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产品名称：NSC-1125476, Tetrahydro-5-[(2-hydroxy-1-naphthalenyl)methyl]-6-phenyl-2-thioxo-4(1H)-Pyrimidinone, 5-(2-Hydroxynaphthalen-1-ylme
产品别名：Cambinol

生物活性：

Description	Cambinol is a SIRT1 and SIRT2 inhibitor with IC_{50} values of 56 and 59 μM, respectively.	
IC_{50} & Target	SIRT1	SIRT2
	56 μM (IC_{50})	59 μM (IC_{50})
In Vitro	Cambinol inhibits NAD-dependent deacetylase activity of human SIRT1 and SIRT2. Inhibition of SIRT1 activity with cambinol during genotoxic stress leads to hyperacetylation of key stress response proteins and promotes cell cycle arrest. Treatment of BCL6-expressing Burkitt lymphoma cells with cambinol as a single agent induces apoptosis, which is accompanied by hyperacetylation of BCL6 and p53. Cambinol has only weak inhibitory activity against SIRT5 (42% inhibition at 300 μM) and no activity against SIRT3[1].	
In Vivo	Cambinol is well tolerated in mice (100 mg/kg) and inhibits growth of Burkitt lymphoma xenografts. No significant weight loss occurs in cambinol-treated animals relative to controls. Inhibitors of NAD-dependent deacetylases may constitute novel anticancer agents[1].	

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (**138.72 mM**; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7745 mL	13.8723 mL	27.7446 mL
	5 mM	0.5549 mL	2.7745 mL	5.5489 mL
	10 mM	0.2774 mL	1.3872 mL	2.7745 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: ≥ 2.08 mg/mL (5.77 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (5.77 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 20.8 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% corn oil Solubility: ≥ 2.08 mg/mL (5.77 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (5.77 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 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Heltweg B, et al. Antitumor activity of a small-molecule inhibitor of human silent information regulator 2 enzymes. Cancer Res. 2006 Apr 15;66(8):4368-77.

实验参考：

Cell Assay	The reporter construct with or without varying amounts of GAL4-BCL6 expression plasmid are introduced into NCI-H460 cells using calcium phosphate method. A plasmid containing cytomegalovirus (CMV)-driven β-galactosidase reporter (50 ng) is cotransfected to control for transfection efficiency. Sixteen hours after transfection, cells are treated with 100 μM cambinol of DMSO (control) for 24 hours and the luciferase and β-galactosidase activity is measured[1].
Animal Administration	Mice: Cambinolat the dose of 100 mg/kg, or vehicle are administered i.v. through tail vein injection or i.p. daily from day 5 to 19 (five injections per week). The dose of 100 mg/kg cambinol is the highest dose that could be administered as a single i.v. injection due to limited solubility of the drug. Tumor size is measured thrice a week using caliper and the tumor volumes are calculated[1].
References	[1]. Heltweg B, et al. Antitumor activity of a small-molecule inhibitor of human silent information regulator 2 enzymes. Cancer Res. 2006 Apr 15;66(8):4368-77.