



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: 4-[(5,6,7,8-四氢-5,5,8,8-四甲基-2-萘基)甲酰氨基]苯甲酸
产品别名: AM580

生物活性:				
Description	AM580 is a selective RAR α agonist with IC ₅₀ and EC ₅₀ of 8 nM and 0.36 nM, respectively.			
In Vitro	In the presence of G-CSF, AM580 (at 10 ⁻⁸ M) produces a remarkable induction in LAP mRNA of NB4 cells. At a concentration of 10 ⁻⁵ M, AM580 and ATRA, in combination with G-CSF, induce almost the same level of LAP transcript. AM580 (at 10 ⁻⁸ M) leads to an approximately sixfold increase in the steady-state levels of the transcript coding for the G-CSF receptor in NB4 cells[1]. AM580 (50 nM) increases caspase-3 expression in all of the colonies, and in 30% of the colonies induce acinar-like cavitation[2]. Knockdown of RAR γ 1 in primary Myc cells using shRAR γ 1 followed by Am580 treatment results in an even higher level of CRBP1 expression, showing that in these cells RAR γ has a repressive effect on the RAR α target gene CRBP1. Am580 (200 nM) enhances the anti-proliferative effect exhibited by RAR γ knockdown in the MCF-10A and MCF-7 cell lines but not in the MDA-MB-231 cells[3].			
In Vivo	Am580 (0.3 mg/kg/day) treatment has a more profound effect on tumor-free survival of MMTV-wnt1 mice, the effect being noticeable even in early appearing tumors, and no overt toxicity is found in liver, lungs, kidney, and spleen. Am580 treatment reduces substantially and equally the level of hyperplasia in both transgenic glands[2]. Treatment of MMTV-Myc mice with the RAR α -selective agonist Am580 leads to significant inhibition of mammary tumor growth, lung metastasis and extends tumor latency in 63% of mice[3].			
Solvent&Solubility	In Vitro: DMSO : ≥ 45 mg/mL (128.04 mM) H ₂ O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	2.8454 mL	14.2272 mL
	Stock Solutions	5 mM	0.5691 mL	2.8454 mL
		10 mM	0.2845 mL	1.4227 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°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 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 (7.11 mM); Clear solution				



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	<p>此方案可获得 ≥ 2.5 mg/mL (7.11 mM, 饱和度未知) 的澄清溶液。</p> <p>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。</p> <p>2.请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (7.11 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.11 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 25.0 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]. Gianní M, et al. AM580, a stable benzoic derivative of retinoic acid, has powerful and selective cyto-differentiating effects on acute promyelocytic leukemia cells. Blood. 1996 Feb 15;87(4):1520-31.</p> <p>[2]. Lu Y, et al. Mechanism of inhibition of MMTV-neu and MMTV-wnt1 induced mammary oncogenesis by RARalpha agonist AM580. Oncogene. 2010 Jun 24;29(25):3665-76.</p> <p>[3]. Bosch A, et al. Reversal by RARα agonist Am580 of c-Myc-induced imbalance in RARα/RARγ expression during MMTV-Myc tumorigenesis. Breast Cancer Res. 2012 Aug 24;14(4):R121.</p>
实验参考:	
Cell Assay	<p>MCF-10A (2×10^4) control cells or overexpressing RARγ are seeded in triplicates in 6-well culture dishes. After 24 hrs, cells are washed with PBS, incubated in 2 mL of DMEM-F12 culture medium, detached and counted every 24 hrs. Statistical significance is determined by t-test. pRB and p27 expression is tested by immunofluorescence analysis of control MCF-10A monolayers and monolayers stably transfected with pSG5-RARγ expression vector, using the same antibodies described for the IHC analysis.</p>
Animal Administration	<p>Four months old uniparous (1 pregnancy/lactation cycle) MMTV-neu and 6 weeks old nulliparous MMTV-wnt1 female mice (50 mice/group) are treated with the RARα agonist AM580 (0.3 mg/kg body weight per mouse per day) in the diet (Purina 5053) by adding 1.5 mg AM580 per kg of Purina 5053 diet. Mice that develop tumors within the first month of treatment are removed from the study. Mice are palpated twice a week and tumor appearance is recorded. Once palpable, the size of the tumors is measured weekly. Tumor-free survival is calculated from Kaplan-Meier curves and statistical significance is determined by the Log-rank test for the survival studies and t-test for the tumor growth studies. Metastasis is evaluated by removing the lungs of all the anesthetized mice, selecting randomly 20 mice per group and inspecting the lung surface for lesions using a stereoscope.</p>
Kinase Assay	<p>Approximately 1×10^6 NB4, HL-60, and APL fresh leukemic cells or CML neutrophils are harvested, pelleted by centrifugation at 400 g for 10 minutes, washed once with 0.9% NaCl, and centrifuged again. The washed cell pellet is resuspended in homogenization buffer (1 mM MgCl₂, 1 mM CaCl₂, 20 mM ZnCl₂, 0.1 mM NaCl, 0.1% [vol/vol] Triton X-100, 50 mM Tris/HCl, pH 7.4) and disrupted by vigorous pipetting. The homogenate is used for the LAP assay, which is performed with p-nitrophenol phosphate as substrate according to the instructions of the manufacturer. LAP activity is normalized for the content of protein in the sample. Proteins are measured according to the Bradford method using BSA fraction V as a standard. One unit of LAP activity is defined as the</p>



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	amount of enzyme capable of transforming 1 nmol of substrate in 1 minute at 25°C. Enzyme assays are performed in conditions of linearity relative to the substrate and to the concentration of proteins.
References	<p>[1]. Gianni M, et al. AM580, a stable benzoic derivative of retinoic acid, has powerful and selective cyto-differentiating effects on acute promyelocytic leukemia cells. Blood. 1996 Feb 15;87(4):1520-31.</p> <p>[2]. Lu Y, et al. Mechanism of inhibition of MMTV-neu and MMTV-wnt1 induced mammary oncogenesis by RARalpha agonist AM580. Oncogene. 2010 Jun 24;29(25):3665-76.</p> <p>[3]. Bosch A, et al. Reversal by RARα agonist Am580 of c-Myc-induced imbalance in RARα/RARγ expression during MMTV-Myc tumorigenesis. Breast Cancer Res. 2012 Aug 24;14(4):R121.</p>



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