SB 242084 dihydrochloride

Cat. No.: HY-13409A

CAS No.: 1049747-87-6

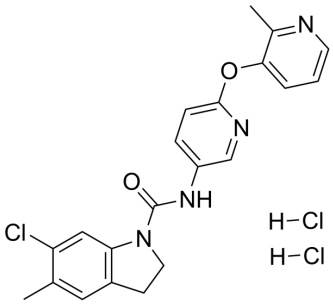
Molecular Formula: $C_{21}H_{21}Cl_3N_4O_2$

Molecular Weight: 467.78

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: -20°C, stored under nitrogen, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (213.78 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / 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 dihydrochloride is a selective, competitive and high-affinity (pK_i=9.0) 5-HT_{2C} receptor antagonist (crosses the blood-brain barrier). SB 242084 dihydrochloride increases basal activity of dopaminergic neurons in the ventral tegmental area (VTA) of the midbrain and dopamine release in the vomeronasal nucleus. SB 242084 dihydrochloride also increases mitochondrial gene expression and oxidative metabolism via 5-HT_{2A} receptor. SB 242084 dihydrochloride has good research potential in the negative symptoms of anxiety, depression and schizophrenia, as well as in acute organ damage[1][2][3].

IC_{50} & Target

5-HT_{2C} Receptor
9.0 (pKi)

In Vitro

SB 242084 dihydrochloride (100 nM; 45 min) exhibits antagonism of the 5-HT stimulated increase in phosphatidylinositol hydrolysis at the human 5-HT_{2C} receptor in SH-SY5Y cells[1].
SB 242084 dihydrochloride (1-100 nM; 24 h) increases RPTC respiration and PGC-1α mRNA expression in RPTC[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	SH-SY5Y cells
Concentration:	100 nM
Incubation Time:	45 min
Result:	Antagonized 5-HT induced concentration-related increase in PI hydrolysis.

RT-PCR[2]

Cell Line:	RPTC cells
Concentration:	1-100 nM
Incubation Time:	24 h
Result:	Increased FCCP-uncoupled respiration and PGC-1α mRNA expression.

In Vivo

SB 242084 dihydrochloride (0.1-1 mg/kg; i.p.; single; 20 min pre-test) improves the behavior of rats in social interaction tests [1].
SB 242084 dihydrochloride (5 mg/kg; i.p.; single; 20 min pre-test) improves mCPP-induced hypophagia in rats[1].
SB 242084 dihydrochloride (5, 10 mg/kg; i.p.; single) increases the levels of basal dialysate dopamine (DA) and dihydroxyphenylacetic acid (DOPAC) in the nucleus accumbens of rats[3].
SB 242084 dihydrochloride (160-640 μg/kg; i.v.; single) dose-dependently and significantly increases the basal firing rate of VTA (ventral tegmental area) dopaminergic neurons, and the bursting activity is also enhanced in the same area, in vivo[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley (CD) rats[1].
Dosage:	0.1-1 mg/kg
Administration:	Intraperitoneal injection; single; 20 min pre-test
Result:	Significantly increased the amount of time rats spent in social interaction over 15 min under brightly lit conditions and in an unfamiliartest box.

Animal Model:	Male Sprague-Dawley (CD) rats(mCPP-induced hypophagia model)[1].
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection; single; 20 min pre-test
Result:	Significantly reduced the amount of food consumed by 23 h food-deprivedrats over a 1hr test period from the time of food presentation.

Animal Model:	Rats[2].
Dosage:	5, 10 mg/kg

Administration:	Intraperitoneal injection; single
Result:	Significantly increased basal dialysate dopamine (DA) and dihydroxyphenylacetic acid (DOPAC) in the nucleus accumbens.

CUSTOMER VALIDATION

- Authorea. September 19, 2022.

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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]. Harmon JL, et al. 5-HT2 Receptor Regulation of Mitochondrial Genes: Unexpected Pharmacological Effects of Agonists and Antagonists. J Pharmacol Exp Ther. 2016 Apr;357(1):1-9.

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

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