

## Receptos Initiates Clinical Trials for S1P1 Agonist Program, Aimed at Multiple Sclerosis

### *First subject dosed in Phase 1 Single Ascending and Multiple Ascending Dose Safety Study*

**SAN DIEGO, CA, January 27, 2011** – Receptos, Inc., announced today that their highly selective sphingosine-1-phosphate receptor 1 (S1P1) agonist, RPC1063, has been administered to the first subject in a single-ascending and multiple-ascending dose design Phase 1 clinical safety study. The study is being conducted in healthy male and female volunteers at a single site in the United States under an Investigational New Drug (IND) application recently allowed by the FDA. Receptos is developing RPC1063 as a potential treatment for multiple sclerosis.

The study will generate data to confirm that the characteristics of RPC1063 meet pre-specified pharmacokinetic (PK), pharmacodynamic (PD), and safety criteria. These include half-life determination to support once-per-day dosing, and measures that will focus on extent and speed of reversibility of lymphopenia. Safety features will also include observation of cardiovascular, hepatic, lung, and ocular events. The goal of the Phase 1 study will be to utilize the PK-PD relationship of RPC1063 to accurately select dose levels for Phase 2 evaluation.

“The progression of RPC1063 into Phase 1 development marks the evolution of Receptos into a clinical stage organization. The exceptional performance of the development team at Receptos has been demonstrated by their ability to initiate clinical trials for our lead compound within fourteen (14) months of our Series A funding round,” said Faheem Hasnain, President and Chief Executive Officer of Receptos. “Clearly our forward focus in the RPC1063 clinical program will be to provide meaningful product candidate differentiation to serve the multiple sclerosis market with this potentially best-in-class S1P1 agonist.”

The Phase 1 study is anticipated to conclude in 2011, paving the way for a Phase 2 Proof of Concept (PoC) study in 2012. In addition, RPC1063 may be investigated in other immune-mediated disorders. The Receptos portfolio of proprietary S1P1 agonist compounds also contains candidates that exhibit diverse molecular and therapeutic profiles that may be used to fully exploit the therapeutic potential of the class.

### **About S1P1 Agonists and RPC1063**

S1P1 is a G protein-coupled receptor (GPCR) that binds the lipid signaling molecule sphingosine 1-phosphate (S1P). S1P is a circulating lipid that binds to five GPCRs termed S1P<sub>1-5</sub>. S1P<sub>1</sub> selectively regulates physiological functions in the immune and cardiovascular systems, including immune cell trafficking and the maintenance of endothelial integrity. In the disease state of multiple sclerosis, S1P1 agonism works by selectively sequestering circulating lymphocytes, blunting the underlying autoimmune cause of multiple sclerosis. RPC1063, developed in the labs of Receptos, is a novel, highly selective S1P1 agonist exhibiting picomolar potency that is effective in rodent models of multiple sclerosis, and possesses an excellent safety profile in non-clinical toxicology studies. In addition to selectivity, which reduces the likelihood of “off target” side effects, RPC1063 has an appropriately short half-life to promote rapid reversibility of lymphopenia. Patents supporting RPC1063 were exclusively licensed to Receptos from The Scripps Research Institute (TSRI). The discoveries originated in the NIH Molecular Libraries Probe Production Center at TSRI, which is part of the NIH Common Fund Molecular Libraries initiative (<http://commonfund.nih.gov/molecularlibraries/>).

## About Receptos

Receptos is a biopharmaceutical company developing best- and first-in-class G protein-coupled receptor (GPCR) therapeutic candidates through information-driven drug discovery, including GPCR structure determination. The company's lead program is a best-in-class S1P1 small molecule agonist candidate for autoimmune indications, including multiple sclerosis, which has begun Phase 1 clinical testing. The S1P1 program is supported by the company's proprietary high resolution protein crystal structure of the S1P1 receptor. In November 2009, Receptos completed a \$25 million Series A financing and is supported by a seasoned venture capital syndicate including ARCH Venture Partners, Flagship Ventures, Lilly Ventures and Venrock. Receptos has established partnerships on its GPCR structure determination technology platform with Eli Lilly and the Ortho-MacNeil-Janssen subsidiary of Johnson and Johnson.

For more information please visit us at <http://www.receptos.com>.

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