**SB-224289 hydrochloride**

**Cat. No.:** HY-101105A

**CAS No.:** 180084-26-8

**Molecular Formula:** C₃₂H₃₃ClN₄O₃

**Molecular Weight:** 557.08

**Target:** 5-HT Receptor

**Pathway:** GPCR/G Protein; Neuronal Signaling

**Storage:**
- Powder -20°C 3 years
- In solvent -80°C 6 months
- -20°C 1 month

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**BIOLOGICAL ACTIVITY**

**Description**

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

**IC₅₀ & Target**

5-HT1B receptor[^2]

**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 pKᵢ 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 pEC₅₀ 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 [³H]-5HT release in electrically stimulated guinea-pig brain cortex slices[^3].

**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 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].

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**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.
REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.
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