



(osi)[™] pharmaceuticals

ANNUAL REPORT 2007

“We hold ourselves
to the highest ethical
standards and work hard
every day to change the
face of cancer and diabetes
treatment to best serve
our patients and the
medical community.”

Dr. Colin Goddard,
Chief Executive Officer

To Our Shareholders

2007 was a pivotal year for our company as we successfully followed through on a commitment to you, our shareholders, to take the company profitable – recording earnings from continuing operations of \$1.70 per share on income of \$97 million. Revenues were \$340 million (up 41% on the prior year) and expenses – at \$243 million – reflected a concerted effort on our part to establish an effective balance between financial performance and disciplined re-investment in the business for sustained long-term growth. We consider this financial transformation of the business to be a necessary prerequisite to our emergence as an elite biotechnology organization.

The business continues to be anchored around our flagship anti-cancer therapy Tarceva® which, just three years after the November 2004 approval in non-small cell lung cancer (NSCLC), exited the year with fourth quarter global sales of

\$250 million – an annualized run-rate of \$1 billion, the recognized industry-wide metric of a blockbuster. Global sales of Tarceva increased 36% in 2007 to \$886 million, fueled primarily by growth in sales outside of the U.S. However, of more importance to cancer patients and their families, their caregivers, and our dedicated employees, is our estimate that Tarceva has now been used in the treatment of approximately 250,000 lung and pancreatic cancer patients around the world and has added in the region of 40,000 years of cumulative life extension to these patients.

Today, thanks largely to the success of Tarceva, we are a profitable mid-cap biotechnology company that remains committed to discovering, developing and commercializing innovative and differentiated molecular targeted therapies that can make a meaningful healthcare impact on the treatment of oncology, diabetes and obesity patients around the world.



Robert A. Ingram, Chairman of the Board (left)
Colin Goddard, Ph.D., Chief Executive Officer

Cancer patient Mike Corcoran, who has been on Tarceva since October 2005, visited the OSI Boulder office to share his inspirational story in his fight against lung cancer with employees.



Even in today's challenging healthcare environment, we firmly believe that focusing our investments on pioneering, breakthrough therapies that really "move the needle" on patient care can still produce a significant return on investment for committed healthcare investors who share our belief in the fundamental value of innovation.

In our primary oncology business, we are well en route to building a leading franchise around Tarceva by "following the science" - in our case, by aggressively exploiting our growing understanding of the biology of Epithelial-to-Mesenchymal Transition (EMT) to develop new combination regimens of molecular targeted therapies. These regimens are being designed to drive substantial benefit to patients who will be selected on the basis of their likelihood to benefit from these EMT guided targeted therapy cocktails. Our scientists have shown that diagnostic markers of a tumor's EMT status may be

equally as important in patient selection as markers that identify genetic aberrations such as gene mutations and over-expression. This breakthrough observation first arose out of our translational research efforts directed toward better understanding those patients who most benefit from Tarceva therapy.

We believe this kind of highly focused, scientifically driven and *differentiation* oriented strategy is not only the *right* approach for cancer patients but makes sound *business sense* for OSI. We are convinced that disciplined investments in differentiated strategies like these are essential to our ability to compete in an increasingly crowded oncology marketplace and to separate ourselves from competitor oncology pipelines collectively comprised of hundreds of candidates.

We are following the same basic blueprint in our UK-based diabetes and obesity subsidiary Prosidion. Once again the approach centers on highly

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focused R&D investments with differentiation as a key driver to candidate selection. In this case the identification of “best-in-class” follow-on strategies that will allow us to rapidly test our differentiation hypotheses in the clinic has given Prosidion a running start. For example, PSN602 – our anti-obesity agent which will soon begin clinical trials – is specifically designed to deliver superior weight loss to Meridia® (the market leader in its class) without the associated cardiovascular side-effects seen at higher doses of Meridia. Validating our differentiation hypothesis for PSN602 – avoiding acute increases in heart rate and blood pressure – can be accomplished early in our clinical program and provides a cost-effective means for us to establish the kind of competitive differentiation that could represent a meaningful step forward in patient care and warrant aggressive pursuit of the program.

Building around these core principles, 2007 saw us continue

to make strides on a business plan that:

- invests (together with our partners at Genentech and Roche) significantly in furthering the Tarceva franchise;
- focuses our R&D investments in areas where we have exploitable differentiation and seeks to actively partner and monetize non-core assets; and
- maintains control over expenses, enabling an appropriate balance of delivering current financial performance and reinvesting for future growth.





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We have continued to execute well on the key elements of the Tarceva development plan and enter 2008 with two key potentially label expanding Phase III trials – SATURN and BeTa Lung – due to deliver top-line results in the second half of 2008. SATURN assesses the value of monotherapy Tarceva as a first-line maintenance therapy in NSCLC. Our goal is to extend the period of time patients, who have achieved either tumor shrinkage or stabilization of their disease following front-line chemotherapy regimens, are able to survive without progression of their cancer. BeTa Lung assesses the ability of a combination of two leading targeted therapies – Avastin® as an anti-VEGF targeted anti-angiogenesis agent and Tarceva as an anti-EGFR therapy – to extend the survival of second-line NSCLC patients compared to Tarceva alone. The BeTa study is based on a prior Phase II program and, if successful,

we believe it will herald the dawn of “all targeted therapy combination regimens” - an important next step in the treatment of cancer patients. The success of these studies could both expand the number of NSCLC patients who receive Tarceva and increase the duration of their therapy with Tarceva.

