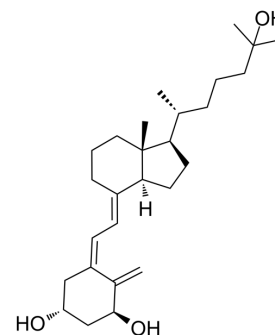


Calcitriol

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)
 H₂O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass	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

- 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
- 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
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.75 mg/mL (6.60 mM); Clear solution
- 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
- 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
- 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
- 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
- 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.
IC₅₀ & Target	Human Endogenous Metabolite
In Vitro	<p>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 EC₅₀ of 9.8×10^{-9} M^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Chronic treatment with Calcitriol (150 ng/kg per day for 4.5 months) improves the relaxations (pD₂: 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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Cell Assay ^[1]	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[3]	<p>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 for 4.5 months. Blood pressure and serum Calcitriol level are measured^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

CUSTOMER VALIDATION

- Nat Chem Biol. 2022 Aug 18.
- Acta Pharm Sin B. 2023 May 16.
- Proc Natl Acad Sci U S A. 2022 Apr 12;119(15):e2117004119.

- Acta Pharmacol Sin. 2021 Jun 23.
- J Transl Med. 2022 Dec 12;20(1):588.

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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.
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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