

Ligand Presents Multi-Dose Phase I Data on Lead SARM Molecule LGD-4033 at the Endocrine Society Annual Meeting

SAN DIEGO-- Ligand Pharmaceuticals Incorporated (NASDAQ: LGND) today announced that data from a comprehensive Phase I multi-dose program with its selective androgen receptor modulator (SARM) LGD-4033 was featured in a poster presentation today at the Endocrine Society Annual Meeting in Boston, June 4-7, 2011.

In the Phase I clinical trial, the safety, tolerability and preliminary efficacy of LGD-4033 was evaluated in a double-blind, placebo-controlled, multiple ascending dose study. Healthy male subjects were randomized to receive 0.1, 0.3 or 1.0 mg LGD-4033 or placebo once daily over 21 days.

The key findings include:

- -- LGD-4033 was safe and well tolerated at all doses following daily oral administration for three weeks in young healthy males
- -- No clinically significant dose-related adverse events were reported
- -- No clinically significant changes in liver function tests, PSA, hematocrit or ECG were seen
- -- Positive dose-dependent trends in lean muscle mass increase were observed with drug-treated subjects
- -- Positive dose-dependent trends in functional exercise and strength measures were consistent with anabolic activity

"LGD-4033 is a potentially best-in-class molecule in the muscle loss/wasting therapeutic arena, an area of medicine that is desperately in need of new medicines," said Shehzad Basaria, M.D., Associate Professor of Medicine and Director, Androgen Clinical Research Unit at Boston University School of Medicine and the co-principal investigator of the LGD-4033 Phase I multiple ascending dose study. "With decades of experimental evidence suggesting the anabolic potential of androgens, the need for a SARM that does not possess the side effects of androgens while retaining the therapeutic benefits is extremely compelling," added Dr. Basaria. "The positive trends in efficacy seen from this study are very exciting considering the study was not powered to show clear benefits in these endpoints," continued Dr. Basaria.

About LGD-4033

LGD-4033 is a non-steroidal selective androgen receptor modulator (SARM), expected to produce the therapeutic benefits of testosterone with improved safety, tolerability and patient acceptance due to tissue-selective mechanisms of action and oral routes of administration. Ligand has discovered several orally active, non-steroidal SARM compounds based on tissue-specific gene expression and other functional, cell-based technologies. In animal

models, LGD-4033 demonstrated anabolic activity in muscles, anti-resorptive and anabolic activity in bones and a robust selectivity for muscle and bone versus prostate and sebaceous glands. The clinical applications for SARMs include the prevention and treatment of muscle wasting associated with cancer, acute rehabilitation conditions (e.g. hip fracture), chronic illness (COPD) and age-related muscle loss.

To view the poster visit Ligand's Web site at http://investors.ligand.com/events.cfm.

About Ligand Pharmaceuticals

Ligand is a biopharmaceutical company with a business model that is based upon the concept of developing or acquiring royalty revenue generating assets and coupling them to a lean corporate cost structure. Ligand's goal is to produce a bottom line that supports a sustainably profitable business. By diversifying the portfolio of assets across numerous technology types, therapeutic areas, drug targets, and industry partners, we offer investors an opportunity to invest in the increasingly complicated and unpredictable pharmaceutical industry. In comparison to its peers, we believe Ligand has assembled one of the largest and most diversified asset portfolios in the industry with the potential to generate revenue in the future. These therapies address the unmet medical needs of patients for a broad spectrum of diseases including hepatitis, muscle wasting, Alzheimer's disease, dyslipidemia, diabetes, anemia, COPD, asthma, rheumatoid arthritis and osteoporosis. Ligand has established multiple alliances with the world's leading pharmaceutical companies including GlaxoSmithKline, The Medicines Company, Pfizer, Bristol-Myers Squibb and AstraZeneca. For more information, please visit www.ligand.com. Follow Ligand on Twitter at Ligand_LGND.

Caution Regarding Forward-Looking Statements

This news release contains forward-looking statements by Ligand that involve risks and uncertainties and reflect Ligand's judgment as of the date of this release. These statements include those related to clinical trials of LGD-4033, other SARM compounds and SARMrelated drugs, market size and potential commercial success, LGD-4033's profile, efficacy, potency, selectivity, and competitiveness, and the strength of Ligand's product portfolio. Actual events or results may differ from our expectations. For example, there can be no assurance that LGD-4033 or other potential drugs will progress through clinical development or receive required regulatory approvals within the expected time lines or at all, that further clinical trials will confirm any safety or other characteristics or profile described in this press release, that there will be a market of any size for LGD-4033, or that LGD-4033 or any drugs will be beneficial to patients or successfully marketed. Additional information concerning these and other risk factors affecting Ligand can be found in prior press releases as well as in public periodic filings with the Securities and Exchange Commission, available via www.ligand.com. Ligand disclaims any intent or obligation to update these forward-looking statements beyond the date of this release. This caution is made under the safe harbor provisions of the Private Securities Litigation Reform Act of 1995.

Source: Ligand Pharmaceuticals Incorporated