

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

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.

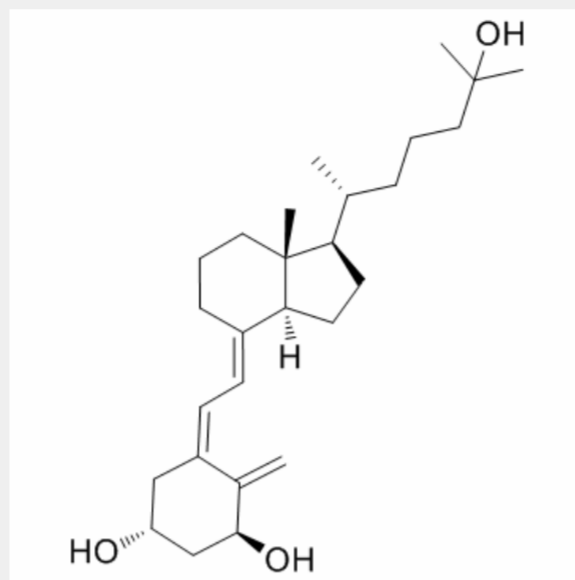
IC50 & Target: Vitamin D receptor^[1]

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 ER α mRNA in a dose dependent manner with an EC₅₀ 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} : $68.6 \pm 3.9\%$ 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 ($[Ca^{2+}]_i$) 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

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



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!