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产品名称: **Calcipotriol**  
产品别名: 卡泊三醇

生物活性:				
Description	Calcipotriol is a synthetic VitD3 analogue with a high affinity for the vitamin D receptor.			
IC <sub>50</sub> & Target	Vitamin D receptor[1]			
In Vitro	When NHEK cells are not stimulated with IL-17A or IL-22, Calcipotriol slightly enhances (0.2 nM) IL-8 mRNA expression or has no effect (2-20 nM). The addition of IL-17A and IL-22 markedly increased the mRNA expression of IL-8, confirming our previous study. This enhanced IL-8 mRNA expression is suppressed by Calcipotriol at 2, 20 and 40 nM in a dose dependent manner[1]. Treatment of natural killer (NK) cells with drugs modulates their expression of NK cytotoxicity receptors or KIR. Human NK cells are pre-treated with 100, 10 or 1 ng/mL of 1,25(OH) <sub>2</sub> D <sub>3</sub> , Calcipotriol or FTY720 for 4 h. All three concentrations of 1,25(OH) <sub>2</sub> D <sub>3</sub> , Calcipotriol and FTY720 significantly up-regulate the expression of NKp30 on the surface of NK cells after 4 h incubation[2].			
In Vivo	One out of the 32 animals in each of the groups has died, except for the Diclofenac plus DFMO plus Calcipotriol group, where all animals survived. Survival is equally distributed between the groups. The weight gain is significantly smaller in the groups treated with Diclofenac plus Calcipotriol (p=0.018) and Diclofenac plus DFMO plus Calcipotriol (p=0.002) compare with placebo (linear regression model)[3].			
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : ≥ 100 mg/mL (242.37 mM)</b> <b>Ethanol : 50 mg/mL (121.18 mM; Need ultrasonic)</b>  * "≥" means soluble, but saturation unknown.			
		<div>Solvent / Mass / Concentration</div>	1 mg	5 mg
	Preparing	1 mM	2.4237 mL	12.1183 mL
	Stock Solutions	5 mM	0.4847 mL	2.4237 mL
		10 mM	0.2424 mL	1.2118 mL
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限：-80℃，6 months；-20℃，1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。 <b>In Vivo:</b> 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (6.06 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.06 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀				



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	<p>向上述体系中加入 50 <math>\mu</math>L Tween-80, 混合均匀; 然后继续加入 450 <math>\mu</math>L 生理盐水定容至 1 mL。</p> <p>2.请依序添加每种溶剂: 10% DMSO<math>\rightarrow</math> 90% (20% SBE-<math>\beta</math>-CD in saline)</p> <p>Solubility: <math>\geq</math> 2.5 mg/mL (6.06 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (6.06 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math>90% corn oil</p> <p>Solubility: <math>\geq</math> 2.5 mg/mL (6.06 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (6.06 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p>
References	<p>[1]. Sakabe JI, et al. Calcipotriol Increases hCAP18 mRNA Expression but Inhibits Extracellular LL37 Peptide Production in IL-17/IL-22-stimulated Normal Human Epidermal Keratinocytes. Acta Derm Venereol. 2014 Sep;94(5):512-6.</p> <p>[2]. Al-Jaderi Z, et al. Effects of vitamin D3, calcipotriol and FTY720 on the expression of surface molecules and cytolytic activities of human natural killer cells and dendritic cells. Toxins (Basel). 2013 Oct 28;5(11):1932-47.</p> <p>[3]. Pommergaard HC, et al. Combination chemoprevention with diclofenac, calcipotriol and difluoromethylornithine inhibits development of non-melanoma skin cancer in mice. Anticancer Res. 2013 Aug;33(8):3033-9.</p> <p>[4]. Reinhard Bredehorst, et al. Induction of Antigen-Specific Tolerance by Peripheral Phagocytosis. US 20150283231 A1.</p> <p>[5]. Kaur A, et al. Nanoemulsion loaded gel for topical co-delivery of clobetasol propionate and calcipotriol in psoriasis. Nanomedicine. 2017 May;13(4):1473-1482.</p>
实验参考:	
Cell Assay	<p>Normal human epidermal keratinocytes (NHEK) are grown in serum-free keratinocyte growth medium Epilife and used at third passage in all experiments. Growth supplement is omitted 48 h before experiments. As a control, IL-17A and IL-22 are either added or not added to the cells. Cultured NHEK cells are stimulated with IL-17A (200 ng/mL) and/or IL-22 (200 ng/mL) followed by co-incubation in the presence or absence of Calcipotriol at 0.2-40 nM to test its modulatory effect. Cells are harvested 3 days later and subjected to real-time quantitative PCR (qPCR). Culture supernatants are also collected and frozen at -80°C until use for ELISA[1].</p>
Animal Administration	<p>Mice[3]</p> <p>The 160 female SKH-1 hairless mice (6-7 weeks of age) are used. After UV treatment, mice without tumors are randomly divided into five groups, four chemoprevention groups (Diclofenac plus DFMO; Diclofenac plus Calcipotriol; DFMO plus calcitriol; and Diclofenac plus DFMO plus Calcipotriol) and one placebo group (skin lotion). The placebo group used in this study is the same as the one used in an earlier study. The mice are treated with test mixtures once a day, five days a week, for a total of</p>



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	<p>17 weeks. The test mixtures are applied topically on the dorsal surface of the mice. Ten microliters are applied by a pipette after which the mixture is rubbed onto the skin. This corresponded to the following doses of each active substance in the treatments: 100 µg/week for Diclofenac (30 mg/g undiluted), 0.166 µg/week for Calcipotriol (50 µg/g undiluted), and 463.3 µg/week for difluoromethylornithine (DFMO) (139 mg/g undiluted).</p>
<b>References</b>	<p>[1]. Sakabe JI, et al. Calcipotriol Increases hCAP18 mRNA Expression but Inhibits Extracellular LL37 Peptide Production in IL-17/IL-22-stimulated Normal Human Epidermal Keratinocytes. <i>Acta Derm Venereol.</i> 2014 Sep;94(5):512-6.</p> <p>[2]. Al-Jaderi Z, et al. Effects of vitamin D3, calcipotriol and FTY720 on the expression of surface molecules and cytolytic activities of human natural killer cells and dendritic cells. <i>Toxins (Basel).</i> 2013 Oct 28;5(11):1932-47.</p> <p>[3]. Pommergaard HC, et al. Combination chemoprevention with diclofenac, calcipotriol and difluoromethylornithine inhibits development of non-melanoma skin cancer in mice. <i>Anticancer Res.</i> 2013 Aug;33(8):3033-9.</p> <p>[4]. Reinhard Bredehorst, et al. Induction of Antigen-Specific Tolerance by Peripheral Phagocytosis. <i>US</i> 20150283231 A1.</p> <p>[5]. Kaur A, et al. Nanoemulsion loaded gel for topical co-delivery of clobetasol propionate and calcipotriol in psoriasis. <i>Nanomedicine.</i> 2017 May;13(4):1473-1482.</p>

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