SIB-1553A

Cat. No.: HY-107676

CAS No.: 191611-89-9

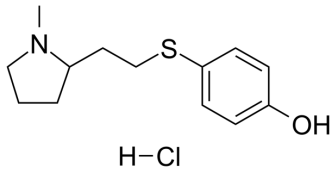
Molecular Formula: $C_{13}H_{20}ClNOS$

Molecular Weight: 273.82

Target: nAChR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 27.38 mg/mL (99.99 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.6520 mL	18.2602 mL	36.5203 mL
5 mM	0.7304 mL	3.6520 mL	7.3041 mL
10 mM	0.3652 mL	1.8260 mL	3.6520 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
Solubility: 100 mg/mL (365.20 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

SIB-1553A is an orally bioavailable nicotinic acetylcholine receptors (nAChRs) agonist, with selectivity for β4 subunit-containing nAChRs. SIB-1553A is also a selective neuronal nAChR ligand. SIB-1553A is a cognitive enhancer, and has therapeutic potential for the symptomatic treatment of Alzheimer's disease and other cognitive disorders[1][2].

In Vitro

SIB-1553A displaces the binding of $^{[H]}$nicotine (NIC) to the rat brain nAChRs with an IC_{50} value of 110 nM[1].
In calcium flux assays, SIB-1553A (0.1-5 μM), shows a greater selectivity for beta4-subunit containing recombinant hnAChRs (alpha2beta4, alpha3beta4 and alpha4beta4) vs. beta2-subunit containing nAChRs (alpha4beta2 and alpha3beta2) both in terms of efficacy and potency[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SIB-1553A (1-40 mg/kg; s.c.) produces dose-dependent increases in ACh levels[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (200-250 g)[3]
Dosage:	1, 2, 4, 10, 20 and 40 mg/kg
Administration:	s.c.
Result:	Induced hippocampal ACh release.

REFERENCES

[1]. Vernier JM, et al. 4-[[2-(1-Methyl-2-pyrrolidinyl)ethyl]thio]phenol hydrochloride (SIB-1553A): a novel cognitive enhancer with selectivity for neuronal nicotinic acetylcholine receptors. J Med Chem. 1999 May 20;42(10):1684-6.

[2]. Rao TS, et al. In vitro pharmacological characterization of (+/-)-4-[2-(1-methyl-2-pyrrolidinyl)ethyl]thio]phenol hydrochloride (SIB-1553A), a nicotinic acetylcholine receptor ligand. Brain Res. 2003 Aug 15;981(1-2):85-98.

[3]. Rao TS, et al. In vivo pharmacological characterization of (+/-)-4-[2-(1-methyl-2-pyrrolidinyl)ethyl]thiophenol hydrochloride (SIB-1553A), a novel cholinergic ligand: microdialysis studies. Brain Res. 2003 Oct 3;986(1-2):71-81.

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