Web: www.taiclone.com
Tel: +886-2-2735-9682
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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

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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 cytisine to α4β2 neuronal nAChRs with a **K_i** of 37 pM.

IC50 & Target: Ki: 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 cytisine to α4β2 neuronal nAChRs with a K_i of 37 pM. Functionally, tebanicline is an agonist. At the transfected human α4β2 neuronal nAChR in K177 cells, with increased $^{86}Rb^{+}$ efflux as a measure of cation efflux, ABT-594 has an EC_{50} value of 140 nM with an intrinsic activitycompared 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 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].