Calcitriol is the most active metabolite of vitamin D and also a vitamin D receptor (VDR) agonist. IC50 & Target: Vitamin D receptor

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. Calcitriol significantly increases ERα mRNA in a dose dependent manner with an EC50 of 9.8×10−9 M.

In Vivo: Chronic treatment with Calcitriol (150 ng/kg per day for 4.5 months) improves the relaxations (pD2: 6.30±0.09, Emax: 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. 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.

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: 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.

Animal Administration: 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 (OVX+calcitriol). Calcitriol treatment is given by oral gavage and lasted 4.5 months. Blood pressure and serum Calcitriol level are measured.
References:


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

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