Capromorelin Tartrate

Cat. No.: HY-15243

CAS No.: 193273-69-7

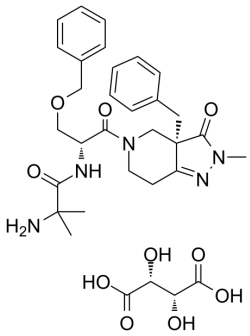
Molecular Formula: $C_{32}H_{41}N_5O_{10}$

Molecular Weight: 655.7

Target: GHSR

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (152.51 mM; Need ultrasonic)
DMSO : 100 mg/mL (152.51 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5251 mL	7.6254 mL	15.2509 mL
5 mM	0.3050 mL	1.5251 mL	3.0502 mL
10 mM	0.1525 mL	0.7625 mL	1.5251 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (76.25 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 (3.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.81 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Capromorelin Tartrate is an orally active, potent growth hormone secretagogue receptor (GHSR) agonist, with K_i of 7 nM for hGHS-R1a.

IC_{50} & Target

Ki: 7 nM (hGHS-R1a)[2]

In Vitro

Capromorelin stimulates GH release in rat pituitary cell cultures with EC_{50} of 3 nM[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Dogs receiving capromorelin (30 mg/mL) have food consumption that is significantly greater than dogs treated with placebo. All dogs in the capromorelin group gain weight by 0.52 kg, more than that of placebo group[1]. Capromorelin shows enhanced intestinal absorption in rodent models and exhibits superior pharmacokinetic properties, including high bioavailabilities in two animal species [F(rat)=65%, F(dog)=44%][2]. Capromorelin stimulates GH release in anesthesized rat model, with ED_{50} of 0.05 mg/kg iv[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [2]	Membranes are prepared from HEK293 cells (ATCC) 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 [^{125}I]-ghrelin and 1 μg membrane per well in 50 mM HEPES, pH 7.4, 10 mM $MgCl_2$, 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 $MgCl_2$. IC_{50} and K_i values are determined using Prism by Graphpad™. The K_d of [^{125}I]-ghrelin at membranes expressing human GHS receptors is calculated to be 0.2 nM. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

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

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