AZP-531

Cat. No.: HY-P0231

CAS No.: 1088543-62-7

Molecular Formula: $C_{40}H_{63}N_{15}O_{13}$

Molecular Weight: 962.02

Sequence Shortening: Cyclo(RVQSPEHQ)

Target: GHSR

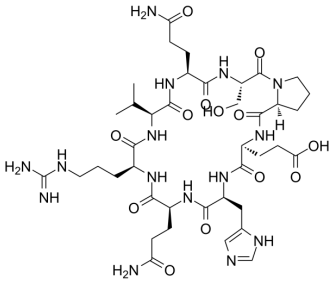
Pathway: GPCR/G Protein

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_2O : 100 mg/mL (103.95 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0395 mL	5.1974 mL	10.3948 mL
	5 mM	0.2079 mL	1.0395 mL	2.0790 mL
	10 mM	0.1039 mL	0.5197 mL	1.0395 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (103.95 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

AZP-531 is an analogue of unacylated ghrelin designed to improve glycaemic control and reduce weight.

In Vitro

AZP-531 exerts survival effects on pancreatic b-cells and human pancreatic islets which is comparable to that of UAG, the parent molecule. AZP-531 is very stable in human plasma in vitro. No degradation is observed after 1 day of incubation at 37°C[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

The highest concentration of this peptide is 4350 ng/mL, and the majority of samples are above the limit of quantification (1 ng/mL)[1]. AZP-531 infusion prevents the increase in body weight caused by high-fat diet in mice. AZP-531 treatment prevents high-fat diet-induced proinflammatory effects, stimulates expression of mitochondrial function markers in brown adipose tissue, and prevents development of a prediabetic metabolic state. AZP-531 also prevents a high-fat diet-induced

increase in acyl ghrelin levels[2]. AZP-531 is well tolerated. Single- and multiple-dose pharmokinetic variables are similar. Maximum AZP-531 concentrations are typically reached at 1 h post-dose. Observed maximum concentration and area under the curve are dose-proportional. The mean terminal half-life is 2–3 h. AZP-531 (≥15 μg/kg) significantly improves glucose concentrations, without increasing insulin levels, suggesting an insulin-sensitizing effect. AZP-531 decreases mean body weight by 2.6 kg (vs 0.8 kg for placebo). Glucose variables improve in all groups, including placebo, suggesting a study effect in uncontrolled patients at baseline. AZP-531 60 μg/kg reduces HbA1c by 0.4% (vs 0.2% for placebo) and body weight by 2.1 kg (vs 1.3 kg for placebo)[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1][2]

Rats: AZP-531 is administered in sterile water to obtain a 1 mg/kg and 0.3 mg/kg dose in the rat through both intravenous and subcutaneous routes. Blood is collected at t=0, 2, 5, 15, 30, 60, 120, 240, 360, 480 and 1440 min post-administration for the intravenous dose route and t=0, 15, 30, 60, 120, 240, 360, 480 and 1440 min post-administration for the subcutaneous route[1].

Mice: AZP531 is prepared in saline. C57BL/6J mice are infused with saline, DAG, or AZP531 continuously for 4 weeks, and fed either normal diet (ND) or normal diet for 2 weeks followed by a high-fat diet (HFD) for 2 weeks. Peptides are infused at 4 nM/kg/h[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Elife. 2020 Jul 15;9:e56913.
- Patent. US 20200318077A1.

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REFERENCES

[1]. Julien M, et al. In vitro and in vivo stability and pharmacokinetic profile of unacylated ghrelin (UAG) analogues. Eur J Pharm Sci. 2012 Nov 20;47(4):625-35.

[2]. Delhanty PJ, et al. Des-acyl ghrelin analogs prevent high-fat-diet-induced dysregulation of glucosehomeostasis. FASEB J. 2013 Apr;27(4):1690-700.

[3]. Allas S, et al. Safety, tolerability, pharmacokinetics and pharmacodynamics of AZP-531, a first-in-class analogue of unacylated ghrelin, in healthy and overweight/obese subjects and subjects with type 2 diabetes. Diabetes Obes Metab. 2016 Sep;18(9):868-74

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