April 26, 2017

TESARO Announces Approval of VARUBY® (Oral Rolapitant Tablets) by European Commission

- **VARUBY provides protection for delayed chemotherapy-induced nausea and vomiting (CINV) with a single dose as part of an antiemetic regimen**
- **Up to 50% of patients undergoing highly or moderately emetogenic chemotherapy experience delayed CINV even when prescribed a 5-HT$_3$ receptor antagonist and corticosteroid**
- **Approval based upon results of three Phase 3 trials of patients receiving emetogenic chemotherapy, including cisplatin, carboplatin and anthracycline/cyclophosphamide-based regimens**
- **Commercial launches to begin on a country-by-country basis in Europe by end of Q2**

WALTHAM, Mass. and ZUG, Switzerland, April 26, 2017 (GLOBE NEWSWIRE) -- TESARO, Inc. (NASDAQ:TSRO), an oncology-focused biopharmaceutical company, today announced that the European Commission (EC) has approved VARUBY® (oral rolapitant tablets) for the prevention of delayed nausea and vomiting associated with highly and moderately emetogenic cancer chemotherapy in adults. Chemotherapy-induced nausea and vomiting (CINV) is a frequent and debilitating, yet often preventable, side effect of chemotherapy.

VARUBY is a selective and competitive antagonist of human substance P/neurokinin 1 (NK-1) receptors that is rapidly absorbed and slowly eliminated, with a plasma half-life of seven days. A single 180 milligram dose (two tablets) of VARUBY is to be administered within two hours prior to initiation of each chemotherapy cycle, but at no less than 2-week intervals, as part of combination therapy. Results from three global Phase 3 trials of VARUBY demonstrated a significant reduction in episodes of vomiting or use of rescue medication during the 25 to 120 hour period following administration of emetogenic chemotherapy, including cisplatin, carboplatin and anthracycline/cyclophosphamide-based regimens. In addition, patients who received VARUBY reported experiencing less nausea that interfered with normal daily life and fewer episodes of vomiting over multiple cycles of chemotherapy. Results of each of the three Phase 3 studies were published in *The Lancet Oncology* in August 2015.[i,ii]

"With more than half of patients treated with emetogenic chemotherapy experiencing delayed nausea and vomiting, the approval of VARUBY will give physicians in Europe a new option to help prevent this serious side effect," said Orlando Oliveira, Senior Vice President and General Manager of TESARO International. "This approval represents an important milestone in TESARO's international expansion. With TESARO operating in 17 European countries, we look forward to bringing this important medicine to patients as quickly as possible."

"While important progress in the treatment and prevention of delayed CINV has been made, nausea and vomiting continue to be two of the most common and distressing side effects of cancer chemotherapy," said Florian Scotté, M.D., Ph.D., Head of the Functional Unit of Supportive Care, Department of Medical Oncology at Hôpital Européen Georges Pompidou, Paris, France. "Adding an NK-1 receptor antagonist such as VARUBY, which has a 7-day half-life and greater than 90% receptor occupancy in the cortical regions of the brain five days after dosing, can provide enhanced protection from delayed CINV, which can last for several days."

The centralised marketing authorisation applies to all 28 European Union (EU) member states as well as in the European Economic Area (EEA) countries of Iceland, Lichtenstein and Norway. TESARO is working with the appropriate national authorities in the European countries to support reimbursement and availability of VARUBY to ensure that patients who may benefit from VARUBY have access to it.

Oral rolapitant was approved by the U.S. Food and Drug Administration on September 1, 2015 and is marketed by TESARO in the United States under the brand name VARUBI®.

About Chemotherapy-Induced Nausea and Vomiting (CINV)
Chemotherapy-induced nausea and vomiting is a debilitating, yet often preventable, side effect of chemotherapy. Up to 50% of patients undergoing highly or moderately emetogenic chemotherapy experience delayed CINV (>24 to 120 hours post chemotherapy)—even when prescribed a 5-HT$_3$ receptor antagonist and a corticosteroid. Blocking both 5-HT$_3$ and NK-1 receptors has been shown to offer better control of nausea and vomiting than inhibiting 5-HT$_3$ receptors alone. Adding a single dose of VARUBY® to an antiemetic regimen, including a 5-HT$_3$ receptor antagonist and corticosteroid, within two hours prior to each chemotherapy cycle as part of combination therapy further improves prevention of delayed CINV.

About the VARUBY (Oral Rolapitant Tablets) Clinical Program
The efficacy of VARUBY was established in multiple randomized, well-controlled, international, blinded clinical trials that enrolled more than 2,500 patients. VARUBY, when administered in combination with a 5-HT_3 receptor antagonist and dexamethasone, was superior to a 5-HT_3 receptor antagonist and dexamethasone in preventing CINV in patients receiving either moderately or highly emetogenic chemotherapy.

The clinical profile of VARUBY in cisplatin-based highly emetogenic chemotherapy (HEC) was confirmed in two identical Phase 3 studies: HEC1 and HEC2. Both trials met their primary endpoint of complete response (CR), and demonstrated statistical superiority of rolapitant 180 mg compared to active control (5-HT_3 receptor antagonist plus dexamethasone) in the delayed phase (25-120 hours) of CINV. In HEC1, 264 patients received rolapitant 180 mg and 262 received control. The proportion of patients achieving a CR was 72.7% vs. 58.4% (p=< 0.001). In HEC2, 271 patients received rolapitant and 273 received control. The proportion of patients achieving a CR was 70.1% vs. 61.9% (p=0.043). The most common adverse reactions (≥3%) among patients receiving cisplatin-based chemotherapy were neutropenia (9% rolapitant vs. 8% control), hiccups (5% vs. 4%), and abdominal pain (3% vs. 2%).

A Phase 3 trial was also conducted to evaluate rolapitant 180 mg compared to active control in 1,332 patients receiving moderately emetogenic chemotherapy regimens, including anthracycline/cyclophosphamide combinations, carboplatin, irinotecan, pemetrexed, oxaliplatin, and doxorubicin. This trial met its primary endpoint of CR, and demonstrated statistical superiority of rolapitant 180 mg compared to active control (5-HT_3 receptor antagonist plus dexamethasone) in the delayed phase of CINV. The proportion of patients achieving a CR was 71.3% vs 61.6% (p= < 0.001). The most common adverse reactions (≥3%) among patients receiving these chemotherapies were decreased appetite (9% rolapitant vs. 7% control), neutropenia (7% vs. 6%), dizziness (6% vs. 4%), dyspepsia (4% vs. 2%), urinary tract infection (4% vs. 3%), stomatitis (4% vs. 2%), and anemia (3% vs. 2%).

About VARUBY® (oral rolapitant tablets)
VARUBY is a substance P/neurokinin-1 (NK-1) receptor antagonist that is approved in the European Union for use in combination with other antiemetic agents in adults for the prevention of delayed nausea and vomiting associated with highly and moderately emetogenic cancer chemotherapy in adults. VARUBY is contraindicated in combination with St John's wort. Each tablet contains 90 mg of rolapitant (as hydrochloride monohydrate). The inhibitory effect of a single dose of VARUBI/VARUBY on CYP2D6 lasts at least seven days and may last longer. VARUBI/VARUBY is not recommended in patients who require chronic administration of strong or moderate enzyme inducers. Please see full product information for more details.

VARUBI (rolapitant) is also approved in the United States in combination with other antiemetic agents for the prevention of delayed nausea and vomiting associated with initial and repeat courses of emetogenic cancer chemotherapy, including, but not limited to, highly emetogenic chemotherapy. Please see additional important safety information and full prescribing information at www.varubirx.com.

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

Forward Looking Statements
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. Forward-looking statements in this release involve substantial risks and uncertainties that could cause our clinical development programs, future results, performance or achievements to differ significantly from those expressed or implied by the forward-looking statements. Such risks and uncertainties include, among others, risks related to pricing and reimbursement, risks related to manufacturing and supply, risks related to intellectual property, and other risks and uncertainties that could affect the availability or commercial potential of VARUBY. 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, 2016.

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[i] Rapoport, BL et al. Safety and efficacy of rolapitant for prevention of chemotherapy-induced nausea and vomiting after

administration of cisplatin-based highly emetogenic chemotherapy in patients with cancer: two randomised, active-controlled, double-blind, phase 3 trials. The Lancet Oncology, Vol. 16, No. 9, p1079—1089.

[ii] Schwartzberg LS et al. Safety and efficacy of rolapitant for prevention of chemotherapy-induced nausea and vomiting after administration of moderately emetogenic chemotherapy or anthracycline and cyclophosphamide regimens in patients with cancer: a randomised, active-controlled, double-blind, phase 3 trial. The Lancet Oncology, Vol. 16, No. 9, p1071—1078.

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