Rivastigmine

Cat. No.: HY-17368

CAS No.: 123441-03-2

Molecular Formula: $C_{14}H_{22}N_2O_2$

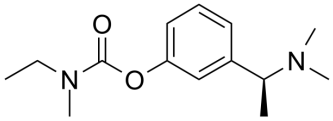
Molecular Weight: 250.34

Target: Cholinesterase (ChE)

Pathway: Neuronal Signaling

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (199.73 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.9946 mL	19.9728 mL	39.9457 mL
5 mM	0.7989 mL	3.9946 mL	7.9891 mL
10 mM	0.3995 mL	1.9973 mL	3.9946 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (9.99 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.99 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rivastigmine (S-Rivastigmine) 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].

IC_{50} & Target

IC50: 0.037 μM (BChE) and 4.15 μM (AChE)[1]

In Vitro	Rivastigmine (S-Rivastigmine; 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 [3]. Rivastigmine (1 μM), carbachol (10 μM), or a combination of both drugs, does not have a cytotoxic effect on activated cells[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Rivastigmine (S-Rivastigmine; 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)[4]. 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[3]. 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[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar albino rats weighing 190–240 g (90 days old)[4]
Dosage:	0.5, 1, 1.5 and 2.5 mg/kg
Administration:	IP; single dose
Result:	Significantly and dose-dependently improved the behavioral impairments caused by Aluminum (100 mg/kg/day; i.p.; for 60 days)

CUSTOMER VALIDATION

- Adv Sci (Weinh). 2021 Oct 31;e2100808.

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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]. 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.

[3]. 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.

[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.

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