Seocalcitol

Cat. No.: HY-32341

CAS No.: 134404-52-7

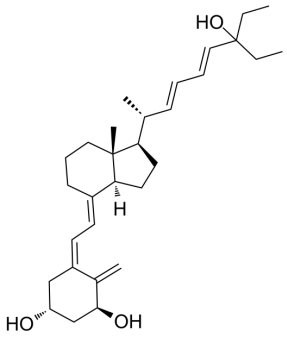
Molecular Formula: $C_{30}H_{46}O_3$

Molecular Weight: 454.68

Target: VD/VDR

Pathway: Vitamin D Related/Nuclear Receptor

Storage: 4°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (109.97 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1993 mL	10.9967 mL	21.9935 mL
	5 mM	0.4399 mL	2.1993 mL	4.3987 mL
	10 mM	0.2199 mL	1.0997 mL	2.1993 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Seocalcitol is a vitamin D analog, binds vitamin D receptor protein from human osteosarcoma MG-63 cells with K_d of 0.27 nM.

IC_{50} & Target

Kd: 0.27 nM (vitamin D receptor)[1]

In Vitro

Seocalcitol (EB 1089) is a stimulators of osteoclast recruitment in murine bone marrow cultures, with EC_{50} at 0.1 nM. Seocalcitol stimulates bone resorption with an estimated EC_{50} at 0.03 nM[1]. Seocalcitol (EB 1089) elicites a dose-dependent induction of 24-hydroxylase mRNA in the kidney (EC_{50}=0.4±0.13). In the kidney, K_d values for Seocalcitol is 0.48±0.04 nM. However, in the intestine, the K_d for Seocalcitol is 1.43±0.19 nM)[2]. Seocalcitol (0.1-10 nM) induces cell differentiation in a dosedependent manner. A higher differentiating activity is observed for 1 nM Seocalcitol (EB 1089) than for 1 nM VD_3.

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

In Vivo

Seocalcitol (EB1089), a synthetic vitamin D analog, exhibits reduced hypercalcemic activity relative to $1,25(OH)_2VD_3$. In another study, long-term intraperitoneal (IP) administration of Seocalcitol at a dose of 0.5 μg/kg body weight every other day in C3H/Sy mice exertes a very strong inhibitory effect on hepatocellular carcinoma (HCC) development[4]. Seocalcitol (EB 1089) is administered daily to postnatal rats from 4 to 12 days of age (P4 to P12) by intraperitoneal injection at either 0.38 or 1.25 μg/kg body weight (BW)/day. Only the highest dose of Seocalcitol (1.25 μg/kg BW) causes a significant reduction in weight gain when administered alone or in conjunction with Dexamethasone, all-trans retinoic acid (RA), or retinoic acid [5].

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PROTOCOL

Kinase Assay [1]

Vitamin D receptor protein is prepared from cultures of human osteosarcoma cell line MG-63. Suspensions of 5×10^7 cells/mL are homogenized, sonicated, and centrifuged at 30,000g for 1 h at 4°C. The presence of the $1\alpha,25(OH)_2D_3$ receptor is verified by sucrose density gradient analysis. The supernatants are adjusted to 2 mg protein/mL and used for binding studies. Samples of 500 μL are incubated with 10,000 dpm $[^3H]1\alpha,25(OH)_2D_3$ (180 Ci/mmol) and increasing concentrations of $1\alpha,25(OH)_2D_3$ or vitamin D_3 analogs are added. After incubation for 60 min at 22°C, bound and free $[^3H]1\alpha,25(OH)_2D_3$ are separated on dextran-coated charcoal. Each compound is tested in three separate experiments[1].

