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Enrollment Completed in Ligand's Phase 2 Trial of LGD-6972 in Type 2 Diabetes

Ligand expects to report topline results in September 2017

SAN DIEGO--(BUSINESS WIRE)-- **Ligand Pharmaceuticals Incorporated (NASDAQ:LGND)** announces the completion of enrollment in the Company's Phase 2 clinical trial with its novel, small-molecule glucagon receptor antagonist LGD-6972 for the treatment of type 2 diabetes mellitus (T2DM). This randomized, double-blind, placebo-controlled study is evaluating the safety and efficacy of LGD-6972 as an adjunct to diet and exercise in subjects with T2DM whose blood glucose levels are inadequately controlled with metformin. The Company expects to report topline results in September 2017.

In this Phase 2 study, subjects with T2DM are being treated with one of three doses of LGD-6972 (5 mg, 10 mg, or 15 mg) or placebo once daily for 12 weeks. The primary endpoint is change from baseline in hemoglobin A1c (HbA1c). Secondary endpoints include change from baseline in fasting plasma glucose, insulin, glucagon and GLP-1, as well as changes in lipids, blood pressure and body weight. In a subset of subjects, an oral glucose tolerance test is also being conducted at baseline and at the end of treatment.

"We are pleased with the rapid enrollment of patients, an accomplishment that enables us to report topline data by the end of the third quarter of 2017, ahead of our timeline projections," said John Higgins, Chief Executive Officer. "Antagonism of the glucagon pathway is one of the most promising new therapeutic approaches for type 2 diabetes, and we believe LGD-6972 has potential valuable therapeutic properties. We look forward to obtaining data later this year, and to exploring potential partnerships for this program, consistent with our shots-on-goal business model."

Based on Phase 1 trial results that were published in *Diabetes, Obesity and Metabolism* in January 2017[1], Ligand believes LGD-6972 holds potential to have promising and differentiating properties given its potency in lowering plasma glucose in patients with T2DM and its preliminary safety profile.

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 T2DM and is believed to be due in part to inappropriately elevated levels of glucagon. Glucagon receptor antagonists (GRA) are designed to lower glucose levels by reducing the production of glucose by the liver. GRAs are novel molecules that have demonstrated a reduction of glucose and HbA1c in mid-stage clinical trials.

Preclinical studies have shown that LGD-6972 is highly potent and selective, that it inhibits glucagon-induced hyperglycemia in both rats and monkeys and that it also significantly

lowers glucose in a mouse model of T2DM. Additionally, LGD-6972 significantly lowered fasting and non-fasting glucose levels in a mouse model of type 1 diabetes and reduced HbA1c, ketone bodies and free fatty acids. LGD-6972 also has been shown to have additive effects when used in combination with insulin therapy and may be useful in an insulin-sparing regimen.

About Diabetes

Diabetes is a growing global epidemic that as of 2015 affected more than 415 million people worldwide[2]. In North America, approximately 44 million people have diabetes[2]. If current trends continue, by 2050 fully 33% of the U.S. population will be affected[3]. People with T2DM either are resistant to the effects of insulin or do not produce enough insulin to maintain a normal glucose level. Sustained high glucose levels can cause diabetic complications such as heart disease, stroke, kidney failure, neuropathy, lower-limb amputations and blindness. Although T2DM is more common in adults, it increasingly affects children as childhood obesity increases. An estimated 90% to 95% of Americans with diabetes have T2DM[4].

The global market for diabetes drugs is expected to nearly double to $68 billion by 2022[5] as treatment paradigms shift toward combination therapies and novel non-insulin drugs. Global sales of the top 10 non-insulin diabetes drugs exceeded $15 billion in 2016 and are expected to increase to $20 billion by 2020[6].

About Ligand Pharmaceuticals

Ligand is a biopharmaceutical company focused on developing or acquiring technologies that help pharmaceutical companies discover and develop medicines. Our business model creates value for stockholders by providing a diversified portfolio of biotech and pharmaceutical product revenue streams that are supported by an efficient and low corporate cost structure. Our goal is to offer investors an opportunity to participate in the promise of the biotech industry in a profitable, diversified and lower-risk business than a typical biotech company. Our business model is based on doing what we do best: drug discovery, early-stage drug development, product reformulation and partnering. We partner with other pharmaceutical companies to leverage what they do best (late-stage development, regulatory management and commercialization) to ultimately generate our revenue. Ligand's Captisol® platform technology is a patent-protected, chemically modified cyclodextrin with a structure designed to optimize the solubility and stability of drugs. OmniAb® is a patent-protected transgenic animal platform used in the discovery of fully human mono-and bispecific therapeutic antibodies. Ligand has established multiple alliances, licenses and other business relationships with the world's leading pharmaceutical companies including Novartis, Amgen, Merck, Pfizer, Celgene, Gilead, Janssen, Baxter International and Eli Lilly.

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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 the timing of the release of topline results from the Phase 2 clinical trial of LGD-6972 with subjects with T2DM, the potential for LGD-6972 to treat patients with T2DM, the potential for LGD-6972 to exhibit best-in-class properties, Ligand's ability to partner the program in the future, the number of patients affected by diabetes, the annual total sales of non-insulin diabetes drugs and the expected future sales of such drugs. Actual events or results may differ from our expectations. For example, patients in the Phase 2 clinical trial could drop out during the course of treatment which require additional enrollment to complete the Phase 2 clinical trial; the timing of the data from our third party clinical contractors could be delayed due to circumstances beyond Ligand's control; Ligand could require additional time to analyze the data prior to release; the clinical trial could fail to reach its primary or secondary endpoints which could result in Ligand's inability to partner the program; and the safety and tolerability data from a new clinical trial in LGD-6972 may conflict with the results of the Phase 1 clinical trials; the number of patients diagnosed with diabetes may be more or fewer than Ligand believes; and the total sales of non-insulin diabetes drugs is dependent on market acceptance of such drugs. 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 important risk factors affecting Ligand can be found in Ligand's prior press releases available at www.ligand.com as well as in Ligand's public periodic filings with the Securities and Exchange Commission, available at www.sec.gov. Ligand disclaims any intent or obligation to update these forward-looking statements beyond the date of this press release, except as required by law. This caution is made under the safe harbor provisions of the Private Securities Litigation Reform Act of 1995.

References

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