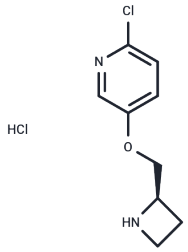
Data Sheet (Cat.No.T3720)

TargetMol

Tebanicline hydrochloride

Chemical Properties



CAS No. :	203564-54-9
Formula:	C9H12Cl2N2O
Molecular Weight:	235.11
Appearance:	no data available
Storage:	Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Tebanicline hydrochloride (Ebanicline hydrochloride) , is an effective synthetic nicotinic (non-opioid) analgesic drug.
Targets(IC50)	AChR
In vitro	Tebanicline is a novel, potent cholinergic nAChR ligand with analgesic properties that shows preferential selectivity for neuronal nAChRs. It inhibits the binding of cytisine to α4β2 neuronal nAChRs with a Ki of 37 pM. Functionally, tebanicline is an agonist. At the transfected human α4β2 neuronal nAChR in K177 cells, with increased 86Rb+ efflux as a measure of cation efflux, ABT-594 has an EC50 value of 140 nM with an intrinsic activitycompared with (?)-nicotine of 130%; at the nAChR subtype expressed in IMR-32 cells, an EC50 of 340 nM; at the F11 dorsal root ganglion cell line, an EC50 of 1220 nM; and via direct measurement of ion currents, an EC50 value of 56,000 nM at the human α7 homo-oligimeric nAChR produced in oocytes[1]
In vivo	Tebanicline is a potent antinociceptive agent with full efficacy in models of acute and persistent pain and that these effects are mediated predominately by an action at central neuronal nAChRs[2]. Tebanicline produces significant antinociceptive effects in mice against both acute noxious thermal stimulation. ABT-594 is orally active, but 10-fold less potent by this route than after i.p. administration. The antinociceptive effect of ABT-594 is prevented, but not reversed, by the noncompetitive neuronal nicotinic acetylcholine receptor antagonist[3]. Tebanicline has antinociceptive effects in rat models of acute thermal, persistent chemical, and neuropathic pain. Direct injection of tebanicline into the nucleus raphe magnus (NRM) is antinociceptive in a thermal threshold test and destruction of serotonergic neurons in the NRM attenuates the effect of systemic tebanicline[4].

Solubility Information

Solubility	DMSO: 50 mg/mL (212.67 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.2533 mL	21.2666 mL	42.5333 mL
5 mM	0.8507 mL	4.2533 mL	8.5067 mL
10 mM	0.4253 mL	2.1267 mL	4.2533 mL
50 mM	0.0851 mL	0.4253 mL	0.8507 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

Donnelly-Roberts DL, et al. ABT-594 [(R)-5-(2-azetidinylmethoxy)-2-chloropyridine]: a novel, orally effective analgesic acting via neuronal nicotinic acetylcholine receptors: I. In vitro characterization.J Pharmacol Exp Ther. 1998 May;285(2):777-86.

Bannon AW, et al. ABT-594 [(R)-5-(2-azetidinylmethoxy)-2-chloropyridine]: a novel, orally effective antinociceptive agent acting via neuronal nicotinic acetylcholine receptors: II. In vivo characterization. J Pharmacol Exp Ther. 1998 May;285(2):787-94.

Decker MW, et al. Antinociceptive effects of the novel neuronal nicotinic acetylcholine receptor agonist, ABT-594, in mice. Eur J Pharmacol. 1998 Apr 3;346(1):23-33.

Decker MW, et al. The role of neuronal nicotinic acetylcholine receptors in antinociception: effects of ABT-594. J Physiol Paris. 1998 Jun-Aug;92(3-4):221-4.