
Product Data Sheet

Product Name: Anamorelin Fumarate

Cat. No.: GC35337

Chemical Properties

Cas. No. 339539-92-3

SMILES [H][C@](CC1=CNC2=CC=CC=C21)(NC(C(C)(C)N)=O)C(N3CCC[C@@](C(N(C)N(C)C)=O)(CC4=CC=CC=C4)C3)=O.O=C(O)/C=C/C(O)=O

Formula $C_{35}H_{46}N_6O_7$ M.Wt 662.78

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure **Protocol****Kinase experiment:**

For the competition assay, Anamorelin (ANAM) concentrations (1 pM-10 μM) are added to the membranes together with 35S-MK-677. Nonspecific binding is determined by adding 10 μM 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[1].

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

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Animal experiment:

Rats[1] 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 μ 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 (AUC_{0-6h}) postdose and the time course of GH plasma concentrations are evaluated.

Pig[1] 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.

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References:

[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.

Background

Anamorelin is a small molecule agonist of the growth hormone (GH) secretagogue receptor 1a (GHS-R1a) and a mimetic of ghrelin.^{1,2} It induces calcium mobilization in CHO cells expressing rat GHS-R1a in a concentration-dependent manner and GH release from rat pituitary cells ($EC_{50} = 1.5$ nM). *In vivo*, anamorelin stimulates GH release in sham and vagotomized rats in a dose-dependent manner.¹ Anamorelin (3-30 mg/kg) also increases food intake in rats.² Formulations containing anamorelin have been used to treat cancer anorexia and cachexia in patients with non-small cell lung cancer.

1.Morozumi, N., Hanada, T., Habara, H., et al.The role of C-terminal part of ghrelin in pharmacokinetic profile and biological activity in rats *Peptides*32(5)1001-1007(2011)

2.Zhang, H., and Garcia, J.M.Anamorelin hydrochloride for the treatment of cancer-anorexia-cachexia in NSCLC *Expert Opin. Pharmacother.*16(8)1245-1253(2015)

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