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Calcitriol

Catalog No: tcsc0388

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
32222-06-3

Formula:
$C_{27}H_{44}O_3$

Pathway:
Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor

Target:
Endogenous Metabolite; VD/VDR

Purity / Grade:
99.69%

Solubility:
DMSO : 110 mg/mL (264.02 mM; Need ultrasonic); Ethanol :100 mg/mL (240.02 mM; Need ultrasonic)

Storage Instruction:
Powder -20°C for 3 years In solvent -80°C for 12 months

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Alternative Names:
1,25-Dihydroxyvitamin D3

Observed Molecular Weight:
416.64

References

[1]. Wang G, et al. Calcitriol Inhibits Cervical Cancer Cell Proliferation Through Downregulation of HCCR1 Expression. Oncol Res. 2014;22(5-6):301-9. [2]. Santos-Martínez N, et al. Calcitriol restores antiestrogen responsiveness in estrogen receptor negative breast cancer cells: a potential new therapeutic approach. BMC Cancer. 2014 Mar 29;14:230. [3]. Dong J, et al. Calcitriol restores renovascular function in estrogen-deficient rats through downregulation of cyclooxygenase-2 and the thromboxaneprostanoid receptor. Kidney Int. 2013 Jul;84(1):54-63. [4]. Chou CL, et al. Beneficial effects of calcitriol on hypertension, glucose intolerance, impairment of endothelium-dependent vascular relaxation, and visceral adiposity in fructose-fed hypertensive rats. PLoS One. 2015 Mar 16;10(3):e0119843.

Product Description

Calcitriol is the most active metabolite of vitamin D and also a **vitamin D receptor** (**VDR**) agonist.

IC50 & Target: Vitamin D receptor[1]

In Vitro: Calcitriol exerts antiproliferative effects on cervical cancer cells *in vitro*. Cells decrease by 12.8% when treated with 100 nM Calcitriol for 6 days, compare with control. Inhibition of cell proliferation becomes more pronounced with the increase in Calcitriol concentration. The decrease is 26.1% and 31.6% for 200 and 500 nM Calcitriol, respectively. Treatment with Calcitriol for 72 h induces an evident accumulation of cells in the G1 phase, with approximately 66.18% in 200 nM and 78.10% in 500 nM, compare with the control (24.36%). Calcitriol treatment significantly decreases HCCR-1 protein expression compare with the control in a time- and dose-dependent manner[1]. Calcitriol significantly increases ERα mRNA in a dose dependent manner with an EC_{50} of 9.8×10^{-9} M [2].

In Vivo: Chronic treatment with Calcitriol (150 ng/kg per day for 4.5 months) improves the relaxations (pD_2: 6.30±0.09, E_{max}: 68.6±3.9% in Calcitriol-treated OVX, n=8). Renal blood flow in OVX rats is reduced in both kidneys, and the flow is restored by Calcitriol treatment. The increased expression of COX-2 and Thromboxane-prostanoid (TP) receptor in OVX rat renal arteries is reduced by chronic calcitriol administration[3]. High- and low-dose Calcitriol treatment significantly decreases the systolic blood pressure (SBP) in the fructose-fed rats by 14±4 and 9±4 mmHg, respectively, at Day 56. High-dose Calcitriol treatment (20 ng/kg per day) significantly increases serum ionized calcium level (1.44±0.05 mmol/L) compare with the other groups[4].

Calcitriol is a potent inhibitor of PHA-induced lymphocyte proliferation, achieving 70% inhibition of tritiated thymidine incorporation after 72 h in culture. Calcitriol suppresses interleukin-2 (IL-2) production by PHA-stimulated peripheral blood mononuclear cells in a concentration-dependent fashion. Calcitriol increases the concentration of intracellular calcium ([Ca2+]i) within 5 s by mobilizing calcium from the endoplasmic reticulum and the formation of inositol 1,4, 5-trisphosphate and diacylglycerol. Calcitriol can inhibit the proliferation and promote the differentiation of human prostate adenocarcinoma cells. Calcitriol causes a selective decrease in the secreted levels of type IV collagenases (MMP-2 and MMP-9). Calcitriol has antiproliferative activity in squamous cell carcinoma and prostatic adenocarcinoma and enhances the antitumor activity of platinum-based agents. Calcitriol prior to paclitaxel significantly reduces clonogenic survival compared with either agent alone in the murine squamous cell carcinoma and PC-3 cells. Calcitriol is a potent anti-proliferative agent in a wide variety of malignant cell types. Calcitriol effects are associated with an increase in G0/G1 arrest, induction of apoptosis and differentiation, modulation of expression of growth factor receptors. Calcitriol

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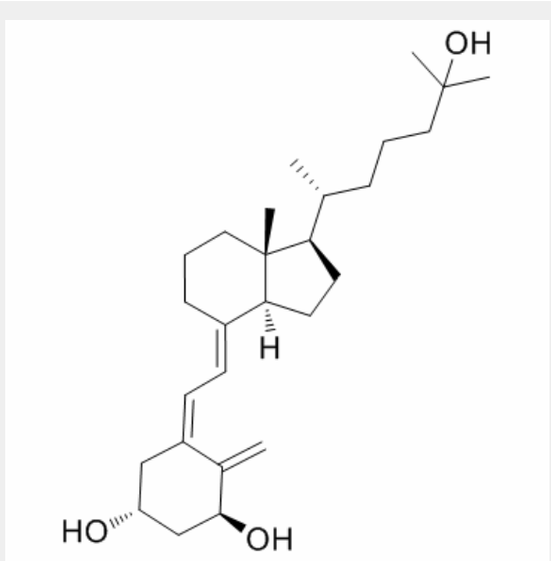
potentiates the antitumor effects of many cytotoxic agents and inhibits motility and invasiveness of tumor cells and formation of new blood vessels.



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