SB-203186 hydrochloride

Cat. No.: HY-101222

CAS No.: 207572-69-8

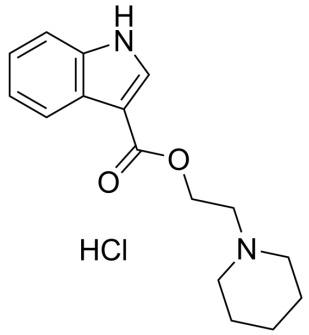
Molecular Formula: $C_{16}H_{21}ClN_2O_2$

Molecular Weight: 308.8

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (323.83 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2383 mL	16.1917 mL	32.3834 mL
	5 mM	0.6477 mL	3.2383 mL	6.4767 mL
	10 mM	0.3238 mL	1.6192 mL	3.2383 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: ≥ 2.5 mg/mL (8.10 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.10 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SB-203186 hydrochloride is a potent, selective and competitive 5-HT_4 antagonist. SB-203186 hydrochloride antagonizes the 5-HT_4 receptor-mediated relaxations of the carbachol-contracted rat isolated oesophagus against 5-HT with pK_B values of 10.9 (rat oesophagus), 9.5 (guinea-pig ileum), and 9.0 (human colon) respectively[1][2][3].

IC_{50} & Target

pKB: 10.9 (5-HT_4 in rat oesophagus), 9.5 (5-HT_4 in guinea-pig ileum), and 9.0 (5-HT_4 in human colon)[3].

In Vitro

Pretreatment with SB-203186 (10 μM) enhances the 5-HT-induced contractions of the antral strips[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Intraduodenally administered SB-203186 (0.3-3 mg/kg) to new-born Camborough piglets produced blockade of 5-HT-evoked tachycardia which was maximal after 20 min and lasted for more than 3 h with 0.3 mg/kg[1]. SB-203186 (0.1-3mg/kg, i.v.) surmountably antagonised 5-HT-evoked tachycardia in anaesthetized Yucatan minipigs or new-born Camborough piglets with similar potency[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. S G Parker, et al. Blockade of human and porcine myocardial 5-HT4 receptors by SB 203186. Naunyn Schmiedebergs Arch Pharmacol. 1995 Dec;353(1):28-35.

[2]. Tohru Komada, et al. Pharmacological characterization of 5-Hydroxytryptamine-receptor subtypes in circular muscle from the rat stomach. Biol Pharm Bull. 2007 Mar;30(3):508-13.

[3]. P G McLean, et al. 5-HT4 receptor antagonist affinities of SB207710, SB205008, and SB203186 in the human colon, rat oesophagus, and guinea-pig ileum peristaltic reflex. Naunyn Schmiedebergs Arch Pharmacol. 1995 Aug;352(2):132-40.

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