TAK-683 acetate

Cat. No.: HY-P2161B

Molecular Formula: $C_{66}H_{87}N_{17}O_{15}$

Molecular Weight: 1358.5

Sequence Shortening: N-Acetyl-YWNTF{aza}L{Met-R}W-NH2

Target: Kisspeptin Receptor

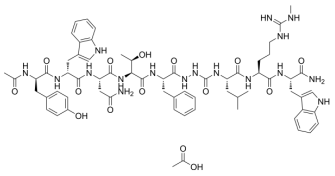
Pathway: GPCR/G Protein

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 : 5 mg/mL (3.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.7361 mL	3.6805 mL	7.3611 mL
	5 mM	---	---	---
	10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

TAK-683 acetate is a potent full KISS1 receptor (KISS1R) agonist (IC_{50}=170 pM) with improved metabolic stability. TAK-683 acetate is a nonapeptide metastin analog, exhibits agonistic activities to KISS1R with EC_{50} values of 0.96 nM and 1.6 nM for human and rat, respectively[1]. TAK-683 acetate depletes GnRH in the hypothalamus and reduces plasma FSH, LH, and testosterone levels in vivo, it has the potential for the study of hormone-dependent prostate cancer[1][2][4].

In Vitro

TAK-683 acetate exhibits an IC_{50} value (95% CI) from receptor binding assays is 150-180 pM and EC_{50} value (95% CI) from Ca^+ mobilization assays is 180 (159–203) pM in rat KISS1R-expressing Chinese hamster ovary (CHO) cells[4].

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

In Vivo

TAK-683 acetate (subcutaneous injection; 0.008, 0.08, 0.8, or 8 μmol/ml/kg; once daily; 7 days) induces an increase in plasma luteinizing hormone and testosterone levels; however, after day 7, plasma hormone levels and genital organ weights are reduced[3].

TAK-683 acetate (subcutaneous injection; 10, 30, or 100 pmol/h; once daily; 4 weeks) provides a promising for suppressing reproductive functions and hormone-related diseases such as prostate cancer[3].

TAK-683 acetate (subcutaneous injection; 2.1-21 nmol/kg/day; once daily; 12 weeks) has a longer-term evaluation in prostate cancer model, serum concentrations of PSA is reduced in rats, PSA concentrations are reduced to below the limit of detection (0.5 ng/ml)) in all rats by day 14[4].

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

Animal Model:	Male SD rat with prostate cancer model[4]
Dosage:	2.1, 7, 14, 21 nmol/kg/day
Administration:	Subcutaneous injection
Result:	Exhibited a sustained testosterone suppression in rat.

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 Potent Testosterone-Suppressive Activity and Excellent Water Solubility. J Med Chem. 2016 Oct 13;59(19):8804-8811. Epub 2016 Sep 21.

[2]. Asami T, et al.Design, synthesis, and biological evaluation of novel investigational nonapeptide KISS1R agonists with testosterone-suppressive activity.J Med Chem. 2013 Nov 14;56(21):8298-307.

[3]. Hisanori MATSUI, et al. Functional Analyses of Kisspeptin in Controlling Gonadal Functions

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