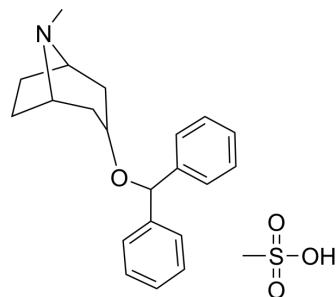


Benztropine mesylate

Cat. No.:	HY-B0520A
CAS No.:	132-17-2
Molecular Formula:	C ₂₂ H ₂₉ NO ₄ S
Molecular Weight:	403.54
Target:	mAChR; Dopamine Receptor; Histamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling; Immunology/Inflammation
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H₂O : 100 mg/mL (247.81 mM; Need ultrasonic)
DMSO : 100 mg/mL (247.81 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.4781 mL	12.3903 mL	24.7807 mL
	5 mM	0.4956 mL	2.4781 mL	4.9561 mL
	10 mM	0.2478 mL	1.2390 mL	2.4781 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: PBS
Solubility: 100 mg/mL (247.81 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (6.20 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (6.20 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (6.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Benztropine mesylate (Benzatropine mesylate) is an orally active centrally acting anticholinergic agent that can be used for Parkinson's disease research. Benztropine mesylate is an anti-histamine agent and a dopamine re-uptake inhibitor. Benztropine mesylate is also a human D₂ dopamine receptor allosteric antagonist. Benztropine mesylate also has anti-CSCs (cancer stem cells) effects^{[1][2]}.

IC₅₀ & Target

Human D₂ Receptor

In Vitro

Benztropine mesylate (0.1-10 μM ; 72 hours) treatment inhibits the cell growth of MDA-MB-231 cells with an IC_{50} of $\sim 5 \mu\text{M}$. In MDA-MB-231 cells and 4T1-luc2 cells, Benztropine mesylate reduces the size as well as the number of mammospheres significantly in a dose-dependent manner^[1].

?Benztropine mesylate inhibits functions of cancer stem cells (CSCs) via the acetylcholine receptors, dopamine transporters/receptors, and/or histamine receptors^[1].

?Benztropine mesylate induces the differentiation of oligodendrocytes through M1 and M3 muscarinic receptors and enhanced re-myelination^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay^[1]

Cell Line:	MDA-MB-231 cells
Concentration:	0.1 μM , 0.625 μM , 1.25 μM , 2.5 μM , 5 μM , 10 μM
Incubation Time:	72 hours
Result:	Inhibited the cell growth of MDA-MB-231 cells with an IC_{50} of $\sim 5 \mu\text{M}$.

Cell Proliferation Assay^[1]

Cell Line:	MDA-MB-231 cells
Concentration:	0, 1, 2, 5 μM
Incubation Time:	4-6 days
Result:	Suppressed mammosphere formation and self-renewal capacities of BCSCs in a dose-dependent manner in vitro.

In Vivo

Benzotropine mesylate (1.5 mg/kg; daily; for 3 weeks; Balb/c mice) treatment significantly reduces both tumor size and tumor weight in a 4T1 mouse model^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Balb/c mice bearing 4T1 breast tumors
Dosage:	1.5 mg/kg
Administration:	Injection; 3 weeks
Result:	Reduced the tumor size and weight significantly without body weight changing.

CUSTOMER VALIDATION

- J Clin Invest. 2021 Dec 29;e150101.
- Front Cell Neurosci. 2018 Sep 11;12:309.
- PLoS Negl Trop Dis. 2019 Aug 20;13(8):e0007681.
- Viruses. 2021 Jun 28;13(7):1255.

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REFERENCES

[1]. Santosh S Kulkarni, et al. Comparative structure-activity relationships of benztrapine analogues at the dopamine transporter and histamine H(1) receptors. Bioorg Med Chem. 2006 Jun 1;14(11):3625-34.

[2]. Jihong Cui, et al. New use of an old drug: inhibition of breast cancer stem cells by benztrapine mesylate. Oncotarget. 2017 Jan 3;8(1):1007-1022.

Caution: Product has not been fully validated for medical applications. For research use only.

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