



上海源叶生物科技有限公司
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产品名称: **Capromorelin (Tartrate)**
产品别名: 卡普瑞林酒石酸盐; **CP 424391-18**

生物活性:				
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	K _i : 7 nM (hGHS-R1a) ^[2]			
In Vitro	Capromorelin stimulates GH release in rat pituitary cell cultures with EC ₅₀ of 3 nM ^[2] .			
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 anesthetized rat model, with ED ₅₀ of 0.05 mg/kg iv ^[2] .			
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (152.51 mM) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg
		1 mM	1.5251 mL	7.6254 mL
		5 mM	0.3050 mL	1.5251 mL
		10 mM	0.1525 mL	0.7625 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。 In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂: ——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (3.81 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.81 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀, 向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (3.81 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.81 mM, 饱和度未知) 的澄清溶液。			



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	以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。 3.请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: $\geq$ 2.5 mg/mL (3.81 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (3.81 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
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.
实验参考:	
Animal Administration	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. [1]
Kinase Assay	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 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 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. [2]
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.