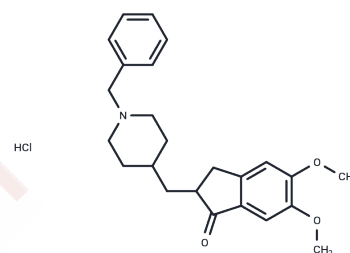


Donepezil Hydrochloride

Chemical Properties

CAS No. :	120011-70-3
Formula:	C ₂₄ H ₂₉ NO ₃ ·HCl
Molecular Weight:	415.96
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Donepezil HCl(Aricept) is a selective and effective AChE inhibitor for bAChE and hAChE (IC ₅₀ : 8.12/11.6 nM).
Targets(IC ₅₀)	AChR,Cholinesterase (ChE)
In vitro	Donepezil inhibits the carbachol-stimulated increase in intracellular Ca ²⁺ concentration in human SHSY5Y neuroblastoma cells in a concentration dependent manner, indicating that Donepezil have muscarinic antagonist activity. [2] A recent study shows that Donepezil can protect human umbilical vein endothelial cells (HUVECs) against Water2-induced cell injury. This may be useful as a potential therapy for oxidative stress in cardiovascular and cerebrovascular diseases. [3]
In vivo	Intraperitoneal administration of Donepezil in rats produces a dose dependent increase in salivation and tremor, which are overt cholinergic behavioural signs, with an ED ₅₀ of 6 µmol/kg. Donepezil is found to be somewhat less potent with a ED ₅₀ of 50 µmol/kg following oral administration. [2]. When administered separately in vivo, 5-HT(4) receptor inducer, RS67333 (0.3 and 1 mg/kg) and Donepezil (1 mg/kg) improves recognition performances compared to saline treated mice, while co-administration of subactive doses of RS67333 (0.1 mg/kg) and Donepezil (0.3 mg/kg) improves memory. However, this improvement is prevented if a 5-HT(4)R antagonist (GR125487, 10 mg/kg) is also administered. [4]
Kinase Assay	[³ H]-Pyrilamine binding to histamine (H ₁) receptors in guinea pig cerebellum membranes.: Antagonists are incubated with guinea pig cerebellum membranes (0.6 mg/ml) and [³ H]-pyrilamine (1.2 nM) in 0.5 ml 50 mM PBS, pH 7.5, for 30 min at 25 °C. The incubation is ended by the addition of 5 ml of ice-cold PBS containing 2 µM pyrilamine and the collection of membranes on Whatman GF/B filters. Then the filters are washed with 3 × 5 ml of ice-cold PBS plus 2 µM pyrilamine and transferred to counting vials. The radioactivity retained by each filter is measured by liquid scintillation counting in 3 ml of HiSafe 3. Specific binding is determined from the difference between the [³ H]-pyrilamine bound in the absence and in the presence of a large molar excess (10 µM) of unlabeled promethazine.

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 4.2 mg/mL (10.1 mM), Sonication is recommended. H ₂ O: 31.2 mg/mL (75.01 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	Saline: 5.82 mg/mL (13.99 mM), Solution. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4041 mL	12.0204 mL	24.0408 mL
5 mM	0.4808 mL	2.4041 mL	4.8082 mL
10 mM	0.2404 mL	1.202 mL	2.4041 mL
50 mM	0.0481 mL	0.2404 mL	0.4808 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ogura H, et al. *Methods Find Exp Clin Pharmacol*. 2000, 22(8), 609-613.

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Huang ZH, et al. *CNS Neurosci Ther*. 2012, 18(2), 185-187.

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