Zanapezil

Cat. No.: HY-19651A

CAS No.: 142852-51-5

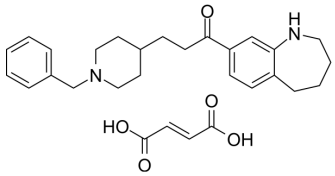
Molecular Formula: $C_{29}H_{36}N_2O_5$

Molecular Weight: 492.61

Target: Cholinesterase (ChE)

Pathway: Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Zanapezil (TAK-147) is a potent, reversible and selective acetylcholine esterase (AChE) inhibitor. Zanapezil shows a potent and reversible inhibition of AChE activity in homogenates of the rat cerebral cortex (IC_{50}=51.2 nM). Zanapezil shows a moderate inhibition of muscarinic M1 and M2 receptor binding with K_i values of 234 and 340 nM, respectively. Zanapezil can be used for the research of early stages of Alzheimer's disease (AD)[1][2].
IC_{50} & Target	AChE
In Vitro	Zanapezil (TAK-147) 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 is the least potent inhibitor of butyrylcholinesterase activity in rat plasma (IC_{50}=23,500 nM)[1]. Zanapezil moderately inhibits uptake of noradrenaline and serotonin with IC_{50} values of 4020 and 1350 nM, respectively[1]. Zanapezil 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) significantly accelerated the turnover rates of dopamine, noradrenaline and serotonin in the rat brain. Oral administration of Zanapezil 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) 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.

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.

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

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