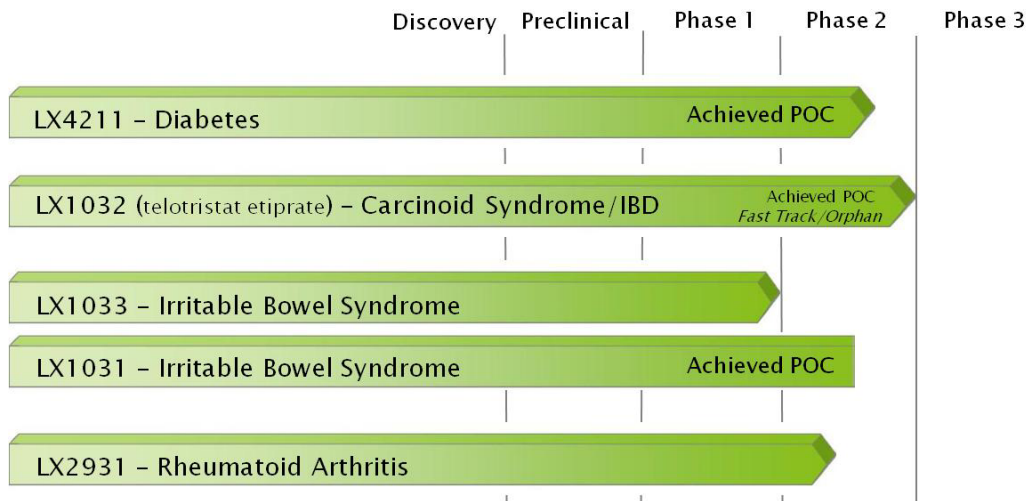


Lexicon Pharmaceuticals is a biopharmaceutical company focused on discovering and developing breakthrough treatments for human disease. Using its proprietary gene knockout technology, Lexicon has discovered more than 100 promising drug targets, and created a unique, growing clinical pipeline in the fields of cardiology, gastroenterology, immunology, metabolism, and ophthalmology.

Clinical-Stage Drug Pipeline

Lexicon currently has four drugs in Phase 2 clinical development in the areas of diabetes, irritable bowel syndrome (IBS), rheumatoid arthritis, and carcinoid syndrome.



At a Glance: (Financials as of September 30, 2011)

| | |
|---------------------|-------------------|
| Headquarters: | The Woodlands, TX |
| Incorporated: | 1995 |
| Employees: | 290 |
| Revenues (1): | \$1.5 million |
| Cash & investments: | \$144.2 million |
| Shares outstanding: | 337.9 million |
| Exchange: | Nasdaq |
| Ticker: | LXRX |

(1) For the nine months ended September 30, 2011

*Discovering
Breakthrough
Treatments for
Human Disease*

LX4211 – Diabetes

LX4211 is an orally-delivered small molecule under development for the potential treatment of type 2 diabetes mellitus. LX4211 is a dual inhibitor of sodium-glucose cotransporters SGLT1 and SGLT2. SGLT2 is a transporter responsible for most of the glucose reabsorption performed by the kidney; SGLT1 is a transporter responsible for glucose and galactose absorption in the gastrointestinal tract, and to a lesser extent than SGLT2, glucose reabsorption in the kidney. Data from a Phase 2 clinical trial evaluating the safety and tolerability of LX4211 and its effects on biomarkers associated with type 2 diabetes showed that once daily treatment with 150 mg and 300 mg of LX4211 provided improvements in glycemic control and demonstrated statistically significant benefits in the primary and multiple secondary efficacy endpoints. LX4211 demonstrated a favorable safety profile in the trial, with no dose-limiting toxicities observed. Adverse events were generally mild and equally distributed across all groups, including the placebo group. In a Phase 1 study, LX4211 was well tolerated at all dose levels and produced a dose-dependent increase in urinary glucose excretion. A 12-week Phase 2b study of LX4211 in patients with type 2 diabetes is ongoing.

LX1031/LX1033 – Irritable Bowel Syndrome (IBS)

LX1031 and LX1033 are orally-delivered small molecules under development for the potential treatment of IBS and other gastrointestinal (GI) disorders. These drugs were designed to inhibit tryptophan hydroxylase, or TPH, the rate-limiting enzyme for serotonin production found primarily in enterochromaffin, or EC, cells of the GI tract. In a Phase 2 clinical study, treatment with 1,000 mg of LX1031 four times daily produced a statistically significant improvement in the global assessment of relief of IBS pain and discomfort over the four-week treatment period compared to placebo. Improvements in the global assessment of adequate relief corresponded with statistically significant improvements in stool consistency in the same dose group. Increased clinical response correlated with a greater reduction in serotonin synthesis as reflected by measures of urinary 5-HIAA, the primary metabolite of serotonin and a biomarker for serotonin production. LX1031 was well tolerated in the Phase 2 clinical trial with no notable differences in adverse events observed between placebo and either treatment group. In a Phase 1 study with LX1033, a follow-on compound that is significantly more potent than LX1031, LX1033 was able to achieve reductions in the 5-HIAA biomarker in healthy volunteers comparable to those seen with LX1031 but with lower and less frequent dosing. Therefore, the company intends to advance LX1033 into a Phase 2 clinical trial as its lead drug candidate in IBS.

