

Relamorelin acetate

Cat. No.:	HY-19884A
CAS No.:	1809080-14-5
Molecular Formula:	C ₄₅ H ₅₄ N ₈ O ₇ S
Molecular Weight:	851.02
Target:	GHSR
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Relamorelin (RM-131) acetate, 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 acetate is centrally penetrant. Relamorelin acetate increases growth hormone levels and accelerates gastric emptying. Relamorelin acetate has the potential for cachexia, gastroparesis, and gastric/intestinal dysmobility disorders research ^{[1][2][3][4][5]} .	
IC₅₀ & Target	K _i : 0.42 nM (GHS-1a) ^[1]	
In Vitro	Relamorelin (RM-131) acetate shows -3 times greater affinity for GHS-1a (K _i =0.42 nM) than native ghrelin (K _i =1.12 nM). Relamorelin acetate 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) acetate decreases the loss of body mass and fat mass. Relamorelin (500 nmol/kg/day; continuous infusion for 5 days) acetate increases the food intake and weight gain in rats ^[1] . RM-131 (250-500 nmol/kg; a single s.c.) acetate 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.

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

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