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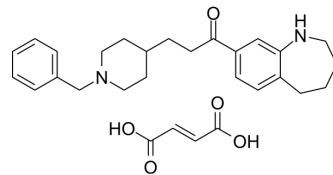
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Zanapezil

| | |
|--------------------|---|
| Cat. No.: | HY-19651A |
| CAS No.: | 142852-51-5 |
| Molecular Formula: | C ₂₉ H ₃₆ N ₂ O 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 ($IC_{50}=51.2\text{ nM}$). 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 ($IC_{50}=51.2\text{ nM}$), 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 ($IC_{50}=23,500\text{ nM}$) ^[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-benzazepin-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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