

产品名称: T0070907

产品别名: T0070907

生物活性:

Description	T0070907 is a potent PPAR γ antagonist with a K _i of 1 nM.				
IC ₅₀ & Target [4]	PPAR γ	PPAR δ	PPAR α		
	1 nM (K _i)	1.8 μ M (K _i)	0.85 μ M (K _i)		
In Vitro	T0070907 (50 μ M) pre-treatment impairs repair of IR-induced DNA DSBs in both ME-180 and SiHa cells treated with irradiated (4 Gy). T0070907 (0-50 μ M) significantly decreases the levels of DNA-PKcs and RAD51 proteins in ME-180 and SiHa cells[1]. T0070907 (50 μ M) treatment reduces the levels of α - and β -tubulin protein in a time-dependent manner, decreases the synthesis of DNA, and prevents the radiation-induced alterations in the cell cycle regulatory proteins of ME180 and SiHa cells[2]. T0070907 (10 μ M) has cytotoxicity in an adipocyte-specific and PPAR γ -independent manner. T0070907 increases oxidative stress in immature adipocytes[3]. T0070907 (1 μ M) blocks the induction of adipogenesis by various treatments of the adipogenic cell line 3T3-L1. T0070907 covalently modifies PPAR on cysteine 313 in helix 3 of human PPAR 2[4].				
Solvent&Solubility	<i>In Vitro:</i> DMSO : 10 mg/mL (36.02 mM; Need ultrasonic)				
	<div>Preparing Stock Solutions</div>	<div><div>SolventMassConcentration</div><div></div></div>	1 mg	5 mg	10 mg
		1 mM	3.6015 mL	18.0076 mL	36.0153 mL
		5 mM	0.7203 mL	3.6015 mL	7.2031 mL
		10 mM	0.3602 mL	1.8008 mL	3.6015 mL
	<p>*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。</p> <p>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。</p> <p><i>In Vivo:</i></p> <p>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：</p> <p>——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</p> <div><div>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</div><div>Solubility: \geq 1 mg/mL (3.60 mM); Clear solution</div><div>此方案可获得 \geq 1 mg/mL (3.60 mM, 饱和度未知) 的澄清溶液。</div><div>以 1 mL 工作液为例，取 100 μL 10.0 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</div></div> <div><div>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)</div><div>Solubility: \geq 1 mg/mL (3.60 mM); Clear solution</div><div>此方案可获得 \geq 1 mg/mL (3.60 mM, 饱和度未知) 的澄清溶液。</div><div>以 1 mL 工作液为例，取 100 μL 10.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理</div></div>				

	<p>盐水水溶液中，混合均匀。</p> <p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 1 mg/mL (3.60 mM); Clear solution</p> <p>此方案可获得 ≥ 1 mg/mL (3.60 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 μL 10.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。</p>
References	<p>[1]. An Z, et al. T0070907 inhibits repair of radiation-induced DNA damage by targeting RAD51. Toxicol In Vitro. 2016 Dec;37:1-8</p> <p>[2]. An Z, et al. T0070907, a PPAR γ inhibitor, induced G2/M arrest enhances the effect of radiation in human cervical cancer cells through mitotic catastrophe. Reprod Sci. 2014 Nov;21(11):1352-61.</p> <p>[3]. Kawahara A, et al. Peroxisome proliferator-activated receptor γ (PPARγ)-independent specific cytotoxicity against immature adipocytes induced by PPARγ antagonist T0070907. Biol Pharm Bull. 2013;36(9):1428-34</p> <p>[4]. Lee G, et al. T0070907, a selective ligand for peroxisome proliferator-activated receptor gamma, functions as an antagonist of biochemical and cellular activities. J Biol Chem. 2002 May 31;277(22):19649-57. Epub 2002 Mar 4</p>
实验参考：	
Kinase Assay	<p>To determine the binding affinity of T0070907 to the PPARs, scintillation proximity assay (SPA) is performed with the following modifications. A 90 μL reaction contains SPA buffer (10 mM K₂HPO₄, 10 mM KH₂PO₄, 2 mM EDTA, 50 mM NaCl, 1 mM dithiothreitol, 2 mM CHAPS, 10% (v/v) glycerol, pH 7.1), 50 ng of GST-PPAR (or 150 ng of GST-PPAR), 5 nM ³H-labeled radioligands, and 5 μL of T0070907 in Me₂SO. After incubation for 1 h at room temperature, 10 μL of polylysine-coated SPA beads (at 20 mg/mL in SPA buffer) are added, and the mixture is incubated for 1 h before reading in Packard Topcount. [³H]Rosiglitazone is used for PPAR, and [³H]GW2433 is used for PPAR and PPAR. [4]</p>
References	<p>[1]. An Z, et al. T0070907 inhibits repair of radiation-induced DNA damage by targeting RAD51. Toxicol In Vitro. 2016 Dec;37:1-8</p> <p>[2]. An Z, et al. T0070907, a PPAR γ inhibitor, induced G2/M arrest enhances the effect of radiation in human cervical cancer cells through mitotic catastrophe. Reprod Sci. 2014 Nov;21(11):1352-61.</p> <p>[3]. Kawahara A, et al. Peroxisome proliferator-activated receptor γ (PPARγ)-independent specific cytotoxicity against immature adipocytes induced by PPARγ antagonist T0070907. Biol Pharm Bull. 2013;36(9):1428-34</p> <p>[4]. Lee G, et al. T0070907, a selective ligand for peroxisome proliferator-activated receptor gamma, functions as an antagonist of biochemical and cellular activities. J Biol Chem. 2002 May 31;277(22):19649-57. Epub 2002 Mar 4</p>