Nalfurafine hydrochloride

Cat. No.: HY-12745A
CAS No.: 152658-17-8
Molecular Formula: C₂₈H₃₃ClN₂O₅
Molecular Weight: 513.03
Target: Opioid Receptor
Pathway: GPCR/G Protein; Neuronal Signaling
Storage: Powder
-20°C 3 years
4°C 2 years
In solvent
-80°C 6 months
-20°C 1 month

Solvent & Solubility

In Vitro

DMSO: 21 mg/mL (40.93 mM; Need ultrasonic and warming)

Preparation of Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.9492 mL</td>
<td>9.7460 mL</td>
<td>19.4920 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3898 mL</td>
<td>1.9492 mL</td>
<td>3.8984 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1949 mL</td>
<td>0.9746 mL</td>
<td>1.9492 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description

Nalfurafine hydrochloride is a κ-opioid agonist and an anti-itch drug approved in Japan.

In Vivo

In mice with experimental dry skin, nalfurafine abolished spontaneous scratching but had no effect on alloknesis[1]. A single administration of subcutaneous TRK-820 significantly increased spontaneous ipsilateral rotational behavior of hemi-parkinsonian rats at 30 μg/kg though the efficacy is moderate and also significantly inhibited L-DOPA-induced dyskinesia at 10 and 30 μg/kg; this inhibition is reversed in the presence of nor-binaltorphimine, a kappa opioid receptor antagonist[2]. TRK-820 dose-dependently inhibited phencyclidine-induced rat hyperlocomotion. TRK-820 dose-dependently attenuated the biochemical changes of both dopamine and serotonin in the prefrontal cortex of rats treated with phencyclidine without affecting their basal levels in normal rats[3].

PROTOCOL
Animal Administration \[^1\][^2]\n
Rats: Nalfurafine is given to male Sprague-Dawley rats at volume of 1 mL/kg. After collecting control samples for 80 min, PCP (10 mg/kg, i.p.) is administered to the rats, and then the collection of dialysate is continued for 180 min. Pre-treatment with TRK-820 or vehicle is performed subcutaneously 5 min before the administration of PCP. Dopamine and serotonin levels in the dialysate are quantified by high-performance liquid chromatography\[^2\].

Mice: Chronic dry skin on the nape of the neck is induced on the C57BL/6 mice. Nalfurafine (20 µg/kg) or saline is administered. Then alloknesis testing is conducted\[^1\].

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

REFERENCES

