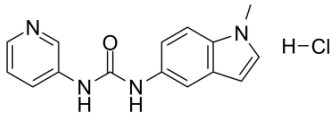
SB-200646A

Cat. No.:	HY-103129
CAS No.:	143797-62-0
Molecular Formula:	$C_{15}H_{15}ClN_4O$
Molecular Weight:	302.76
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (825.74 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent Mass	1 mg	5 mg	10 mg
1 mM	3.3029 mL	16.5147 mL	33.0295 mL
5 mM	0.6606 mL	3.3029 mL	6.6059 mL
10 mM	0.3303 mL	1.6515 mL	3.3029 mL

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

BIOLOGICAL ACTIVITY

Description

SB-200646A is the first selective 5-$HT_{2B/2C}$ over 5-HT_{2A} receptor antagonist with pK_i values of 7.5, 6.9 and 5.2 for 5-HT_{2B}, 5-HT_{2C} and 5-HT_{2A}, respectively. SB-200646A is orally active and has electrophysiological and anxiolytic properties in vivo[1][2].

IC_{50} & Target

5-HT_{2B} Receptor	5-HT_{2C} Receptor	5-HT_{2A} Receptor
7.5 (pKi)	6.9 (pKi)	5.2 (pKi)

In Vitro

SB200646A (4 μM) abolishes the ethanol-induced increase in miniature inhibitory postsynaptic current (mIPSC) frequency and had no effect on basal mIPSC frequency[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SB-200646A (20 mg/kg; intravenous injection; daily; for 21 days; male albino Sprague-Dawley rats) treatment significantly decreases the number of spontaneously active ventral tegmental area (VTA) dopaminergic neurons[1].

The i.v. administration of 4-16 mg/kg of SB-200646A significantly increases the firing rate and % events as bursts in spontaneously active VTA dopaminergic neurons and significantly increases the % events as burst in substantia nigra pars compacta (SNC) dopaminergic neurons[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male albino Sprague-Dawley rats (200-225 g at the beginning of treatment and 300-350 g at the time of the experiment)[1]
Dosage:	20 mg/kg
Administration:	Intravenous injection; daily; for 21 days
Result:	Significantly decreased the number of spontaneously active ventral tegmental area (VTA) dopaminergic neurons.

REFERENCES

[1]. Blackburn TP, et al. The acute and chronic administration of the 5-HT(2B/2C) receptor antagonist SB-200646A significantly alters the activity of spontaneously active midbrain dopamine neurons in the rat: An in vivo extracellular single cell study. Synapse. 2006 Jun 15;59(8):502-12.

[2]. Kennett GA, et al. In vivo properties of SB 200646A, a 5-HT2C/2B receptor antagonist. Br J Pharmacol. 1994 Mar;111(3):797-802.

[3]. Theile JW, et al. Role of 5-hydroxytryptamine2C receptors in Ca2+-dependent ethanol potentiation of GABA release onto ventral tegmental area dopamine neurons. J Pharmacol Exp Ther. 2009 May;329(2):625-33.

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