Hemicholinium 3

Cat. No.: HY-B2152

CAS No.: 312-45-8

Molecular Formula: $C_{24}H_{34}Br_2N_2O_4$

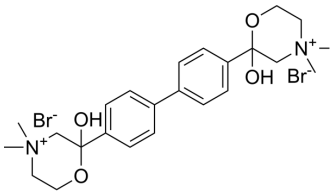
Molecular Weight: 574.35

Target: Cholinesterase (ChE)

Pathway: Neuronal Signaling

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

H_2O : 33.33 mg/mL (58.03 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7411 mL	8.7055 mL	17.4110 mL
	5 mM	0.3482 mL	1.7411 mL	3.4822 mL
	10 mM	0.1741 mL	0.8705 mL	1.7411 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (17.41 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

Hemicholinium 3 is a competitive inhibitor of the high affinity choline transporter (HACU) with a K_i value of 25 nM. Hemicholinium 3, a neuromuscular blocking agent which inhibits the synthesis and the release of acetylcholine (ACh)[1]. Hemicholinium 3 inhibits the Epibatidine-evoked contraction and [^{3}H]acetylcholine release with IC50s of 897 nM and 693 nM, respectively[2].

In Vitro

Hemicholinium 3 (HC-3) inhibits the presynaptic nicotinic acetylcholine receptors of myenteric neurons. HC-3 inhibits nAChRs located on the terminal region of myenteric neurons of guinea-pig longitudinal muscle strip preparation[2].
Hemicholinium 3 (HC-3) inhibits[^{3}H]choline uptake with the K_i value of 13.3 μM in NCI-H69 cells[3].
HC-3 (1 mM) significantly inhibits cell viability and increases caspase-3/7 activity in NCI-H69 cells[3].
Hemicholinium 3 (Hemicholinium-3) inhibits sodium dependent high affinity choline uptake (IC_{50}=18 nM)[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[3]

Cell Line:	The human small cell lung carcinoma cell line NCI-H69
Concentration:	1 mM
Incubation Time:	Added every day for 2 days.
Result:	Markedly inhibited cell viability.

In Vivo

Hemicholinium-3 impairs spatial learning. Hemicholinium-3 (2.5, 5.0 μg/rat/ICV; 1 h before training) dose dependently impairs spatial learning[5].

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

REFERENCES

[1]. PATRICE GUYENET, et al. Inhibition by Hemicholinium-3 of [^{14}C] Acetylcholine Synthesis and [^{3}H] Choline High-Affinity Uptake in Rat Striatal Synaptosomes.Molecular Pharmacology September 1973, 9 (5) 630-639.

[2]. Péter Mandl, et al. Inhibitory effect of hemicholinium-3 on presynaptic nicotinic acetylcholine receptors located on the terminal region of myenteric motoneurons. Neurochem Int. 2006 Sep;49(4):327-33.

[3]. Masato Inazu, et al. Functional expression of choline transporter-like protein 1 (CTL1) in small cell lung carcinoma cells: a target molecule for lung cancer therapy. Pharmacol Res. 2013 Oct;76:119-31.

[4]. T K Chatterjee, et al. Methylpiperidine analog of hemicholinium-3: a selective, high affinity non-competitive inhibitor of sodium dependent choline uptake system. Eur J Pharmacol. 1988 May 10;149(3):241-8.

[5]. J J Hagan, et al. Hemicholinium-3 impairs spatial learning and the deficit is reversed by cholinomimetics. Psychopharmacology (Berl). 1989;98(3):347-56.

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