SB-277011 hydrochloride

Cat. No.: HY-10847B

CAS No.: 215804-67-4

Molecular Formula: $C_{28}H_{31}ClN_4O$

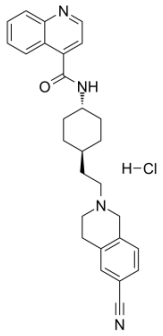
Molecular Weight: 475.02

Target: Dopamine Receptor; 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 : 50 mg/mL (105.26 mM; Need ultrasonic)

H_2O : 16.67 mg/mL (35.09 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1052 mL	10.5259 mL	21.0517 mL
5 mM	0.4210 mL	2.1052 mL	4.2103 mL
10 mM	0.2105 mL	1.0526 mL	2.1052 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: ≥ 2.08 mg/mL (4.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.38 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SB-277011 hydrochloride (SB-277011A hydrochloride) is a potent, selective, orally bioavailable and brain penetrate dopamine D_3 receptor (D_3R) antagonist with K_i values of 10.7 nM and 11.2 nM at rodent and human D_3R, respectively. SB-277011 hydrochloride displays 80- to 100-fold selectivity over other dopamine receptors with pK_is of 8.0, 6.0, <5.2, and 5.9 for D3, D2, 5-HT_{1B}, and 5-HT_{1D} receptors, respectively[1][2].

IC_{50} & Target	D_3 Receptor	D_2 Receptor	5-HT_{1D} Receptor	5-HT_{1B} Receptor

	10.7-11.2 nM (Ki)			
In Vivo	SB-277011 hydrochloride has an excellent pharmacokinetic profile, exhibits oral bioavailability 43%, half-life:2.0 h, plasma clearance 19 mL/min/kg) and to be highly brain-penetrant (brain:blood ratio of 3.6:1), with a clean P450 profile in the rat[1]. SB-277011 hydrochloride (SB 277011; 3 mg/kg, p.o.) completely reverses the effects of quinelorane in the nucleus accumbens, but does not reverse the effects of quinelorane in the striatum at 93 mg/kg in rats[1]. SB-277011 (intraperitoneal injection; 12.5-25 mg/kg) significantly and dose-dependently reduces intravenous cocaine self-administration under both low fixed-ratio and progressive-ratio reinforcement conditions in rats. When it increases to 50 mg/kg, SB-277011 can significantly inhibit basal and cocaine-enhanced locomotion in rats[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. Stemp G, et al. Design and synthesis of trans-N-[4-[2-(6-cyano-1,2,3, 4-tetrahydroisoquinolin-2-yl)ethyl]cyclohexyl]-4-quinolinecarboxamide (SB-277011): A potent and selective dopamine D(3) receptor antagonist with high oral bioavailability and CNS penetration in the rat. J Med Chem. 2000 May 4;43(9):1878-85.

[2]. Rui Song, et al. YQA14: A Novel Dopamine D3 Receptor Antagonist That Inhibits Cocaine Self-Administration in Rats and Mice, but Not in D3 Receptor-Knockout Mice. Addict Biol

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