

产品名称: SH5-07

产品别名: SH5-07

生物活性:				
Description	SH5-07 is a hydroxamic acid based Stat3 inhibitor with an IC ₅₀ of 3.9 μ M in <i>in vitro</i> assay.			
IC ₅₀ & Target	STAT3			
	3.9 μ M (IC ₅₀)			
In Vitro	SH5-07 is a hydroxamic acid analog of BP-1-102. SH5-07 dose-dependently inhibits Stat3 activity with an IC ₅₀ of 3.9 \pm 0.6 μ M in <i>in vitro</i> assay. It preferentially inhibits Stat3:Stat3 DNA-binding activity, ahead of inhibiting Stat1:Stat3 activity, with minimal effects on Stat1:Stat1 activity. SH5-07 binds Stat3, disrupts Stat3 association with growth factor receptor, and thereby inhibits Stat3 phosphorylation. It induces antitumor cell effects against malignant cells harboring constitutively-active Stat3. SH5-07 inhibits the expression of known Stat3-regulated genes. Bcl-2, Bcl-xL, c-Myc, Survivin, Cyclin D1 and Mcl-1 expression is reduced in response to 24 h, 5 μ M SH5-07 treatment[1].			
In Vivo	Tail vein injection or oral gavage delivery of SH5-07 or SH4-54 inhibits growth of 90-150 mm ³ established subcutaneous mouse xenografts of human glioma (U251MG) and breast (MDA-MB-231) tumors that harbor aberrantly-active Stat3, associated with decreased c-Myc, Mcl-1 and Cyclin D1 expression. No significant changes in body weights, blood cell counts, or the gross anatomy of organs, or obvious signs of toxicity are observed[1].			
Solvent&Solubility	In Vitro: DMSO : 50 mg/mL (79.92 mM; Need ultrasonic) H ₂ O : < 0.1 mg/mL (insoluble)			
	Preparing Stock Solutions	<div>SolventMassConcentration</div>	1 mg	5 mg
		1 mM	1.5984 mL	7.9922 mL
		5 mM	0.3197 mL	1.5984 mL
		10 mM	0.1598 mL	0.7992 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 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (4.00 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.00 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中，混合均匀向上述体系中加入 50 μ L Tween-80，混合均匀；然后继续加入 450 μ L 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil			

	<p>Solubility: ≥ 2.5 mg/mL (4.00 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.00 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]. Yue P,et al. Hydroxamic Acid and Benzoic Acid-Based STAT3 Inhibitors Suppress Human Glioma and Breast Cancer Phenotypes In Vitro and In Vivo. Cancer Res. 2016 Feb 1;76(3):652-63.</p>
实验参考:	
Cell Assay	<p>Cells are treated with 0-8 μM agent for 24-48 h. For cell cycle profile analysis, cells are harvested and fixed with 70% ice-cold ethanol and stained with propidium iodide (PI). For apoptosis analysis, cells are collected and stained with FITC-Annexin V using Apoptosis Detection Kit. Both the DNA content of cells and the Annexin V-positive cells are analyzed by FACScan flow cytometer. Cell cycle phase distribution is analyzed using the Cell-Fit program. Data acquisition is gated to exclude cell doublets[1].</p>
Animal Administration	<p>Mice: Mice are injected subcutaneously in the left flank area with U251MG cells in 200 μL of PBS/Matrigel matrix, or MDA-MB-231 cells in 100 μL of PBS. Mice with tumors of 90-150 mm³ (MDA-MB-231) or 150 mm³ (U251MG) are grouped for identical mean tumor sizes, administered 3, 5 or 6 mg/kg SH5-07 or SH4-54 via oral gavage daily or tail vein injection every 2 or 3 days, and monitored every 3-7 days. Tumor sizes are measured with calipers and converted to tumor volume[1].</p>
References	<p>[1]. Yue P,et al. Hydroxamic Acid and Benzoic Acid-Based STAT3 Inhibitors Suppress Human Glioma and Breast Cancer Phenotypes In Vitro and In Vivo. Cancer Res. 2016 Feb 1;76(3):652-63.</p>

源叶生物