SSR180711 hydrochloride

Cat. No.: HY-19411

CAS No.: 446031-79-4

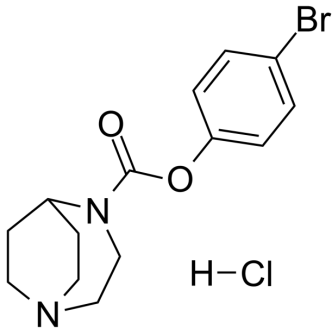
Molecular Formula: $C_{14}H_{18}BrClN_2O_2$

Molecular Weight: 361.66

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

DMSO : 50 mg/mL (138.25 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7650 mL	13.8251 mL	27.6503 mL
	5 mM	0.5530 mL	2.7650 mL	5.5301 mL
	10 mM	0.2765 mL	1.3825 mL	2.7650 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.75 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.75 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SSR180711 hydrochloride is an orally active, selective and reversible α7 acetylcholine nicotinic receptor (n-AChRs) partial agonist. SSR180711 hydrochloride can act on rat α7 n-AChR (K_i=22 nM; IC_{50}=30 nM) and human α7 n-AChR (K_i=14 nM; IC_{50}=18 nM). SSR180711 hydrochloride increases glutamatergic neurotransmission, ACh release and long-term potentiation (LTP) in the hippocampus[1].

IC_{50} & Target

IC50: 30 nM (rat α7 n-AChR) and 18 nM (human α7 n-AChR)[1]
Ki: 22 nM (rat α7 n-AChR) and 14 nM (human α7 n-AChR)[1]

In Vitro

SSR180711 hydrochloride is selective for the α7 receptor subtype compared to α4β2, α3β2, α3β4, and α1β1γδ human n-

	AChR subtypes (IC_{50}>5 μM). SSR180711 hydrochloride (10 μM) has no inhibition (lower than 50%) for the ionic channels, neurotransmitter, or peptide receptors[1]. SSR180711 hydrochloride (0.01-10000 μM) is a potent partial agonist at human α7 n-AChRs expressed in Xenopus oocytes or GH4C1 cells and elicits typical concentration-dependent inward currents with an EC_{50} value of 4.4 μM (2.5-7.8 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SSR180711 hydrochloride rapidly penetrates into the brain (ID_{50}=8 mg/kg; p.o.). SSR180711 hydrochloride dose-dependently inhibits the specific [^{3H}]α-BTX binding in the mouse brain (ID_{50}=8.3 and 7.5 mg/kg for p.o. and i.p., respectively)[1]. SSR180711 hydrochloride (1-10 mg/kg for i.p.; 10-30 mg/kg for p.o.) dose-dependently increases extracellular acetylcholine (ACh) levels in the hippocampus and prefrontal cortex of freely moving rats[1]. SSR180711 hydrochloride (0.1, 0.3, 1 mg/kg; i.v.) dose-dependently increases firing rate[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bruno Biton, et al. SSR180711, a novel selective alpha7 nicotinic receptor partial agonist: (1) binding and functional profile. Neuropsychopharmacology. 2007 Jan;32(1):1-16.

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