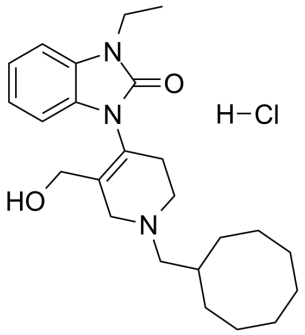
Trap-101 hydrochloride

Cat. No.:	HY-11052A
CAS No.:	1216621-00-9
Molecular Formula:	$C_{24}H_{36}ClN_3O_2$
Molecular Weight:	434.01
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	Trap-101 hydrochloride is a potent, selective and competitive antagonist of NOP receptors over classical opioid receptors. Trap-101 stimulates GTPγ^{35}S binding to CHO_{hNOP} membranes with pK_i values of 8.65, 6.60, 6.14 and <5 for NOP, μ-, κ-, and δ-opioid receptors, respectively. Trap-101 attenuates motor deficits in a rat model of parkinson's disease and can be used for the research of nervous system diseases[1].
IC_{50} & Target	pKi: 8.65 (NOP receptor); 6.60 (μ-opioid receptor); 6.14 (κ-opioid receptor); < 5 (δ-opioid receptor)[1]
In Vitro	Trap-101 hydrochloride (3, 30, and 300 nM) is inactive per se up to 10 μM, while in the range 3-300 nM, it produces a concentration dependent rightward shift of the concentration-response curve to N/OFQ without modifications of the maximal response to the agonist. Receptor binding affinities of Trap101 (pK_i values) at recombinant human NOP, and classical opioid receptors expressed in CHO cell membranes are 8.65, 6.60, 6.14 and < 5 for NOP, μ-, κ-, and δ-opioid receptors respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Trap-101 hydrochloride (10-30 mg/kg; detected after 90 min) changes motor activity in naïve rats, it causes a delayed increase in the immobility time in the bar test at 30 mg/kg, Moreover, it increased stepping activity and rotarod performance at 10 mg/kg and reduces them at 30 mg/kg[1]. 6-OHDA lesioning produces motor asymmetry mostly affecting the contralateral paw and overall reduced motor performance. Trap-101 hydrochloride (intraperitoneal injection; 10-30 mg/kg; detected after 90 min) alleviates akinesia/bradykinesia and improves overall gait ability in hemiparkinsonian rats, being effective starting at 1 mg/kg and without worsening motor deficit at 30 mg/kg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-OHDA hemilesioned rats[1]
Dosage:	10-30 mg/kg
Administration:	Intraperitoneal injection; 10-30 mg/kg; detected after 90 min
Result:	Attenuated parkinsonian-like motor deficits in rat.

REFERENCES

[1]. Matteo Marti, et al. The novel nociceptin/orphanin FQ receptor antagonist Trap-101 alleviates experimental parkinsonism through inhibition of the nigro-thalamic pathway: positive interaction with L-DOPA. J Neurochem. 2008 Dec;107(6):1683-96.

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