SB-612111 hydrochloride

Cat. No.: HY-18618A

Molecular Formula: $C_{24}H_{30}Cl_3NO$

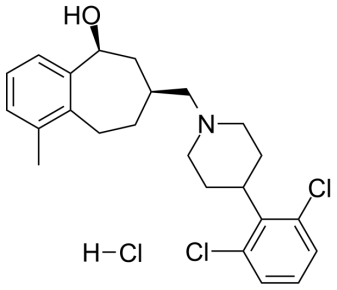
Molecular Weight: 454.86

Target: Opioid 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 : 15.62 mg/mL (34.34 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1985 mL	10.9924 mL	21.9848 mL
	5 mM	0.4397 mL	2.1985 mL	4.3970 mL
	10 mM	0.2198 mL	1.0992 mL	2.1985 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 (5.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.50 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SB-612111 hydrochloride hydrochloride is a novel and potent opiate receptor-like orphan receptor (ORL-1) antagonist with a high affinity for hORL-1 (K_i=0.33 nM). SB-612111 hydrochloride exhibits selectivity for μ-, κ- and δ-receptors with K_i values of 57.6 nM, 160.5 nM and 2109 nM, respecticely. SB-612111 hydrochloride effectively antagonizes the pronociceptive action of Nociceptin (HY-P0183) in an acute pain model[1].

IC_{50} & Target

NOP Receptor/ORL1

In Vivo

SB-612111 hydrochloride (intravenous injection; 0.6-10 nmol/mouse) antagonize nociceptin-induced thermal hyperalgesia in a dose-dependent manner with an ED_{50} of 0.62 mg/kg[1].

SB-612111 hydrochloride (intravenous injection; 0.1-5 mg/kg) causes a significant inhibition of the carrageenan-induced reduction in paw withdrawal latencies in rat, however, untreated paw are uneffected[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male rats[1]
Dosage:	0.1 mg/kg, 0.3 mg/kg, 1 mg/kg, 3 mg/kg, 5 mg/kg
Administration:	Intravenous injection; single dose
Result:	Had antihyperalgesic effects on carrageenan-induced rat paw.

REFERENCES

[1]. Paola F Zaratin, et al. Modification of Nociception and Morphine Tolerance by the Selective Opiate Receptor-Like Orphan Receptor Antagonist (-)-cis-1-methyl-7-[[4-(2,6-dichlorophenyl)piperidin-1-yl]methyl]-6,7,8,9-tetrahydro-5H-benzocyclohepten-5-ol (SB-612111 hydrochloride).J Pharmacol Exp Ther. 2004 Feb;308(2):454-61.

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