

产品名称: **Napabucasin**

产品别名: **Napabucasin**

生物活性:				
Description	Napabucasin is a STAT3 inhibitor which blocks stem cell activity in cancer cells.			
IC ₅₀ & Target	STAT3			
In Vitro	Napabucasin inhibits the expressions of stemness markers and kill stemness-high cancer cells isolated from several kinds of tumors except PCa. Napabucasin not only inhibits cell proliferation, cell motility, cell survival, colony formation ability, and tumorigenic potential of PCa cells, and increases cell apoptosis and sensitivity to docetaxel, but also effectively blocks sphere formation of PrCSCs and kill them as well as inhibits stemness gene expression. Napabucasin inhibits cell proliferation in PC-3 cells and 22RV1 cells at 48, 72, 96, and 120 h (P<0.05). Cell motility and colony formation ability are closely correlated with the process of tumor metastasis. Napabucasin significantly decreases colony formation and cell motility ability of PCa cell lines in vitro (P<0.05). The proliferation of PC-3 and 22RV1 cells treated with 1 μM Napabucasin are significantly decreased from day 2 to 5 compared with the control group (P<0.05)[1].			
In Vivo	Napabucasin (40 mg/kg) or Docetaxel significantly reduces xenograft tumor growth and tumor volume (TV) compared with PBS (P<0.05). Notably, while no differences are observed between the Napabucasin and the docetaxel groups in PC-3 mouse xenograft models, the TV in Napabucasin group is even lower than docetaxel group in 22RV1 mouse xenograft models (P<0.05). Additionally, Napabucasin or docetaxel also significantly reduces tumor weight compared with PBS (P<0.05)[1].			
Solvent&Solubility	In Vitro: DMSO : 7.14 mg/mL (29.72 mM; Need ultrasonic and warming) H₂O : < 0.1 mg/mL (insoluble)			
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg
		1 mM	4.1630 mL	20.8151 mL
		5 mM	0.8326 mL	4.1630 mL
		10 mM	0.4163 mL	2.0815 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 <div>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: 0.5 mg/mL (2.08 mM); Suspended solution; Need ultrasonic</div> <div>此方案可获得 0.5 mg/mL (2.08 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。</div> <div>以 1 mL 工作液为例，取 100 μL 5.0 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>			

	<p>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: 0.5 mg/mL (2.08 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 0.5 mg/mL (2.08 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。</p> <p>以 1 mL 工作液为例，取 100 μL 5.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-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 →90% corn oil</p> <p>Solubility: ≥ 0.5 mg/mL (2.08 mM); Clear solution</p> <p>此方案可获得 ≥ 0.5 mg/mL (2.08 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 5.0 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]. Zhang Y, et al. Suppression of prostate cancer progression by cancer cell stemness inhibitor napabucasin. Cancer Med. 2016 Jun;5(6):1251-8.</p>
实验参考：	
Cell Assay	<p>The antiproliferative activity of Napabucasin against the PCa cell lines PC-3 and 22RV1 is examined. For cell proliferation assay, the PCa cell lines (22RV1 and PC-3) are seeded in 96-well plates at 2×10³ cells/well in a final volume of 100 μL and incubated overnight. The proliferation of PC-3 and 22RV1 cells treated with 1 μM Napabucasin. The viability of cells is determined with CellTiter 96 non-radioactive cell proliferation assay (MTS). For colony formation assay, cells are placed in a six-well plate and maintained in RPMI-1640 supplemented with 10% FBS for 2 weeks. The colonies are fixed with 4% paraformaldehyde, stained with 0.1% crystal violet and counted[1].</p>
Animal Administration	<p>Mice[1]</p> <p>A total of 1×10⁶ PC-3 cells or 8×10⁶ 22RV1 cells in 100 μL of PBS are injected subcutaneously into dorsal flanks of an immunodeficient nude mouse. The animals are treated i.p. with Napabucasin (40 mg/kg), Docetaxel (10 mg/kg), or PBS q3d once the tumors have reached 50 mm³. The tumor volume (TV) is calculated every 4 days according to the following standard formula: TV (mm³)=length×width²×0.5.</p>
References	<p>[1]. Zhang Y, et al. Suppression of prostate cancer progression by cancer cell stemness inhibitor napabucasin. Cancer Med. 2016 Jun;5(6):1251-8.</p>