SB 242084 hydrochloride

Cat. No.: HY-13409A

CAS No.: 1049747-87-6

Molecular Formula: $C_{21}H_{21}Cl_3N_4O_2$

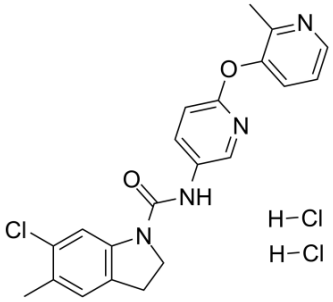
Molecular Weight: 467.78

Target: 5-HT 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 : ≥ 125.5 mg/mL (268.29 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1378 mL	10.6888 mL	21.3776 mL
5 mM	0.4276 mL	2.1378 mL	4.2755 mL
10 mM	0.2138 mL	1.0689 mL	2.1378 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: ≥ 5 mg/mL (10.69 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (10.69 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (10.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SB 242084 hydrochloride is a 5-HT2C receptor antagonist(pKi=9.0) that displays 158- and 100-fold selectivity over 5-HT2A and 5-HT2B receptors respectively.IC50 value: 9.0(pKi) [1]Target: 5-HT2C antagonistin vitro: SB 242084 had over 100-fold selectivity over a range of other 5-HT, dopamine and adrenergic receptors. In studies of 5-HT-stimulated phosphatidylinositol hydrolysis using SH-SY5Y cells stably expressing the cloned human 5-HT2C receptor, SB 242084 acted as an antagonist with a pKb of 9.3, which closely resembled its corresponding receptor binding affinity [1].in vivo: SB 242084 potently inhibited m-chlorophenylpiperazine (mCPP, 7 mgkg i.p. 20 min pre-test)-induced hypolocomotion in rats, a model

	of in vivo central 5-HT2C receptor function, with an ID50 of 0.11 mg/kg i.p., and 2.0 mg/kg p.o. SB 242084 (0.1-1 mg/kg i.p.) exhibited an anxiolytic-like profile in the rat social interaction test, increasing time spent in social interaction, but having no effect on locomotion. SB 242084 (0.1-1 mg/kg i.p.) also markedly increased punished responding in a rat Geller-Seifter conflict test of anxiety, but had no consistent effect on unpunished responding [1].
IC_{50} & Target	$5\text{-}HT_{2C}$ Receptor 9.0 (pKi)

REFERENCES

[1]. Kennett GA, et al. SB 242084, a selective and brain penetrant 5-HT2C receptor antagonist. Neuropharmacology. 1997 Apr-May;36(4-5):609-20.

[2]. Bromidge SM, et al. 6-Chloro-5-methyl-1-[[2-[(2-methyl-3-pyridyl)oxy]-5-pyridyl]carbamoyl]- indoline (SB-242084): the first selective and brain penetrant 5-HT2C receptor antagonist. J Med Chem. 1997 Oct 24;40(22):3494-6.

[3]. Dalton GL, et al. Serotonin 1B and 2C receptor interactions in the modulation of feeding behaviour in the mouse. Psychopharmacology (Berl). 2006 Mar;185(1):45-57.

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