**JTC-801**

**Cat. No.:** HY-13274  
**CAS No.:** 244218-51-7  
**Molecular Formula:** $\text{C}_{26}\text{H}_{26}\text{ClN}_3\text{O}_2$  
**Molecular Weight:** 447.96  
**Target:** Opioid Receptor  
**Pathway:** GPCR/G Protein; Neuronal Signaling  
**Storage:** 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

### SOLVENT & SOLUBILITY

**In Vitro**

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.2323 mL</td>
<td>11.1617 mL</td>
<td>22.3234 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4465 mL</td>
<td>2.2323 mL</td>
<td>4.4647 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2232 mL</td>
<td>1.1162 mL</td>
<td>2.2323 mL</td>
<td></td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
   Solubility: ≥ 2.5 mg/mL (5.58 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (5.58 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (5.58 mM); Clear solution

### BIOLOGICAL ACTIVITY

**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.

**IC$_{50}$ & Target**

IC$_{50}$ to NOP Receptor/ORL1

**In Vitro**

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$_{50}$...
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, 17 nM of nociceptin used). JTC-801 alone does not affect the production of cyclic AMP\(^2\). 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\(^2\).

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### 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 0.017 mg/kg by i.v. or 17 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 0.017 mg/kg by i.v. administration or 17 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 allostynia 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\(^4\).

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### PROTOCOL

#### Kinase Assay\(^2\)

A suspension of membranes from human μ-opioid receptor-expressing CHO-K1 cells in 50 mM Tris-HCl buffer (pH 7.4) containing 5 mM MgCl\(_2\) and 10% sucrose is incubated at room temperature for 2.5 h with 0.33 nM \(^3\)H-labeled diprenorphine and various concentrations of JTC-801. The membranes are collected by filtration using Whatman 934-AH, and radioactivity is counted with a TopCount A9912V scintillation counter. Nonspecific binding (6.4%) is determined with 10 μM naloxone. Specific binding is calculated by subtracting nonspecific binding from the total binding. Data are the mean±SE (n=3).

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#### Animal Administration \(^1\)

The antagonistic effect of naloxone, a non-specific opioid antagonist, on the anti-nociceptive effect of JTC-801 and morphine is examined by formalin stimulation test. Limb licking response is induced by subcutaneous injection of 50 μL of 5% formalin to the left hind limb of each rat. The first 5 min (from immediately after the injection of formalin) and the subsequent 15 min (15-30 min post-injection) are designated as the first and second phases, respectively. The limb licking time during each of the phases is measured and used as an indicator of pain. Fifteen min before the injection of formalin, naloxone (10 mg/kg, dissolved in physiological saline) is given subcutaneously. Five min before the injection of formalin, JTC-801 and morphine are dissolved in 5% sorbitol and given into the tail vein at doses of 0.03 and 1.0 mg/kg, respectively. JTC-801 (3.0 mg/kg) and morphine (30 mg/kg) are administered orally 60 min before the formalin injection.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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