At OSI, we completed a pharmacokinetic study demonstrating that NSCLC patients who continue to smoke may need twice the normal dose of Tarceva to achieve equivalent systemic blood levels of the drug. This important observation was submitted to the FDA at the end of 2007. The PDUFA date for our proposed sNDA label change is in September of 2008.

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We also saw good progress in additional Phase III programs assessing Tarceva in NSCLC patients who are “never smokers”; in a maintenance setting in ovarian cancer; with Avastin as a maintenance combination regimen in NSCLC; and the RADIANT adjuvant trial in NSCLC, despite the need to restart this study following identification of an operational issue at our CRO. This last study is important to the long-term life cycle management of the Tarceva brand. Further, in addition to a series of studies that will initiate in 2008, the Tarceva program is supported by over 340 completed, ongoing or planned studies with investigators and key opinion leaders around the world.

Tarceva faces, and will continue to face, competition both from approved agents (like Erbitux® and Alimta® – both of which will have important data on their programs presented at ASCO 2008) and developmental agents (like AstraZeneca’s Zactima™, which has a Phase III trial against Tarceva due to read out this year). None-the-less, considering our own development programs and the extent of the established role of Tarceva in the treatment of lung and pancreatic cancer patients, we believe it would take an unlikely confluence of events to diminish prospects for the continued growth of the brand.

Tarceva’s success also means that it has – and will - attract the interest of a global generics industry that is employing increasingly aggressive tactics toward innovator intellectual property rights around the world. We believe that a trend toward the erosion of innovator IP protection will ultimately undermine our industry’s willingness and ability to invest in the next generation

(osi) oncology

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of breakthrough therapies like Tarceva. As a result we, together with our partners, are taking proactive steps to defend and optimally position our global intellectual property rights surrounding Tarceva. These include taking legal action against companies producing a generic version of Tarceva in India (in the face of our issued Indian patent) and seeking a reissue of one of two Orange Book listed patents for Tarceva in the U.S. We remain confident in our ability to protect the unique inventiveness of Tarceva through its patent expiry in 2018 (in the U.S.) and 2020 (in the E.U.).

Beyond Tarceva, we believe that our emerging pipeline of differentiated, wholly owned development candidates – all products of OSI research – is laying a strong foundation for longer-term success.

In oncology, OSI-906 is potentially a “first-in-class” small molecule IGF-1R inhibitor that we advanced to Phase I trials in 2007. IGF-1R is widely regarded as an attractive target in most major tumor types and OSI-906 has been shown to synergize with Tarceva in pre-clinical models. OSI-027 is a next generation mTOR inhibitor designed to truncate mTOR signaling by blocking both signaling complexes (TORC-1 and TORC-2), whereas first generation molecules such as Wyeth’s Torisel® (recently approved for kidney cancer) only block one of these signaling complexes. We anticipate beginning the clinical program for OSI-027 in 2008.

(osi)[™]prosidion

In diabetes and obesity we expect to advance two candidates to clinical trials in 2008.

In diabetes and obesity we expect to advance two candidates to clinical trials in 2008, our anti-obesity agent PSN602 and our dual anti-diabetic/weight loss agent, PSN821 – a high quality candidate which acts as a GPR119 agonist. PSN821 acts by causing GLP-1 release and by delaying gastric emptying. As a result, GPR119 agonists offer the tantalizing prospect of simultaneously providing an oral anti-diabetic function analogous to DP-IV inhibitors (like Merck's Januvia[™]) while also eliciting weight-loss. PSN821 has been shown pre-clinically to be highly effective over a sustained period and we look forward to advancing this promising agent to the clinic.

We completed two important deals to bolster our research efforts during 2007. A collaborative arrangement with AVEO Pharmaceuticals has provided us with animal models, diagnostic tools and potential targets in

support of our EMT focused research efforts in oncology, and a small asset acquisition from AdipoGenix gave us access to a unique fat cell technology platform in support of our diabetes and obesity research efforts.

We ceased development of our own DP-IV inhibitor (PSN9301) in 2007 because we were unable to substantiate sufficient selectivity against related enzymes DP8 and 9 leading to inadequate safety margins. However, the success of Januvia and Janumet[™] – together with new licenses and milestones – led to approximately \$35MM in license revenues from our DP-IV patent estate. In addition, we focused on realizing value from our R&D assets that were not in our core focus areas in 2007 and garnered revenues of approximately \$34 million from this ongoing exercise.





OSI is a founding member of the CEO Gold Standard program. The Company is proud to be part of this national commitment to raise cancer awareness and prevention in the workplace.

We believe that we exited 2007 with an effective balance between an appropriate level of fiscal discipline married to an exciting portfolio of emerging R&D assets that warrant continued investment. We enter 2008 with the potential for appreciable “step-ups” in value of the business, with major Phase III trial results for Tarceva anticipated in the second half of 2008 and important clinical validation of our pipeline assets on the horizon.

We believe this will set the stage for an exciting future for our company. Even adjusting for all the nuances and volatility of the biotech sector, we have moved the value of our business from \$30-40 million ten years ago to \$2-3 billion today, and we believe that there is no reason not to aspire to another major increase in value over the next ten years. In fact, when you take into account Tarceva, an enhanced financial base, our greater experience, and our stronger asset base – this appears

even more achievable than the challenges we faced a decade ago.

We face the future with confidence in our ability to execute, a commitment to make a real difference in the treatment of the patients we serve and a determination to realize a successful return for our shareholders.

We thank you for your continued support of OSIP.

A handwritten signature in blue ink that reads "Colin Goddard".

Colin Goddard, Ph.D.
Chief Executive Officer

A handwritten signature in blue ink that reads "Robert A. Ingram".

Robert A. Ingram
Chairman of the Board