D[LEU4,LYS8]-VP TFA

Cat. No.: HY-P1163A

Molecular Formula: $C_{49}H_{68}F_3N_{11}O_{13}S_2$

Molecular Weight: 1140.25

Sequence: {Mpa}-Tyr-Phe-Leu-Asn-Cys-Pro-Lys-Gly (Disulfide bridge:Mpa1-Cys6)

{Mpa}-YFLNCPKG-NH_2 (Disulfide bridge:Mpa_1-Cys_6) (TFA salt)

Sequence Shortening: {Mpa}-YFLNCPKG-NH2 (Disulfide bridge:Mpa1-Cys6)

Target: Vasopressin Receptor

Pathway: GPCR/G Protein

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

H_2O : 100 mg/mL (87.70 mM; Need ultrasonic)

DMSO : ≥ 100 mg/mL (87.70 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8770 mL	4.3850 mL	8.7700 mL
	5 mM	0.1754 mL	0.8770 mL	1.7540 mL
	10 mM	0.0877 mL	0.4385 mL	0.8770 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

D[LEU4,LYS8]-VP TFA is a selective agonist of vasopressin V_{1b} receptor, with the K_is of 0.16 nM, 0.52 nM, and 0.1.38 nM for rat, human and mouse V_{1b} receptor, respectively. D[LEU4,LYS8]-VP TFA has weak antidiuretic, vasopressor, and in vitro oxytocic activities[1][2].

IC_{50} & Target

vasopressin V_{1b} receptor[1]

REFERENCES

[1]. Ana P, et, al. Pharmacological and physiological characterization of d[Leu4, Lys8]vasopressin, the first V1b-selective agonist for rat vasopressin/oxytocin receptors. Endocrinology. 2007 Sep; 148(9): 4136-46.

[2]. Ana P, et, al. Design and synthesis of the first selective agonists for the rat vasopressin V(1b) receptor: based on modifications of deamino-[Cys1]arginine vasopressin at positions 4 and 8. J Med Chem. 2007 Feb 22; 50(4): 835-47.

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