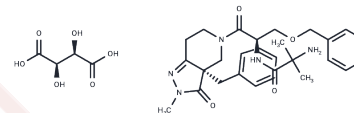


Capromorelin Tartrate

Chemical Properties

CAS No. :	193273-69-7
Formula:	C32H41N5O10
Molecular Weight:	655.7
Storage:	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	Capromorelin Tartrate (CP 424391-18) is a potent, orally active growth hormone secretagogue receptor (GHSR) agonist (Ki: 7 nM for hGHS-R1a).
Targets(IC50)	GHSR
In vitro	Capromorelin stimulates GH release in rat pituitary cell cultures (EC50: 3 nM) [1].
In vivo	Capromorelin demonstrates enhanced intestinal absorption in rodent models and exhibits superior pharmacokinetic properties, including high bioavailabilities in rats (F=65%) and dogs (F=44%) [1]. It stimulates GH release in anesthetized rats with an ED50 of 0.05 mg/kg iv [1]. In dogs, capromorelin (30 mg/mL) significantly increases food consumption and results in a weight gain of 0.52 kg compared to the placebo group [2].
Kinase Assay	Membranes are prepared from HEK293 cells stably transfected with the human GHS-R1a receptor cDNA in the plasmid pcDNA3.1neo. Competition radioligand binding assays are performed in 96-well format with GF/C filters pre-soaked in 0.3% polyethyleneimine. Assays are performed at room temperature for 1 h in duplicate using 50 pM [125I]-ghrelin and 1 µg membrane per well in 50 mM HEPES, pH 7.4, 10 mM MgCl2, 0.2% bovine serum albumin and the following protease inhibitors: 100 µg/mL bacitracin, 100 µg/mL benzamidine, 5 µg/mL aprotinin, 5 µg/mL leupeptin. The membranes are harvested and washed three times with ice-cold ish buffer containing 50 mM HEPES, pH 7.4 and 10 mM MgCl2. IC50 and Ki values are determined using Prism. The Kd of [125I]-ghrelin at membranes expressing human GHS receptors is calculated to be 0.2 nM [1].
Animal Research	The study tested capromorelin flavored oral solution with 30 mg/mL of capromorelin compared to a matched placebo flavored oral solution treatment (which contains all the ingredients of the formulation without capromorelin) administered for 4 days. Dogs are randomized into two groups, with Group 1 receiving placebo (0.1 mL/kg) and Group 2 receiving 3.0 mg/kg. Both groups are treated once a day at approximately 9 AM each day. The first day of dosing is considered Day 0. The placebo and test drug are administered by a syringe placed in the corner of the mouth. The Day 0 weight is used for dose calculations [2].

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 250 mg/mL (381.27 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (6.1 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5251 mL	7.6254 mL	15.2509 mL
5 mM	0.305 mL	1.5251 mL	3.0502 mL
10 mM	0.1525 mL	0.7625 mL	1.5251 mL
50 mM	0.0305 mL	0.1525 mL	0.305 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

Carpino PA, et al. Pyrazolinone-piperidine dipeptide growth hormone secretagogues (GHSs). Discovery of capromorelin. *Bioorg Med Chem.* 2003 Feb 20;11(4):581-90.

Zollers B, et al. Capromorelin oral solution (ENTYCE?) increases food consumption and body weight when administered for 4 consecutive days to healthy adult Beagle dogs in a randomized, masked, placebo controlled study. *BMC Vet Res.* 2017 Jan 5;13(1):10.

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