Calcitriol

Cat. No.: HY-10002

CAS No.: 32222-06-3

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

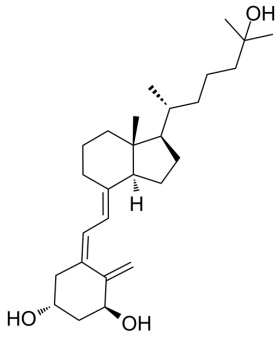
Molecular Weight: 416.64

Target: VD/VDR; Endogenous Metabolite

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

Storage: -20°C, protect from light, stored under nitrogen

* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 110 mg/mL (264.02 mM; Need ultrasonic)

Ethanol : 100 mg/mL (240.02 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4002 mL	12.0008 mL	24.0015 mL
	5 mM	0.4800 mL	2.4002 mL	4.8003 mL
	10 mM	0.2400 mL	1.2001 mL	2.4002 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.75 mg/mL (6.60 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (6.60 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (6.60 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.75 mg/mL (6.60 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (6.60 mM); Clear solution
6. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution
7. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution
8. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution

	9. Add each solvent one by one: 1% DMSO >> 99% saline Solubility: 0.55 mg/mL (1.32 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description	Calcitriol is the most active metabolite of vitamin D and also a vitamin D receptor (VDR) agonist.
IC_{50} & Target	Human Endogenous Metabolite
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	HeLa S3 cells are plated at a density of 1,000 cells/well in 96-well plates of Dulbecco's modified Eagle's medium (DMEM) with 10% fetal bovine serum (FBS), treated with 1% ethanol (control) or various concentrations of Calcitriol (100, 200, and 500 nM) for 72 h. A Cell Counting Kit8 (CCK-8) is used to determine cell proliferation. At 24, 48, 72, 96, 120, and 144 h after culturing with 200 nM Calcitriol, cells are harvested for analysis. Three independent experiments are performed in quadruplicate[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Adult female Sprague-Dawley rats weighing 200 to 220g are used in this study. Rats are housed in a temperature-controlled room (~23°C) with a 12-h light/dark cycle. The animals have free access to a standard diet and water. Ovariectomy (OVX) is performed on rats. At 6 months after the surgical procedure, the OVX rats are randomly assigned to either treatment with vehicle dimethyl sulfoxide (OVX+vehicle) or Calcitriol (150 ng/kg daily, OVX+calcitriol). Calcitriol treatment is given by oral gavage and lasted or 4.5 months. Blood pressure and serum Calcitriol level are measured[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Chem Biol. 2022 Aug 18.
- Acta Pharm Sin B. 2023 May 16.
- Theranostics. 2024 Jan 1;14(1):436-450.

- Proc Natl Acad Sci U S A. 2022 Apr 12;119(15):e2117004119.
- Cell Commun Signal. 2023 Nov 3;21(1):315.

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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 thromboxane-prostanoid 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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA