

JTC-801

Catalog No: tcsc0561



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

244218-51-7

Formula:

$C_{26}H_{26}ClN_3O_2$

Pathway:

GPCR/G Protein;Neuronal Signaling

Target:

Opioid Receptor;Opioid Receptor

Purity / Grade:

>98%

Solubility:

H2O : ≥ 0.33 mg/mL (0.74 mM)

Observed Molecular Weight:

447.96

Product Description

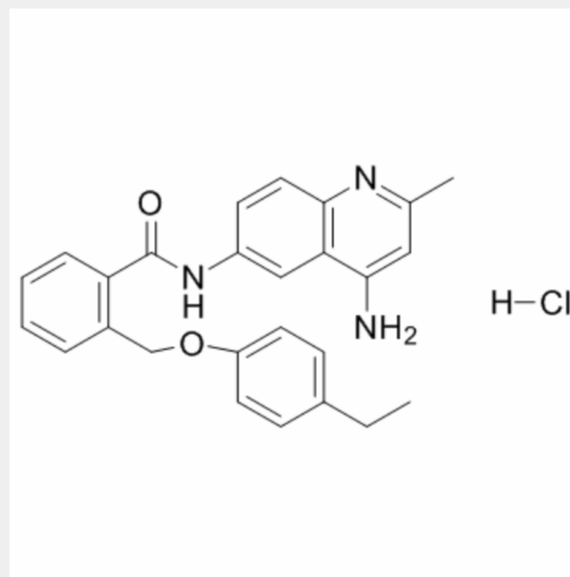
JTC-801 is a selective **opioid receptor-like1 (ORL1) receptor** antagonist, binding to ORL1 receptor with a **K_i** value of 8.2 nM.

IC50 & Target: Ki: 8.2 nM (ORL1)^[2]

In Vitro:

JTC-801 inhibits [³H]-nociceptin binding to ORL1 receptor expressed in HeLa cells with an IC₅₀ value of 94±8.6 nM at a [³H]-nociceptin concentration of 50 pM. JTC-801 weakly inhibits the binding of the ligands to human δ receptor (IC₅₀>10 μM), κ receptor (IC₅₀>10 μM), and μ receptor (IC₅₀=325 nM). In rat cerebrocortical membrane, JTC-801 inhibits ORL1 receptor (IC₅₀=472 nM) and μ receptor (IC₅₀=1831 nM). JTC-801 at a concentration of 10 μM reverses the inhibitory action of nociceptin against forskolin-induced increase in cyclic AMP level (IC₅₀: 2.58 μM, 1 nM of nociceptin used). JTC-801 alone does not affect the the production of cyclic AMP^[1]. The affinity of JTC-801 for ORL1 receptor, human opioid μ-, κ-, and δ-receptors is 8.2 nM, 102.9 nM, 1057.5 nM and 8647.2 nM^[2].

In Vivo: JTC-801 (≥0.01 mg/kg, i.v. or 1 mg/kg, p.o.) antagonizes the nociceptin-induced allodynia in mice. In mouse hot-plate test, JTC-801 prolongs escape response latency (ERL) to exposed heat stimulus with minimum effective doses (MED) of 0.01 mg/kg by i.v. or 1 mg/kg by p.o. In the rat formalin test, JTC-801 reduces both the first and second phases of the nociceptive response with MED of 0.01 mg/kg by i.v. administration or 1 mg/kg by p.o. administration. This anti-nociceptive action of JTC-801 is not inhibited by naloxone (10 mg/kg, s.c.). JTC-801 antagonizes the ORL1 receptor response, and has efficacious and potent anti-nociceptive effects in acute pain animal models not only by intravenous injection but also oral administration^[1]. JTC-801 (0.3 mg/kg) decreases allodynia induced by the intrathecal injection of nociceptin in mice^[2]. JTC-801 (6 mg/kg i.p., once daily) reverses SPS-induced mechanical allodynia, thermal hyperalgesia, anxiety-like behaviour and hypocortisolism. JTC-801 treatment also reverses NOP receptor protein and mRNA up-regulation in amygdala and PAG. JTC-801 blocks elevated N/OFQ levels in serum, CSF, PAG and hippocampus at day 21 of SPS^[3]. JTC-801 (0.05-5 mg/kg, i.p.) suppresses the the analgesic effect of N2O in 129Sv mice by the writhing test and tail flick test^[4].



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