Haloperidol analog medication for the treatment of schizophrenia without concomitant side effects

Schizophrenia medication that has therapeutic benefits without Parkinson-like side effects

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Inventors
Seth Ablordeppey, Ph.D.
Donald M.N. Sikazwe, Ph.D.

Key Features
- Halperidol analog without Parkinson-like side effects
- Positive effects of atypical antipsychotics without negative side effects, including weight gain/Type II diabetes

Field
Pharmaceutical

Technology
Schizophrenia medication with decreased negative side effects

Stage of Development
Pre-clinical development

Status
Seeking research & development and/or licensing partner

Patent Status
Issued Patents:
7,700,587

Technology

This invention, SYA013, exhibits haloperidol-like effects on controlling schizophrenia without the long-term Parkinson-like side effects associated with this typical antipsychotic. Haloperidol is converted to a quaternary pyridinium metabolite (BCPP+ or HPP+) that, based on its similarity to MPP+, may possess a potential to cause irreversible Parkinson-like side effects. SYA013 replaces the piperidine ring in haloperidol with bioisosteric equivalents, which could not undergo *in vivo* biotransformation to pyridinium species known to be associated with neuronal toxicity and Parkinson-like side effects. In studies, SYA013 showed the same propensity to induce catalepsy as clozapine.

Furthermore, the binding profile of SYA013 to certain receptors indicates its diminished propensity to induce weight gain and/or Type II diabetes associated with the atypical antipsychotics currently in use. In an evaluation of neurotransmitter uptake transporters, SYA013 has very weak binding affinity for dopamine, indicating a much lower potential to induce cocaine-like adverse properties.

Potential Application

Both typical and atypical antipsychotics are effective for treating the positive symptoms of schizophrenia. Typical antipsychotics, such as haloperidol, are not effective at treating the negative symptoms of schizophrenia such as low motivation and cognitive dysfunction. Moreover, typical antipsychotic medications have side effects such as muscle spasms, restlessness, and Parkinson-like symptoms. Side effects of atypical antipsychotic medications, such as clozapine, include weight gain, diabetes and high blood cholesterol.

This technology combines the antipsychotic benefits of haloperidol and the therapeutic elements of clozapine. The invention may be used in the form of a tablet, powder, lozenges, syrup, aerosol as well as other delivery options

Opportunity

Schizophrenia affects approximately 1.1% of the U.S. adult population. In 2008, the global market value of schizophrenia medications exceeded $15 billion and is expected to surpass $17 billion by 2015.

Status

This invention has shown promising results from *in vitro* and *in vivo* studies. Florida A&M University is looking for a partner for further research & development and/or licensing of this technology.

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INVENTORS

Dr. Seth Y. Ablordeppey is currently serving as Interim Dean and Professor of Medicinal Chemistry, Division Director of Basic Pharmaceutical Sciences at Florida A&M University. He has over 70 scientific publications in the areas of transdermal delivery and oncology research.

Dr. Donald M.N. Sikazwe is currently a Research Associate at Florida A&M University.

EDUCATION

Seth Y. Ablordeppey, Ph.D.
B.S. University of Cape Coast, Ghana
M.S. University of Science & Technology, Ghana
Ph.D. University of Mississippi

Donald M.N. Sikazwe, Ph.D.
B.S. Edward Waters College
M.S. University of Florida
Ph.D. Florida A&M University

SPECIALTY

Dr. Ablordeppey is focused on targeted drug design and synthesis of small molecules for CNS receptors and natural products as leads in drug development. He has also studied the computer-aided design of novel anti-infective and antipsychotic agents.

Dr. Sikazwe's expertise includes the design, synthesis, characterization, and evaluation of dopamine-2/dopamine-4 receptor antagonists as potential antipsychotics.

RECENT PUBLICATIONS

Boateng CA, Eyunni SVK, Zhu XY, Etukala J R, Bricker BA, Ashfaq MK, Jacob MR, Khan S I, Walker LA, Ablordeppey SY. Benzothieno[3,2-b] quinolinium and 3-(phenylthio)-quinolinium compounds: Synthesis and evaluation against opportunistic fungal pathogens, Bioorg. Med. Chem. 2011; 19:458-70, NIHMSID: NIHMS277330.

Mazu TK, Etukala JR, Eyunni SK, Zhu XY, Jacob MR, Khan SI, Walker LA, Ablordeppey, SY. Identification of 3-phenylaminoquinolinium and 3-phenylaminopyridinium salts as new agents against AIDS-related opportunistic pathogens. Bioorg. Med. Chem. 2011; 19:524-33. NIHMSID: NIHMS277333.