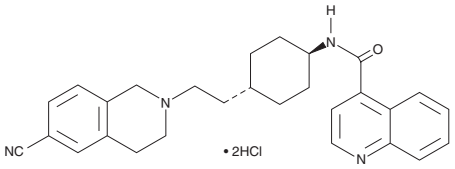
PRODUCT INFORMATION

SB 277011A (hydrochloride)

Item No. 11982

CAS Registry No.:	1226917-67-4
Formal Name:	N-[*trans*-4-[2-(6-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-4-quinolinecarboxamide, dihydrochloride
MF:	$C_{28}H_{30}N_4O \bullet 2HCl$
FW:	511.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 230, 284 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SB 277011A (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the SB 277011A (hydrochloride) in the solvent of choice, which should be purged with an inert gas. SB 277011A (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of SB 277011A (hydrochloride) in these solvents is approximately 0.1, 14, and 3 mg/ml, respectively.

SB 277011A (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SB 277011A (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SB 277011A (hydrochloride) has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SB 277011A is an antagonist of the dopamine D_3 receptor (pK_i = 8.0) that is at least 100-fold selective for D_3 over other monoamine receptors (pK_is = 6.0, 5.0, and <5.2 for D_2, 5-HT_{1D} and 5-HT_{1B} respectively).[1-3] It has high oral bioavailability and enters the central nervous system of the rat.[1] SB 277011A has been shown to have potential benefits in animal models of schizophrenia and Parkinson's disease.[2,4]

References

1. Stemp, G., Ashmeade, T., Branch, C. L., *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.* **43(9)**, 1878-1885 (2000).
2. Reavill, C., Taylor, S. G., Wood, M. D., *et al.* Pharmacological actions of a novel, high-affinity, and selective human dopamine D_3 receptor antagonist, SB-277011-A. *J. Pharmacol. Exp. Ther.* **294(3)**, 1154-1165 (2000).
3. Heidbreder, C. A., Gardner, E. L., Xi, Z. X., *et al.* The role of central dopamine D_3 receptors in drug addiction: A review of pharmacological evidence. *Brain Res. Rev.* **49(1)**, 77-105 (2005).
4. Carcinella, S., Drui, G., Boulet, S., *et al.* Implication of dopamine D_3 receptor activation in the reversion of Parkinson's disease-related motivational deficits. *Transl. Psychiatry* **4(e401)**, (2014).

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