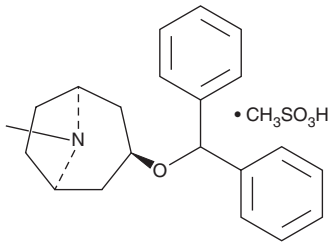
PRODUCT INFORMATION

Benztropine (mesylate)

Item No. 16214

CAS Registry No.: 132-17-2
Formal Name: (3-endo)-3-(diphenylmethoxy)-8-methyl-8-azabicyclo[3.2.1]octane, monomethanesulfonate
Synonym: NSC 169913
MF: $C_{21}H_{25}NO \bullet CH_3SO_3H$
FW: 403.5
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Benztropine (mesylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the benztropine (mesylate) in the solvent of choice, which should be purged with an inert gas. Benztropine (mesylate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of benztropine (mesylate) in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of benztropine (mesylate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of benztropine (mesylate) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Benztropine is an antagonist of M_1 muscarinic acetylcholine receptors (K_i = 0.59 nM in rat brain membranes).[1] It is selective for M_1 receptors over the serotonin transporter (K_i = 5,150 nM), however, it also binds to the dopamine transporter and inhibits dopamine reuptake (K_is = 237 and 130 nM, respectively).[1-3] Benztropine also inhibits acid sphingomyelinase by 87% when used at a concentration of 10 mM.[4] Formulations containing benztropine have been used in the management of Parkinson's disease symptoms such as involuntary tremor and dystonia.

References

1. Zhang, Y., Joseph, D.B., Bowen, W.D., *et al.* Synthesis and biological evaluation of tropane-like 1-[2-[*bis*(4-fluorophenyl)methoxy]ethyl]-4-(3-phenylpropyl)piperazine (GBR 12909) analogues. *J. Med. Chem* **44(23)**, 3937-3945 (2001).
2. Schmitt, K.C., Zhen, J., Kharkar, P., *et al.* Interaction of cocaine-, benztropine-, and GBR12909-like compounds with wildtype and mutant human dopamine transporters: Molecular features that differentially determine antagonist binding properties. *J. Neurochem.* **107(4)**, 928-940 (2008).
3. Ukairo, O.T., Bondi, C.D., Newman, A.H., *et al.* Recognition of benztropine by the dopamine transporter (DAT) differs from that of the classical dopamine uptake inhibitors cocaine, methylphenidate, and mazindol as a function of a DAT transmembrane 1 aspartic acid residue. *J. Pharmacol. Exp. Ther.* **314(2)**, 575-583 (2005).
4. Kornhuber, J., Muehlbacher, M., Trapp, S., *et al.* Identification of novel functional inhibitors of acid sphingomyelinase. *PLoS One* **6(8)**, 1-13 (2011).

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