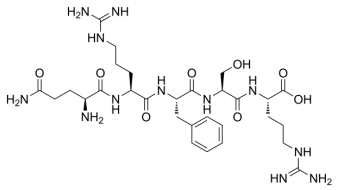
Opiorphin

Cat. No.:	HY-W345510
CAS No.:	864084-88-8
Molecular Formula:	$C_{29}H_{48}N_{12}O_8$
Molecular Weight:	692.77
Sequence Shortening:	QRFSR
Target:	Neprilysin
Pathway:	Metabolic Enzyme/Protease
Storage:	Sealed storage, away from moisture and light, under nitrogen Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 100 mg/mL (144.35 mM)
DMSO : 100 mg/mL (144.35 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4435 mL	7.2174 mL	14.4348 mL
5 mM	0.2887 mL	1.4435 mL	2.8870 mL
10 mM	0.1443 mL	0.7217 mL	1.4435 mL

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

BIOLOGICAL ACTIVITY

Description

Opiorphin, an opioid peptide, is a potent enkephalin-inactivating zinc ectopeptidases in human inhibitor. Opiorphin inhibits two enkephalin-catabolizing ectoenzymes, human neutral ecto-endopeptidase, hNEP (EC 3.4.24.11) with an IC_{50} value of 11 μM, and human ecto-aminopeptidase, hAP-N (EC 3.4.11.2). Opiorphin displays potent analgesic activity by activating endogenous opioid-dependent transmission[1][2].

In Vitro

Opiorphin (1-100 μM; the mouse isolated colon) causes contractile effects in mouse distal colon in a concentration-dependent manner and enhances the contractile response induced by Met-enkephalin[1].
Opiorphin (0-50 μM; hNEP or hAP-N transformed HEK293 cell line) is a dual inhibitor of enkephalin-degrading hNEP and hAP-N in vitro. Opiorphin inhibits Mca-BK2 endoproteolysis by the cell-surface recombinant hNEP with an IC_{50} value of 33 μM. and inhibits the Ala-pNA cleavage by hAP-N with an IC_{50} value of 65 μM[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Opiorphin (1.25-10 μg/kg; ICV; 0-60 min; male Kunming mice) induces potent analgesic effect in a dose- and time-dependent manner (ED_{50}=3.22 μg/kg)[1].

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

Animal Model:	Male Kunming mice[1]
Dosage:	1.25, 2.5, 5, 10 μg/kg
Administration:	Intracerebroventrical injection; post-drug latency measurements were performed at 5, 10, 20, 30, 40, 50 and 60 min
Result:	Had the percentage change of tail withdrawal latency (TWL) at 10 min after i.c.v. administration of 1.25-10 mg/kg was 28.90%, 44.37%, 56.43% and 91.899.79%, respectively.

REFERENCES

[1]. Wisner A, et, al. Human Opiorphin, a natural antinociceptive modulator of opioid-dependent pathways. Proc Natl Acad Sci U S A. 2006 Nov 21;103(47):17979-84.

[2]. Tian XZ, et, al. Effects and underlying mechanisms of human opiorphin on colonic motility and nociception in mice. Peptides. 2009 Jul;30(7):1348-54.

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