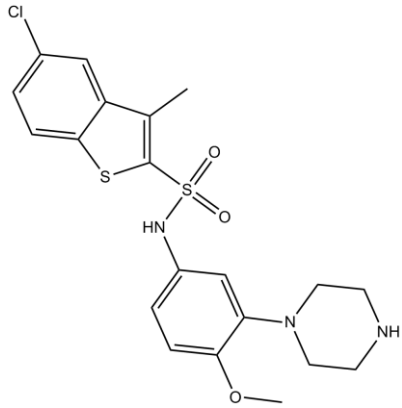
Product Name: SB271046

Revision Date: 6/30/2018

Product Data Sheet

Chemical Properties



Product Name:	SB271046
Cas No.:	209481-20-9
M.Wt:	451.99
Formula:	C20H22ClN3O3S2
Chemical Name:	5-chloro-N-(4-methoxy-3-piperazin-1-ylphenyl)-3-methyl-1-benzothiophene-2-sulfonamide;hydrochloride
Canonical SMILES:	CC1=C(SC2=C1C=C(C=C2)Cl)S(=O)(=O)NC3=CC(=C(C=C3)OC)N4CCNCC4.Cl
Solubility:	Soluble in DMSO
Storage:	Store at -20°C
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets :	Neuroscience
Pathways:	5-HT Receptor

Description:

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. 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]

***Reference**:*

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.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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