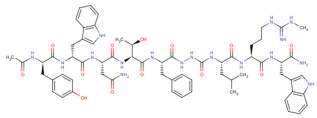
Data Sheet (Cat.No.TP2156L)

TargetMol
A DRUG SCREENING EXPERT

TAK-683

Chemical Properties



CAS No.:	872719-49-8
Formula:	C64H83N17O13
Molecular Weight:	1298.45
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	TAK-683 is an effective full KISS1 receptor agonist (IC50=170 pM). TAK-683 is a nonapeptide metastin analog, shows agonistic activities to KISS1R (EC50: 0.96 nM and 1.6 nM for human and rat, respectively).
Targets(IC_{50})	metastin/GPR54: 170 pM
In vitro	In rat KISS1R-expressing Chinese hamster ovary cells, TAK-683 exhibits an IC50 value (95% CI) from receptor binding assays is 150-180 pM and EC50 value (95% CI) from Ca+ mobilization assays is 180 (159–203) pM [4].
In vivo	TAK-683 (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. TAK-683 (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 are reduced in rats, PSA concentrations are reduced to below the limit of detection (0.5 ng/ml)) in all rats by day 14. TAK-683 (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][4].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.77 mL	3.851 mL	7.701 mL
5 mM	0.154 mL	0.77 mL	1.54 mL
10 mM	0.077 mL	0.385 mL	0.77 mL
50 mM	0.015 mL	0.077 mL	0.154 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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. Matsui H, et al. Pharmacologic profiles of investigational kisspeptin/metastin analogues, TAK-448 and TAK-683, in adult male rats in comparison to the GnRH analogue leuprolide.Eur J Pharmacol. 2014 Jul 15;735:77-85.
4. Hisanori MATSUI, et al. Functional Analyses of Kisspeptin in Controlling Gonadal Functions

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481