Retatrutide TFA

LY3437943 (TFA salt)

Cat. No.: HY-P3506A

Molecular Formula: $C_{221}H_{342}N_{46}O_{68}{\cdot}xC_2HF_3O_2$

Sequence: Tyr-{Aib}-Gln-Gly-Thr-Phe-Thr-Ser-Asp-Tyr-Ser-Ile-{α-Me-Leu}-Leu-Asp-Lys-{diacid-C20-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-NH2

Target: GLP Receptor; GCGR

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

DMSO : ≥ 100 mg/mL

H_2O : 20 mg/mL (ultrasonic and adjust pH to 9 with NH3·H2O)

* "≥" means soluble, but saturation unknown.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (Infinity mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.25 mg/mL (Infinity mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (Infinity mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Retatrutide (LY3437943) TFA is a triple agonist peptide of the glucagon receptor (GCGR), glucosedependent insulinotropic polypeptide receptor (GIPR), and glucagon-like peptide-1 receptor (GLP-1R). Retatrutide TFA binds human GCGR, GIPR, and GLP-1R with EC_{50} values of 5.79, 0.0643 and 0.775 nM, respectively. Retatrutide TFA can be used for the research of obesity[1].

IC_{50} & 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-1)[1].

In Vitro

Retatrutide (LY3437943) TFA has efficacy for human GCGR, GIPR, and GLP-1R with EC_{50} values of 5.79, 0.0643 and 0.775 nM, respectively[1].
Retatrutide has efficacy for mouse GCGR, GIPR, and GLP-1R with EC_{50} 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) TFA (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 activatio[1]. Retatrutide has safety and tolerability[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA