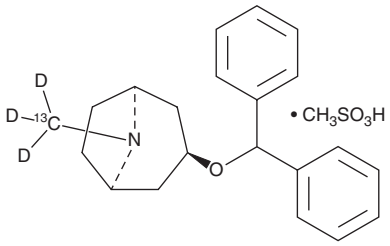
PRODUCT INFORMATION

Benztropine-^{13}C-d$_3$ (mesylate)

Item No. 29644

Formal Name:	(1R,3r,5S)-3-(benzhydryloxy)-8-(methyl-^{13}C-d$_3$)-8-azabicyclo[3.2.1]octane
Synonym:	NSC 169913-^{13}C-d$_3$
MF:	$C_{20}[^{13}C]H_{22}D_3NO \bullet CH_3SO_3H$
FW:	407.6
Chemical Purity:	≥98% (Benztropine)
Deuterium Incorporation:	≥99% deuterated forms (d$_1$-d$_3$); ≤1% d$_0$
Supplied as:	A 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-^{13}C-d$_3$ (mesylate) is intended for use as an internal standard for the quantification of benztropine (Item No. 16214) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Benztropine-^{13}C-d$_3$ (mesylate) is supplied as a solid. A stock solution may be made by dissolving the benztropine-^{13}C-d$_3$ (mesylate) in the solvent of choice, which should be purged with an inert gas. Benztropine-^{13}C-d$_3$ (mesylate) is soluble in organic solvents such as methanol and DMSO.

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).
3. 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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