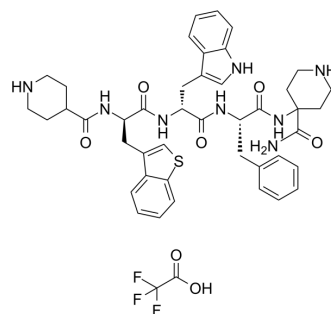


Relamorelin TFA

Cat. No.:	HY-19884B
CAS No.:	2863659-22-5
Molecular Formula:	C ₄₅ H ₅₁ F ₃ N ₈ O ₇ S
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 ₂ O : 100 mg/mL (110.50 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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]} .
IC₅₀ & 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/NTacBR 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

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- [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.
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- [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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