Product Data Sheet

Product Name: SB271046
Cat. No.: GC14550

Chemical Properties

Cas. No.	209481-20-9		
Chemical Name	5-chloro-N-(4-methoxy-3-piperazin-1-ylphenyl)-3-methyl-1-benzothiophene-2-sulfonamide;hydrochloride		
SMILES	CC1=C(SC2=C1C=C(C=C2)Cl)S(=O)(=O)NC3=CC(=C(C=C3)OC)N4CCNCC4.Cl		
Formula	$C_{20}H_{22}ClN_3O_3S_2$	M.Wt	451.99
Solubility	Soluble in DMSO	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	SB271046		

Background

SB-271046 is a selective and orally active 5-HT6 receptor antagonist.

5-HT6 belongs to GPCR which stimulates adenylate cyclase via Gs, which cloned from rat striatum.

SB-271046 substituted [125I]-SB-258585 and [3H]-LSD from human 5-HT6 receptors which recombinantly expressed in HeLa cells in vitro (pKi 8.92 and 9.09 respectively). SB-271046 also transfered [125I]-SB-258585 from human caudate putamen and rat and pig striatum membranes (pKi 8.81, 9.02 and 8.55 respectively). By 5-HT alone or after increasing concentrations of SB-271046 (10, 30, 100 and 300 nM) stimulates adenylyl cyclase activity in HeLa cells stably expressing human 5-HT6 receptors. [1]

The affinities of SB-271046 in human (pKi 8.81), pig (pKi 8.55) and rat (pKi 9.02) were similar suggesting a lack of species differences in 5-HT6 receptor for this given ligand.

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SB-271046 has greater than 200 fold selectivity over 69 other receptor, enzyme and binding sites, containing all other 5-HT receptor subtypes tested. SB-271046 did not alter basal levels of 5-HT, DA and NA in either brain region. In contrast, administration of SB-271046 (10mg.kg-1s.c.) produced an important and tetrodotoxin-dependent acceleration in extracellular levels of both glutamate and aspartate within the frontal cortex, reaching maximum values of 375.482.3 and 215.362.1% of preinjection values, respectively. [2]

References:

1. Characterization of SB-271046: a potent, selective and orally active 5-HT(6) receptor antagonist. Br J Pharmacol. 2000 Aug;130(7):1606-12.
2. In vivo effects of the 5-HT(6) antagonist SB-271046 on striatal and frontal cortex extracellular concentrations of noradrenaline, dopamine, 5-HT, glutamate and aspartate. Br J Pharmacol. 2000 May;130(1):23-6.

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