December 10, 2012

Ligand Initiates Pivotal Trial of Captisol-Enabled®, Propylene Glycol-Free Melphalan in Patients with Multiple Myeloma

SAN DIEGO-- **Ligand Pharmaceuticals Incorporated (NASDAQ: LGND)** announced today that it has initiated a pivotal trial of Captisol-enabled®, propylene glycol-free (PG-free) high-dose melphalan as a conditioning treatment prior to autologous transplant for patients with multiple myeloma. This multi-center trial will evaluate safety and efficacy in 60 patients, and is intended to confirm the results from an earlier Phase 2 study demonstrating that the PG-free melphalan intravenous formulation was safe and well-tolerated, and met the requirements for establishment of bioequivalence to the current commercial intravenous formulation of melphalan (sold by GlaxoSmithKline as Alkeran® for Injection).

Given the robust dataset compiled to date along with the pivotal study design, Ligand believes that should this pivotal trial produce positive results, the Company will be in a position to submit a 505(b)(2) New Drug Application to the U.S. Food and Drug Administration.

“The initiation of the pivotal trial for our Captisol-enabled, PG-free melphalan is an important development for this program, and for Ligand,” commented Matthew W. Foehr, Chief Operating Officer of Ligand Pharmaceuticals. “This proprietary product has Orphan Drug designation and a compelling therapeutic profile. While this pivotal trial is underway, we will be evaluating options to license this valuable asset to a strategic partner or commercialize it on our own.”

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, is a new intravenous formulation of melphalan for the multiple myeloma transplant setting.

Ligand's formulation avoids the use of propylene glycol, which has been reported to cause renal and cardiac side effects that limit the ability to deliver higher quantities of 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. The positive Phase 2 results for this program were announced in 2011. Ligand worked in partnership with The University of Kansas Cancer Center on the Phase 2 trial, which was partially funded by grants from the Kansas Bioscience Authority.

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. There are an estimated 20,000 new cases of multiple myeloma in the United States each year, with an incidence of new cases increasing by approximately 1.7% per year.[1] The current intravenous melphalan market is approximately $130 million annually, with predominant use in stem cell transplants. The rate of autologous stem cell transplants for patients with multiple myeloma is growing by approximately 3.3% annually.[2]

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 six FDA-approved products, including Onyx Pharmaceuticals' Kyprolis™, Baxter International's Nexterone® and Pfizer's Vfend® IV. There are currently more than 30 Captisol-enabled products in development, including Lundbeck's carbamazepine IV, The Medicines Company's MDCO-157 and Rib-X's delafloxacin IV program.

About Ligand Pharmaceuticals

Ligand is a biopharmaceutical company that develops and acquires assets it believes will generate royalty revenues and, under its lean corporate cost structure, produce sustainable profitability. Ligand has a diverse asset portfolio addressing the unmet medical needs of patients for a broad spectrum of diseases including thrombocytopenia, multiple myeloma, diabetes, hepatitis, muscle wasting, dyslipidemia, anemia 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. and The Medicines Company, among others. Please visit www.captisol.com for more information on Captisol or www.ligand.com for more information on Ligand.

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Forward-Looking Statements

This news release contains certain 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 timelines 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. The failure to meet expectations with respect to any of the foregoing matters may have a negative effect on Ligand's stock price. Additional information concerning these and other risk factors affecting Ligand's business can be found in prior press releases available via www.ligand.com as well as in Ligand's public periodic filings with the Securities and Exchange Commission at www.sec.gov. 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.

[1] American Cancer Society, Cancer Facts & Figures 2012

[2] Leerink Swann Market Research

Ligand Pharmaceuticals Incorporated
John L. Higgins, President and CEO
Jennifer Capuzelo, Investor Relations
(858) 550-7584
jcapuzelo@ligand.com
or
LHA
Don Markley
(310) 691-7100
dmarkley@lhai.com

Source: Ligand Pharmaceuticals Incorporated