

Tebanicline (hydrochloride)

Catalog No: tcsc6400



Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

203564-54-9

Formula:

$C_9H_{12}Cl_2N_2O$

Pathway:

Neuronal Signaling;Membrane Transporter/Ion Channel

Target:

nAChR;nAChR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 34 mg/mL (144.61 mM)

Alternative Names:

Ebanicline hydrochloride;ABT-594 hydrochloride

Observed Molecular Weight:

235.11

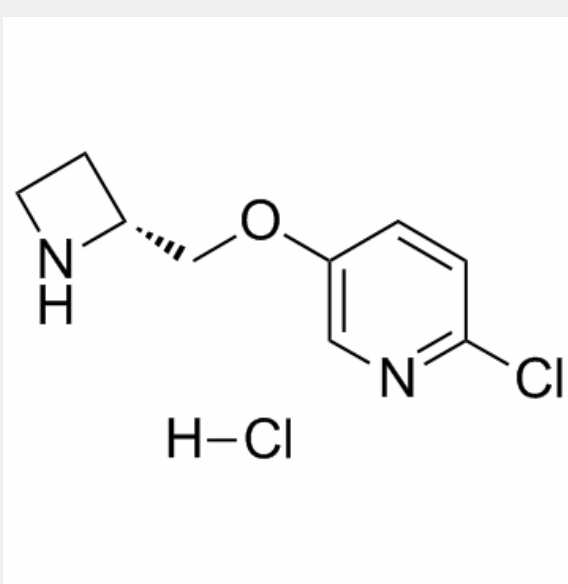
Product Description

Tebanicline hydrochloride (ABT594 hydrochloride) is a **nAChR** modulator with potent, orally effective analgesic activity. It inhibits the binding of cytosine to $\alpha 4\beta 2$ neuronal nAChRs with a K_i of 37 pM.

IC50 & Target: K_i : 37 pM (nAChR)^[1]

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 cytosine to $\alpha 4\beta 2$ neuronal nAChRs with a K_i of 37 pM. Functionally, tebanicline is an agonist. At the transfected human $\alpha 4\beta 2$ neuronal nAChR in K177 cells, with increased $^{86}\text{Rb}^+$ efflux as a measure of cation efflux, ABT-594 has an EC_{50} value of 140 nM with an intrinsic activity compared with (–)-nicotine of 130%; at the nAChR subtype expressed in IMR-32 cells, an EC_{50} of 340 nM; at the F11 dorsal root ganglion cell line, an EC_{50} of 1220 nM; and via direct measurement of ion currents, an EC_{50} value of 56,000 nM at the human $\alpha 7$ homo-oligomeric 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].



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