PGlu-3-methyl-His-Pro-NH2 TFA

Cat. No.: HY-107380A

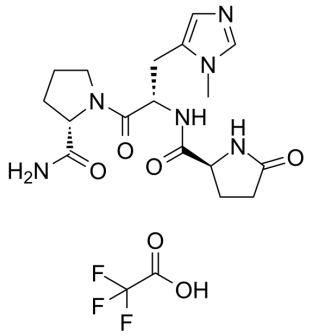
Molecular Formula: $C_{19}H_{25}F_3N_6O_6$

Molecular Weight: 490.43

Target: Others

Pathway: Others

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 : 250 mg/mL (509.76 mM; Need ultrasonic)
DMSO : 100 mg/mL (203.90 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0390 mL	10.1951 mL	20.3903 mL
	5 mM	0.4078 mL	2.0390 mL	4.0781 mL
	10 mM	0.2039 mL	1.0195 mL	2.0390 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 (203.90 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PGlu-3-methyl-His-Pro-NH2 TFA (A-42872 TFA), the modified thyrotropin-releasing hormone (TRH) peptide, enhances binding to pituitary TRH receptors and increases stimulation of thyroid-stimulating hormone (TSH) release from the pituitary. The in vitro permeability of PGlu-3-methyl-His-Pro-NH2 TFA through rat skin is increased in the presence of enhancers Ethanol and Cineole[1][2].

In Vitro

PGlu-3-methyl-His-Pro-NH2 TFA (A-42872 TFA) has a very high affinity for the TRH receptor in the CNS. PGlu-3-methyl-His-Pro-NH2 TFA is more potent in eliciting behavioral effects as well as being more potent in the release of both growth hormone and thyroid stimulating hormone[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PGlu-3-methyl-His-Pro-NH2 TFA (A-42872 TFA) (300 μg/kg; i.v.) elicits a 80 % increase in cerebral blood flow. Even a minute dose of PGlu-3-methyl-His-Pro-NH2 TFA (625 ng kg-1) causes an increase in cerebral blood flow[1].

The addition of 3% terpene in combination with 47% ethanol increases the penetration of PGlu-3-methyl-His-Pro-NH2 TFA (5 mg/ml; transdermal administration; 30 minutes)[2].
Topical application of PGlu-3-methyl-His-Pro-NH2 TFA induces an increase in TSH serum concentration from 0.32 ng/ml to 22.9 ng/ml, respectively, after 30 min. The addition of Terpene and Ethanol in combination with TRH or PGlu-3-methyl-His-Pro-NH2 TFA, increases the TSH release to 43 and 48.4 ng/ml, respectively[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (weighing 296–356 g)[2]
Dosage:	5 mg/ml
Administration:	Transdermal administration; 30 minutes
Result:	Increased in TSH-response in the presence of enhancers (3% Cineole and 47% Ethanol) as compared to peptide without enhancers.

REFERENCES

[1]. Koskinen LO, et al. Cerebrovascular effects of the TRH analogues pGlu-3-methyl-His-Pro amide and pGlu-Glu-Pro amide: a comparison with TRH. Ups J Med Sci. 2000;105(1):73-83.

[2]. Magnusson BM, et al. Biological effects after percutaneous absorption of thyrotropin-releasing hormone and its analogue M-TRH. Peptides. 2001 Jan;22(1):73-9.

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