Data Sheet (Cat.No.T12841)

TargetMol

SB-224289 hydrochloride

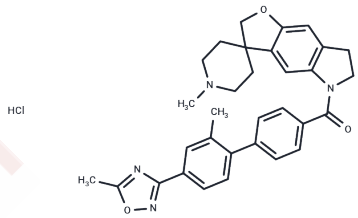
Chemical Properties

CAS No. : 180084-26-8

Formula: C32H33ClN4O3

Molecular Weight: 557.08

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	SB-224289 hydrochloride (SB-224289A) is a selective antagonist of 5-HT1B receptor, with anxiolytic effect.
Targets(IC50)	5-HT Receptor
In vitro	SB-224289 demonstrates specific toxin-blocking properties without directly inhibiting the PS synthase enzyme or Cho1p in vitro. It consistently shows efficacy in producing Pap-A resistance at concentrations ranging from 100 μM to 25 μM and uniquely blocks the activity of papuamides, without affecting other membrane disruptors. Although SB-224289 does not protect wild-type cells from KF, it offers protection against TPap-A. It possesses a high affinity, with a pKi of 8, for human cloned 5-HT1B receptors, showing greater than 80-fold selectivity compared to the 5-HT1D receptor and other receptor types. As a potent antagonist (with a pEC50 of 7.9±0.1), SB-224289 induces a rightward shift in the 5-HT concentration response curve (with a pA2 of 8.4±0.2) and significantly enhances [3H]-5HT release in electrically stimulated guinea-pig brain cortex slices at 100 nM and 1 μM concentrations.
In vivo	SB 224289 is a potent antagonist with an ED50 of 3.6 mg/kg, p.o in SK&F-99101-induced hypothermia in the guinea-pig. SB 224289 (4 mg/kg, p.o) reverses sumatriptan-induced inhibition of 5-HT release showing that it is also a potent terminal 5-HT autoreceptor antagonist in vivo. SB 224289 (2-16 mg/kg, p.o) does not increase 5-HT levels in the fuinea-pig frontal cortex. However, SB 224289 (4 mg/kg, p.o) causes a significantly increase in levels of 5-HT in the fuinea-pig dentate gyrus[3].

Solubility Information

Solubility	DMSO: 8.33 mg/mL (14.95 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 0.5 mg/mL (0.9 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7951 mL	8.9754 mL	17.9507 mL
5 mM	0.359 mL	1.7951 mL	3.5901 mL
10 mM	0.1795 mL	0.8975 mL	1.7951 mL
50 mM	0.0359 mL	0.1795 mL	0.359 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Cassilly CD, et al. SB-224289 Antagonizes the Antifungal Mechanism of the Marine Depsipeptide Papuamide A. PLoS One. 2016 May 16;11(5):e0154932.
Hoplight BJ, et al. The effects of SB 224289 on anxiety and cocaine-related behaviors in a novel object task. Physiol Behav. 2005 Apr 13;84(5):707-14. Epub 2005 Apr 13.
Gaster LM, et al. The selective 5-HT1B receptor inverse agonist SB-224289, potently blocks terminal 5-HT autoreceptor function both in vitro and in vivo. Ann N Y Acad Sci. 1998 Dec 15;861:270-1.