
Product Data Sheet

Product Name: Abaloparatide TFA

Cat. No.: GC38581

Chemical Properties

Cas. No.

Formula $C_{176}H_{301}N_{56}F_3O_{51}$ M.Wt 4074.61

Solubility Water: ≥ 50 mg/mL
(12.27 mM) Storage Store at $-20^{\circ}C$, protect from light, stored under nitrogen

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

Background

Abaloparatide TFA (BA 058 TFA) is a parathyroid hormone receptor 1 (PTH1R) analogue selected to be a potent and selective activator of the PTH1R signaling pathway. Abaloparatide TFA enhances Gs/cAMP signaling (EC_{50} of 0.3 nM) and β -arrestin recruitment (EC_{50} of 0.9 nM) in MC3T3-E1 osteoblast cells[1][2].

MC3T3-E1 osteoblast cells are treated with 0.01-100 nM of Abaloparatide for 40 min at $37^{\circ}C$ in the presence of 0.5 mM IBMX. The results reveal that exposure of cells to Abaloparatide caused a robust elevation of intracellular cAMP levels. Abaloparatide treatment results in a 2.3-fold decrease in EC_{50} value for cAMP formation compared to teriparatide (EC_{50} s of 0.3 nM and 0.7 nM, respectively)[1]. A dose-dependent stimulation of β -arrestin/PTH1R interaction is demonstrated by abaloparatide. Consistently, the calculated EC_{50} value for abaloparatide is 1.6-fold lower than that of teriparatide (EC_{50} s of 0.9 nM and 1.5 nM, respectively)[1]. Abaloparatide efficiently induces a dose-dependent stimulation of PTH1R internalization with a dose as low as 0.1 nM and reaches maximum stimulation at 100 nM concentration. The EC_{50} value of 0.8 nM for Abaloparatide[1].

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

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Abaloparatide (1-25 µg/kg; subcutaneous injection; daily; for 12 months; female Sprague-Dawley rats) treatment increases biochemical bone formation markers, histomorphometric indices of bone formation on trabecular, endocortical, and periosteal surfaces. Abaloparatide induces substantial increases in trabecular bone volume and density and improvements in trabecular microarchitecture. Abaloparatide stimulates 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) is increasing 25% after 12 months of abaloparatide (25 µg/kg) in osteopenic ovariectomized (OVX) rats[2]. Animal Model: Female Sprague-Dawley rats (age 22 weeks)[2]

[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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