Donepezil

Cat. No.: HY-14566

CAS No.: 120014-06-4

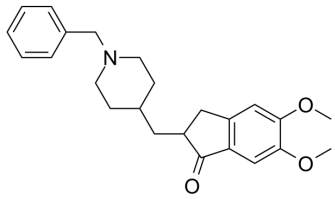
Molecular Formula: $C_{24}H_{29}NO_3$

Molecular Weight: 379.49

Target: Cholinesterase (ChE)

Pathway: Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (87.83 mM; Need ultrasonic)

H_2O : 2 mg/mL (5.27 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6351 mL	13.1756 mL	26.3512 mL
	5 mM	0.5270 mL	2.6351 mL	5.2702 mL
	10 mM	0.2635 mL	1.3176 mL	2.6351 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 (6.59 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.59 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Donepezil (E2020 free base) is a specific and potent AChE inhibitor with IC_{50}s of 8.12 nM and 11.6 nM for bovine AChE and human AChE, respectively[1].

In Vitro

Donepezil (E2020 free base) inhibits the carbachol-stimulated increase in intracellular Ca2+ concentration in human SHSY5Y neuroblastoma cells in a concentration dependent manner, indicating that Donepezil have muscarinic antagonist activity. Intraperitoneal administration of Donepezil in rats produces a dose dependent increase in salivation and tremor, which are overt cholinergic behavioural signs, with an ED50 of 6 μmol/kg. Donepezil is found to be somewhat less potent with a ED50

	of 50 μmol/kg following oral administration[2]. A recent study shows that Donepezil can protect human umbilical vein endothelial cells (HUVECs) against H2O2-induced cell injury. This may be useful as a potential therapy for oxidative stress in cardiovascular and cerebrovascular diseases[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Clin Transl Med. 2021 May 28.
- Comput Struct Biotec. 2023 Feb 24.
- Foods. 2022, 11(14), 2095.
- J Integr Neurosci. 2023 May 16, 22(3), 76.
- Patent. US20210378961A1.

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REFERENCES

[1]. Ogura, H., et al., Comparison of inhibitory activities of donepezil and other cholinesterase inhibitors on acetylcholinesterase and butyrylcholinesterase in vitro. Methods Find Exp Clin Pharmacol, 2000. 22(8): p. 609-13.

[2]. Snape, M.F., et al., A comparative study in rats of the in vitro and in vivo pharmacology of the acetylcholinesterase inhibitors tacrine, donepezil and NXX-066. Neuropharmacology, 1999. 38(1): p. 181-93.

[3]. Huang, Z.H., et al., Donepezil protects endothelial cells against hydrogen peroxide-induced cell injury. CNS Neurosci Ther, 2012. 18(2): p. 185-7.

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