CTAP TFA

Cat. No.: HY-P1335A

Molecular Formula: $C_{53}H_{70}F_3N_{13}O_{12}S_2$

Molecular Weight: 1218.32

Sequence: Phe-Cys-Tyr-Trp-Arg-Thr-Pen-Thr-NH2 (Disulfide bridge:Cys2-Pen7)

Sequence Shortening: FCYWRT{Pen}T-NH2 (Disulfide bridge:Cys2-Pen7)

FCYWRT{Pen}T-NH_2
(Disulfide bridge:Cys_2-Pen_7) (TFA salt)

Target: Opioid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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 (82.08 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8208 mL	4.1040 mL	8.2080 mL
	5 mM	0.1642 mL	0.8208 mL	1.6416 mL
	10 mM	0.0821 mL	0.4104 mL	0.8208 mL

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

BIOLOGICAL ACTIVITY

Description

CTAP TFA is a potent, highly selective, and BBB penetrant μ opioid receptor antagonist, with an IC_{50} of 3.5 nM. CTAP TFA displays over 1200-fold selectivity over δ opioid (IC_{50}=4500 nM) and somatostatin receptors. CTAP TFA can be used for the study of L-DOPA-induced dyskinesia (LID) and opiate overdose or addiction[1][2].

IC_{50} & Target	μ Opioid Receptor/MOR	δ Opioid Receptor/DOR
	3.5 nM (IC_{50})	4500 nM (IC_{50})

In Vivo

CTAP TFA (0-1 mg/kg, IP, single) blocks morphine's antinociceptive effect[1].

CTAP TFA (10 mg/kg; IP, single) has no effect on L-DOPA-induced limb, axial, orolingual, or locomotor abnormal involuntary movements[1].

CTAP TFA is stable in the blood and serum of rats ($T_{1/2}$ > 500 min), showing that the structure of this peptide offers enzymatic resistance[2].

CTAP TFA is extensively protein-bound to albumin in the perfusion medium (68.2%) and to proteins in rat serum (84.2%)[2].

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

Animal Model:	Male Sprague-Dawley rats[1]
Dosage:	0, 0.1, 0.5, 1 mg/kg
Administration:	IP, single
Result:	Completely blocked morphine’s antinociceptive effect at 0.5 or 1 mg/kg.

REFERENCES

[1]. Abbruscato TJ, et al. Blood-brain barrier permeability and bioavailability of a highly potent and mu-selective opioid receptor antagonist, CTAP: comparison with morphine. J Pharmacol Exp Ther. 1997 Jan;280(1):402-9.

[2]. Mitchell J Bartlett, et al. Highly-selective μ-opioid Receptor Antagonism Does Not Block L-DOPA-induced Dyskinesia in a Rodent Model.BMC Res Notes

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA