

## **OSI Pharmaceuticals Summarizes Data Presented on Tarceva(R) (erlotinib) at the 12th World Congress on Lung Cancer Meeting**

MELVILLE, N.Y., Sep 07, 2007 (BUSINESS WIRE) -- OSI Pharmaceuticals, Inc. (Nasdaq: OSIP) today provided a summary of selected data from over 58 studies involving the Company's lead product, Tarceva<sup>®</sup>, presented during the 12th World Congress on Lung Cancer (WCLC) held September 2-6 in Seoul, Korea. Tarceva is currently approved in the United States as monotherapy for the treatment of patients with locally advanced or metastatic non-small cell lung cancer (NSCLC) after failure of at least one prior chemotherapy regimen, and in combination with gemcitabine chemotherapy for the first-line treatment of locally advanced, unresectable, or metastatic pancreatic cancer.

"The Tarceva studies presented at the World Congress on Lung Cancer reinforce the role that Tarceva may have across a broad range of patients with NSCLC, including its investigational use as a first-line treatment and at a higher dose in current smokers," said Gabriel Leung, President, (OSI) Oncology. "Of particular interest is a study that suggests that a higher dose of Tarceva may improve drug exposure for lung cancer patients who continue to smoke. The dosing and pharmacokinetic data from this now closed study will be submitted to the FDA for consideration in the label."

Following are summaries of highlighted presentations:

Randomized Phase I Pharmacokinetic Study of Two Doses of Erlotinib after Failure of Prior Chemotherapy in Patients with Advanced NSCLC Who Continue to Smoke - P. Woll, et al. (Poster PD3-2-3)

Data from other studies have suggested that following treatment with Tarceva at 150mg/day, current smokers in the studies had lower exposure, experienced less rash and achieved less survival benefit than former or never smokers. It has been hypothesized that this may have been due, in part, to induction of liver metabolism enzymes by tobacco use and that a higher dose of Tarceva, if feasible, may improve outcome in current smokers.

A two-part study was conducted to investigate the feasibility of escalating Tarceva to define the maximum tolerated dose (MTD) in smokers and evaluate pharmacokinetics (PK) in patients dosed at this MTD versus the standard Tarceva dose of 150mg/day. Part I of the study identified the MTD in NSCLC patients who continue to smoke as 300mg/day. Part II data indicated that there was a dose-dependent increase in the systemic Tarceva exposure in current smokers and that levels of Tarceva in current smokers at 300mg/day was similar to historical data (BR.21 trial) for never/former smokers at 150mg/day. During the initial 14-day dosing period, toxicity was similar for the 150mg/day and 300mg/day doses.

Erlotinib as a Single-agent in the Treatment of Patients with Advanced or Metastatic NSCLC and Good Performance Status- P. Garrido, et al. (Poster P3-083)

Data were presented from this prospective, open-label, non-randomized Phase II study called TargeT summarizing the clinical outcome in a group of NSCLC patients who had undergone a variety of prior therapeutic interventions and who presented with good ECOG performance status (0-1). A total of 1,153 patients with PS ECOG 0-1 were included in this analysis. Of the 731 patients who had measurable disease and were evaluable for response, 10 had a complete response (CR), 131 had a partial response (PR), 286 had stable disease (SD) and 304 had progressive disease (PD). The overall response rate was 19.3% and disease control rate was 58.4%. Median time to progression (TTP) was 4.0 months and median survival was 7.2 months. In the analysis the absence of smoking history and line of treatment were associated with longer time to progression and survival. The subgroup of non-smokers reached a median overall survival of 13.4 months. The most common adverse events related to Tarceva were rash and diarrhea. No unexpected toxicities were observed.

Erlotinib as First-line Treatment for Untreated Patients with Advanced or Metastatic NSCLC- C. Mesia, et al. (Poster P3-114)

Another prospective analysis of the TargeT trial evaluated unselected chemotherapy-naive patients not suitable for conventional first-line chemotherapy. From a study population of 461 patients, 259 were evaluable for response: 8 CR, 75 PR, 101 SD, and 75 PD, for an overall response rate (RR) of 32.1% and disease control rate (CR+PR+SD) of 71%. Median TTP was 6.6 months and median survival time was 7.0 months. In the analysis, smoking history was the most significant factor for TTP and survival. The most common adverse events related to Tarceva were rash and diarrhea. No unexpected toxicities were observed.

Interim Safety Results from TRUST, a Global Open-label Study of Erlotinib in Patients with Advanced NSCLC- A. Ardizzoni, J.

van Meerbeek, et al. (Paper B3-06)

New data from the Phase III TRUST study, the largest and longest ongoing study of Tarceva in NSCLC, show that NSCLC patients treated with Tarceva in routine clinical practice are experiencing comparable efficacy to what was seen in the landmark, pivotal BR.21 study, the study that earned Tarceva its approval in over 80 countries.

In the TRUST study, data from 6,181 patients reported a median overall survival of 7.5 months. Patients in the study were able to receive Tarceva at the full therapeutic dose. The most frequent adverse event was rash (70%).

