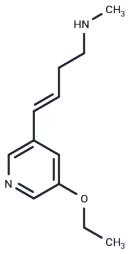
Data Sheet (Cat.No.T211478)

TargetMol

TC-2559 free base

Chemical Properties



CAS No. : 189274-78-0

Formula: C12H18N2O

Molecular Weight: 206.28

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TC-2559 free base is an α4β2 nicotinic acetylcholine receptor (nAChR) agonist with an EC50 of 0.18 μM. It shows weaker agonistic effects on β4 subunit nAChR genotypes (α2β4, α4β4, and α3β4 receptors), with EC50 values ranging from 10-30 μM. In vitro, TC-2559 free base enhances dopamine cell firing in the rat ventral tegmental area (VTA), increasing the excitability and bursting activity of VTA dopaminergic neurons. It also inhibits STAT3 to exert anti-inflammatory effects, alleviates mechanical allodynia in mice, and improves cognitive deficits in rats. TC-2559 free base is utilized in neuropathic pain research.
Targets(IC50)	STAT,AChR
In vitro	TC-2559 free base effectively binds to [3H]-moketope with a binding affinity (K i) of 5 nM. At concentrations of 0-100 nM, TC-2559 free base enhances dopamine release in rat striatal synaptosomes (EC 50 = 203 nM, E = 97%) and Rb protein release in brain thalamic synaptosomes (EC 50 = 367 nM, E = 107%), while showing no activity in TE671/RD and PC12 cells at 1 mM. At 10 μM for 2 hours, it significantly reduces neuronal death in fetal rat brain cells. In mouse macrophages, TC-2559 free base (200-500 μM for 3-6 hours) inhibits the upregulation of cytokine ligand 3 (CCL3) and interleukin 1b (IL-1b), and at 500 μM for 1-6 hours, it suppresses phosphorylation of signal transducer and activator of transcription 3 (pSTAT3). Additionally, at 0.5 mM for 24 hours, it inhibits the overexpression of interleukin-1β (IL-1β) in mouse peritoneal macrophages treated with PSL. TC-2559 free base demonstrates weaker agonistic efficacy on nAChR subtypes with β4 subunit (α2β4, α4β4, and α3β4 receptors), with EC 50 values of 14, 12.5, and >30 μM, respectively.
In vivo	TC-2559 free base (0.124-2.063 mg/kg, s.c., single dose) reverses memory impairment in rats caused by cholinergic blockade in a dose-dependent manner. HC-2559 (0.124-1.238 mg/kg, s.c., single dose or over 5 days) significantly reduces working memory errors and enhances working memory consistently. A single dose of HC-2559 (0.206-2.063 mg/kg, s.c., single or over 14 days) causes motor suppression, but no behavioral tolerance occurs with repeated administration. TC-2559 free base (0.021-1.32 mg/kg, i.v., cumulative or single dose) activates nucleus accumbens dopamine neurons via α4β2-like nicotinic receptors. Additionally, TC-2559 free base (0.47-4.70 mg/kg, s.c., or 20 nmol, perineural injection, 3 days) alleviates mechanical allodynia in a murine behavioral model, and at 20 nmol (perineural injection, 3 days), it inhibits microglial activation in the SDH following peripheral nerve injury in mice.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.8478 mL	24.2389 mL	48.4778 mL
5 mM	0.9696 mL	4.8478 mL	9.6956 mL
10 mM	0.4848 mL	2.4239 mL	4.8478 mL
50 mM	0.097 mL	0.4848 mL	0.9696 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.