Nalfurafine hydrochloride

Cat. No.: HY-12745A

CAS No.: 152658-17-8

Molecular Formula: $C_{28}H_{33}ClN_2O_5$

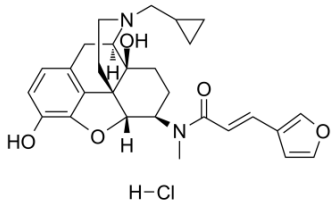
Molecular Weight: 513.03

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 : 33.33 mg/mL (64.97 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9492 mL	9.7460 mL	19.4920 mL
5 mM	0.3898 mL	1.9492 mL	3.8984 mL
10 mM	0.1949 mL	0.9746 mL	1.9492 mL

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 (4.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Nalfurafine hydrochloride (TRK-820 hydrochloride) is a potent selective and orally active G protein-biased kappa opioid receptor (KOR)-agonist with high translational potential[1]. Nalfurafine hydrochloride (TRK-820 hydrochloride) enhances the therapeutic potential of MOR-targeting analgesics, has the potential for uremic pruritis treatment[2].

In Vivo

Nalfurafine (subcutaneous injection; 0.015 mg/kg) combines with EOM-salvinorin-B produces spinal antinociception equivalent to 5 mg/kg, it also enhances the supraspinal analgesic effect of 5 mg/kg morphine[1].
Nalfurafine (subcutaneous injection; 4 μg/kg) causes a dose-dependent increase of the inhibition of the acetic acid-induced abdominal constriction,and the inhibition of the abdominal constriction reaches its peak 30 min after injection, gradually declined and returned to the pre-injection level 4 hr after[2].

Animal Model:	Male and Female C57BL/6J mice[1]
Dosage:	0.015 mg/kg
Administration:	Subcutaneous injection
Result:	Had the potential for enhancing the therapeutic potential of MOR-targeting analgesics, such as morphine.

CUSTOMER VALIDATION

- J Pharmacol Exp Ther. 2019 Nov;371(2):487-499.
- Front Med. 15 February 2021.
- Patent. US20210015813A1.

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REFERENCES

[1]. Kaski SW, et al. Preclinical Testing of Nalfurafine as an Opioid-sparing Adjuvant that Potentiates Analgesia by the Mu Opioid Receptor-targeting Agonist Morphine.J Pharmacol Exp Ther. 2019 Nov;371(2):487-499.

[2]. Endoh T, et al. Potent antinociceptive effects of TRK-820, a novel kappa-opioid receptor agonist.Life Sci. 1999;65(16):1685-94.

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