# SB-200646

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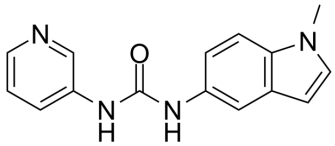
| **Cat. No.:** | HY-103129A |
| **CAS No.:** | 143797-63-1 |
| **Molecular Formula:** | $C_{15}H_{14}N_4O$ |
| **Molecular Weight:** | 266.3 |
| **Target:** | 5-HT Receptor |
| **Pathway:** | GPCR/G Protein; Neuronal Signaling |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |



## BIOLOGICAL ACTIVITY

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| **Description** | SB-200646 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-200646 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**

SB-200646A (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.

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| 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.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA