PRODUCT INFORMATION



SB-204741

Item No. 32965

CAS Registry No.:	152239-46-8		
Formal Name:	N-(1-methyl-1H-indol-5-yl)-N'-		
	(3-methyl-5-isothiazolyl)-urea		/
MF:	C ₁₄ H ₁₄ N ₄ OS	N s	Ń
FW:	286.4		
Purity:	≥95%		
UV/Vis.:	λ _{max} : 231, 270 nm	N.	N V
Supplied as:	A solid	н	н́
Storage:	-20°C		
Stability:	≥4 years		
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Laboratory Procedures

SB-204741 is supplied as a solid. A stock solution may be made by dissolving the SB-204741 in the solvent of choice, which should be purged with an inert gas. SB-204741 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of SB-204741 in these solvents is approximately 15 and 30 mg/ml, respectively.

Description

SB-204741 is an antagonist of the serotonin (5-HT) receptor subtype 5-HT_{2B}.¹ It inhibits 5-HT-induced contraction of isolated rat stomach fundus, a tissue that endogenously expresses high levels of 5-HT_{2B} receptors (pA₂ = 7.95). SB-204741 is selective for 5-HT_{2B} receptors over 5-HT_{1A}, 5-HT_{1D}, 5-HT_{1E}, 5-HT_{2A}, 5-HT_{2C}, 5-HT₃, 5-HT₄, dopamine D₁₋₃, histamine H₁, α_1 - and α_2 -adrenergic, and GABA_A receptors (K s = 1-100 μ M). In vivo, SB-204741 (1 mg/kg per day) prevents the development of pulmonary arterial hypertension (PAH) and reduces vascular stiffness in a *Bmpr2* mutant mouse model of hereditary PAH.² It also reduces blood pressure in DOCA-salt hypertensive rats.³

References

- 1. Forbes, I.T., Jones, G.E., Murphy, O.E., et al. N-(1-methyl-5-indolyl)-N'-(3-methyl-5-isothiazolyl)urea: A novel, high-affinity 5-HT_{2B} receptor antagonist. J. Med. Chem. 38(6), 855-857 (1995).
- West, J.D., Carrier, E.J., Bloodworth, N.C., et al. Serotonin 2B receptor antagonism prevents heritable pulmonary arterial hypertension. PLoS One 11(2), e0148657 (2016).
- 3. Shingala, J.R. and Balaraman, R. Antihypertensive effect of 5-HT_{1A} agonist buspirone and 5-HT_{2B} antagonists in experimentally induced hypertension in rats. Pharmacology 73(3), 129-139 (2005).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

SAFETY DATA This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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