

Benztropine mesylate

Chemical Properties

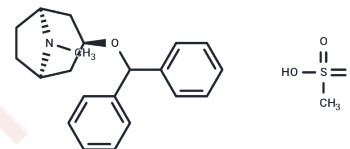
CAS No. : 132-17-2

Formula: C₂₁H₂₅NO·CH₄SO₃

Molecular Weight: 403.53

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	Benztrapine mesylate (Benztrapine methanesulfonate) is a centrally active muscarinic antagonist that has been used in the symptomatic treatment of PARKINSON DISEASE. Benztrapine also inhibits the uptake of dopamine.
Targets(IC50)	AChR,Histamine Receptor,Dopamine Receptor
In vitro	At doses of 5 mg/kg and 25 mg/kg, Benztrapine increases the levels of extracellular dopamine in the striatum of rats in a dose-dependent manner. A daily dose of 3 mg of Benztrapine effectively improves tremor and motor scores in patients with Parkinson's disease on the Unified Parkinson's Disease Rating Scale without causing adverse effects such as leukopenia.
In vivo	In HEK-293 cells treated with [3H]CFT and under the condition of 130 mM sodium ions, the D313N DAT exhibited a slight increase in the apparent equilibrium dissociation constant for Benztrapine. The double mutant W84L D313N DAT showed a similar apparent equilibrium dissociation constant for Benztrapine when compared to the single mutation. Benztrapine inhibited MTSET-induced binding of [3H]WIN to the wild-type dopamine transporter with an EC50 of 28 μM in a concentration-dependent manner. Furthermore, Benztrapine demonstrated a protection rate of 32 in the X-A342C DAT construct by shielding Cys-342 from reactivity (EC50 for inhibition of [3H]WIN (4 nM) to IC50 binding).

Solubility Information

Solubility	H ₂ O: 74 mg/mL (183.38 mM),Sonication is recommended. DMSO: 250 mg/mL (619.53 mM),Sonication is recommended. Ethanol: 75 mg/mL (185.86 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.96 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4781 mL	12.3907 mL	24.7813 mL
5 mM	0.4956 mL	2.4781 mL	4.9563 mL
10 mM	0.2478 mL	1.2391 mL	2.4781 mL
50 mM	0.0496 mL	0.2478 mL	0.4956 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

- Simoni D, et al. *J Med Chem*, 2005, 48(9), 3337-3343.
- Reith ME, et al. *J Biol Chem*, 2001, 276(31), 292012-292018.
- Chen N, et al. *J Neurochem*, 2004, 89(4), 853-864.
- Friedman JH, et al. *Neurology*, 1997, 48(4), 1077-10781.
- Church WH, et al. *Eur J Pharmacol*, 1987, 139(3), 345-348.

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