Zanapezil free base

Cat. No.: HY-19651

CAS No.: 142852-50-4

Molecular Formula: $C_{25}H_{32}N_2O$

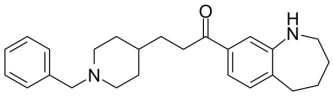
Molecular Weight: 376.53

Target: Cholinesterase (ChE)

Pathway: Neuronal Signaling

Storage: -20°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.67 mg/mL (17.71 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6558 mL	13.2792 mL	26.5583 mL
	5 mM	0.5312 mL	2.6558 mL	5.3117 mL
	10 mM	0.2656 mL	1.3279 mL	2.6558 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: ≥ 1.67 mg/mL (4.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.67 mg/mL (1.78 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.67 mg/mL (1.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zanapezil (TAK-147) free base is a potent, reversible and selective acetylcholine esterase (AChE) inhibitor. Zanapezil free base shows a potent and reversible inhibition of AChE activity in homogenates of the rat cerebral cortex (IC_{50}=51.2 nM). Zanapezil free base shows a moderate inhibition of muscarinic M1 and M2 receptor binding with K_i values of 234 and 340 nM, respectively. Zanapezil free base can be used for the research of early stages of Alzheimer's disease (AD)[1].

In Vitro

Zanapezil (TAK-147) free base shows a potent and reversible inhibition of AChE activity in homogenates of the rat cerebral cortex (IC_{50}=51.2 nM), and is 3.0- and 2.4-fold more potent than tacrine and physostigmine, respectively. Zanapezil free base is the least potent inhibitor of butyrylcholinesterase activity in rat plasma (IC_{50}=23,500 nM)[1].
Zanapezil free base moderately inhibits uptake of noradrenaline and serotonin with IC_{50} values of 4020 and 1350 nM,

	respectively[1]. Zanapezil free base also inhibits ligand binding at alpha-1, alpha-2 and serotonin 2 receptors with K_i values of 324, 2330 and 3510 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral administration of Zanapezil (TAK-147; 3 mg/kg) free base significantly accelerated the turnover rates of dopamine, noradrenaline and serotonin in the rat brain. Oral administration of Zanapezil free base at doses ranging from 1 to 10 mg/kg induces a statistically significant and dose-dependent decrease in AChE activity in the cerebral cortex in ex vivo experiments [1]. Zanapezil (TAK-147; 5 and 10 mg/kg) free base significantly increases ACh level in the ventral hippocampus (VH) for 120 min [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats 7 weeks in age (230-240 g)[2]
Dosage:	5 and 10 mg/kg
Administration:	Oral administration
Result:	Increased acetylcholine (ACh) level in the VH for 120 min.

REFERENCES

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

[2]. 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.

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