Relamorelin TFA

Cat. No.: HY-19884B

CAS No.: 2863659-22-5

Molecular Formula: $C_{45}H_{51}F_3N_8O_7S$

Molecular Weight: 905

Target: GHSR

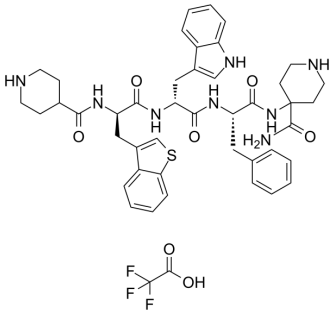
Pathway: GPCR/G Protein

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

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



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (110.50 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1050 mL	5.5249 mL	11.0497 mL
	5 mM	0.2210 mL	1.1050 mL	2.2099 mL
	10 mM	0.1105 mL	0.5525 mL	1.1050 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 (55.25 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Relamorelin (RM-131) TFA, a pentapeptide ghrelin analog, is a selective ghrelin/growth hormone secretagogue receptor (GHSR) agonist with a K_i of 0.42 nM for GHS-1a receptor. Relamorelin TFA is centrally penetrant. Relamorelin TFA increases growth hormone levels and accelerates gastric emptying. Relamorelin TFA has the potential for cachexia, gastroparesis, and gastric/intestinal dysmobility disorders research[1][2][3][4][5].

IC_{50} & Target

Ki: 0.42 nM (GHS-1a)[1]

In Vitro

Relamorelin (RM-131) TFA shows ~3 times greater affinity for GHS-1a (K_i=0.42 nM) than native ghrelin (K_i=1.12 nM). Relamorelin TFA is 6 times more potent (EC_{50}=0.71 nM) in activating the GHS-1a receptor than native ghrelin (EC_{50}=4.2 nM) as assessed in vitro by calcium mobilization[1].

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

In Vivo

Relamorelin (RM-131; 50-500 nmol/kg/day; s.c.; continuous infusion for 5 days) TFA decreases the loss of body mass and fat mass. Relamorelin (500 nmol/kg/day; continuous infusion for 5 days) TFA increases the food intake and weight gain in rats[1].

RM-131 (250-500 nmol/kg; a single s.c.) TFA stimulates acute food intake in wt but not growth hormone secretagogue receptor (GHR) ko mice[2].

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

Animal Model:	F344/NTacfBR male rats implanted with tumor[1]
Dosage:	50, 500 nmol/kg/day
Administration:	SC; continuous infusion at a rate of 0.5 μL/h for 5 d
Result:	Resulted in an increase in food intake (tumor/saline 41.4 g, tumor/BIM-28131 72.5 g) and weight gain (tumor/saline -10.3%, tumor/BIM-28131 +19.5%).

REFERENCES

[1]. DeBoer MD, et, al. Ghrelin treatment causes increased food intake and retention of lean body mass in a rat model of cancer cachexia. Endocrinology. 2007 Jun;148(6):3004-12.

[2]. Fischer K, et, al. The Pentapeptide RM-131 Promotes Food Intake and Adiposity in Wildtype Mice but Not in Mice Lacking the Ghrelin Receptor. Front Nutr. 2015 Jan 12;1:31.

[3]. Zatorski H, et, al. Relamorelin and other ghrelin receptor agonists - future options for gastroparesis, functional dyspepsia and proton pump inhibitors-resistant non-erosive reflux disease. J Physiol Pharmacol. 2017 Dec;68(6):797-805.

[4]. Matthew Heckroth, et al. Nausea and Vomiting in 2021: A Comprehensive Update. J Clin Gastroenterol. 2021 Apr 1;55(4):279-299.

[5]. Victor Chedid, et al. Relamorelin for the treatment of gastrointestinal motility disorders. Expert Opin Investig Drugs. 2017 Oct;26(10):1189-1197.

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