June 6, 2011

Ligand Presents Phase IIa Data on Captisol(R)-Enabled, Propylene Glycol-Free Melphalan at ASCO 2011

SAN DIEGO-- Ligand Pharmaceuticals Incorporated (NASDAQ:LGND) today announced that interim data from a Phase IIa study with its Captisol-enabled, propylene glycol-free, Melphalan program was featured today in a poster presentation at the 2011 American Society of Clinical Oncology (ASCO) Annual Meeting in Chicago, June 3-7, 2011.

This Phase IIa study compared the safety, tolerability, and pharmacokinetic profiles of a new propylene glycol-free Melphalan intravenous formulation and the current clinically used intravenous formulation of Melphalan (sold as Alkeran(R)) for multiple myeloma patients undergoing autologous transplantation. This Captisol-enabled product is expected to allow for longer administration durations and slower infusion rates, potentially enabling clinicians to safely achieve a higher dose intensity of pre-transplant chemotherapy.

The key findings presented on the poster include:

- All patients achieved myeloablation followed by successful engraftment
- Except for expected grade 2-3 toxicities related to high dose Melphalan, no additional toxicities were reported
- PK analysis revealed that the new propylene glycol-free Melphalan met the requirements for establishment of bioequivalence to Alkeran
- Captisol-enabled Melphalan demonstrated a marginally greater Melphalan systemic exposure (~110%) than realized from Alkeran
- Based on these preliminary results from the Phase IIa, the follow-on study (Phase IIb) will utilize a dosing regimen that appears to be comparable to Alkeran. This study will be designed to expose patients exclusively to the propylene glycol-free formulation and will further elaborate safety and efficacy measures for the new product

The poster presentation can be viewed by visiting the Investor Relations section of Ligand's Web site at www.ligand.com.

"The data presented today help build a strong case that this new Captisol-enabled formulation of Melphalan could be a significant improvement over the standard of care for patients going through myeloablation," said Dr. Omar Aljitawi from the University of Kansas Medical Center and Principal Investigator for this study. "The ability to remove propylene glycol from the formulation and extend stability in solution, all while improving drug exposure in patients, should be meaningful to the clinicians treating these patients," added Dr. Aljitawi.

"This data clearly sets the stage for a pivotal safety study to be performed allowing us to bring this program to the NDA filing stage relatively quickly," said John Higgins, President and Chief Executive Officer of Ligand Pharmaceuticals. "While the Captisol-enabled pipeline

that we acquired recently with our acquisition of CyDex has several valuable programs, we viewed the Melphalan program as one of the most advanced and exciting. The recent acquisition of the Captisol-enabled Nexterone program by Baxter, along with our just announced deal with The Medicines Company for Captisol-enabled, rapid-onset Clopidogrel, demonstrate the clear opportunity that these reformulations of existing products represent."

About Melphalan

Melphalan HCl for Injection (Propylene Glycol-Free), a reformulation of Alkeran for Injection, incorporates the Captisol(R) brand of b-cyclodextrin sulfobutyl ethers, sodium salts (also known as [SBE]7m-b-CD) into a freeze-dried product developed by CyDex Pharmaceuticals, Inc. (CyDex). This product is reconstituted and further diluted with normal saline. Captisol improves stability allowing for longer administration durations, slower infusion rates and potentially could enable safe administration of higher doses of Melphalan, and lead to better therapeutic outcomes, which may also permit reaching a wider patient population and use in a number of other lymphoma and leukemia diseased populations that indicate ASCT as treatment modality.

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 @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 Captisol-enabled Melphalan's profile, market size and possibility of commercial success, efficacy, potency, 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 Captisol-enabled Melphalan and Alkeran or other potential Captisol-enabled 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 Captisol-enabled Melphalan, or that Captisol-enabled Melphalan 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