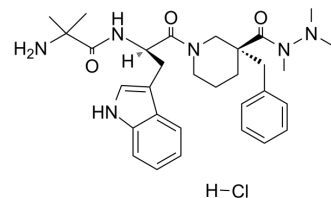


## Anamorelin hydrochloride

<b>Cat. No.:</b>	HY-14734A
<b>CAS No.:</b>	861998-00-7
<b>Molecular Formula:</b>	C <sub>31</sub> H <sub>43</sub> ClN <sub>6</sub> O <sub>3</sub>
<b>Molecular Weight:</b>	583.16
<b>Target:</b>	GHSR
<b>Pathway:</b>	GPCR/G Protein
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 170 mg/mL (291.52 mM; Need ultrasonic)					
	H <sub>2</sub> O : 50 mg/mL (85.74 mM; ultrasonic and warming and heat to 60°C)					
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>Concentration</b>				
		<b>1 mM</b>		1.7148 mL	8.5740 mL	17.1480 mL
<b>5 mM</b>			0.3430 mL	1.7148 mL	3.4296 mL	
	<b>10 mM</b>		0.1715 mL	0.8574 mL	1.7148 mL	
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 5 mg/mL (8.57 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 5 mg/mL (8.57 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 5 mg/mL (8.57 mM); Clear solution					

### BIOLOGICAL ACTIVITY

<b>Description</b>	Anamorelin (RC-1291) hydrochloride is a potent ghrelin receptor agonist with EC <sub>50</sub> value of 0.74 nM in the FLIPR assay.
<b>IC<sub>50</sub> &amp; Target</b>	Ki: 0.7 nM (ghrelin receptor) <sup>[1]</sup> EC <sub>50</sub> : 0.74 nM (ghrelin receptor) <sup>[1]</sup>
<b>In Vitro</b>	In the FLIPR assay, Anamorelin (ANAM) shows significant agonist activity on the ghrelin receptor, with EC <sub>50</sub> value of 0.74 nM. No significant antagonist activity is observed with Anamorelin at concentrations of up to 1,000 nM. In the binding experiments, Anamorelin binds to the ghrelin receptor with a binding affinity constant (K <sub>i</sub> ) of 0.70 nM. In the competition

assay with radiolabeled ibutamoren ( $^{35}\text{S}$ -MK-677; another ghrelin receptor agonist) Anamorelin (ANAM) is also found to bind with high affinity to the ghrelin receptor ( $\text{IC}_{50}=0.69\text{ nM}$ ). In rat pituitary cells incubated with Anamorelin, there is a dose-dependent stimulatory effect on GH release and the potency ( $\text{EC}_{50}$ ) is 1.5 nM. Anamorelin is screened for activity against a set of over 100 receptors, ion channels, transporters, and enzymes. Anamorelin demonstrates binding to the tachykinin neurokinin 2 ( $\text{NK}_2$ ) site ( $\text{IC}_{50}=0.021\text{ }\mu\text{M}$ ); however, a subsequent  $\text{NK}_2$  functional assay demonstrates no functional activity<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

In rats, Anamorelin (ANAM) at an oral dose of 3, 10, or 30 mg/kg once daily significantly increases both food intake and body weight from Day 2 to Day 7 of treatment compared with the vehicle control. The cumulative change in food intake and weight gain increases dose-dependently, and these changes are significant at all dose levels ( $P<0.05$ ) compared to the control. Administration of Anamorelin at a single oral dose of 3, 10, or 30 mg/kg induces a dose-dependent increase in plasma GH levels and GH  $\text{AUC}_{0-6\text{h}}$  in rats<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

#### Kinase Assay <sup>[1]</sup>

For the competition assay, Anamorelin (ANAM) concentrations (1 pM-10  $\mu\text{M}$ ) are added to the membranes together with  $^{35}\text{S}$ -MK-677. Nonspecific binding is determined by adding 10  $\mu\text{M}$  nonlabeled MK-677. The mixture is incubated at 30°C for 60 min, followed by application of the samples to GF/B filters, which has been pretreated with 0.5 % PEI for 60 min. The filters are subsequently washed in 0.9 % NaCl and counted using an OptiPhase counter<sup>[1]</sup>.

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#### Animal Administration <sup>[1]</sup>

Rats<sup>[1]</sup>

For the assessment of food intake and body weight, rats are divided into four groups: Anamorelin 3 mg/kg ( $n=7$ ), 10 mg/kg ( $n=7$ ), or 30 mg/kg ( $n=7$ ), or vehicle control ( $n=8$ ), and 100  $\mu\text{L}$  blood samples are collected before and 0.25, 0.5, 1, 2, 3, 4, 5, and 6 h after single dosing. Rats are anesthetized with sodium pentobarbital 64.8 mg/kg. A catheter filled with heparinized saline solution is inserted in the left femoral artery for blood collection and fitted with an extension tube, 1 mL sampling syringe, and a three-way cock to allow excess blood to return. Plasma levels of GH are measured immunochemically using a Rat Growth Hormone EIA kit and microplate reader. Measurements are performed in duplicate. Area under the GH concentration curve from 0 to 6 h ( $\text{AUC}_{0-6\text{h}}$ ) postdose and the time course of GH plasma concentrations are evaluated. Pig<sup>[1]</sup>

In pigs ( $n=6$  per group), Anamorelin is dosed directly into the gastric lumen via the dosing catheter. Blood samples are collected for the stimulation profile of GH at 30 and 15 min before, and 0, 5, 15, 30, 45, 60, and 120 min following dosing. Animals received either a single dose (3.5 mg/kg), or once-daily administration (1 mg/kg) for 7 days and stimulation profiles are taken after the first and seventh dose of Anamorelin. To assess IGF-1 levels, pigs receive either placebo or Anamorelin for 7 days (1 mg/kg/day), and the following 7 days the two treatments are crossed over. A single blood sample is taken once a day immediately before dosing.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- J Pharm Biomed Anal. 2016 Nov 30;131:482-496.
- Drug Test Anal. 2020 Aug 27.
- J Sep Sci. 2016 Jan;39(2):333-41.
- Mass Spectrom Lett. 2016, 7(3):55-63.
- Mass Spectrometry Letters. 2016 Sep 30;7(3):55-63.

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## REFERENCES

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[1]. Pietra C, et al. Anamorelin HCl (ONO-7643), a novel ghrelin receptor agonist, for the treatment of cancer anorexia-cachexiasyndrome: preclinical profile. J Cachexia Sarcopenia Muscle. 2014 Dec;5(4):329-37.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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