

## Benztropine mesylate

## Chemical Properties

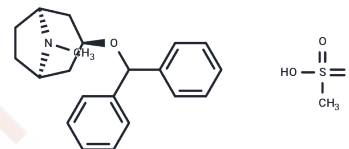
CAS No. : 132-17-2

Formula: C<sub>21</sub>H<sub>25</sub>NO·CH<sub>4</sub>SO<sub>3</sub>

Molecular Weight: 403.53

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



## 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 | H2O: 74 mg/mL (183.38 mM),Sonication is recommended.<br>DMSO: 45 mg/mL (111.52 mM),Sonication is recommended.<br>Ethanol: 75 mg/mL (185.86 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|

### 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.

### 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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