Cyclo(his-pro) TFA

Cat. No.: HY-101402A

CAS No.: 936749-56-3

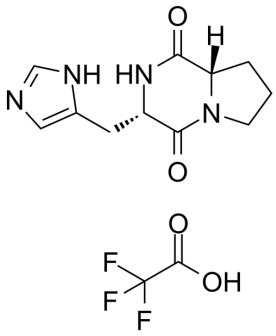
Molecular Formula: $C_{13}H_{15}F_3N_4O_4$

Molecular Weight: 348.28

Target: NF-κB; Endogenous Metabolite

Pathway: NF-κB; Metabolic Enzyme/Protease

Storage: -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 260 mg/mL (746.53 mM; Need ultrasonic)

H_2O : 125 mg/mL (358.91 mM; ultrasonic and adjust pH to 10 with NaOH)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8713 mL	14.3563 mL	28.7125 mL
	5 mM	0.5743 mL	2.8713 mL	5.7425 mL
	10 mM	0.2871 mL	1.4356 mL	2.8713 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (287.13 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.17 mg/mL (6.23 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (6.23 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (6.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cyclo(his-pro) TFA (Cyclo(histidyl-proline) TFA) is an orally active cyclic dipeptide structurally related to tyreotropin-releasing hormone[1]. Cyclo(his-pro) TFA could inhibit NF-κB nuclear accumulation. Cyclo(his-pro) TFA can cross the brain-blood-barrier and affect diverse inflammatory and stress responses[2].

IC_{50} & Target		
	NF-κB	Human Endogenous Metabolite

In Vitro

Cyclo(his-pro) TFA (Cyclo(histidyl-proline) TFA; 50 μM; 1-48 hours) increases the nuclear level of Nrf2 and inhibits NF-κB nuclear translocation. Cyclo(His-Pro) alone has no effect on nuclear translocation of these transcription factors[2].
Cyclo(his-pro) TFA (50 μM; prior to PQ exposure for 48 hours) abolishes protein nitration that followed paraquat (PQ) exposure and lessenes its functional consequences, as shown by decrease in cell apoptosis, detected by caspase 3 activity and by cytochrome c release[2].
Cyclo(his-pro) TFA inhibits NF-κB nuclear accumulation induced by paraquat in rat pheochromocytoma PC12 cells via the Nrf2/heme oxygenase-1 pathway[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	PC12 cells
Concentration:	50 μM
Incubation Time:	1, 2, 4, 8, 24, 48 hours
Result:	Increased the nuclear level of Nrf2 and inhibited NF-κB nuclear translocation.

In Vivo

Cyclo(his-pro) TFA (Cyclo(histidyl-proline) TFA; 1.8 mg/ear; topical application on the right ear; 30 min prior to TPA) reduces TPA-induced ear oedema confirming that it can exert anti-inflammatory effect[2].
Cyclo(his-pro) TFA exerts in vivo anti-inflammatory effects in the central nervous system by down-regulating hepatic and cerebral TNFα expression thereby counteracting LPS-induced gliosis. Moreover, by up-regulating Bip, Cyclo(his-pro) increases the ER stress sensitivity andtriggers the unfolded protein response to alleviate the ER stress[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sixty two/three month-old male C57BL/6 mice (25-30 g) [2]
Dosage:	1.8 mg/ear
Administration:	Topical application on the right ear; 30 min prior to TPA
Result:	Reduced TPA-induced ear oedema.

REFERENCES

[1]. Grottelli S, et al. The Role of Cyclo(His-Pro) in Neurodegeneration. Int J Mol Sci. 2016 Aug 12;17(8). pii: E1332.

[2]. Minelli A, et al. Cyclo(His-Pro) exerts anti-inflammatory effects by modulating NF-κB and Nrf2 signalling. Int J Biochem Cell Biol. 2012 Mar;44(3):525-35.

[3]. Bellezza I, et al. Neuroinflammation and endoplasmic reticulum stress are coregulated by cyclo(His-Pro) to prevent LPS neurotoxicity. Int J Biochem Cell Biol. 2014 Jun;51:159-69.

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