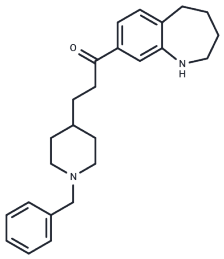
Data Sheet (Cat.No.T38895)

TargetMol

Zanapezil free base

Chemical Properties



CAS No. : 142852-50-4

Formula: C25H32N2O

Molecular Weight: 376.544

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	Zanapezil (TAK-147) is a powerful and selective inhibitor of acetylcholine esterase (AChE). It demonstrates significant and reversible inhibition of AChE activity in rat cerebral cortex homogenates (IC50 = 51.2 nM). Furthermore, Zanapezil exhibits moderate inhibition of muscarinic M1 and M2 receptor binding with Ki values of 234 and 340 nM, respectively. This compound holds promise for the investigation of the initial stages of Alzheimer's disease (AD).
Targets(IC50)	Others,Cholinesterase (ChE)
In vitro	Zanapezil (TAK-147) free base is a potent, reversible acetylcholinesterase (AChE) inhibitor with an IC50 of 51.2 nM in rat cerebral cortex homogenates, demonstrating 3.0 and 2.4 times higher efficacy than tacrine and physostigmine, respectively. It minimally inhibits butyrylcholinesterase in rat plasma (IC50 of 23,500 nM), moderately inhibits noradrenaline and serotonin uptake (IC50 values of 4020 nM and 1350 nM, respectively), and affects ligand binding to alpha-1, alpha-2, and serotonin 2 receptors (Ki values of 324, 2330, and 3510 nM, respectively)[1].
In vivo	Administering Zanapezil (TAK-147), as a free base orally at 3 mg/kg, significantly increased the turnover rates of dopamine, noradrenaline, and serotonin in the rat brain, while doses from 1 to 10 mg/kg led to a statistically significant, dose-dependent reduction in AChE activity in the rat cerebral cortex, as observed in ex vivo experiments [1]. Additionally, Zanapezil at doses of 5 and 10 mg/kg notably raised acetylcholine (ACh) levels in the ventral hippocampus (VH) for 120 minutes in male Wistar rats aged 7 weeks (230-240 g)[2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6558 mL	13.2788 mL	26.5576 mL
5 mM	0.5312 mL	2.6558 mL	5.3115 mL
10 mM	0.2656 mL	1.3279 mL	2.6558 mL
50 mM	0.0531 mL	0.2656 mL	0.5312 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

K Hirai, et al. Neurochemical effects of 3-[1-(phenylmethyl)-4-piperidinyl]-1-(2,3,4,5-tetrahydro-1H-1-benzazepin-8-yl)-1-propanone fumarate (TAK-147), a novel acetylcholinesterase inhibitor, in rats. J Pharmacol Exp Ther. 1997 Mar;280(3):1261-9.

Izzettin Hatip-Al-Khatib,et al. Comparison of the effect of TAK-147 (zanapezil) and E-2020 (donepezil) on extracellular acetylcholine level and blood flow in the ventral hippocampus of freely moving rats. Brain Res. 2004 Jun 25;1012(1-2):169-76.