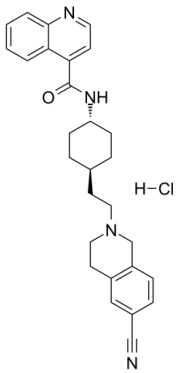
Data Sheet

Product Name:	SB-277011 (hydrochloride)
Cat. No.:	CS-0027578
CAS No.:	215804-67-4
Molecular Formula:	$C_{28}H_{31}ClN_4O$
Molecular Weight:	475.02
Target:	5-HT Receptor; Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	H2O : 16.67 mg/mL (35.09 mM; Need ultrasonic); DMSO : 50 mg/mL (105.26 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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_i**s of 8.0, 6.0, <5.2, and 5.9 for D3, D2, 5-HT_{1B}, and 5-HT_{1D} receptors, respectively[1][2]. **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].

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

CAIndexNames:

4-Quinolinecarboxamide, N-[trans-4-[2-(6-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-, hydrochloride (1:1)

SMILES:

O=C(C1=CC=NC2=CC=CC=C21)N[C@@H]3CC[C@H](CC3)CCN4CC5=C(CC4)C=C(C#N)C=C5.[H]Cl

Caution: Product has not been fully validated for medical applications. For research use only.

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