Product Data Sheet

Product Name: SB 277011A dihydrochloride
Cat. No.: GC13183

Chemical Properties

Cas. No.	1226917-67-4		
Chemical Name	N-((1r,4r)-4-(2-(6-cyano-3,4-dihydroisoquinolin-2(1H)-yl)ethyl)cyclohexyl)quinoline-4-carboxamide dihydrochloride		
SMILES	N#CC1=CC(CCN2CC[C@@]3([H])CC[C@@](NC(C4=CC=NC5=CC=CC=C45)=O)([H])CC3)=C(C2)C=C1.Cl.Cl		
Formula	$C_{28}H_{30}N_4O.2HCl$	M.Wt	511.49
Solubility	DMF: 3 mg/ml,DMSO: 14 mg/ml,DMSO:PBS (pH 7.2)(1:9): 0.1 mg/ml,Ethanol: 0.1 mg/ml	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	SB 277011A dihydrochloride		

Background

SB-277011 dihydrochloride (SB-277011A dihydrochloride) is a potent, selective, orally bioavailable and brain penetrate dopamine D3 receptor antagonist, with pKis of 8.0, 6.0, <5.2 and 5.9 for D3, D2, 5-HT1B, and 5-HT1D receptors, respectively.

SB-277011 dihydrochloride is a potent, selective, orally bioavailable and brain penetrate dopamine D3 receptor antagonist, and restores ≥100-fold selectivity against the D2, 5-HT1B, and 5-HT1D receptors, with pKis of 8.0, 6.0, <5.2 and 5.9 for D3, D2, 5-HT1B, and 5-HT1D receptors, respectively[1].

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].

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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.

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