

## OSI Summarizes Clinical Data on Tarceva(R) at the 2006 American Society of Clinical Oncology (ASCO) Meeting

ATLANTA, Jun 06, 2006 (BUSINESS WIRE) -- OSI Pharmaceuticals, Inc. (NASDAQ: OSIP) today provided a summary of data from studies involving the company's leading product, Tarceva® (erlotinib), presented during the 2006 Annual Meeting of the American Society of Clinical Oncology (ASCO) held June 2-6 in Atlanta, GA. Tarceva is currently approved as a monotherapy for the treatment of advanced non-small cell lung cancer (NSCLC) patients who have failed prior chemotherapy and in combination with the chemotherapy agent gemcitabine for the treatment of chemotherapy-naïve, advanced pancreatic cancer patients.

Highlights from data presented at the meeting included a series of promising studies involving the use of Tarceva earlier in the treatment of lung cancer; in subsets of patients previously viewed as less responsive to therapy with EGFR inhibitors; and in the treatment of additional cancers. In addition, a study supporting the activity of Tarceva in the first-line NSCLC setting also provided support for the hypothesis of a possible correlation between development of skin rash and the duration of response to Tarceva. Furthermore, data were presented which provided support to earlier reports of encouraging anti-tumor activity for Tarceva in combination with chemotherapy in the treatment of head and neck cancer and, separately, for the activity of Tarceva in male smokers with squamous cell carcinoma or NSCLC. Finally, as announced yesterday, Tarceva demonstrated a marked effect in the treatment of advanced NSCLC when used as second-line therapy in combination with Avastin® (bevacizumab).

"We are very encouraged by the data presented at this year's ASCO meeting, which, overall, suggest a potential role for Tarceva earlier in the course of lung cancer treatment and in a broader range of disease settings," said Gabriel Leung, President, OSI Oncology.

Results were also presented from of a Phase II study which assessed the activity of a platinum-containing chemotherapy doublet in front-line NSCLC patients with poor performance status. The data suggested that the platinum-containing chemotherapy regimen was well tolerated and produced encouraging progression free survival (PFS) and overall survival (OS). The study also suggested that the use of single-agent Tarceva for this patient population should only be considered on an individual basis. However, the activity of Tarceva was similar to historical data using single-agent chemotherapy. Notably, in the subset of patients who developed skin rash, the activity of Tarceva was comparable to that of the combination chemotherapy doublet.

Following are summaries of highlighted presentations:

Erlotinib as First-line Treatment for Untreated Stage IV NSCLC with Good Prognosis - Wallace Akerley, M.D. et al (Abstract # 7178)

The interim findings of this Phase II study suggest that in NSCLC patients with a better performance status (PS 0-1), first-line Tarceva monotherapy resulted in similar PFS and OS to chemotherapy, with less toxicity. Performance status (0-4) is a standard measure of patients' ability to perform activities of daily living, and is strongly correlated with outcome and response to therapy in patients with NSCLC. The higher the performance status number, the less favorable the prognosis. The study also found that the occurrence of skin rash might predict duration of Tarceva effectiveness.

In this study, 40 evaluable patients received Tarceva at doses of 150 mg/day until objective or symptomatic progression and were then switched to chemotherapy. The primary endpoint was PFS after the first chemotherapy treatment. The median and six-month PFS were 28.6 weeks and 56%. The median and 1-year survivals were 49 weeks and 49% with 19 patients still alive. The median time on Tarceva for patients who developed rash grades 0-1 was 7.8 weeks and for those who developed rash grades 2-3 was 17.7 weeks. The most common adverse event was rash, while others included liver function abnormalities, mucositis and diarrhea.

Phase II Trial of Erlotinib in Elderly Patients with Previously Untreated Advanced Non-Small Cell Lung Cancer (NSCLC): Quality of Life and Symptom Analysis - D.M. Jackman, M.D. et al (Abstract # 7168)

This Phase II study showed that Tarceva, when used as first-line therapy in elderly patients with advanced NSCLC, produced encouraging survival (median 10.9 months), a trend toward improved quality of life, and significant improvements in key symptoms such as dyspnea, cough and fatigue. The study included 80 patients with 64 evaluable for quality of life analysis. Patients were 70 years of age or older, were diagnosed with stage IIIB/IV NSCLC and were treated with Tarceva at 150mg/day. The most common adverse events associated with Tarceva were mild to moderate rash and diarrhea.

## Erlotinib in Patients with Advanced Squamous Cell Carcinoma of the Lung - A. Gorpide, M.D. et al (Abstract # 7174)

Several previous studies have shown that adenocarcinoma histology, female gender, Asian origin and never having smoked are associated with responsiveness of NSCLC to Tarceva. This subgroup analysis from 144 evaluable patients in the Phase II TARGET study confirmed that Tarceva is also active and well tolerated as first-line therapy in both men and women with stage IIIB-IV advanced or metastatic squamous cell carcinoma of the lung, regardless of smoking history. All the patients in this analysis were Caucasian. Patients in this trial were administered Tarceva at a dose of 150 mg/day until disease progression or withdrawal. In this subgroup median survival time to progression was 4.3 months and median overall survival was 5.8 months. No unexpected toxicities were observed in this study.

## A Randomized Phase II Trial of Erlotinib vs. Chemotherapy In Patients with Advanced NSCLC and PS of 2 - Rogerio Lilenbaum, M.D. et al (Abstract # 7022)

This study in 103 evaluable patients was the first randomized, Phase II trial of Tarceva as first-line therapy in NSCLC patients with a performance status of 2. The study evaluated Tarceva monotherapy (150mg/day) and a combination chemotherapy regimen of paclitaxel 200mg/m<sup>2</sup> plus carboplatin AUC 6 for four cycles. The primary objectives were to assess PFS and the safety of the two treatment arms.

