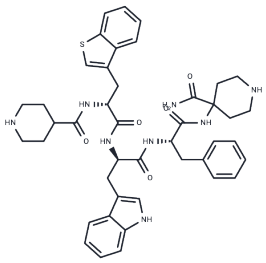
Data Sheet (Cat.No.T34281)

TargetMol

Relamorelin

Chemical Properties



CAS No. : 661472-41-9

Formula: C43H50N8O5S

Molecular Weight: 790.97

Storage: Store at low temperature,Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Relamorelin(BIM28131, RM131) is a selective and potent ghrelin/growth hormone secretagogue receptor (GHSR) agonist with high affinity for GHS-1a receptor (Ki value is 0.42 ± 0.063 nM). Relamorelin(BIM28131, RM131) is also a centrally permeable pentapeptide analog that can increase growth hormone levels and accelerate gastric emptying, and has the potential to study cachexia.
Targets(IC50)	GHSR
In vitro	Relamorelin (BIM28131, RM131) binds to the known ghrelin receptor (GHS-1a) with subnanomolar affinity (inhibition constant, 0.42 ± 0.063 nM), approximately three-fold higher than the affinity of native ghrelin (inhibition constant, 1.12 ± 0.17 nM); relamorelin (BIM28131, RM131) is six times more potent (EC50, 0.71 ± 0.09 nM) than native ghrelin (EC50, 4.2 ± 1.2 nM) in activating the GHS-1a receptor as assessed by in vitro calcium mobilization. [2]
In vivo	**METHODS**: C57BL6/J wt and GHR ko mice were treated with daily subcutaneous injections of rat ghrelin (5,000 nmol/kg) and RM-131 (50 or 500 nmol/kg), and the chronic effects of RM-131 on body weight, body composition (fat and lean mass), and food intake were measured. **RESULTS** Mice treated with 500 nmol/kg RM-131 showed a greater increase in food intake. [1] Relamorelin(BIM28131, RM131) has a higher enzymatic stability in plasma than native ghrelin (half-life in rat plasma is 24 h vs. 1.9 h, respectively). hours), and when injected intravenously, its circulation half-life is prolonged 10-fold compared to native ghrelin.[2]

Solubility Information

Solubility	DMSO: 50 mg/mL (63.21 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.2643 mL	6.3214 mL	12.6427 mL
5 mM	0.2529 mL	1.2643 mL	2.5285 mL
10 mM	0.1264 mL	0.6321 mL	1.2643 mL
50 mM	0.0253 mL	0.1264 mL	0.2529 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
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.
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.
Sanger GJ, Furness JB. Ghrelin and motilin receptors as drug targets for gastrointestinal disorders. Nat Rev Gastroenterol Hepatol. 2016 Jan;13(1):38-48. doi: 10.1038/nrgastro.2015.163. Epub 2015 Sep 22. Review. PubMed PMID: 26392067.