ST1936

Cat. No.: HY-103110

CAS No.: 1210-81-7

Molecular Formula: $C_{13}H_{17}ClN_2$

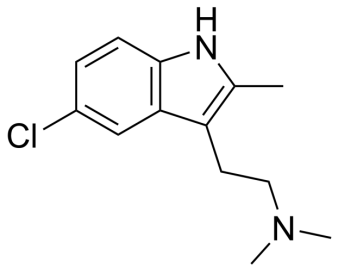
Molecular Weight: 236.74

Target: 5-HT Receptor; Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (422.40 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.2240 mL	21.1202 mL	42.2404 mL
	5 mM	0.8448 mL	4.2240 mL	8.4481 mL
	10 mM	0.4224 mL	2.1120 mL	4.2240 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: ≥ 2.5 mg/mL (10.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ST1936 is a selective, nanomolar affinity 5-HT_6 receptor agonist with K_i values of 13 nM, 168 nM and 245 nM for human 5-HT_6, 5-HT_7 and 5-HT_{2B} receptors, respectively. ST1936 also shows moderate affinity (K_i of 300 nM) for human and rat α2 adrenergic receptor[1].

IC_{50} & Target

5-HT_6 Receptor 13 nM (Ki)	5-HT_7 Receptor 168 nM (Ki)	5-HT_{2B} Receptor 245 nM (Ki)	α1-adrenergic receptor 390 nM (Ki, rat)
α2-adrenergic receptor	α2-adrenergic receptor		

	300 nM (Ki, rat)	300 nM (Ki, human)
In Vitro	ST1936 appears to be relatively selective for 5-HT_6 receptors, although it has shown affinity also for 5-HT_{2B}, 5-HT_{1A}, 5-HT_7 receptor and α-adrenergic receptors when tested in a broad crossreactivity panel that comprised G-protein-coupled receptors, ion channel binding sites, enzymes, and transporters[1]. ST1936 behaves as a full 5-HT_6 agonist on cloned cells and is able to increase Ca^{2+} concentration, phosphorylation of Fyn kinase, and regulate the activation of ERK1/2 that is a downstream target of Fyn kinase[2]. ST1936 reduces the frequency of spontaneous excitatory postsynaptic currents, with an IC_{50} of 1.3 μM[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	ST1936 (5, 10, 20 mg/kg; i.p.) increases in a dose dependent manner extracellular dopamine (DA) and NA levels in the prefrontal cortex (PFCX)[4]. ST1936 (5, 10, 20 mg/kg; i.p.) increases extracellular DA and NA levels in the nucleus accumbens (NAc) core. Doses of 10 mg/kg increases dialysate DA (peak: 179%) while higher dose increases both DA and NA dialysates (201% and 231%, respectively). Doses of 5 mg/kg does not produce any effect[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Borsini F, et al. Effects of ST1936, a selective serotonin-6 agonist, on electrical activity of putative mesencephalic dopaminergic neurons in the rat brain. J Psychopharmacol. 2015 Jul;29(7):802-11.

[2]. Riccioni T, et al. ST1936 stimulates cAMP, Ca2+, ERK1/2 and Fyn kinase through a full activation of cloned human 5-HT6 receptors. Eur J Pharmacol. 2011;661(1-3):8-14.

[3]. Tassone A, et al. Activation of 5-HT6 receptors inhibits corticostriatal glutamatergic transmission. Neuropharmacology. 2011;61(4):632-637.

[4]. Valentini V, et al. A microdialysis study of ST1936, a novel 5-HT6 receptor agonist. Neuropharmacology. 2011;60(4):602-608.

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