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产品名称: **Ro 48-8071 (fumarate)**
产品别名: **Ro 48-8071 fumarate**

生物活性:				
Description		Ro 48-8071 fumarate is an inhibitor of OSC (Oxidosqualene cyclase) with IC50 of appr 6.5 nM.		
IC50 & Target		IC50: appr 6.5 nM (Oxidosqualene cyclase) ^[1]		
In Vitro		In HepG2 cells, Ro 48-8071 reduces cholesterol synthesis dose dependently with an IC50 value of appr 1.5 nM[1]. Ro 48-8071 (10 μM) significantly reduces the viability of PC-3 prostate cancer cells, but not normal prostate cells. Ro 48-8071 (10-30 μM) induces apoptosis of both LNCaP and C4-2 cell lines in a dose-dependent manner. And castration-resistant PC-3 and DU145 cells also demonstrate significant levels of apoptosis following 24-hour treatment with Ro 48-8071. Ro 48-8071 (10-25 μM) reduces AR protein expression in a dose-dependent manner. Ro 48-8071 (0.1-1 μM) increases ERβ protein expression dose-dependently in both hormone-dependent LNCaP and castration-resistant PC-3 cells[2]. Using mammalian cells engineered to express human ERα or ERβ protein, together with an ER-responsive luciferase promoter, Ro 48-8071 dose-dependently inhibits 17β-estradiol (E2)-induced ERα responsive luciferase activity (IC50, appr 10 μM), under conditions that are non-toxic to the cells[3].		
In Vivo		Ro 48-8071 lowers LDL-C maximally appr 60% at 150 μmol/kg per day, with no further reduction up to 300 μmol/kg per day, leaving HDL-C unchanged at all doses in hamsters. Ro 48-8071 (≥00 μmol/kg per day) increases the amount of MOS in liver of hamsters. Ro 48-8071 (300 μmol/kg per day) remarkably and significantly reduces VLDL secretion of hamsters[1]. Ro 48-8071 (5 or 20 mg/kg) significantly reduces in vivo tumor growth in mice, without weight loss of the mice. Furthermore, Ro 48-8071 at a concentration of 20 mg/kg, completely eradicates two of the 12 tumors being monitored in the mice in the timeframe tested[2]. Ro 48-8071 (20 mg/day/kg body weight) leads to a rapid and sustained inhibition (>50%) of cholesterol synthesis in the whole small intestine of BALB/c mice. Sterol synthesis is also reduced in the large intestine and stomach[4].		
		In Vitro: DMSO : ≥ 55 mg/mL (97.44 mM) * "≥" means soluble, but saturation unknown.		
		Solvent Mass Concentration	1 mg	5 mg
Preparing		1 mM	1.7717 mL	8.8583 mL
Stock Solutions		5 mM	0.3543 mL	1.7717 mL
		10 mM	0.1772 mL	0.8858 mL
				1.7717 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。 In Vivo: 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		
Solvent&Solubility		——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		



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	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 (4.43 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.43 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, 混合均匀向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.43 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.43 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 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。 3.请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (4.43 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.43 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。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Morand OH, et al. Ro 48-8071, a new 2,3-oxidosqualene:lanosterol cyclase inhibitor lowering plasma cholesterol in hamsters, squirrel monkeys, and minipigs: comparison to simvastatin. J Lipid Res. 1997 Feb;38(2):373-90. [2]. Liang Y, et al. Cholesterol biosynthesis inhibitor RO 48-8071 suppresses growth of hormone-dependent and castration-resistant prostate cancer cells. Onco Targets Ther. 2016 May 30;9:3223-32. [3]. Liang Y, et al. Cholesterol biosynthesis inhibitors as potent novel anti-cancer agents: suppression of hormone-dependent breast cancer by the oxidosqualene cyclase inhibitor RO 48-8071. Breast Cancer Res Treat. 2014 Jul;146(1):51-62. [4]. Chuang JC, et al. Sustained and selective suppression of intestinal cholesterol synthesis by Ro 48-8071, an inhibitor of 2,3-oxidosqualene:lanosterol cyclase, in the BALB/c mouse. Biochem Pharmacol. 2014 Apr 1;88(3):351-63.
实验参考:	
Animal Administration	Six-week-old male athymic nude mice (nu/nu) weighing 20-22 g are used in the assay. Castration-resistant PC-3 cells (5×10^6 in 0.15 mL solution) are mixed with matrigel and RPMI-1640 medium (1/1, v/v) and injected subcutaneously into both flanks of each mouse (n=6 animals/group) and tumors allowed to develop. The tumors are measured twice per week with a digital caliper. Tumor volumes are calculated by the formula $(L \times W \times H) \times \pi/6$. Drug treatment is started when tumor volumes reach appr 100 mm³. Mice are given daily tail vein injections of 0.1 mL solution of either 5 or 20 mg/kg Ro 48-8071 for 5 days. This is followed by an injection every other day for six additional treatments and then a final injection 2 hours prior to sacrifice. Control mice receive the



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	same volume of phosphate-buffered saline on the same schedule. The animals are weighed and tumor volumes are measured twice weekly throughout the drug treatment period. [2]
References	[1]. Morand OH, et al. Ro 48-8.071, a new 2,3-oxidosqualene:lanosterol cyclase inhibitor lowering plasma cholesterol in hamsters, squirrel monkeys, and minipigs: comparison to simvastatin. J Lipid Res. 1997 Feb;38(2):373-90. [2]. Liang Y, et al. Cholesterol biosynthesis inhibitor RO 48-8071 suppresses growth of hormone-dependent and castration-resistant prostate cancer cells. Onco Targets Ther. 2016 May 30;9:3223-32. [3]. Liang Y, et al. Cholesterol biosynthesis inhibitors as potent novel anti-cancer agents: suppression of hormone-dependent breast cancer by the oxidosqualene cyclase inhibitor RO 48-8071. Breast Cancer Res Treat. 2014 Jul;146(1):51-62. [4]. Chuang JC, et al. Sustained and selective suppression of intestinal cholesterol synthesis by Ro 48-8071, an inhibitor of 2,3-oxidosqualene:lanosterol cyclase, in the BALB/c mouse. Biochem Pharmacol. 2014 Apr 1;88(3):351-63.

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