGR-1202 are in clinical development for patients with hematologic malignancies. TG Therapeutics is headquartered in New York City.

## Cautionary Statement

Some of the statements included in this press release, particularly those anticipating future clinical trials, the timing of commencing, completing or reporting such trials, the business prospects for TG-1101 and TGR-1202, the potential benefits of combining TG-1101 and TGR-1202 and the potential benefits that might be achieved with the micronized formulation and fed-state dosing 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. Among the factors that could cause our actual results to differ materially are the following: our ability to successfully and cost-effectively complete pre-clinical and clinical trials for TG-1101 and TGR-1202; the risk that early pre-clinical and clinical results that supported our decision to move forward with TG-1101 and TGR-1202 will not be reproduced in additional patients or in future studies; the risk that the enhanced absorption seen in the healthy human volunteer bioequivalence studies will not be seen in whole or in part when the modified formulation and fed-state dosing are studied in patients with B-cell malignancies; the risk that TGR-1202 will not produce satisfactory safety and efficacy results to warrant further development following the completion of the current phase 1 study; the risk that the data (both safety and efficacy) from future clinical trials will not coincide with the data produced from prior pre-clinical and clinical trials; the risk that trials will take longer to enroll than expected; our ability to achieve the milestones we project over the next year; our ability to manage our cash in line with our projections, and other risk factors identified from time to time in our reports filed with the 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](http://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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