TESARO Announces Immuno-Oncology Data Presentations at SITC 2018 Annual Meeting

November 9, 2018

- **Initial data from AMBER trial of TSR-022 (anti-TIM-3) in combination with TSR-042 (anti-PD-1) demonstrated clinical activity in patients who have progressed following anti-PD-1 treatment**
- **TSR-042 monotherapy demonstrated significant activity in patients with previously treated recurrent/advanced NSCLC across all PD-L1 TPS categories**
- **Investor webcast to highlight SITC data presentations and TESARO's immuno-oncology portfolio on November 12**

WASHINGTON, Nov. 09, 2018 (GLOBE NEWSWIRE) -- TESARO, Inc. (NASDAQ: TSRO), an oncology-focused biopharmaceutical company, today presented initial data from the Phase 1 AMBER trial of TSR-022 (anti-TIM-3 antibody) in combination with TSR-042 (anti-PD-1 antibody) in patients who have progressed following anti-PD-1 therapy treatment, in an oral session during the 2018 Annual Meeting of the Society for Immunotherapy of Cancer (SITC) Conference in Washington, D.C. Additionally, Phase 1 GARNET data of TSR-042 in patients with previously treated recurrent/advanced non-small cell lung cancer (NSCLC) and Phase 1 monotherapy dose-escalation data for TSR-033 (anti-LAG-3 antibody) in a broad range of solid tumors were also highlighted in poster presentations.

"The initial AMBER data featured at this year's SITC conference are the first clinical data to be presented for an anti-TIM-3 antibody in combination with an anti-PD-1 antibody and demonstrated that the combination of TSR-022 and TSR-042 is active and generally well tolerated in NSCLC and melanoma patients who have progressed following anti-PD-1 treatment," stated Mary Lynne Hedley, Ph.D., President and COO of TESARO. "Additionally, updated results from the GARNET trial demonstrated robust clinical activity of TSR-042 in previously treated, anti-PD-1 naive patients with recurrent or advanced NSCLC, the vast majority of which had TPS <50%. We look forward to presenting additional data from these studies in 2019."

A Phase 1 study of TSR-022, an anti-TIM-3 monoclonal antibody, in combination with TSR-042, an anti-PD-1 antibody (AMBER) [Oral presentation; Abstract: 10877; Poster: O21]

AMBER is an ongoing, open-label, Phase 1 study of TSR-022, an anti-TIM-3 antibody, in monotherapy or in combination with TSR-042, an anti-PD-1 antibody. The TSR-022 and TSR-042 combination portion of the study consists of dose-escalation and expansion cohorts. Data presented at SITC included safety and efficacy data from the combination dose-escalation and two expansion cohorts: NSCLC patients that had progressed following anti-PD-1 treatment and melanoma patients that had progressed following anti-PD-1 treatment. Patients were treated with 100 milligrams or 300 milligrams of TSR-022 in combination with a fixed dose of TSR-042 (500 milligrams) every 3 weeks. A dose response trend was observed in both the NSCLC and melanoma cohorts based on greater clinical activity observed in patients treated with a 300 milligram dose of TSR-022 as compared to a 100 milligram dose.

At the time of data cutoff, 39 patients with NSCLC who had progressed following anti-PD-1 treatment had received treatment with the TSR-022 and TSR-042 combination, including 14 patients at the 100 milligram dose and 25 patients at the 300 milligram dose of TSR-022. Among the 11 evaluable patients treated with the 100 milligram dose of TSR-022, 1 had a confirmed partial response by immune related RECIST (irRECIST) criteria and 3 had stable disease. Among the 20 evaluable patients treated with the 300 milligram dose of TSR-022, 3 had confirmed partial responses and 8 had stable disease. All objective responses were in PD-L1 positive (TPS ≥ 1%) patients, indicating potential for biomarker enrichment. Sixteen patients had known PD-L1 positive tumors. Among the 12 evaluable patients with PD-L1 positive tumors treated with either the 100 or 300 milligram dose of TSR-022, 4 patients had confirmed partial responses (3 responses ongoing) and 6 had stable disease.

