

Retatrutide

Cat. No.:	HY-P3506
CAS No.:	2381089-83-2
Molecular Formula:	C ₂₂₁ H ₃₄₂ N ₄₆ O ₆₈
Molecular Weight:	4731.33
Sequence:	Tyr-{Aib}-Gln-Gly-Thr-Phe-Thr-Ser-Asp-Tyr-Ser-Ile- $\{\alpha$ -Me-Leu}-Leu-Asp-Lys-{diacid-C2 0-gamma-Glu-(AEEA)-Lys}-Ala-Gln-{Aib}-Ala-Phe-Ile-Glu-Tyr-Leu-Leu-Glu-Gly-Gly-Pro- Ser-Ser-Gly-Ala-Pro-Pro-Pro-Ser-NH ₂
Target:	GCGR; GLP Receptor
Pathway:	GPCR/G Protein
Storage:	Sealed storage, away from moisture and light, under nitrogen Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)

Retatrutide

SOLVENT & SOLUBILITY

In Vitro

H₂O : 33.33 mg/mL (7.04 mM; ultrasonic and adjust pH to 7 with NaOH)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	0.2114 mL	1.0568 mL	2.1136 mL
	5 mM	0.0423 mL	0.2114 mL	0.4227 mL
	10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Retatrutide (LY3437943) is a triple agonist peptide of the glucagon receptor (GCGR), glucosedependent insulinotropic polypeptide receptor (GIPR), and glucagon-like peptide-1 receptor (GLP-1R). Retatrutide inhibits human GCGR, GIPR, and GLP-1R with EC₅₀ values of 5.79, 0.0643 and 0.775 nM, respectively. Retatrutide can be used for the research of obesity^[1].

IC₅₀ & Target

EC₅₀ (for human): 5.79 (GCGR), 0.0643 (GIPR), 0.775 nM (GLP-1R) ^[1].
 EC₅₀ (for mouse): 2.32 (GCGR), 0.191 (GIPR), 0.794 nM (GLP-1R) ^[1].
 Ki (for human): 5.6 (GCGR), 0.057 (GIPR), 7.2 nM (GLP-1R) ^[1].
 Ki (for mouse): 73 (GCGR), 2.8 (GIPR), 1.3 nM (GLP-1R) ^[1].

In Vitro

Retatrutide (LY3437943) has efficacy for human GCGR, GIPR, and GLP-1R with EC₅₀ values of 5.79, 0.0643 and 0.775 nM, respectively^[1].

Retatrutide has efficacy for mouse GCGR, GIPR, and GLP-1R with EC₅₀ values of 2.32, 0.191 and 0.794 nM, respectively^[1]. Retatrutide has binding affinity for human GCGR, GIPR, and GLP-1R with K_i values of 5.6, 0.057 and 7.2 nM, respectively^[1]. Retatrutide has binding affinity for mouse GCGR, GIPR, and GLP-1R with K_i values of 73, 2.8 and 1.3 nM, respectively^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Retatrutide (LY3437943) (s.c.; 0.47 mg/kg; single) engages GCGR in vivo and can improve glucose tolerance in an ipGTT through either the GIP or GLP-1 receptors^[1]. Retatrutide (s.c.; 10 mL/kg; cycle every 3 days; for 21 days) causes great body weight loss and increases energy expenditure through glucagon receptor activation^[1]. Retatrutide has safety and tolerability^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male CD-1 mice ^[1]					
Dosage:	0.47 mg/kg					
Administration:	Subcutaneous administration, single					
Result:	AUC _{last} , ng*h/mL	AUC _{0-∞} , ng*h/mL	C _{max} , ng/mL	T _{max} , h	t _{1/2} , h	CLF, mL/h/kg
	41135	41905	1680	12	21	11.22

Animal Model:	Diet-induced obese (DIO) male C57/Bl6 mice (24-25 weeks, 40-51 g) ^[1]					
Dosage:	10 mL/kg					
Administration:	Subcutaneous (SC) injection, cycle every 3 days, for 21 days					
Result:	Decreased body weight and improved glycemic control.					

REFERENCES

[1]. Tamer Coskun, et al. LY3437943, a novel triple glucagon, GIP, and GLP-1 receptor agonist for glycemic control and weight loss: From discovery to clinical proof of concept. Cell Metab. 2022 Sep 6;34(9):1234-1247.e9.

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

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