June 16, 2014

Ligand Announces Successful First-in-Human Trial Results for Glucagon Program

LGD-6972 Phase 1 Data Presented at the American Diabetes Association 74th Scientific Sessions

SAN DIEGO-- **Ligand Pharmaceuticals Incorporated (NASDAQ: LGND)** announced data from a Phase 1 clinical trial with LGD-6972 that demonstrate favorable safety, tolerability and pharmacokinetics in normal healthy volunteers and in subjects with type 2 diabetes mellitus, and also demonstrate a robust response on fasting plasma glucose after a single dose. LGD-6972 is Ligand's novel glucagon receptor antagonist and these first-in-human data were presented at the American Diabetes Association's 74th Scientific Sessions meeting underway in San Francisco.

Highlights of the single-ascending dose trial involving a total of 56 subjects include:

- LGD-6972 was well-tolerated; there were no clinically significant or dose-dependent changes in hematology, clinical chemistry, urinalysis, ECG or vital signs, and no serious adverse events.
- LGD-6972 was well-absorbed after oral administration; peak plasma concentrations were reached approximately 5 to 8 hours post dose with a long elimination half-life of approximately 50 hours, supporting once-daily dosing.
- After a single dose, LGD-6972 reduced fasting plasma glucose in normal healthy volunteers and in subjects with type 2 diabetes.
- Fasting plasma glucose was reduced by 57 mg/dL (placebo-adjusted) in subjects with type 2 diabetes, suggesting a robust response in this acute study.

"Management of type 2 diabetes is one of the largest and fastest-growing global medical markets, and despite many approved therapies there is enormous need for new mechanisms to treat the disease. We believe that glucagon receptor antagonism is one of the most promising areas of novel research," commented Matthew W. Foehr, Executive Vice President and Chief Operating Officer of Ligand. "We are highly encouraged by the results of this Phase 1 trial, which give us confidence in the continued development of this promising novel therapeutic with once-daily dosing and best-in-class properties. We consider the LGD-6972 program to be one of the most exciting in our portfolio of unpartnered assets."

About Ligand's Glucagon Receptor Antagonist Program

Glucagon is a hormone produced by the pancreas that stimulates the liver to produce glucose (sugar). Overproduction of glucose by the liver is an important cause of high glucose levels in patients with type 2 diabetes and is believed to be due in part to inappropriately elevated levels of glucagon. High glucose levels can cause diabetic complications such as blindness and kidney disease. Glucagon receptor antagonists are

designed to lower glucose levels by reducing the production of glucose by the liver. Glucagon receptor antagonists are novel molecules that have demonstrated a reduction of glucose and hemoglobin A1c in mid-stage clinical trials.

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 our 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 diabetes, hepatitis, muscle wasting, Alzheimer's disease, dyslipidemia, anemia, asthma 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, Onyx Pharmaceuticals (a subsidiary of Amgen Inc.), Merck, Pfizer, Baxter International, Eli Lilly & Co. and Spectrum Pharmaceuticals. Please visit www.captisol.com for more information on Captisol. For more information on Ligand, please visit www.ligand.com.

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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 include statements regarding data analysis and evaluation of LGD-6972 and/or other Glucagon receptor antagonists, utility or potential benefits to patients, plans for continued development and further studies of such compounds. Actual events or results may differ from our expectations. For example, there can be no assurance that other trials or evaluations of LGD-6972 and/or other Glucagon receptor antagonists will be favorable or that they will confirm results of previous studies, that data evaluation will be completed or demonstrate any hypothesis or endpoint, that such compounds will provide utility or benefits to certain patients, that any presentations will be favorably received, that such compounds will be useful with other drugs, that marketing applications will be filed or, if filed, approved, or that clinical or commercial development of these drugs will be initiated, completed or successful or that our rights to LGD-6972 and/or other Glucagon receptor antagonists will not be successfully challenged. The failure to meet expectations with respect to any of the foregoing matters may reduce 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.

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