March 6, 2018

Ligand Licenses Glucagon Receptor Antagonist Program to Roivant Sciences

LGD-6972 to be a foundational program for Metavant, a new company formed by Roivant to pursue the development of innovative therapies for cardiometabolic diseases

SAN DIEGO--(BUSINESS WIRE)-- **Ligand Pharmaceuticals Incorporated (NASDAQ: LGND)** today announced the signing of a license agreement granting Roivant Sciences exclusive global rights to develop and commercialize LGD-6972, Ligand's glucagon receptor antagonist (GRA). Under the terms of the agreement, Ligand will receive upfront license fees, and is eligible to receive clinical and regulatory milestone payments as well as sales-based milestone payments and royalties. Roivant will be responsible for all costs related to the program, effective immediately. Further details regarding the transaction and an update to Ligand's 2018 guidance are provided in Ligand's Form 8-K being filed today with the Securities and Exchange Commission (SEC).

LGD-6972 is a novel, potent, oral, small-molecule GRA. In September 2017 Ligand announced positive topline results from a Phase 2 clinical study evaluating the efficacy and safety of LGD-6972 as an adjunct to diet and exercise in patients with type 2 diabetes mellitus (T2DM) inadequately controlled on metformin monotherapy. Full data from the Phase 2 trial has been submitted for presentation at the 78th annual Scientific Sessions of the American Diabetes Association being held in Orlando from June 22-26, 2018.

"This global license with Roivant for our diabetes program is another important deal in a long history of success converting our inventions, data and intellectual property into licenses to advance promising medicines and deliver value to our shareholders," said John Higgins, Chief Executive Officer, Ligand Pharmaceuticals. "Roivant is well capitalized and they are assembling an experienced team at Metavant to efficiently drive the program forward. This is a major partnership that has the potential to generate substantial medical value for both type 1 and type 2 diabetes patients. If LGD-6972 is successfully developed, this license with Roivant has the potential to be Ligand's largest financial asset with the possibility of annual royalties into the late 2030s given current and pending IP."

Roivant is a privately-held company that has established multiple subsidiary biopharmaceutical companies focused on distinct disease areas, each with dedicated leadership and development-stage programs. With its affiliates, Roivant has raised more than $2.7 billion in capital to date to fund clinical programs and pursue adjacent business opportunities in healthcare. Roivant recently formed Metavant Sciences to develop LGD-6972 (now RVT-1502) as well as imeglimin (RVT-1501), another novel clinical-stage oral antidiabetic therapy. Metavant is focused on addressing the significant unmet medical needs of patients with cardiometabolic disorders. Roivant is also evaluating additional assets for Metavant's pipeline.

About LGD-6972

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 due in part to inappropriately elevated levels of glucagon. GRAs are designed to lower glucose levels by reducing the production of glucose by the liver. Other small-molecule GRAs have demonstrated a reduction of glucose and hemoglobin A1c (HbA1c) in mid-stage clinical trials, but also produced dose-dependent or significant side effects, such as increases in LDL cholesterol, body weight and blood pressure, that have impeded further clinical development.

LGD-6972 is a small-molecule GRA. Based in part on unique elements of the chemical structure of LGD-6972 compared with other small molecules that have been tested clinically, Ligand believes LGD-6972, if approved, could potentially be a valuable addition to the armamentarium of treatments for diabetes.

LGD-6972 has been studied in preclinical and Phase 1 and Phase 2 clinical studies in subjects with T2DM. Presentations from preclinical studies have shown that LGD-6972 is highly potent and selective and 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 also reduced HbA1c, ketone bodies and free fatty acids. LGD-6972 also was shown in this model to have additive effects when used in combination with insulin therapy, suggesting it may also be useful in an insulin-sparing regimen.

In single- and multiple-dose Phase 1 studies, LGD-6972 demonstrated favorable safety, tolerability and pharmacokinetics in normal healthy volunteers and in subjects with T2DM, and demonstrated a robust, dose-dependent reduction of fasting plasma glucose[1]. Baseline-adjusted glucose values showed dose-dependent effects of LGD-6972 on subjects with T2DM with a maximal decrease of 57 mg/dL after 14 days of treatment. The robust glycemic responses were not associated with dose-related or clinically meaningful changes in hematology, clinical chemistry including liver enzymes and lipids, urinalysis, electrocardiography or vital signs, and no subject experienced a hypoglycemic event during the 14-day treatment or follow-up periods.

Safety and efficacy of LGD-6972 was evaluated in a Phase 2 clinical study as an adjunct to diet and exercise, in subjects with T2DM inadequately controlled on metformin monotherapy. The Phase 2 clinical study achieved statistical significance ($p<0.0001$) in the primary endpoint of change from baseline in HbA1c after 12 weeks of treatment at all doses tested, demonstrating a robust, dose-dependent reduction in HbA1c of 0.90%, 0.92% and 1.20% with 5 mg, 10 mg and 15 mg of LGD-6972, respectively, compared to a 0.15% reduction with placebo. LGD-6972 was safe and well tolerated, with no drug-related serious adverse events and no dose-dependent changes in lipids (including total cholesterol, LDL cholesterol, HDL cholesterol and triglycerides), body weight or blood pressure after 12 weeks of treatment.

About Roivant Sciences

Roivant is dedicated to transformative innovation in healthcare. Roivant focuses on realizing the full potential of promising biomedical research by developing and commercializing novel

therapies across diverse therapeutic areas. Roivant partners with innovative biopharmaceutical companies and academic institutions to ensure that important medicines are rapidly developed and delivered to patients.

Roivant advances its drug pipelines through wholly- or majority-owned subsidiary companies, including Myovant (women's health and endocrine diseases), Axovant (neurology), Urovant (urology), Enzyvant (rare diseases), Dermavant (dermatology) and Metavant (cardiometabolic diseases). Roivant also pursues its mission by incubating and launching innovative healthcare companies operating outside of traditional biopharmaceutical development, including Datavant (healthcare analytics).

Roivant's long-range mission is to reduce the time and cost of developing and delivering new medicines for patients. For more information, please visit www.roivant.com.

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.

Follow Ligand on Twitter @Ligand_LGND.

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 forward-looking statements include comments regarding Roivant's plans to develop LGD-6972; potential payments to Ligand pursuant to the license agreement with Roivant, including the timing of the upfront license fees; the possibility that LGD-6972 could become Ligand's largest financial asset; Roivant's plans to acquire additional assets related to metabolic diseases or to hire additional managers of Metavant; the reporting of additional results from the Phase 2 study at the American Diabetes Association's meeting in June 2018; the potential for LGD-6972 to have best-in-class properties to treat patients with T2DM; whether the Phase 2 study results warrants further clinical evaluation and advancement; and the need for new mechanisms to treat diabetes. Actual results may differ from such forward-looking statements due to risks and uncertainties which may be beyond Ligand's control,

including Roivant may abandon LGD-6972 for any reason and either party may terminate the license agreement; Ligand will be dependent on Roivant to develop LGD-6972 which will be out of Ligand's control; the inherent uncertainty in any drug development program which could fail for a number of reasons beyond our control; the timing of reporting additional details from the Phase 2 study which may be delayed; costs and timing of future clinical trials; the ability of Roivant to enroll patients in a new clinical trial; the expectation that the results from completed clinical trials predict the results of future clinical trials; and as the growth of the population with diabetes and the trends in the market to treat diabetes may not be in line with Ligand's expectations. 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

1. Eric G. Vajda, et al. Pharmacokinetics and pharmacodynamics of single and multiple doses of the glucagon receptor antagonist LGD-6972 in healthy subjects and subjects with type 2 diabetes mellitus, Diabetes Obes Metab 2017; 19(1):24–32.

View source version on businesswire.com:
http://www.businesswire.com/news/home/20180306006683/en/

Ligand Pharmaceuticals Incorporated
Todd Pettingill
(858) 550-7893
investors@ligand.com
or
LHA Investor Relations
Bruce Voss
(310) 691-7100
bvoss@lhai.com

Source: Ligand Pharmaceuticals Incorporated