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Data Sheet

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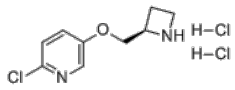
Product Name	:	ABT-594 dihydrochloride
Cat. No.	:	PC-21602
CAS No.	:	209326-19-2
Molecular Formula	:	$C_9H_{13}Cl_3N_2O$
Molecular Weight	:	271.57
Target	:	nAChR
Solubility	:	10 mM in DMSO



Biological Activity

Tebanicline dihydrochloride (ABT-594) is a potent, orally active neuronal nicotinic acetylcholine receptor (alpha 4 beta 2 nAChR) agonist with Ki of 37 pM (rat brain) and 55 pM (transfected human receptor).
Tebanicline dihydrochloride (ABT-594) is 180,000-fold selectivity for the neuronal alpha 4 beta 2 nAChR over alpha 1 beta 1 delta gamma neuromuscular nAChR.
Tebanicline dihydrochloride (ABT-594) has weak affinity in binding assays for adrenoreceptor subtypes alpha-1B (Ki = 890 nM), alpha-2B (Ki=597 nM) and alpha-2C (Ki=342 nM), and it has negligible affinity (Ki > 1000 nM) for approximately 70 other receptors, enzyme and transporter binding sites.
Tebanicline dihydrochloride (ABT-594) has an EC50 value of 140 nM with an intrinsic activity in human alpha 4 beta 2 neuronal nAChR (K177 cells).
Tebanicline dihydrochloride (ABT-594) (30 uM) inhibits the release of calcitonin gene-related peptide from C-fibers terminating in the dorsal horn of the spinal cord, an effect mediated via nAChRs.

References

Bannon AW, et al. J Pharmacol Exp Ther. 1998 May;285(2):787-94.

Holladay MW, et al. J Med Chem. 1998 Feb 12;41(4):407-12.

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