

Intra-Cellular Therapies Presents Preclinical Data From Schizophrenia and Sleep Maintenance Programs.

Intra-Cellular Therapies, Inc. (ITI) presented preclinical data on ITI-007, its first in class dual 5HT 2A receptor antagonist/dopamine receptor phosphoprotein modulator (DPPM) at a major medical meeting last week. The Company is developing ITI-007 for the treatment of schizophrenia and other related psychiatric conditions.

In this presentation, ITI-007 was shown to possess a unique pharmacologic profile, unlike any other existing antipsychotic drug. As a dopamine protein phosphorylation modulator, ITI-007 normalizes brain dopamine activity. At much lower doses and concentrations, ITI-007 selectively blocks 5-HT_{2A} receptors. Both of these actions are important for antipsychotic drug action.

"We believe the large separation between activity at dopamine and serotonin receptors will allow a personalized approach to patient treatment for schizophrenia providing an ability to 'dial-in' the optimal D₂ receptor occupancy on an individual basis. This personalized approach will allow physicians to tailor doses to achieve optimal antipsychotic efficacy without inducing motoric or other side effects," stated Sharon Mates, Ph.D., Chairman and Chief Executive Officer of Intra-Cellular Therapies.

In vivo, ITI-007 acted as a partial agonist at pre-synaptic dopamine receptors. For example, ITI-007 provoked an intracellular phosphorylation pattern consistent with the activity of a partial agonist at pre-synaptic dopamine receptors, thereby preserving normal dopamine metabolism. Furthermore, in the prefrontal cortex, a brain region profoundly affected in patients with schizophrenia, ITI-007's effects on extracellular concentrations of dopamine were consistent with a partial dopamine agonist profile.

The Company's presentation demonstrated ITI-007 also has an affinity for the serotonin reuptake site, an activity that potentially may be beneficial in treating affective disorders.

ITI's CNSProfile™ has shown, unlike some atypical antipsychotics, ITI-007 does not exhibit significant potency for a variety of other targets that have been implicated in a range of dose-limiting side effects of antipsychotic drugs. ITI-007 does not interact with muscarinic or histaminergic receptors and has a reduced affinity for adrenergic receptors relative to other antipsychotic drugs and relative to its potency at 5HT 2A receptors.

About ITI-007

ITI-007 is an orally available compound that combines potent 5HT 2A receptor antagonism with cell-type-specific modulation of phosphoprotein pathways downstream of dopamine receptors. As a dopamine receptor protein phosphorylation modulator (DPPM), ITI-007 has dual properties; it acts as a post-synaptic antagonist and as a pre-synaptic partial agonist. The combination of ITI-007's high-potency blockade of 5HT 2A receptors and unique dopamine receptor activity will make it possible for the first time, to select a clinical dose capable of saturating 5HT 2A receptors while permitting the "dialing in" of an optimal amount of dopamine receptor modulation by simple dose adjustments using a single drug. The ability to optimize the level of dopamine receptor modulation holds promise for the reduction of psychotic symptoms without incurring high levels of dopamine antagonism that cause motor disturbances and other deleterious side effects. In addition, the wide separation of affinity at 5HT 2A and D₂ receptors may allow for administration of the appropriate amount of dopamine modulation for antipsychotic maintenance therapy and the treatment of bipolar disorders.

ITI-007 has a much lower propensity than several currently marketed antipsychotic drugs to interact with receptors that mediate deleterious cardiovascular events, sedation, and rapid and significant weight gain.

About Schizophrenia

Schizophrenia is a major neuropsychiatric disorder that affects over 1% 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 active, 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.

CNSProfile™

The Company 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. Intra-Cellular Therapies uses this platform in its drug discovery and development efforts of proprietary compounds and also to evaluate in-licensing opportunities.

About Intra-Cellular Therapies

Intra-Cellular Therapies, Inc. (ITI), is a biopharmaceutical company that is 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 disease, cognitive deficits in schizophrenia, depression, and female sexual dysfunction and other disorders pertaining to Women's Health. To aid in the development process, the Company incorporates its CNSProfile™, a state-of-the-art platform that allows ITI to choose compounds with the strongest potential to succeed in these difficult to treat diseases.

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