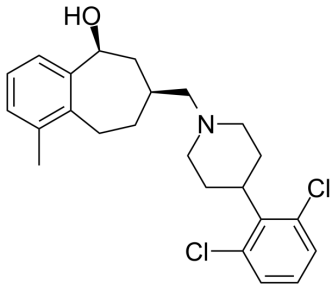
SB-612111

Cat. No.:	HY-18618
CAS No.:	371980-98-2
Molecular Formula:	$C_{24}H_{29}Cl_2NO$
Molecular Weight:	418.4
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	SB-612111 is a novel and potent opiate receptor-like orphan receptor (ORL-1) antagonist with a high affinity for hORL-1 (K_i =0.33 nM). SB-612111 exhibits selectivity for μ-, κ- and δ-receptors with K_i values of 57.6 nM, 160.5 nM and 2109 nM, respecticely. SB-612111 effectively antagonizes the pronociceptive action of Nociceptin (HY-P0183) in an acute pain model[1] .
IC_{50} & Target	Ki: 0.33 nM (hORL-1) Ki: 57.6 nM (μ-receptor); 160.5 nM (κ-receptor); 2109 nM (δ-receptor)[1]
In Vivo	SB-612111 (intravenous injection; 0.6-10 nmol/mouse) antagonize nociceptin-induced thermal hyperalgesia in a dose-dependent manner with an ED_{50} of 0.62 mg/kg[1]. SB-612111 (intravenous injection; 0.1-5 mg/kg) causes a significant inhibition of the carrageenan-induced reduction in paw withdrawal latencies in rat, however, untreated paw are uneffected[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male rats[1]
Dosage:	0.1 mg/kg, 0.3 mg/kg, 1 mg/kg, 3 mg/kg, 5 mg/kg
Administration:	Intravenous injection; single dose
Result:	Had antihyperalgesic effects on carrageenan-induced rat paw.

REFERENCES

[1]. Paola F Zaratin, et al. Modification of Nociception and Morphine Tolerance by the Selective Opiate Receptor-Like Orphan Receptor Antagonist (-)-cis-1-methyl-7-[[4-(2,6-dichlorophenyl)piperidin-1-yl]methyl]-6,7,8,9-tetrahydro-5H-benzocyclohepten-5-ol (SB-612111).J Pharmacol Exp Ther. 2004 Feb;308(2):454-61.

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

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