Web: www.taiclone.com
Tel: +886-2-2735-9682
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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 $\mathbf{K_i}$ value of 8.2 nM.

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

In Vitro:

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JTC-801 inhibits [^{3}H]-nociceptin binding to ORL1 receptor expressed in HeLa cells with an IC_{50} value of 94±8.6 nm at a [^{3}H]-nociceptin concentration of 50 pM. JTC-801 weakly inhibits the binding of the ligands to human δ receptor (IC_{50}>10 μM), κ receptor (IC_{50}>10 μM), and μ receptor (IC_{50}=325 nM). In rat cerebrocortical membrane, JTC-801 inhibits ORL1 receptor (IC_{50}=472 nM) and μ receptor (IC_{50}=1831 nM). JTC-801 at a concentration of 10 μM reverses the inhibitory action of nociceptin against forskolin-induced increase in cyclic AMP level (IC_{50}: 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.) supresses the the analgesic effect of N2O in 129Sv mice by the writhing test and tail flick test[4].