Certificate of Analysis

Product Name: **SB 271046 hydrochloride** **Catalog No.: 3368** **Batch No.: 2**

CAS Number: 209481-24-3

IUPAC Name: 5-Chloro-*N*-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-benzo[*b*]thiophen-2-sulfonamide hydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{20}H_{22}ClN_3O_3S_2.HCl.H_2O$

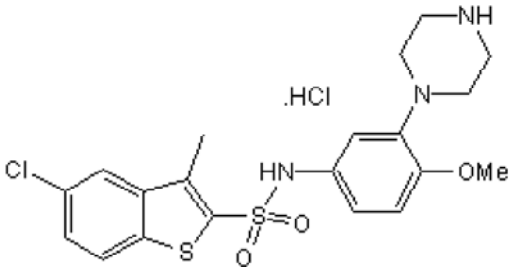
Batch Molecular Weight: 506.47

Physical Appearance: White solid

Solubility: DMSO to 100 mM

Storage: Desiccate at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.29 (Dichloromethane:Methanol [95:5])

HPLC: Shows 99.2% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen	Chlorine
Theoretical	47.43	4.98	8.3	14
Found	47.38	4.6	8.2	14.36

bio-techne.com
info@bio-techne.com
techsupport@bio-techne.com

North America
Tel: (800) 343 7475

China
info.cn@bio-techne.com
Tel: +86 (21) 52380373

Europe Middle East Africa
Tel: +44 (0)1235 529449

Rest of World
www.tocris.com/distributors
Tel:+1 612 379 2956

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Description:

SB 271046 hydrochloride is a selective, orally active 5-HT_6 antagonist (pK_i values are 9.02-8.92, 6.55, 6.35, 6.27, 6.05, 5.95, 5.76, 5.73, 5.62, 5.55, 5.41, 5.39, 5.27 and < 4.99 at 5-HT_6, 5-HT_{1D}, 5-HT_{1A}, D_3, 5-HT_{1B}, 5-HT_{1F}, α_{1B}, 5-HT_{2C}, 5-HT_{2A}, D_2, 5-HT_{2B}, 5-HT_7, 5-HT_4 and 5-HT_{1E} respectively) and is > 200-fold selective over 55 other receptors, enzymes and ion channels. Increases extracellular glutamate and aspartate in the frontal cortex, and exhibits anticonvulsant activity (EC_{50} = 0.16 µM). Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

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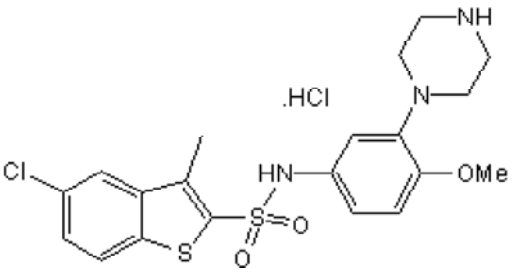
Batch Molecular Weight: 506.47

Physical Appearance: White solid

Minimum Purity: ≥99%

Batch Molecular Structure:



Storage: Desiccate at RT

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold with the permission of GlaxoSmithKline

References:

Marcos *et al* (2008) Effects of 5-HT_6 receptor antagonism and cholinesterase inhibition in models of cognitive impairment in the rat. Br.J.Pharmacol. ***155*** 434. PMID: 18622410.

Dawson *et al* (2000) *In vivo* effects of the 5-HT_6 antagonist SB-271046 on striatal and frontal cortex extracellular concentrations of noradrenaline, DA, 5-HT, glutamate and aspartate. Br.J.Pharmacol. ***130*** 23. PMID: 10780993.

Routledge *et al* (2000) Characterization of SB-271046: a potent, selective and orally active 5-HT_6 receptor antagonist. Br.J.Pharmacol. ***130*** 1606. PMID: 10928964.