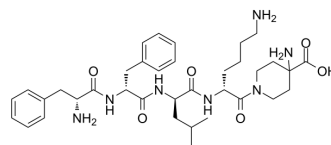


Difelikefalin

Cat. No.:	HY-17609
CAS No.:	1024828-77-0
Molecular Formula:	C ₃₆ H ₅₃ N ₇ O ₆
Molecular Weight:	679.85
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Sealed storage, away from moisture
	Powder -80°C 2 years
	-20°C 1 year



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

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (147.09 mM; Need ultrasonic)
 H₂O : ≥ 100 mg/mL (147.09 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1 mg	5 mg	10 mg
	1 mM		1.4709 mL	7.3546 mL	14.7091 mL
	5 mM		0.2942 mL	1.4709 mL	2.9418 mL
	10 mM		0.1471 mL	0.7355 mL	1.4709 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (3.68 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (3.68 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (3.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Difelikefalin (CR-845; FE-202845) is a peripherally restricted and selective agonist of kappa opioid receptor (KOR). Difelikefalin produces anti-inflammatory effects and has the potential in modulating pruritus in conditions such as chronic kidney disease^{[1][2]}.

IC₅₀ & Target

kappa opioid receptor (KOR)^[1]

In Vitro

Difelikefalin (CR-845; FE-202845) does not penetrate the blood-brain barrier. Difelikefalin does not bind to mu opioid receptors or any other receptors beside KORs^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Steven Fishbane, et al. Randomized Controlled Trial of Difelikefalin for Chronic Pruritus in Hemodialysis Patients. *Kidney Int Rep.* 2020 Jan 28;5(5):600-610.
- [2]. Steven Fishbane, et al. A Phase 3 Trial of Difelikefalin in Hemodialysis Patients With Pruritus. *N Engl J Med.* 2020 Jan 16;382(3):222-232.
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Caution: Product has not been fully validated for medical applications. For research use only.

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