These new data further underscore Tarceva's potential across a broad range of lung cancer patients. Data on the remaining patients in the TRUST study are still being analyzed and will be presented at a later date.

MERIT: A Prospective Study of Putative Relationships Between Tumour Biomarkers and Clinical Benefit from Erlotinib in Advanced NSCLC- E. Tan, et al. (Paper D2-04)

MERIT is the largest prospective RNA expression profiling study ever conducted in second-line NSCLC. This Phase II, multi-center, open-label study is designed to identify potential biomarkers that may predict clinical benefit for NSCLC patients treated with Tarceva.

Results from the 264 patients evaluable for efficacy supported the use of Tarceva as an effective alternative to chemotherapy for patients with advanced NSCLC. An objective response was seen in 36 patients (13.6%) and 83 patients (31.4%) had clinical benefit. Median overall survival was 7.6 months; median progression-free survival was 11.3 weeks. The most common adverse events were rash, diarrhea, dyspnoea and anorexia.

OSI, together with its partners Genentech and Roche, are committed to determining which patients may derive the greatest benefit from Tarceva and have several ongoing studies designed to support the development of clinically validated diagnostic tests that may help oncologists appropriately select patients for treatment with Tarceva.

#### Additional Tarceva Information

Tarceva was approved by the FDA in November 2004 as monotherapy for the treatment of patients with locally advanced or metastatic non-small cell lung cancer (NSCLC) after failure of at least one chemotherapy regimen. Results from two earlier large, randomized, placebo-controlled Phase III clinical trials in first-line advanced NSCLC patients showed no clinical benefit with concurrent administration of Tarceva with doublet platinum-based chemotherapy (carboplatin and paclitaxel or gemcitabine and cisplatin) and its use is not recommended in that setting.

There have been infrequent reports of serious Interstitial Lung Disease (ILD)-like events, including fatalities, in patients receiving Tarceva for treatment of NSCLC, pancreatic cancer or other advanced solid tumors. In the pancreatic cancer trial, other serious adverse events associated with Tarceva plus gemcitabine and which may have included fatalities, were myocardial infarction/ischemia, cerebrovascular accident and microangiopathic hemolytic anemia with thrombocytopenia. When receiving Tarceva therapy, women should be advised against becoming pregnant or breastfeeding. Tarceva is pregnancy category D. The most common side effects in patients with NSCLC receiving Tarceva monotherapy 150 mg were rash and diarrhea. The most common side effects in patients with pancreatic cancer receiving Tarceva 100 mg plus gemcitabine were fatigue, rash, nausea, anorexia and diarrhea.

Tarceva is a small molecule designed to target the human epidermal growth factor receptor 1 (HER1) pathway, one of the factors critical to cell growth in NSCLC and other solid tumors. HER1, also known as EGFR, is a component of the HER signalling pathway, which plays a role in the formation and growth of numerous cancers. Tarceva is designed to inhibit the tyrosine kinase activity of the HER1 signaling pathway inside the cell, which may block tumor cell growth. Tarceva is the only HER1/EGFR-targeted therapy proven to significantly prolong survival in second-line NSCLC as a single agent.

In November 2005, the U.S. Food and Drug Administration (FDA) approved the use of Tarceva in combination with gemcitabine for the first-line treatment of patients with locally advanced, unresectable or metastatic pancreatic cancer in patients who have not received previous chemotherapy. Tarceva is the first drug in a Phase III trial to have shown a significant improvement in overall survival when added to gemcitabine chemotherapy as an initial treatment for pancreatic cancer.

For Tarceva full prescribing information, please call 1-877-TARCEVA or visit <http://www.tarceva.com>.

#### About OSI Pharmaceuticals

OSI Pharmaceuticals is committed to "shaping medicine and changing lives" by discovering, developing and commercializing high-quality and novel pharmaceutical products designed to extend life and/or improve the quality of life for patients with cancer and diabetes/obesity. The Company's oncology programs are focused on developing molecular targeted therapies designed to

change the paradigm of cancer care. OSI's diabetes/obesity efforts are committed to the generation of novel, targeted therapies for the treatment of type 2 diabetes and obesity. OSI's flagship product, Tarceva<sup>®</sup> (erlotinib), is the first drug discovered and developed by OSI to obtain FDA approval and the only EGFR inhibitor to have demonstrated the ability to improve survival in both non-small cell lung cancer and pancreatic cancer patients in certain settings. OSI markets Tarceva through partnerships with Genentech, Inc. in the United States and with Roche throughout the rest of the world. For additional information about OSI, please visit <http://www.osip.com>.

This news release contains forward-looking statements. These statements are subject to known and unknown risks and uncertainties that may cause actual future experience and results to differ materially from the statements made. Factors that might cause such a difference include, among others, the completion of clinical trials, the FDA review process and other governmental regulation, OSI's and its collaborators' abilities to successfully develop and commercialize drug candidates, competition from other pharmaceutical companies, the ability to effectively market products, and other factors described in OSI Pharmaceuticals' filings with the Securities and Exchange Commission.

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