The results suggested that the benefit of Tarceva was less than that of platinum-containing chemotherapy, but similar to that of other single-agent chemotherapy in previous studies. The median PFS in the group taking combination chemotherapy was 3.52 months compared with 1.91 months for the Tarceva group. In patients who developed skin rash grade 2 or greater while taking Tarceva, PFS was comparable (2.79 months) to that in the chemotherapy combination. The most common adverse events associated with Tarceva were rash and diarrhea, while adverse events in the chemotherapy combination included nausea, alopecia and neuropathy.

## Clinical Benefit of Erlotinib (Tarceva®) in Male Smokers with Squamous-cell Carcinoma - Gary M. Clark, Ph.D. et al (Abstract # 7166)

This sub-analysis of the pivotal BR.21 trial evaluated the potential benefit of Tarceva in treating the subgroup of patients who were smokers with squamous cell carcinoma - a group of patients that has historically been considered to benefit less from therapy with EGFR inhibitors. The BR.21 study was a double-blind, placebo-controlled study in 731 patients with stage IIIB/IV NSCLC who had failed one or two prior chemotherapy regimens.

The overall study randomized patients in a 2:1 ratio to receive either Tarceva at 150 mg/day or placebo. Results in the subgroup of 157 male smokers with squamous-cell carcinoma showed that overall survival was significantly improved among those treated with Tarceva compared with those who received placebo. Median survival was 5.5 months in the Tarceva arm compared with 3.4 months in the placebo arm.

## Phase II Study of Combination Cisplatin, Docetaxel and Erlotinib in Patients with Metastatic/Recurrent Head and Neck Squamous Cell Carcinoma (HNSCC) - E.S. Kim, M.D. et al (Abstract # 5521)

Encouraging activity was reported in this open-label trial combining Tarceva with cisplatin and docetaxel in 37 evaluable patients with advanced HNSCC who had progressed following standard chemotherapy treatment. The overall response rate was 60 percent, and the disease control rate was 84 percent. Complete responses were reported in three patients, partial responses were reported in 19 patients and nine patients were reported as having stable disease. Two patients progressed after two cycles and four patients progressed after four cycles. No unanticipated toxicities were evident from the combination.

### About Tarceva

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 signaling 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. Tarceva was approved by the U.S. Food and Drug Administration (FDA) in November 2004.

Results from two earlier large, randomized, placebo-controlled 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. In November 2005, the FDA approved Tarceva in combination with gemcitabine chemotherapy for the treatment of advanced 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 initial treatment for pancreatic cancer. Tarceva is the only EGFR therapy proven to significantly prolong survival in first-line locally advanced or metastatic pancreatic cancer in combination with

gemcitabine.

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

#### Tarceva Safety Profile

Tarceva has a well-established safety profile. In the BR.21 NSCLC trial, the most common adverse reactions in patients receiving Tarceva were rash and diarrhea. Grade 3/4 rash and diarrhea occurred in 9 and 6 percent of Tarceva-treated patients, respectively. Rash and diarrhea each resulted in discontinuation of 1 percent of Tarceva-treated patients. Dose reduction for rash and diarrhea was needed for 6 and 1 percent of patients, respectively. Historically, there have been infrequent reports of serious interstitial lung disease (ILD), including fatalities, in patients receiving Tarceva for treatment of NSCLC or other advanced solid tumors. In the pivotal trial in NSCLC, severe pulmonary reactions, including potential cases of ILD, were infrequent (0.8 percent) and were equally distributed between treatment arms. The overall incidence of ILD in Tarceva-treated patients from all studies was approximately 0.7 percent.

In the Phase III study in pancreatic cancer, the most common adverse events reported were fatigue, rash, nausea, anorexia and diarrhea. Rash was reported in 69 percent of patients who received Tarceva plus gemcitabine and in 30 percent of patients who received gemcitabine plus placebo. Diarrhea was reported in 48 percent of patients who received Tarceva plus gemcitabine and in 36 percent of patients who received gemcitabine plus placebo. Two percent of the patients discontinued Tarceva because of rash and 2 percent because of diarrhea. In addition, severe and potential fatal adverse events included interstitial lung disease-like complications, myocardial infarction or ischemia, cerebrovascular accident, and microangiopathic hemolytic anemia with thrombocytopenia.

#### About OSI Pharmaceuticals

OSI Pharmaceuticals is committed to "shaping medicines and changing lives" by discovering, developing and commercializing high-quality and novel pharmaceutical products that extend life or improve the quality of life for patients with cancer, eye diseases and diabetes. The Company operates through three business teams, (OSI) Oncology, (OSI) Eyetech and (OSI) Prosidion. (OSI) Oncology is focused on developing molecular targeted therapies designed to change the paradigm of cancer care. (OSI) Eyetech specializes in the development and commercialization of novel therapeutics to treat diseases of the eye. (OSI) Prosidion is committed to the generation of novel, targeted therapies for the treatment of type 2 diabetes and obesity. OSI's flagship product, Tarceva® (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. OSI markets Tarceva through partnerships with Genentech, Inc. in the United States and with Roche throughout the rest of the world. Macugen® (pegaptanib sodium injection) is approved in the United States and Europe for the treatment of neovascular age-related macular degeneration. OSI commercializes Macugen in partnership with Pfizer Inc. 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 and other foreign review processes 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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