TAK-448 acetate

Cat. No.: HY-P0076A

CAS No.: 1470374-22-1

Molecular Formula: $C_{60}H_{84}N_{16}O_{16}$

Molecular Weight: 1285.41

Sequence Shortening: Ac-{d-Tyr}-{Hyp}-NTF-{Aza-Gly}-L-{Arg(Me)}-W-NH2

Target: Kisspeptin Receptor

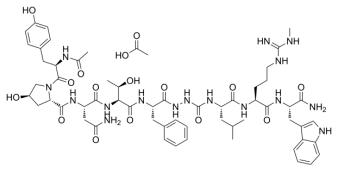
Pathway: GPCR/G Protein

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

DMSO : ≥ 100 mg/mL (77.80 mM)

H_2O : 50 mg/mL (38.90 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.7780 mL	3.8898 mL	7.7796 mL
	5 mM	0.1556 mL	0.7780 mL	1.5559 mL
	10 mM	0.0778 mL	0.3890 mL	0.7780 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 (77.80 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (1.94 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (1.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description TAK-448 acetate (MVT-602 acetate) is a potent and full KISS1R agonist with an IC_{50} of 460 pM and an EC_{50} of 632 pM[1].

IC_{50} & Target

IC50: 460 pM (KISS1R)[1]

EC50: 632 pM (KISS1R)[1]

In Vivo

TAK-448 acetate (0.01-3 mg/kg; given i.h.; dosings on day 0 and 28) has greater anti-tumor effects in VCaP xenograft model[2].

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

Animal Model:	Rat VCaP xenograft androgen-sensitive prostate cancer model (7-week-old rats)
Dosage:	0.01, 0.03, 0.3, 3 mg/kg
Administration:	Given i.h.; dosings on day 0 and 28
Result:	Had greater anti-tumor effects in VCaP xenograft model.

REFERENCES

[1]. Nishizawa N, et al. Design and Synthesis of an Investigational Nonapeptide KISS1 Receptor (KISS1R) Agonist, Ac-d-Tyr-Hydroxyproline (Hyp)-Asn-Thr-Phe-azaGly-Leu-Arg(Me)-Trp-NH2 (TAK-448), with Highly PotentTestosterone-Suppressive Activity and Excellent Water Solubility. J Med Chem. 2016 Oct 13;59(19):8804-8811.

[2]. Ishikawa K,et al. Usefulness of pharmacokinetic/efficacy analysis of an investigational kisspeptin analog, TAK-448, in quantitatively evaluating anti-tumor growth effect in the rat VCaP androgen-sensitiveprostate cancer model. Eur J Pharmacol. 2018 Jun 5;828:126-134.

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