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Novel Dihydroquinazoline-Derived Memapsin 2 Inhibitors for Treatment of Alzheimer's Disease

Novel, high-potency dihydroquinazoline derivatives have been developed to inhibit beta-secretase, showing improved solubility and oral bioavailability for potential Alzheimer's disease treatment.

Alzheimer's disease is a progressive mental deterioration, resulting in loss of memory, confusion and disorientation, and other behavioral problems. Unfortunately, no definitive cure has been developed to treat this disease. Beta-secretase, an important enzyme in the development and onset of Alzheimer's disease, contributes to the formation of amyloid-beta peptides that aggregate in the brain of Alzheimer's patients. Blocking beta-secretase is a potential strategy for the treatment of Alzheimer's disease.

Researchers at Purdue University have developed novel compounds that inhibit beta-secretase for Alzheimer's treatment. By focusing on a known dihydroquinazoline scaffold, a series of novel dihydroquinazoline derivatives were synthesized and evaluated. Several compounds in this series were shown to exhibit better beta-secretase cellular inhibitory activity compared to current compounds reported in literature. These compounds show increased potency, improved aqueous solubility, increased metabolic stability, and improved oral bioavailability.

Advantages:

-Improved beta-secretase cellular inhibition

-Increased metabolic stability

Potential Applications:

-Medical/Health

-Pharmaceutical industry

TRL: 2

Technology ID
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Category
Pharmaceuticals/Small Molecule Therapeutics
Pharmaceuticals/Drug Delivery & Formulations

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Intellectual Property:

Provisional-Patent, 2008-11-20, United States | Provisional-Patent, 2009-05-05, United States | NATL-Patent, 2009-11-20, European Patent | NATL-Patent, 2009-11-20, Canada | PCT-Patent, 2009-11-20, WO | NATL-Patent, 2009-11-20, Japan | NATL-Patent, 2009-11-20, India | Utility Patent, 2011-09-22, United States | Provisional-Patent, 2012-08-30, United States | PCT-Patent, N/A, WO

Keywords: Alzheimer's disease treatment, Beta-secretase inhibitor, amyloid-beta peptides, progressive mental deterioration, dihydroquinazoline scaffold, dihydroquinazoline derivatives, cellular inhibitory activity, improved aqueous solubility, increased metabolic stability, oral bioavailability, Alzheimer's Disease, Drug Development, Medical/Health, Pharmaceuticals