

Product Data Sheet

Product Name: Calcipotriol

Cat. No.: GC17682

Chemical Properties

Cas. No. 112965-21-6

Chemical Name (1R,3S,5Z)-5-[(2E)-2-[(1R,3aS,7aR)-1-[(E,2R,5S)-5-cyclopropyl-5-hydroxypent-3-en-2-yl]-7a-methyl-2,3,3a,5,6,7-hexahydro-1H-inden-4-ylidene]ethylidene]-4-methylidenecyclohexane-1,3-diol

SMILES CC(C=CC(C1CC1)O)C2CCC3C2(CCCC3=CC=C4CC(CC(C4=C)O)O)C

Formula C₂₇H₄₀O₃

M.Wt 412.62

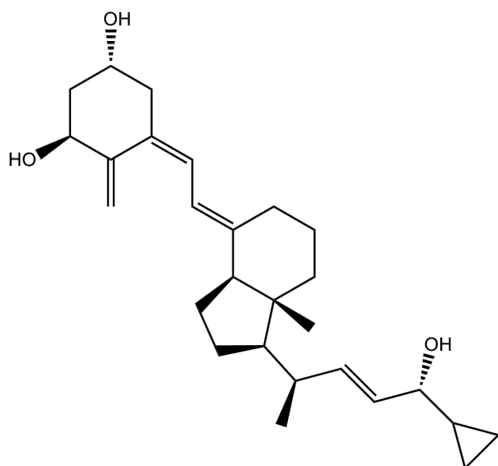
Solubility ≥ 16.7 mg/mL in DMSO, ≥ 87.6 mg/mL in EtOH with gentle warming

Storage -20°C, protect from light, stored under nitrogen, unstable in solution, ready to use.

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°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



Protocol

Cell experiment [1]:

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Cell lines HL-60 cells

Preparation Method HL-60 cells were pre-exposed to calcipotriol (0.1, 1, 10, 100 nM) for 24h, and then incubated with CIS for the next 48h.

Reaction Conditions 0.1, 1, 10, 100 nM; 24 h

Applications Calcipotriol caused the apoptosis of HL-60 cells at the dose of 10nM, but in a higher dose (100nM) caused cell differentiation.

Animal experiment [2]:

Animal models Male Hairless HWY rats

Preparation Method HWY rats received a daily topical dose of 10mg/ear or 25mg/back skin of 5% IMQ cream for 4 consecutive days in order to induce psoriasis-like skin dermatitis. Ears were treated with Vaseline, BDP, or Calcipotriol/BDP at doses of 10mg/ear and 40 mg/ear 1 hour after each application of IMQ.

Dosage form 10mg, 40 mg; apply topically to skin

Applications Compared with the vaseline treatment group, 40 mg/ear calcipotriol/BDP ointment significantly inhibited ear thickening and transepidermal water loss.

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References:

- [1] Milczarek M, Chodyński M, Filip-Psurska B, et al. Synthesis and biological activity of diastereomeric and geometric analogs of calcipotriol, PRI-2202 and PRI-2205, against human HL-60 leukemia and MCF-7 breast cancer cells[J]. *Cancers*, 2013, 5(4): 1355-1378.
- [2] Satake K, Amano T, Okamoto T. Low systemic exposure and calcemic effect of calcipotriol/betamethasone ointment in rats with imiquimod-induced psoriasis-like dermatitis[J]. *European Journal of Pharmacology*, 2018, 826: 31-38.

Background

Calcipotriol is a synthetic vitamin D₃ analog with high affinity for vitamin D receptors^[1]. Calcipotriol is mainly used to treat psoriasis. It is also effective in certain keratotic diseases (ichthyosis) as well as localized scleroderma and vitiligo, and has important immunomodulatory functions^[2].

In vitro, calcipotriol (10nM) treated HL-60 cells for 24h caused cell apoptosis, but caused cell differentiation at a higher dose (100nM)^[3]. Calcipotriol (0.001-10μM) treated SCC13 cells for 4 days, dose-dependently inhibited cell proliferation and stimulated cell differentiation, but did not induce SCC13 cell apoptosis, and specifically inhibited the autocrine activation of the EGFR signaling pathway^[4].

In vivo, calcipotriol combined with BDP ointment (40 mg, once daily, administered topically on the skin) treated rats with psoriasis-like dermatitis. Compared with the vaseline treatment group, it significantly inhibited ear skin thickening and Transepidermal water loss^[5]. Calcipotriol (0.1mg/kg) can reduce knee joint swelling and relieve synovitis through a single intra-articular injection in ZIA rats^[6].

References:

- [1] Sakabe J, et al. Calcipotriol increases hCAP18 mRNA expression but inhibits extracellular LL37 peptide production in IL-17/IL-22-stimulated normal human epidermal keratinocytes[J]. *Acta Dermato-Venereologica*, 2014, 94(5).
- [2] Guilhou JJ. Le Calcipotriol [Calcipotriol]. *Ann Dermatol Venereol*. 2001 Mar;128(3 Pt 1):229-37.

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French. PMID: 11319386.

[3] Milczarek M, Chodyński M, Filip-Psurska B, et al. Synthesis and biological activity of diastereomeric and geometric analogs of calcipotriol, PRI-2202 and PRI-2205, against human HL-60 leukemia and MCF-7 breast cancer cells[J]. Cancers, 2013, 5(4): 1355-1378.

[4] Lee E A, Jeon S H, Yi J Y, et al. Calcipotriol inhibits autocrine phosphorylation of EGF receptor in a calcium-dependent manner, a possible mechanism for its inhibition of cell proliferation and stimulation of cell differentiation[J]. Biochemical and biophysical research communications, 2001, 284(2): 419-425.

[5] Satake K, Amano T, Okamoto T. Low systemic exposure and calcemic effect of calcipotriol/betamethasone ointment in rats with imiquimod-induced psoriasis-like dermatitis[J]. European Journal of Pharmacology, 2018, 826: 31-38.

[6] Huhtakangas J A, Huovinen J, Laaksonen S, et al. A single intra-articular dose of vitamin D analog calcipotriol alleviates synovitis without adverse effects in rats[J]. Plos one, 2021, 16(4): e0250352.

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