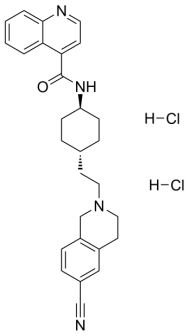
SB-277011 dihydrochloride

Cat. No.:	HY-10847A
CAS No.:	1226917-67-4
Molecular Formula:	$C_{28}H_{32}Cl_2N_4O$
Molecular Weight:	511.49
Target:	Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.07 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.07 mM); Clear solution 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	SB-277011 dihydrochloride (SB-277011A dihydrochloride) is a potent, selective, orally bioavailable and brain penetrate dopamine D_3 receptor antagonist, with pK_is of 8.0, 6.0, <5.2 and 5.9 for D_3, D_2, 5-HT_{1B}, and 5-HT_{1D} receptors, respectively.
IC_{50} & Target	D_3 Receptor
In Vitro	SB-277011 dihydrochloride is a potent, selective, orally bioavailable and brain penetrate dopamine D_3 receptor antagonist, and restores ≥100-fold selectivity against the D_2, 5-HT_{1B}, and 5-HT_{1D} receptors, with pK_is of 8.0, 6.0, <5.2 and 5.9 for D_3, D_2, 5-HT_{1B}, and 5-HT_{1D} receptors, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SB-277011 dihydrochloride (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-277011A (intraperitoneal injection; 12.5-25 mg/kg) significantly and dose-dependently inhibits self-administration in rats. When it increases to 50 mg/kg, SB-277011A can significantly inhibit basal and addictive agent-enhanced locomotion in rats[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Neurosci. 2021 Dec 9.

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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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