ST1936 oxalate

Cat. No.: HY-103110A

CAS No.: 1782228-83-4

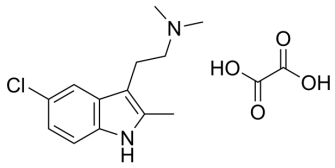
Molecular Formula: $C_{15}H_{19}ClN_2O_4$

Molecular Weight: 326.78

Target: 5-HT Receptor; Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ST1936 oxalate 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 oxalate 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)	Human 5-HT_7 Receptor 168 nM (Ki)	5-HT_{2B} Receptor 245 nM (Ki)	α1-adrenergic receptor 390 nM (Ki, rat)
α2-adrenergic receptor 300 nM (Ki, rat)	α2-adrenergic receptor 300 nM (Ki, human)		

In Vitro

ST1936 oxalate 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 oxalate 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 oxalate 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.) oxalate increases in a dose dependent manner extracellular dopamine (DA) and NA levels in the prefrontal cortex (PFCX)[2].

ST1936 (5, 10, 20 mg/kg; i.p.) oxalate 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[2].

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.

Caution: Product has not been fully validated for medical applications. For research use only.

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