Abaloparatide

Cat. No.: HY-108742

CAS No.: 247062-33-5

Molecular Formula: $C_{174}H_{300}N_{56}O_{49}$

Molecular Weight: 3961

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

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-NH_2

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

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)

SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (6.31 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.2525 mL	1.2623 mL	2.5246 mL
	5 mM	0.0505 mL	0.2525 mL	0.5049 mL
	10 mM	---	---	---

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (0.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (0.63 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (0.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

In Vitro	Abaloparatide (0-100 nM; 40 min) enhances Gs/cAMP signaling and β-arrestin recruitment in MC3T3-E1 cells[1]. Abaloparatide (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 (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:	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.

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%.

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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