Nalfurafine

Cat. No.: HY-12745

CAS No.: 152657-84-6

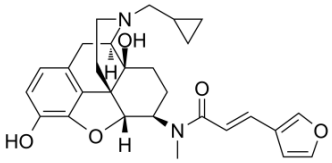
Molecular Formula: $C_{28}H_{32}N_2O_5$

Molecular Weight: 476.56

Target: Opioid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Nalfurafine (TRK-820) is a potent selective and orally active G protein-biased kappa opioid receptor (KOR)-agonist with high translational potential[1]. Nalfurafine (TRK-820) enhances the therapeutic potential of MOR-targeting analgesics, has the potential for uremic pruritis treatment[2].
IC_{50} & Target	IC50: kappa opioid receptor (KOR)[1]
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 preinjection level 4 hr after[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.
- Physiology, Pharmacology & Neuroscience, School of Medicine, West Virginia University. 2019 Aug.

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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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