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Rivastigmine-d_3 hydrochloride

Cat. No.: HY-17368S2

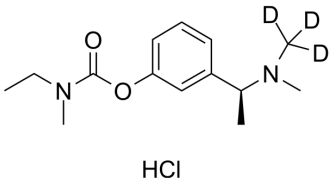
Molecular Formula: $C_{14}H_{20}D_3ClN_2O_2$

Molecular Weight: 289.82

Target: Cholinesterase (ChE); Isotope-Labeled Compounds

Pathway: Neuronal Signaling; Others

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Rivastigmine-d_3 hydrochloride is deuterated labeled Rivastigmine (HY-17368). Rivastigmine (ENA 713 free base) is an orally active and potent cholinesterase (ChE) inhibitor and inhibits butyrylcholinesterase (BChE) and acetylcholinesteras (AChE) with IC_{50}s of 0.037 μM , 4.15 μM, respectively. Rivastigmine can pass the blood brain barrier (BBB). Rivastigmine is a parasympathomimetic or cholinergic agent used for the research of mild to moderate dementia of the Alzheimer's type and dementia due to Parkinson's disease[1][2].

In Vitro

Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1].

Rivastigmine (ENA 713 (free base); 1 μM; 24 hours) reduces LPS (2.5 μg/ml)-induced TNF-α and IL-6 by 50% and 46% combined with carbachol (10 μM), respectively and does not cause any significant reduction in pro-inflammatory cytokines [4].

Rivastigmine (1 μM), carbachol (10 μM), or a combination of both drugs, does not have a cytotoxic effect on activated cells[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Rivastigmine (ENA 713 free base; 0.5-2.5 mg/kg; IP; 60 min before the tests) significantly and dose-dependently improved the behavioral impairments caused by Aluminum (HY-B1521)[5].

Rivastigmine (0.5, 1 mg/kg/day; s.c; for 8 days) reduces by about 50% and 60% respectively, the concentration of IL-6 but not those of TNF-α and IL-1β in BALB/c OlaHsd male mice aged 8-9 weeks weighing 200–250 g with acute colitis[4].

Rivastigmine (1 mg/kg), but not (0.5 mg/kg), partially antagonized colon shrinkage and completely prevented bleeding. Treatment with rivastigmine (0.5 mg/kg) causes little change in these pathological manifestations, but rivastigmine (1 mg/kg) causes a partial restoration of the structure of the crypts and a reduction in sub-mucosal edema and cell infiltration.

Rivastigmine (1 mg/kg) causes a 4.7% reduction in body weight at the end of the experiment[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Qian-Sheng Yu, et al. Anticholinesterase activity of compounds related to geneserine tautomers. N-Oxides and 1,2-oxazines. J Med Chem. 2002 Aug 15;45(17):3684-91.

[2]. Helena Shifrin, et al. Rivastigmine alleviates experimentally induced colitis in mice and rats by acting at central and peripheral sites to modulate immune responses. PLoS One. 2013;8(2):e57668.

[3]. Han HJ, Lee JJ, Park SA et al. Efficacy and safety of switching from oral cholinesterase inhibitors to the rivastigmine transdermal patch in patients with probable Alzheimer's disease. J Clin Neurol. 2011 Sep;7(3):137-42.

[4]. Raafat A Abdel-Aal, et al. Rivastigmine reverses aluminum-induced behavioral changes in rats. Eur J Pharmacol. 2011 Jun 1;659(2-3):169-76.

[5]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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