LX1032 (telotristat etiprate) – Carcinoid Syndrome

LX1032 is an orally-delivered small molecule under development for the potential treatment of symptoms associated with carcinoid syndrome (CS). LX1032 was designed to inhibit TPH, the same target as LX1031 and LX1033, but LX1032 is chemically distinct and, unlike LX1031 and LX1033, was specifically designed to achieve enhanced systemic exposure. In a Phase 2 trial conducted in the U.S. with 23 patients with carcinoid syndrome who were refractory to currently available therapy, telotristat etiprate was well tolerated at doses up to 500 mg given three times daily. Five telotristat etiprate patients achieved clinical responses characterized by reductions of at least 30% in the number of bowel movements per day for two weeks or more during the study. Six telotristat etiprate patients reported adequate relief of carcinoid symptoms at the end of the study. There were nine telotristat etiprate patients with a complete biochemical response defined as a reduction of at least 50% in urinary 5-HIAA. In a separate, ongoing, open-label, single-arm study of telotristat etiprate in Europe, 6 out of 8 patients with refractory carcinoid syndrome experienced sustained reductions of at least 30% in bowel movement frequency when treated for up to 16 weeks with telotristat etiprate. Telotristat etiprate has received Fast Track status from the United States Food and Drug Administration and has received Orphan Drug designation by the European Medicines Agency.

LX2931 – Rheumatoid Arthritis

LX2931 is an orally-delivered small molecule under development for the potential treatment of autoimmune diseases such as rheumatoid arthritis (RA). LX2931 was designed to target sphingosine-1-phosphate lyase, or S1P lyase, an enzyme in the sphingosine-1 phosphate (S1P) pathway associated with the activity of lymphocytes. Results from Phase 2 study in 208 patients with RA demonstrated that all doses tested were well tolerated over the 12-week treatment period. Taken together, the data also suggested that patients treated with the highest dose of LX2931 (150 mg once daily) showed an improvement in the primary efficacy endpoint, the percentage of patients achieving an American College of Rheumatology 20 (ACR20) response at week 12. Lexicon has commenced a Phase 1 dose-ranging study in RA patients to identify doses that produce sustained higher LX2931 exposure.

Management Team

Arthur T. Sands, M.D., Ph.D.

President and Chief Executive Officer

Alan J. Main, Ph.D.

Executive Vice President, Pharmaceutical Research

Jeffrey L. Wade, J.D.

Executive Vice President, Corporate Development and Chief Financial Officer

Brian P. Zambrowicz, Ph.D.

Executive Vice President and Chief Scientific Officer

Pablo Lapuerta, M.D.

Senior Vice President, Clinical Development and Chief Medical Officer

James F. Tessmer

Vice President, Finance and Accounting

Board of Directors

Samuel L. Barker, Ph.D., Chairman

Founder & Former President and Chief Executive Officer, Clearview Projects, Inc.

Philippe J. Amouyal

Managing Director, The Invus Group, LLC

Raymond Debbane

President and Chief Executive Officer, The Invus Group, LLC

Robert J. Lefkowitz, M.D.

Investigator, Howard Hughes Medical Institute and James B. Duke Professor of Medicine and Professor of Biochemistry, Duke University Medical Center

Alan S. Nies, M.D.

Chairman, Lexicon Pharmaceuticals, Inc. Medical Advisory Board; Former Senior Vice President, Clinical Sciences, Merck & Co. Inc.

Frank P. Palantoni

Partner, P3 Capital Management LLC

Arthur T. Sands, M.D., Ph.D.

President and Chief Executive Officer, Lexicon Pharmaceuticals, Inc.

Christopher J. Sobecki

Managing Director, The Invus Group, LLC

Judith L. Swain, M.D.

Executive Director, Singapore Institute for Clinical Sciences within the Singapore Agency for Science, Technology, and Research (A*STAR)

For Additional Information:

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www.lexpharma.com

This fact sheet contains forward-looking statements. These statements relate to future events or our future financial performance. We have attempted to identify forward-looking statements by terminology including "anticipate," "believe," "can," "continue," "could," "estimate," "expect," "intend," "may," "plan," "potential," "predict," "should" or "will" or the negative of these terms or other comparable terminology. These statements are only predictions and involve known and unknown risks, uncertainties and other factors, including the risks outlined under "Risk Factors," appearing in Lexicon's annual report on Form 10-K for the year ended December 31, 2010, as filed with the Securities and Exchange Commission that may cause our or our industry's actual results, levels of activity, performance or achievements to be materially different from any future results, levels of activity, performance or achievements expressed or implied by these forward-looking statements.