Frakefamide TFA

Cat. No.: HY-106147B

Molecular Formula: $C_{32}H_{35}F_4N_5O_7$

Molecular Weight: 677.64

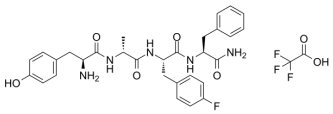
Sequence: Tyr-Ala-Phe(4-F)-Phe-NH2

Sequence Shortening: YA-Phe(4-F)-Phe-NH2

Target: Opioid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (368.93 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4757 mL	7.3785 mL	14.7571 mL
	5 mM	0.2951 mL	1.4757 mL	2.9514 mL
	10 mM	0.1476 mL	0.7379 mL	1.4757 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: ≥ 2.08 mg/mL (3.07 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.07 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Frakefamide TFA is a potent analgesic that acts as a peripheral active μ-selective receptor agonist. Frakefamide is unable to penetrate the blood-brain-barrier and enter the central nervous system[1][2].

In Vivo

Frakefamide (LEF576) yields a dose dependent increase in morphine appropriate responding to 50% at the highest dose tested (10 μmol/kg) after infusion durations of 2 min, whereas after 15 min infusions a maximum of 25% morphine appropriate responding was occasioned at 17.5 μmol/kg[1][2].

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

REFERENCES

[1]. Modalen AO, et al. A novel molecule (frakefamide) with peripheral opioid properties: the effects on resting ventilation compared with morphine and placebo. Anesth Analg. 2005 Mar;100(3):713-7.

[2]. Swedberg MD, et al. Drug discrimination: A versatile tool for characterization of CNS safety pharmacology and potential for drug abuse. J Pharmacol Toxicol Methods. 2016 Sep-Oct;81:295-305.

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