Preliminary safety findings indicate that the combination of TSR-022 and TSR-042 was generally well-tolerated. Pharmacokinetic analysis showed that a 300 mg dose is not sufficient to maintain maximal pharmacodynamic effect and suggests that a 900 milligram dose of TSR-022 should maintain a maximal effect in the vast majority of patients for the duration of the Q3W dosing interval. Patients with NSCLC who have progressed following anti-PD-1 treatment are currently being enrolled in the NSCLC expansion cohort at the 900 milligram dose of TSR-022 in combination with TSR-042. Additional data from this cohort (900 milligram dose) and the melanoma cohort (100 and 300 milligram doses) are expected in 2019.

GARNET: Preliminary safety, efficacy, pharmacokinetic, and biomarker characterization from a Phase 1 clinical trial of TSR-042 (anti-PD-1 monoclonal antibody) in patients with previously treated recurrent/advanced NSCLC [Poster: P326; Abstract: 10853]

GARNET is an ongoing Phase 1 study evaluating TSR-042 as a monotherapy in patients with advanced solid tumors. The ongoing cohort expansion portion of GARNET is evaluating TSR-042 at a dose of 500 milligrams every 3 weeks for the first 4 cycles and 1,000 milligrams every 6 weeks thereafter in four cohorts: MSI (microsatellite instability)-high endometrial cancer, MSI-high non-endometrial cancer, MSS (microsatellite-stable) endometrial cancer and previously treated recurrent / advanced anti-PD-1 naïve NSCLC. Data presented at SITC included safety and efficacy data from the cohort of patients with NSCLC, which is fully enrolled.

At the time of data cutoff, 67 patients with previously treated recurrent / advanced anti-PD-1 naive NSCLC had received treatment with TSR-042, and 47 patients had at least one post-baseline tumor assessment or had discontinued treatment prior to first baseline assessment. Among these 47 patients, 15 had partial responses (including 2 unconfirmed responses that have not yet progressed) by irRECIST criteria for an overall response rate (ORR) of 31.9%; 14 additional patients (29.8%) had stable disease. Responses were durable and nine of the 15 responses are ongoing (60%).

The majority of patients (32 of 34; 94%) with available PD-L1 status had TPS <50% and clinical activity of TSR-042 was observed across all PD-L1

TPS categories. Among the 32 patients with low PD-L1 expression, 13 patients had TPS 1-49%, of which 5 had partial responses (ORR of 38.5%; including one unconfirmed response), and 19 patients had TPS <1%, of which 3 had partial responses (ORR of 15.8%).

Preliminary safety findings indicate TSR-042 was generally well-tolerated, with a safety profile characteristic of approved anti-PD-1 inhibitors for NSCLC.

The GARNET study is intended to support a Biologics License Application (BLA) submission to the U.S. Food and Drug Administration (FDA) in 2019 for patients with recurrent endometrial cancer.

A Phase 1 dose escalation study of TSR-033, an anti-LAG-3 monoclonal antibody, in patients with advanced solid tumors (CITRINO) [Poster Number: P325; Abstract: 10332]

Data from the monotherapy dose-escalation portion of the CITRINO study were presented and included 30 patients treated with different doses of TSR-033. There were no Grade ≥3 treatment-related treatment emergent adverse events reported. Exposure and peripheral receptor occupancy increased in a dose proportional manner from 20 milligrams to 720 milligrams.These preliminary findings indicate that TSR-033 was generally well tolerated across multiple dose levels, with a safety profile consistent to those of other immune checkpoint inhibitors.

Enrollment is ongoing for patients treated with TSR-033 in combination with 500 milligrams of TSR-042.

Investor Briefing and Webcast
TESARO will host an investor and analyst briefing in New York City on Monday, November 12 at 8:15AM local time. A reception will begin at 8:00AM ET, preceding the presentation. During the briefing, TESARO management will provide an overview of the Company's immuno-oncology pipeline, followed by a detailed review of recent data presentations. The presentation will be followed by Q&A. This event will be webcast live and archived for 30 days, and may be accessed from the TESARO Investor Events and Presentations webpage at www.tesarobio.com.

