

# **JTC-801** Catalog No: tcsc0561

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

**Specifications** 

CAS No:

244218-51-7

Formula:

 $\mathsf{C_{26}H_{26}CIN_{3}O_{2}}$ 

Pathway: GPCR/G Protein;Neuronal Signaling

**Target:** Opioid Receptor;Opioid Receptor

Purity / Grade:

### **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<sub>i</sub> value of 8.2 nM.

IC50 & Target: Ki: 8.2 nM (ORL1)<sup>[2]</sup>

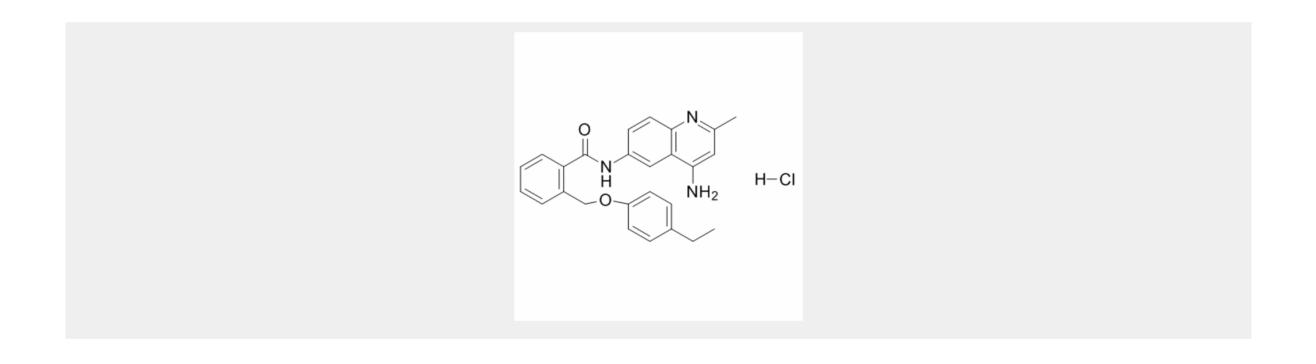
#### In Vitro:

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

*In Vivo:* JTC-801 ( $\geq$ 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<sup>[1]</sup>. JTC-801 (0.3 mg/kg) decreases allodynia induced by the intrathecal injection of nociceptin in mice<sup>[2]</sup>. 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<sup>[3]</sup>. JTC-801 (0.05-5 mg/kg, i.p.) supresses the the analgesic effect of N2O in 1295v mice by the writhing test and tail flick test<sup>[4]</sup>.



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