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Ligand Presents Full Data from Successful Phase II Trial of Captisol-Enabled®, Propylene Glycol-Free Melphalan at the BMT Tandem Meetings

SAN DIEGO-- **Ligand Pharmaceuticals Incorporated (NASDAQ: LGND)** announced that results from the Phase II study of its Captisol-enabled, propylene glycol-free (PG-free) Melphalan program were featured this evening in a poster presentation at the combined annual meetings of the Center for International Blood & Marrow Transplant Research (CIBMTR) and the American Society for Blood and Marrow Transplantation (ASBMT) in San Diego.

The Phase II study was completed in late 2011 and compared the safety, tolerability and pharmacokinetic profiles of the PG-free Melphalan intravenous formulation and the current clinically-used intravenous formulation of melphalan (sold by GlaxoSmithKline as Alkeran® for Injection) for multiple myeloma patients undergoing autologous transplantation. The 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, which may lead to better therapeutic outcomes.

The key findings and new data presented include:

- The Phase II study successfully met all endpoints.
- The new PG-free Melphalan was bioequivalent to Alkeran per guidance requirements, while also demonstrating a marginally higher systemic drug exposure (112%).
- 37.5% (9 of 24) of the multiple myeloma patients treated in the study were at Stage 2 at study entry and 62.5% (15 of 24) were at Stage 3 at study entry.
- PG-free Melphalan, administered as half of a high-dose conditioning regimen, clearly resulted in successful myeloablation (100% of patients) and subsequent engraftment (100% of patients) with no additional toxicity.
- Based on the successful Phase II results, the follow-on Pivotal study will utilize a dosing regimen comparable to Alkeran. The Pivotal study is designed to expose patients exclusively to the PG-free Melphalan formulation and will further elaborate the safety and efficacy measures for the product.

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

Dr. Omar Aljitawi from the University of Kansas Medical Center stated: "This study clearly proves that Captisol-enabled PG-free Melphalan is bioequivalent to Alkeran. Additionally, the higher systemic levels seen with the Captisol-enabled product might result in better disease

control, an end-point that will be examined in the follow-on Pivotal trial. Furthermore, this study should encourage investigators to study this formulation for indications where the presence of PG also creates issues and where extended infusion times are desirable."

"We are very pleased to present this positive Phase II data at the BMT Tandem meeting. Transplant is and will remain a key element of managing multiple myeloma, and Ligand's Captisol-enabled PG-free formulation has the potential to revolutionize the use of melphalan in this setting," said Matthew W. Foehr, Chief Operating Officer of Ligand Pharmaceuticals. "This program's clear development path, with an established Orphan designation and a single remaining Pivotal trial make it a highly valuable asset in our broad portfolio. We continue to examine the partnering landscape for this program while our R&D team prepares to initiate the Pivotal trial this year."

Ligand worked in partnership with the University of Kansas Cancer Center on the trial, which was partially funded by grants from the Kansas Bioscience Authority.

Current Development Path for Ligand's Melphalan Program

Ligand is preparing to initiate a 60-patient Pivotal trial in 2012. Given the robust data set compiled to date along with the efficient final study design, Ligand believes it will have a submission-ready NDA at the end of this study. Although Ligand is preparing to conduct the trial with the objective to potentially launch the product itself, the company is also currently evaluating entering a partnership for the program.

About Ligand's Captisol-enabled, PG-Free Melphalan Program

Ligand's Captisol-enabled, PG-free Melphalan program, which has been granted Orphan designation by the FDA as a conditioning treatment for use in autologous transplant for patients with multiple myeloma, is a new IV formulation of melphalan (currently sold as Alkeran®for Injection) that has the potential to offer multiple advantages for clinicians and patients in the multiple myeloma transplant setting. Ligand's formulation completely avoids the use of propylene glycol, which has been used as a co-solvent in other formulations and has been reported to cause renal and cardiac side-effects that limit the ability to deliver higher quantities of intended therapeutic compounds. The use of the Captisol® technology to reformulate melphalan is anticipated to allow for longer administration durations and slower infusion rates, potentially enabling clinicians to safely achieve a higher dose intensity of pre-transplant chemotherapy.

About Captisol®

Captisol is a patent-protected, chemically modified cyclodextrin with a structure designed to optimize the solubility and stability of drugs. Captisol was invented and initially developed by scientists at the University of Kansas' Higuchi Biosciences Center for specific use in drug development and formulation. This unique technology has enabled five FDA-approved products, including Pfizer's Vfend®IV and Baxter International's Nexterone®. There are currently more than 20 Captisol-enabled products in development, including Onyx Pharmaceuticals' Carfilzomib program, The Medicines Company's MDCO-157 project and Rib-X's Delafloxacin program.

About Multiple Myeloma

Multiple myeloma is a cancer of plasma cells, a type of white blood cell present in the bone marrow. In multiple myeloma, a group of plasma cells (myeloma cells) becomes cancerous and multiplies, raising the number of plasma cells to a higher than normal level. According to the American Cancer Society, about 22,000 new cases will be diagnosed. The 5-year relative survival rate for multiple myeloma is around 40%.

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, asthma, rheumatoid arthritis and osteoporosis. Ligand's Captisol platform technology is a patent protected, chemically modified cyclodextrin with a structure designed to optimize the solubility and stability of drugs. Ligand has established multiple alliances with the world's leading pharmaceutical companies including GlaxoSmithKline, Merck, Pfizer, Eli Lilly & Company, Baxter International, Bristol-Myers Squibb, Celgene, Onyx Pharmaceuticals, Lundbeck Inc., The Medicines Company, Curis, Inc. and Rib-X Pharmaceuticals. Please visit http://www.captisol.com for more information on Captisol. For more information on Ligand, please visit http://www.ligand.com.

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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 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.

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