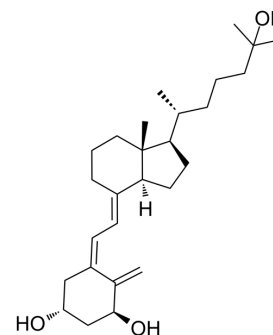


## Calcitriol

Cat. No.:	HY-10002
CAS No.:	32222-06-3
Molecular Formula:	C <sub>27</sub> H <sub>44</sub> O <sub>3</sub>
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<sub>2</sub>O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.4002 mL	12.0009 mL	24.0017 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.
IC <sub>50</sub> & 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<sup>[1]</sup>. Calcitriol significantly increases ER<math>\alpha</math> mRNA in a dose dependent manner with an EC<sub>50</sub> of <math>9.8 \times 10^{-9}</math> M<sup>[2]</sup>.</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 (pD<sub>2</sub>: <math>6.30 \pm 0.09</math>, E<sub>max</sub>: <math>68.6 \pm 3.9\%</math> 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<sup>[3]</sup>. High- and low-dose Calcitriol treatment significantly decreases the systolic blood pressure (SBP) in the fructose-fed rats by <math>14 \pm 4</math> and <math>9 \pm 4</math> mmHg, respectively, at Day 56. High-dose Calcitriol treatment (20 ng/kg per day) significantly increases serum ionized calcium level (<math>1.44 \pm 0.05</math> mmol/L) compare with the other groups<sup>[4]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## PROTOCOL

Cell Assay <sup>[1]</sup>	<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<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration <sup>[3]</sup>	<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<sup>[3]</sup>.</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.
- 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.**

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