

OSI Pharmaceuticals Summarizes Data on Tarceva(R) (erlotinib) at the 2007 American Society of Clinical Oncology (ASCO) Meeting

CHICAGO--(BUSINESS WIRE)--June 5, 2007--OSI Pharmaceuticals, Inc. (Nasdaq: OSIP) today provided a summary of studies highlighting data involving the Company's lead product, Tarceva[®], presented during the 2007 Annual Meeting of the American Society of Clinical Oncology (ASCO) held June 1-5 in Chicago, IL. Tarceva is currently approved as a 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.

"A broad range of data from Tarceva studies were selected to be presented at this year's ASCO meeting, including studies which suggested that a higher dose of Tarceva may improve exposure for lung cancer patients who continue to smoke, and that rash is a viable surrogate for potential benefit to Tarceva therapy," said Gabriel Leung, President, (OSI) Oncology. "Data also suggested that Tarceva may be useful in other cancers with unmet medical needs such as hepatocellular carcinoma and head and neck cancer."

Following are summaries of highlighted presentations:

Studies Aimed at Optimizing Dose and Schedule

Phase I Dose Escalation Pharmacokinetic Study of Erlotinib After Failure of Prior Chemotherapy in Patients with Advanced NSCLC who Continue to Smoke - A. Hughes, M.D. et al (Abstract #3597)

Previous studies have shown that the survival benefit associated with Tarceva is greater in never smokers than in current smokers with advanced NSCLC. Former/never smokers experience a higher incidence of rash than current smokers, potentially due to greater Tarceva exposure. Data from this study suggested that a higher dose of Tarceva may improve exposure and outcome in current smokers.

This two-part study investigates the feasibility of escalating Tarceva to define the maximum tolerated dose (MTD) in smokers and evaluates the pharmacokinetics (PK) in patients dosed at this MTD versus 150mg per day. Part I of the study identified the MTD in NSCLC patients who continue to smoke as 300mg/day. Part II of the study is ongoing and continues to compare the steady state PK of Tarceva at 300mg/day versus 150mg/day. The study authors concluded that the potential benefits of a higher dose of Tarceva in current smokers warrant further investigation.

Skin Rash as Surrogate Marker of Efficacy in Patients with NSCLC Treated with Erlotinib - M. Cobo, M.D. et al (Abstract #7602)

This retrospective analysis of a Phase II, open-label study of 1,414 patients (predominantly male, active/former smoker population) suggested a correlation between skin rash development and severity and treatment outcome in patients with stage IIIb-IV NSCLC. Skin rash as a surrogate of efficacy was evaluable in 816 patients. Results showed a disease control rate of 60.1% (9 complete response, 95 partial response, and 261 patients with stable disease) in patients who developed a rash versus a disease control rate of 38.4% in patients who did not develop rash. Median time to progression for patients with rash was 4.2 months compared with 2.4 months in those with no rash, and overall survival was 7 months in patients with rash versus 2.4 months in patients without rash. Furthermore, patients who developed rash greater than or equal to grade 2 had a significantly longer overall survival of 8.5 months. The authors concluded that skin rash seemed to be a surrogate of efficacy, although improvement in terms of time to progression and overall survival were also seen in patients without rash. Studies to prospectively investigate the association between skin rash and efficacy of Tarceva treatment are currently ongoing.

Pharmacodynamic Separation of Erlotinib and Docetaxel (DOC) in Advanced Non-Small Cell Lung Cancer (NSCLC): Overcoming Hypothesized Antagonism - A.M. Davies et al (Abstract #7618)

Earlier preclinical data suggested that the sequence of administration of EGFR-TKIs with chemotherapy may be important. This Phase I/II study suggested that the chemotherapy docetaxel (DOC) given every 3 weeks plus intermittent dosing of Tarceva (2 weeks on, 1 week off) resulted in a favorable response rate and time to progression in previously treated NSCLC patients.

In the study, 39 stage IIIb or IV NSCLC patients who were previously treated with one platinum-containing chemotherapy regimen received DOC 70-75mg/m² intravenously on day 1 every 21 days and Tarceva 150-200mg orally on days 2-16. Patients without progression after 6 cycles continued on Tarceva alone. Results showed that of the 37 evaluable patients, 2 patients had a complete response and 12 patients had a partial response (RR 38%), and 15 patients had stable disease.

Median time to progression was 5.6 months, with median survival time not yet reached. Treatment was generally well-tolerated; the most common grade 3-4 toxicities included neutropenia, diarrhea, infection and febrile neutropenia. Further clinical study of this concept is warranted and trials are currently ongoing.

Potential Expansion of Tarceva to New Disease Indications and Combination of Targeted Therapies

The Combination of Bevacizumab and Erlotinib Shows Significant Biological Activity in Patients with Advanced Hepatocellular Carcinoma (HCC) - M. Thomas, M.D. et al (Abstract #4567)

This ongoing Phase II, single-arm, open-label trial showed early yet encouraging results demonstrating that the combination of Tarceva and Avastin appeared to have clinically meaningful biologic activity in HCC. To date, 34 patients are enrolled in the study with 29 patients evaluable for response. Interim data show that 1 patient had a confirmed complete response and 6 patients had confirmed partial responses (21% response rate). The progression-free survival at 16 weeks was 75%. The median progression-free survival and overall survival were 8.8 months and 19 months, respectively. The trial will continue to full accrual of 40 patients with 20 additional patients added to expand the efficacy and safety profile. The combination of Tarceva and Avastin appeared well-tolerated, with the most common grade 3-4 toxicities being fatigue and hypertension.

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 #6013)

Encouraging efficacy data were presented in a Phase II trial combining Tarceva with cisplatin and docetaxel every 3 weeks in patients with metastatic/recurrent HNSCC. Of the 48 evaluable patients, the overall response rate was 66% and the disease control rate was 91%. Complete responses were observed in 4 patients, partial responses were observed in 28 patients, and 13 patients were observed to have stable disease. Median overall survival was 11 months and progression-free survival was 6 months. The 1-year survival rate in the study was 48%. With the combination of Tarceva, cisplatin and docetaxel the most common grade 3-4 toxicities were neutropenia, febrile neutropenia, anemia and dehydration.

Phase II Study of Erlotinib and Bevacizumab in Patients with Previously Untreated Stage IIIB/IV NSCLC - H.J. Groen, M.D. et al (Abstract #7625)

This multicenter, two-stage Phase II study was designed to determine whether combinations of the targeted agents Tarceva and Avastin may be effective and better tolerated than chemotherapy in previously untreated patients with advanced NSCLC patients. The primary endpoint of the study is non-progression (NPR) at 6 weeks defined with CT scan and PET imaging (N=46). Rate of non-progression at 6 weeks was 74% (34/46) and a response rate was observed in 20% of all patients. Median overall survival was 7.9 months and median progression-free survival was 5.7 months. Most common treatment-related adverse events were skin rash and diarrhea. Comparative studies with combination chemotherapy versus Tarceva and Avastin as first-line treatment are warranted.

Additional Tarceva Information

Tarceva was approved by the FDA in November 2004 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.

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>.

Tarceva Safety Profile

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.

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® (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 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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