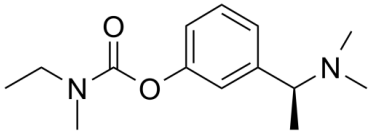
Data Sheet

Product Name:	Rivastigmine
Cat. No.:	CS-0946
CAS No.:	123441-03-2
Molecular Formula:	$C_{14}H_{22}N_2O_2$
Molecular Weight:	250.34
Target:	AChE
Pathway:	Neuronal Signaling
Solubility:	DMSO : ≥ 50 mg/mL (199.73 mM)



BIOLOGICAL ACTIVITY:

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]. IC50 & 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].
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].

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.

CAIndexNames:

Carbamic acid, N-ethyl-N-methyl-, 3-[(1S)-1-(dimethylamino)ethyl]phenyl ester

SMILES:

O=C(N(C)CC)OC1=CC=CC([C@H](C)N(C)C)=C1

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

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