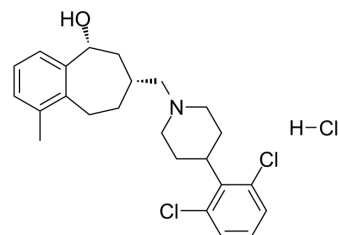


rel-SB-612111 hydrochloride

Cat. No.:	HY-18617
CAS No.:	371980-94-8
Molecular Formula:	C ₂₄ H ₃₀ Cl ₃ NO
Molecular Weight:	454.86
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	rel-SB-612111 hydrochloride is a novel and potent human opiate receptor-like orphan receptor (ORL-1) antagonist with a high affinity for hORL-1 (K _i =0.33 nM). rel-SB-612111 hydrochloride exhibits selectivity for μ-, κ- and δ-receptors with K _i values of 57.6 nM, 160.5 nM and 2109 nM, respectively. rel-SB-612111 hydrochloride effectively antagonizes the pronociceptive action of Nociceptin (HY-P0183) in an acute pain model ^[1] .
IC₅₀ & Target	K _i : 0.33 nM (hORL-1) K _i : 57.6 nM (μ-receptor); 160.5 nM (κ-receptor); 2109 nM (δ-receptor) ^[1]
In Vivo	rel-SB-612111 hydrochloride (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] . rel-SB-612111 hydrochloride (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 unaffected ^[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 Zarin, 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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