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Cell Assay [3]

This fluorescent dye allowed to determine ROS release in HL60 cells, untreated or treated VD3 or Seocalcitol. Briefly, after treatment, HL60 cells are washed and re-suspended at 10^6 cells/mL in RPMI-1640 without FCS and phenol red. Then, 10 μM H_2-DCFDA probe is added to each plate at a final volume of 2 mL. Cells are incubated for 45 min at 37°C in the dark. A second wash is made before the fluorescence analysis using spectrometer at 488 nm intensity excitation λ_{ex} and 516 nm emission λ_{em}. Results, in arbitrary fluorescence units (AFU), are expressed according to the ratio [(AFU-treated cells)/(AFU control cells)]×100[3].

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Animal Administration [4][5]

Mice[4]

Six- to eight-week-old male BALB/c NU/Nu mice are inoculated subcutaneously with 10^6 SKHEP-1 cells into the right flank. Twenty-four hours after inoculation, mice are randomly assigned to a control group (n=10) or the treatment groups (n=10), receiving 0.02, 0.1 or 0.5 μg/kg per day of Seocalcitol (intraperitoneal or oral on alternate days). Control animals receive propylene glycol alone. Tumor size is measured using vernier calipers every third day and the volumes are estimated using the formula $0.5\times length\times(width)^2$. Animals receive sterile food and water.

Rats[5]

Newborn Sprague-Dawley rat pups are randomly assigned to 1 of 6 treatment groups, consisting of daily intraperitoneal injections of the vitamin D analogue Seocalcitol (0.38 or 1.25 μg/kg body weight) alone, or in combination with all-trans retinoic acid (RA; 500 μg/kg body weight) and/or Dexamethasone (DEX; 0.25 μg/day) in a 3×2×2 factorial design. Seocalcitol and RA injections are conducted on P3 through P12, whereas Dexamethasone is administered on P4 through P12. Seocalcitol is prepared for injections in a quantity sufficient for all injections by dilution from a stock solution (4 mM in isopropanol) into the carrier Solutol HS 15 (BASF). Solutol-diluted Seocalcitol is stored as aliquots in sealed glass vials under nitrogen gas at 4°C, with daily injections conducted with freshly unsealed aliquots of Seocalcitol. Stock solutions of RA (50 mg/mL DMSO are stored under nitrogen at −80°C and prepared for injection by dilution of freshly thawed aliquots into cottonseed oil (2 μg/μL). A Dexamethasone stock solution (10 mg/mL ethanol) is stored under nitrogen at 4°C and prepared fresh for daily injections in 0.9% NaCl (0.25 μg/μL). All rats receive equivalent volumes of the 3 carrier solutions employed (solutol, cottonseed oil, 0.9% NaCl). Seocalcitol and RA are administered as a 2-phase solution via a 10 μL injection using a 20 μL glass-barreled syringe and a 28-gauge needle, whereas Dexamethasone is administered in a separate 10 μL injection.

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CUSTOMER VALIDATION

- Oncotarget. 2016 Sep 20;7(38):62240-62254.

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REFERENCES

[1]. Wiberg K, et al. Studies on two new vitamin D analogs, EB 1089 and KH 1060: effects on bone resorption and osteoclast recruitment in vitro. Bone. 1995 Oct;17(4):391-5.

[2]. Roy S, et al. Comparative effects of 1,25-dihydroxyvitamin D3 and EB 1089 on mouse renal and intestinal 25-hydroxyvitamin D3-24-hydroxylase. J Bone Miner Res. 1995 Dec;10(12):1951-9

[3]. Bondza-Kibangou P, et al. Antioxidants and doxorubicin supplementation to modulate CD14 expression and oxidative stress induced by vitamin D3 and seocalcitol in HL60 cells. Oncol Rep. 2007 Dec;18(6):1513-9.

[4]. Ghous Z, et al. Inhibition of hepatocellular cancer by EB1089: in vitro and in vivo study. Anticancer Res. 2008 Nov-Dec;28(6A):3757-61.

[5]. Ormerod AK, et al. The calcitriol analogue EB1089 impairs alveolarization and induces localized regions of increased fibroblast density in neonatal rat lung. Exp Lung Res. 2008 May;34(4):155-82.

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