About the AMBER Study
AMBER is an ongoing Phase 1 study of TSR-022, an anti-TIM-3 antibody, alone and in combination with TSR-042, an anti-PD-1 antibody. The study consists of two parts: dose escalation and cohort expansion. The monotherapy and combination dose-escalation parts of the study are complete. In the combination dose-escalation, patients were treated with 100 milligrams, 300 milligrams, or 900 milligrams of TSR-022 in combination with a fixed dose of TSR-042 (500 milligrams) every 3 weeks. The three expansion cohorts include NSCLC patients with progression following anti-PD-1 treatment, melanoma patients with progression following anti-PD-1 treatment, and colorectal cancer patients.

About the GARNET Study
GARNET is an ongoing multicenter, open-label, Phase 1 study of TSR-042 as a monotherapy in patients with advanced solid tumors. GARNET included a weight-based dose escalation study (Part 1) and a fixed-dose safety study (Part 2A), both of which have been completed. Results of these studies were used to determine the recommended Phase 2 dose (RP2D; 500 mg Q3W for the first 4 cycles then 1000 mg Q6W). The ongoing cohort expansion portion of GARNET is evaluating TSR-042 in four cohorts: MSI (microsatellite instability)-high endometrial cancer, MSI-high non-endometrial cancer, MSS (microsatellite-stable) endometrial cancer and previously treated recurrent / advanced anti-PD-1 naïve NSCLC.

About the CITRINO Study
CITRINO is an ongoing multicenter, open-label Phase 1 study evaluating TSR-033, an anti-LAG-3 antibody, alone or in combination with an TSR-042 in patients with advanced solid tumors in a broad range of solid tumors.

About TSR-042, TSR-022, and TSR-033
TSR-042 is an investigational humanized anti-programmed death (PD)-1 monoclonal antibody that binds with high affinity to the PD-1 receptor and blocks its interaction with the ligands PD-L1 and PD-L2. TSR-042 is the only anti-PD-1 therapy being studied as monotherapy every 3 weeks for 4 doses then every 6 weeks thereafter. TSR-042 was developed as part of the collaboration between TESARO and AnaptysBio, Inc. This collaboration was initiated in March of 2014, and is focused on the development of monospecific antibody drugs targeting PD-1, TIM-3 (TSR-022), and LAG-3 (TSR-033), in addition to a bi-specific antibody drug candidate targeting PD-1/LAG-3 (TSR-075).

About TESARO
TESARO is an oncology-focused biopharmaceutical company devoted to providing transformative therapies to people facing cancer. For more information, visit www.tesarobio.com, and follow us on Twitter and LinkedIn.

Investor/Media Contact:
Kate Rausch
Director, Investor Relations
+1.781.257.2505 or krausch@tesarobio.com

To the extent that statements contained in this press release are not descriptions of historical facts regarding TESARO, they are forward-looking statements reflecting the current beliefs and expectations of management made pursuant to the safe harbor provisions of the Private Securities Litigation Reform Act of 1995. Words such as "may," "will," "expect," "anticipate," "estimate," "intend," and similar expressions (as well as other words or expressions referencing future events, conditions, or circumstances) are intended to identify forward-looking statements. Examples of forward-looking statements contained in this press release include, among others, statements regarding the potential dosing schedule for TSR-042, the potential dose for TSR-022, the expected timing of our clinical trial readouts, and the expected timing of our planned regulatory submission for TSR-042. Forward-looking statements in this release involve substantial risks and uncertainties that could cause our results, performance, or achievements to differ significantly from those expressed or implied by the forward-looking statements. Such risks and uncertainties include, among others, the uncertainties inherent in the execution and completion of clinical trials and regulatory submissions, uncertainties surrounding the timing of availability of data from clinical trials, uncertainties surrounding potential actions by regulatory authorities such as the US FDA, risks related to manufacturing and supply, risks related to intellectual property, and other matters that could affect our ongoing and planned development programs, and/or the availability or commercial potential of our products and product candidates, including TSR-042, TSR-022, and TSR-033. TESARO undertakes no obligation to update or revise any forward-looking statements. For a further description of the risks and uncertainties that could cause actual results to differ from those expressed in these forward-looking statements, as well as risks relating to the business of the Company in general, see TESARO's Annual Report on Form 10-K for the year ended December 31, 2017 and Quarterly Report on Form 10-Q for the quarter ended September 30, 2018.

Source: TESARO, Inc.