Abaloparatide TFA

Cat. No.: HY-108742A

Molecular Formula: $C_{176}H_{301}N_{56}F_3O_{51}$

Molecular Weight: 4075

Sequence: Ala-Val-Ser-Glu-His-Gln-Leu-Leu-His-Asp-Lys-Gly-Lys-Ser-Ile-Gln-Asp-Leu-Arg-Arg-Arg-Glu-Leu-Leu-Glu-Lys-Leu-Leu-{Aib}-Lys-Leu-His-Thr-Ala-NH2

AVSEHQLLHDKGKSIQDLRRRELLEKLL-{Aib}-KLHTA-NH_2 (TFA salt)

Sequence Shortening: AVSEHQLLHDKGKSIQDLRRRELLEKLL-{Aib}-KLHTA-NH2

Target: Thyroid Hormone Receptor; Arrestin

Pathway: Vitamin D Related/Nuclear Receptor; 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)

SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (24.54 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.2454 mL	1.2270 mL	2.4540 mL
	5 mM	0.0491 mL	0.2454 mL	0.4908 mL
	10 mM	0.0245 mL	0.1227 mL	0.2454 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 20 mg/mL (4.91 mM); Clear solution; Need ultrasonic and warming

BIOLOGICAL ACTIVITY

Description

Abaloparatide TFA (BA 058 TFA) is a parathyroid hormone receptor 1 (PTHR1) analogue. Abaloparatide TFA also is a selective PTHR1 activator. Abaloparatide TFA enhances Gs/cAMP signaling and β-arrestin recruitment. Abaloparatide TFA enhances bone formation and cortical structure in mice. Abaloparatide TFA has the potential for the research of osteoporosis[1][2].

IC_{50} & Target

Parathyroid hormone receptor 1 (PTHR1)[1]

In Vitro

Abaloparatide TFA (0-100 nM; 40 min) enhances Gs/cAMP signaling and β-arrestin recruitment in MC3T3-E1 cells[1].
Abaloparatide TFA (0-100 nM) efficiently induces PTHR1 internalization in a dose-dependen manner with an EC_{50} value of 0.8 nM in U2OS Cell[1].

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

In Vivo

Abaloparatide TFA (20-80 μg/kg; s.c.; daily for 30 days) enhances bone formation and cortical structure in mouse[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Sprague-Dawley rats (age 22 weeks)[2]
Dosage:	1 μg/kg, 5 μg/kg, 25 μg/kg
Administration:	Subcutaneous injection; daily; for 12 months
Result:	Increased biochemical bone formation markers, histomorphometric indices of bone formation on trabecular, endocortical, and periosteal surfaces. Induced substantial increases in trabecular bone volume and density and improvements in trabecular microarchitecture. Stimulated periosteal expansion and endocortical bone apposition at the tibial diaphysis, leading to marked increases in cortical bone volume and density. Whole-body bone mineral density (BMD) was increasing 25%.

Animal Model:	16-week-old wild-type (WT) female C57BL/6J mice[1]
Dosage:	20-80 μg/kg
Administration:	S.c.; daily for 30 days
Result:	Efficiently expanded cortical thickness (Ct. Th) at both doses of 20 and 80 μg/kg/day by 17% and 18%, respectively, increased P1NP levels to 227% and 407% at 20 and 80 μg/kg/day, respectively.

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2021 Nov 9;118(45):e2107363118.

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REFERENCES

[1]. Sahbani K, et al. Abaloparatide exhibits greater osteoanabolic response and higher cAMP stimulation and β-arrestin recruitment than teriparatide. Physiol Rep. 2019 Oct;7(19):e14225.

[2]. Varela A, et al. One Year of Abaloparatide, a Selective Activator of the PTH1 Receptor, Increased Bone Formation and Bone Mass in Osteopenic Ovariectomized Rats Without Increasing Bone Resorption. J Bone Miner Res. 2017 Jan;32(1):24-33.

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