March 29, 2010

Ligand Presents First-in-Human Phase I Data on Lead SARM Molecule LGD-4033 at the International Congress of Endocrinology

SAN DIEGO-- Ligand Pharmaceuticals Incorporated (NASDAQ: LGND) today announced that data from a Phase I study with its selective androgen receptor modulator (SARM) LGD-4033 was featured today in a poster presentation at the 14th International Congress of Endocrinology in Kyoto, Japan. This Phase I clinical trial was the first study in humans of LGD-4033, and evaluated the safety, tolerability and pharmacokinetic profiles of the molecule in a single escalating dose, double blind, placebo-controlled study in 48 healthy volunteers.

The key findings include:

- LGD-4033 was well tolerated by healthy male volunteers after single oral doses up to 22 mg, the highest dose tested. No serious adverse events (SAE) or clinically significant dose-related adverse events were reported.
- Systemic exposure of LGD-4033 increased proportionally with the dose level after a single oral dose. Sustained systemic exposure was observed with appreciable plasma levels of LGD-4033 detectable a week post-dose. LGD-4033's half-life was consistent with a regimen of once-daily oral dosing.

According to Martin D. Meglasson, Ph.D., Ligand's Vice President of Discovery Research, "This study with LGD-4033 shows encouraging safety and pharmacokinetic data in humans consistent with once-daily oral dosing. Based on this favorable profile, a Phase I multi-dose clinical trial is being conducted. SARMs are promising drugs to treat the serious problem of muscle wasting that occurs in patients with a variety of disorders including frailty, cachexia and sarcopenia in the elderly."

About LGD-4033

LGD-4033 is a non-steroidal selective androgen receptor modulator (SARM), expected to produce the therapeutic benefits of testosterone with improved safety, tolerability and patient acceptance due to tissue-selective mechanisms of action and oral routes of administration. Ligand has discovered several orally active, non-steroidal SARM compounds based on tissue-specific gene expression and other functional, cell-based technologies. LGD-4033 exhibited desirable in vivo efficacy on skeletal muscle and bone measurements in animal models of male hypogonadism and postmenopausal osteoporosis. The clinical applications for SARMs include the treatment of multiple muscle wasting disorders (e.g., sarcopenia,

cachexia and frailty), the treatment of osteoporosis, male hypogonadism and female sexual dysfunction.

To view the poster visit Ligand's Web site at http://investors.ligand.com/events.cfm.

About Ligand Pharmaceuticals

Ligand discovers and develops new drugs that address critical unmet medical needs of patients for a broad spectrum of diseases including hepatitis, muscle wasting, Alzheimer's, inflammatory diseases, anemia, COPD, asthma, rheumatoid arthritis and osteoporosis. Ligand's proprietary drug discovery and development programs are based on advanced cell-based assays, gene-expression tools, ultra-high throughput screening and one of the world's largest combinatorial chemical libraries. Ligand has strategic alliances with major pharmaceutical and biotechnology companies, including GlaxoSmithKline, Merck, Pfizer, Roche, Bristol-Myers Squibb, and Cephalon and more than 30 programs are in various stages of development by its partners.

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 LGD-4033, other SARM-related drugs, market size and potential, LGD-4033's profile, efficacy, potency, selectivity, and 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 LGD-4033 or other potential drugs will progress through clinical development or receive required regulatory approvals within the expected time lines or at all, that 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 LGD-4033, or that LGD-4033 or any drugs 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.

Source: Ligand Pharmaceuticals Incorporated