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Intra-Cellular Therapies Announces the Successful Completion of a Phase IB/II Study Of ITI-007 In Patients with Schizophrenia.

Intra-Cellular Therapies, Inc. today announced the results from a Phase Ib/II clinical trial in patients with schizophrenia with ITI-007, the Company's unique, investigational new drug for the treatment of schizophrenia. The trial met its primary endpoint demonstrating that ITI-007 was safe and well-tolerated in patients with stabilized schizophrenia. In addition, several exploratory endpoints were evaluated. Treatment with ITI-007 yielded important clinical signs consistent with antipsychotic and antidepressant efficacy including a reduction in the total Positive and Negative Syndrome Scale (PANSS) and the Calgary Depression Scale for Schizophrenia (CDSS). These results establish a firm basis for selecting an active dose range for future efficacy trials of ITI-007 in the treatment of patients with acutely exacerbated schizophrenia.

"New and safer drugs are needed to treat the broad spectrum of symptoms seen in patients with schizophrenia. ITI-007 represents an exciting new drug with the potential to treat many of the symptoms that accompany schizophrenia, some of which have been previously unaddressed," said Carol Tamminga, M.D., Professor of Psychiatry at the University of Texas, UT Southwestern Medical Center and leader in the field of schizophrenia research. "Given the novel pharmacology of ITI-007, the potential exists to treat different symptoms by simple dose adjustments as the symptoms of schizophrenia wax and wane over time. Future clinical trials will be designed to extend these initial findings and to demonstrate the unique characteristics of ITI-007."

"We are pleased to have demonstrated such an excellent safety profile of ITI-007 in our target patient population. The demonstration of clinical signals across a broad spectrum of exploratory efficacy measures is also encouraging, especially considering that these patients had clinically stable symptoms at study entry," stated Sharon Mates, Chief Executive Officer of Intra-Cellular Therapies. "We believe that the favorable clinical profile is consistent with ITI-007's unique pharmacology."

SUMMARY OF ITI-007 PHASE IB/II STUDY RESULTS

The Phase Ib/II study was a randomized, double-blind, placebo-controlled, multiple ascending dose study designed to evaluate the safety, tolerability and pharmacokinetic profile of ITI-007 in patients with stable schizophrenia. Exploratory endpoints included clinical assessments to evaluate the symptoms of schizophrenia (PANSS) and symptoms of depression (CDSS). Forty-five patients were randomized to receive ITI-007 or placebo once daily for five days. Oral doses of ITI-007 up to and including 140 mg were found to be safe and well-tolerated with repeated administration in patients with stable schizophrenia who had been withdrawn from their previous antipsychotic medications. In an earlier Phase II sleep efficacy trial, low doses of 1-10 mg ITI-007 were shown to significantly improve sleep in patients with insomnia. In the present study, patients with schizophrenia also anecdotally reported improvements in sleep. Even at the highest doses of ITI-007 tested, all adverse events were mild to moderate. Notably, there were no extrapyramidal side effects (EPS) and no cognitive impairment, side effects that are often observed following treatment with other antipsychotic drugs at high doses. Total cholesterol and triglyceride levels decreased following withdrawal from previous antipsychotic drugs and while being treated with ITI-007. Pharmacokinetic profiles suggest a once-a-day treatment regimen will be sufficient to achieve significant efficacy in this patient population.

In the current study, total PANSS scores improved in this patient population after ITI-007 administration. Furthermore, patients who had been randomized to ITI-007 also exhibited improvements in symptoms of depression and sleep. Although the present study was not powered to demonstrate statistically significant differences in these outcomes, the clinical signals elicited by ITI-007 are encouraging and will be evaluated more fully in future efficacy studies. A previous study in healthy volunteers demonstrated rapid engagement of target brain receptors with ITI-007 and long-lasting brain residency time using positron emission tomography (PET). ITI-007 also showed dose-related increases in occupancy of target brain receptors and transporters that are important for antipsychotic and antidepressant efficacy and for enhancing sleep maintenance, such as dopamine D2 receptors, serotonin 5-HT2A receptors, and serotonin transporters.

ABOUT SCHIZOPHRENIA

Schizophrenia is a major neuropsychiatric disorder that affects over one percent of the world population with an illness that begins in late adolescence and lasts a lifetime. Its best known symptoms are "positive symptoms", which include hallucinations and delusions; but other mental functions are also affected, including social and motivational skills ("negative symptoms") and cognitive behaviors, like inattention and poor memory. Current antipsychotics are effective primarily on reducing positive symptoms but leave negative and cognitive symptoms untouched. Not only are current drugs incompletely effective, but they also have limiting side effects, including troublesome actions on motor function, weight gain, and metabolic symptoms (diabetes and hyperlipidemia), along with sedation, constipation, dizziness, and loss of bladder control. Few people with schizophrenia regain normal psychosocial function. The medical need in this disease area is enormous.

ABOUT ITI-007

ITI-007 is a unique, orally available, investigational drug being developed for the treatment of schizophrenia and other neuropsychiatric diseases such as bipolar disorder, major depressive disorder, sleep maintenance insomnia, and for insomnia associated with psychiatric and neurological disorders, such as depression, post-traumatic stress disorder, traumatic brain injury, Parkinson's disease, Alzheimer's disease and mild cognitive impairment.

ITI-007 has a novel combination of pharmacological properties revealed by CNSProfile™ technology that will define the next generation of antipsychotic drugs. ITI-007 combines potent 5-HT2A receptor antagonism with cell-type-specific modulation of phosphoprotein pathways downstream of dopamine receptors. As a dopamine receptor phosphoprotein modulator (DPPM), ITI-007 has dual properties, acting as a post-synaptic antagonist and as a pre-synaptic partial agonist at D2 receptors with mesolimbic/mesocortical selectivity. ITI-007 also stimulates phosphorylation of glutamatergic NMDA NR2B receptors, in a mesolimbic specific manner downstream of D1 receptor intracellular signaling. This regional selectivity in brain areas thought to mediate the efficacy of antipsychotic drugs together with a broad serotonergic, glutamatergic, and dopaminergic approach is expected to result in superior antipsychotic efficacy for positive, negative and cognitive symptoms associated with schizophrenia. Also unusual among antipsychotic drugs is ITI-007's serotonin reuptake inhibition at clinically relevant doses providing antidepressant efficacy as well as antipsychotic efficacy. The unique combination of ITI-007's high-potency blockade of 5-HT2A receptors and DPPM activity should allow a personalized approach to patient treatment by making it possible for the first time, to select a clinical dose capable of saturating 5-HT2A receptors while permitting the "dialing in" of an optimal amount of dopamine receptor modulation by simple dose adjustments using a single drug. At low doses, ITI-007 improves sleep in patients suffering from insomnia characterized by difficulty maintaining sleep throughout the night, without producing daytime sedation. In contrast to most other antipsychotic medications, ITI-007 is non-sedating, increasing sleep and decreasing wakefulness during the night with no daytime drowsiness or next-day hangover effects. At higher doses, the ability to optimize the level of dopamine receptor modulation holds promise for the reduction of acute as well as chronic psychotic symptoms in patients with schizophrenia without incurring motor disturbances and other deleterious side effects. Importantly, ITI-007 also has a low propensity, much lower than currently marketed antipsychotic drugs, to bind receptors that mediate deleterious cardiovascular events, profound sedation and rapid and significant weight gain. In addition, the wide separation of affinity at 5-HT2A and D2 receptors may allow for administration of the appropriate amount of dopamine modulation for antipsychotic maintenance therapy and the treatment of bipolar disorder, posttraumatic stress disorder, behavioral disturbances in Alzheimer's disease, and autism. The serotonin reuptake inhibition allows for additional antidepressant efficacy for the treatment of schizoaffective disorder, co-morbid depression, and/or as a stand-alone treatment for major depressive disorder (MDD).

ABOUT INTRA-CELLULAR THERAPIES

Intra-Cellular Therapies, Inc. (ITI) is a biopharmaceutical company developing novel drugs for the treatment of diseases and disorders of the Central Nervous System (CNS). Building on the science generated from the Nobel Prize winning laboratory of Dr. Paul Greengard at The Rockefeller University, the Company develops compounds that have the potential to treat a wide range of diseases associated with the CNS, including schizophrenia, sleep disorders, Parkinson's and Alzheimer's diseases, cognitive deficits in schizophrenia, depression and female sexual dysfunction, and other disorders pertaining to Women's Health. To aid in the development process, ITI incorporates its CNSProfile\0xAA, a state-of-the-art platform that allows the Company to choose compounds with the strongest potential to succeed in these difficult to treat diseases.

ABOUT CNSProfile™

Intra-Cellular Therapies has developed a state-of-the-art technology platform, called CNSProfile™, that is capable of generating a unique molecular signature for drug compounds. Specifically, CNSProfile measures the levels of phosphoproteins, proteins chemically linked at specific sites to phosphates. This profile provides the Company with a proprietary and unique window into the intracellular action of CNS drugs or drug candidates. ITI uses this platform in its drug discovery and development efforts of proprietary compounds and also to evaluate in-licensing opportunities.

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