Data Sheet (Cat.No.TP2156)

TargetMol

TAK-683 TFA (872719-49-8 free base)

Chemical Properties

CAS No. :

Formula: C66H84F3N17O15

Molecular Weight: 1412.47

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TAK-683 TFA is a potent full agonist of the KISS1 receptor (KISS1R; IC50: 170 pM) with improved metabolic stability. It shows agonistic activities to KISS1R (EC50s: 0.96 nM and 1.6 nM for human and rat).
Targets(IC50)	Others
In vivo	TAK-683 (s.c.; 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. TAK-683 (s.c.; 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 (s.c.; 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 [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.708 mL	3.5399 mL	7.0798 mL
5 mM	0.1416 mL	0.708 mL	1.416 mL
10 mM	0.0708 mL	0.354 mL	0.708 mL
50 mM	0.0142 mL	0.0708 mL	0.1416 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Tanaka A, et al. Evaluation of pharmacokinetics/pharmacodynamics and efficacy of one-month depots of TAK-448 and TAK-683, investigational kisspeptin analogs, in male rats and an androgen-dependent prostate cancer model.Eur J Pharmacol. 2018 Mar 5;822:138-146.

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.

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.

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.

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