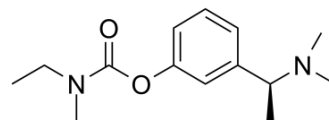


Rivastigmine

Cat. No.:	HY-17368	
CAS No.:	123441-03-2	
Molecular Formula:	C ₁₄ H ₂₂ N ₂ O ₂	
Molecular Weight:	250.34	
Target:	AChE	
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.

Concentration	Mass		
	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

- 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
- 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
- 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 acetylcholinesterase (AChE) with IC₅₀s 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]}.

IC₅₀ & Target

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

<p>In Vitro</p>	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
<p>In Vivo</p>	<p>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].</p> <p>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].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="347 659 1515 932"> <tr> <td data-bbox="347 659 618 722">Animal Model:</td> <td data-bbox="618 659 1515 722">Male Wistar albino rats weighing 190–240 g (90 days old)^[4]</td> </tr> <tr> <td data-bbox="347 722 618 785">Dosage:</td> <td data-bbox="618 722 1515 785">0.5, 1, 1.5 and 2.5 mg/kg</td> </tr> <tr> <td data-bbox="347 785 618 848">Administration:</td> <td data-bbox="618 785 1515 848">IP; single dose</td> </tr> <tr> <td data-bbox="347 848 618 932">Result:</td> <td data-bbox="618 848 1515 932">Significantly and dose-dependently improved the behavioral impairments caused by Aluminum (100 mg/kg/day; i.p.; for 60 days)</td> </tr> </table>	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)
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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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