SB-224289 hydrochloride

Cat. No.: HY-101105A

CAS No.: 180084-26-8

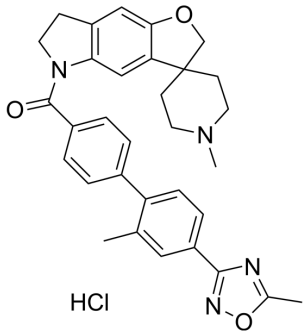
Molecular Formula: $C_{32}H_{33}ClN_4O_3$

Molecular Weight: 557.08

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: -20°C, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 8.33 mg/mL (14.95 mM; ultrasonic and warming and heat to 60°C)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7951 mL	8.9754 mL	17.9507 mL
5 mM	0.3590 mL	1.7951 mL	3.5901 mL
10 mM	0.1795 mL	0.8975 mL	1.7951 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.83 mg/mL (1.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.83 mg/mL (1.49 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (1.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SB-224289 hydrochloride is a selective 5-HT1B receptor antagonist, with anxiolytic effect.

IC_{50} & Target

$5\text{-}HT_{1B}$ Receptor

In Vitro

SB-224289 has specific toxin-blocking ability and does not inhibit Cho1p. SB-224289 (100 μM-25 μM) shows consistent efficacy at producing Pap-A resistance. SB-224289 does not directly inhibit the PS synthase enzyme in this in vitro assay. Moreover, SB-224289 specifically blocks the activity of papuamides and not other membrane disruptors. SB-224289 is unable to protect wild-type cells against KF, but it is able to provide protection against TPap-A[1]. SB-224289 has a pK_i of 8 at

	human cloned 5-HT1B receptors and displays more than 80 fold selectivity over the closely related 5-HT1D receptor and a range of other receptors. SB-224289 is a potent antagonist with pEC_{50} of 7.9±0.1. SB-224289 evokes a parallel rightward shift in the 5-HT concentration response curve with pA2 of 8.4±0.2. SB-224289 (100 nM and 1 μM) also significantly increases [^{3}H]-5HT release in electrically stimulated guinea-pig brain cortex slices[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SB-224289 (SB 224289) alone or in combination with cocaine, increases anxiety-like behavior. SB 224289 significantly reduces the amount of locomotor activity in the cocaine-treated rats. SB 224289-treated animals spend a significantly longer time in the corners than those treated with vehicle[2]. SB 224289 is a potent antagonist with an ED_{50} 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Ninety minutes before each animal is tested, it receives an ip injection of either 5 mg/kg SB 224289 in a vehicle of 10% Trappsol in sterile water or vehicle alone (total volume 1 mL/kg). This dosage of this drug is effective as a pharmacological agent. The rat is put back in its home cage until just before it is to be tested. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Pnas nexus . 2023 Jun 19.
- Authorea. September 19, 2022.

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REFERENCES

[1]. Cassilly CD, et al. SB-224289 Antagonizes the Antifungal Mechanism of the Marine Depsipeptide Papuamide A. PLoS One. 2016 May 16;11(5):e0154932.

[2]. 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.

[3]. 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.

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