

Product Data Sheet

Product Name: Calcitriol
Cat. No.: GC13852

Chemical Properties

Cas. No. 32222-06-3

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

SMILES CC(CCCC(C)(C)O)C1CCC2C1(CCCC2=CC=C3CC(CC(C3=C)O)O)C

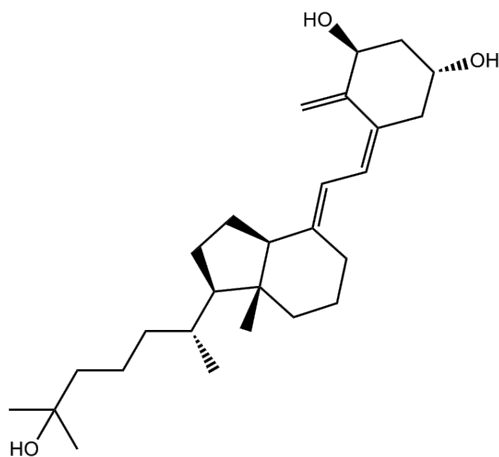
Formula $C_{27}H_{44}O_3$ M.Wt 416.64

Solubility $\geq 20.832\text{mg/mL}$ in DMSO 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	B16-F10 cells
Preparation Method	B16-F10 cells were cultured in DMEM medium, supplemented with 15% fetal bovine serum (FBS), 100U/ml penicillin and 100µg/ml streptomycin at 37°C in an incubator with 5% CO ₂ . B16-F10 cells (1.7×10^2 cells/well) were seeded in a 96-well plate in a volume of 100µl. After 24h, cells were treated with various concentrations of Calcitriol (0, 0.02, 0.04, 0.08, 0.16, and 0.32µM) for 24h, cell viability was measured.
Reaction Conditions	0, 0.02, 0.04, 0.08, 0.16, and 0.32µM; 24h
Applications	Calcitriol treatment decreased cell viability of B16-F10 cells in a dose-dependent manner.
Animal experiment [2]:	
Animal models	Female C57BL/6J mice
Preparation Method	Female C57BL/6J mice (9 weeks old) were subcutaneously immunized at the base of the tail with 100µg of MOG _{35–55} emulsified with complete Freund's adjuvant (CFA) containing 4mg/ml of <i>Mycobacterium tuberculosis</i> . Mice received two intraperitoneal doses of <i>Bordetella pertussis</i> toxin at 200ng each: one at the immunization day and the other 48h after EAE induction. Body weight and disease scores were recorded daily. Disease clinical scores were defined according to the following manifestations: no symptoms (0); limp tail (1); hind leg weakness (2); partially paralyzed hind legs (3); complete hind leg paralysis (4); and complete paralysis (5). Intraperitoneal injection of Calcitriol at a dose of 5µg/kg every other day for 16 days was performed, and lumbar spinal cord samples were collected from mice for analysis.

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Dosage form	5µg/kg; every other day; 16 days; i.p.
Applications	Calcitriol treatment attenuated inflammation and demyelination at the spinal cord in mice with EAE.
References: [1] Sutedja E K, Amarassaphira D, Goenawan H, et al. Calcitriol inhibits proliferation and potentially induces apoptosis in B16–F10 cells[J]. Medical science monitor basic research, 2022, 28: e935139-1. [2] De Oliveira L R C, Mimura L A N, Fraga-Silva T F C, et al. Calcitriol prevents neuroinflammation and reduces blood-brain barrier disruption and local macrophage/microglia activation[J]. Frontiers in pharmacology, 2020, 11: 161.	

Background

Calcitriol is a hormonally active vitamin D analog with cellular penetration property^[1]. Calcitriol binds to vitamin D receptors in the kidneys, parathyroid glands, intestines, and bones to increase serum blood calcium levels by promoting absorption in the intestines, renal tubular reabsorption in the kidneys, and release from bone^[2]. Calcitriol has been widely used in tumor research to regulate mitotic signaling and interfere with the proliferation of various cancer cells^[3].

In vitro, Calcitriol treatment for 24 hours significantly suppressed the viability of BXPC-3, MCF-7 and PC-3 cells, with IC₅₀ values of 21µM, 11.43µM and 9.53µM, respectively^[4]. Treatment with 0.44µM Calcitriol for 24 hours induced apoptosis in B16-F10 cells, accompanied by an increase in the protein levels of cleaved caspase-3, caspase-8, caspase-9, Beclin and PARP^[5]. Treatment with 10nM Calcitriol for 48 hours reduced the expression of COX-2 protein in LNCaP cells, increased the expression level of 15-PGDH protein, and restrained cell growth^[6].

In vivo, Calcitriol treatment via topical application of dorsal skin at a dose of 1nmol (dissolved in 150µl

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ethanol) for 4 days markedly mitigated skin barrier dysfunction in atopic dermatitis NC/Nga mice, decreased epidermal thickness and the levels of IL-13 and IL-33^[7]. Daily intraperitoneal injection of Calcitriol (5µg/kg) for 14 days alleviated the inflammatory cell infiltration in the lungs of mice induced by bleomycin (BLM) and inhibited the pulmonary epithelial-mesenchymal transition^[8]. Intraperitoneal injection of Calcitriol at a dose of 5µg/kg every other day for 16 days reduced neuroinflammation, alleviated spinal cord inflammation, and attenuated demyelination in a mouse model of experimental autoimmune encephalomyelitis (EAE)^[9].

References:

- [1] Díaz L, Díaz-Muñoz M, García-Gaytán A C, et al. Mechanistic effects of calcitriol in cancer biology[J]. *Nutrients*, 2015, 7(6): 5020-5050.
- [2] Trump D L, Hershberger P A, Bernardi R J, et al. Anti-tumor activity of calcitriol: pre-clinical and clinical studies[J]. *The Journal of steroid biochemistry and molecular biology*, 2004, 89: 519-526.
- [3] Beer T M, Myrthue A. Calcitriol in cancer treatment: from the lab to the clinic[J]. *Molecular Cancer Therapeutics*, 2004, 3(3): 373-381.
- [4] Kang Z S, Wang C, Han X L, et al. Sulfonyl-containing phenyl-pyrrolyl pentane analogues: Novel non-secosteroidal vitamin D receptor modulators with favorable physicochemical properties, pharmacokinetic properties and anti-tumor activity[J]. *European Journal of Medicinal Chemistry*, 2018, 157: 1174-1191.
- [5] Sutedja E K, Amarassaphira D, Goenawan H, et al. Calcitriol inhibits proliferation and potentially induces apoptosis in B16–F10 cells[J]. *Medical science monitor basic research*, 2022, 28: e935139-1.
- [6] Moreno J, Krishnan A V, Swami S, et al. Regulation of prostaglandin metabolism by calcitriol attenuates growth stimulation in prostate cancer cells[J]. *Cancer research*, 2005, 65(17): 7917-7925.
- [7] Umehara Y, Trujillo-Paez J V, Yue H, et al. Calcitriol, an active form of vitamin D3, mitigates skin barrier dysfunction in atopic dermatitis NC/Nga mice[J]. *International Journal of Molecular Sciences*, 2023, 24(11): 9347.
- [8] Tan Z X, Chen Y H, Xu S, et al. Calcitriol inhibits bleomycin-induced early pulmonary inflammatory response and epithelial–mesenchymal transition in mice[J]. *Toxicology letters*, 2016, 240(1): 161-

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