



上海源叶生物科技有限公司
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产品名称: 乙酰胺,2-氯-N-((3,4-二甲氧苯基)甲基)-
产品别名: DKM 2-93

生物活性:				
Description	DKM 2-93 is a relatively selective inhibitor of UBA5 with an IC ₅₀ of 430 μM.			
IC ₅₀ & Target	IC50: 430 μM (UBA5), 90 μM (PaCa2 cells), 30 μM (Panc1 cells)[1]			
In Vitro	Ubiquitin-like modifier activating enzyme 5 (UBA5) is a novel pancreatic cancer therapeutic target. DKM 2-93 impairs pancreatic cancer cell survival through covalently modifying the catalytic cysteine of UBA5, thereby inhibiting its activity as a protein that activates the ubiquitin-like protein UFM1 to UFMylate proteins. DKM 2-93 inhibits PaCa2 and Panc1 cells survival with IC50s of 90 and 30 μM, respectively[1].			
In Vivo	DKM 2-93 daily treatment significantly impairs tumor growth of PaCa2 cells in vivo in tumor xenograft studies in immune-deficient mice without causing any weight loss or overt toxicity[1].			
Solvent&Solubility	In Vitro: DMSO : 125 mg/mL (512.95 mM; Need ultrasonic)			
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg
		1 mM	4.1036 mL	20.5179 mL
		5 mM	0.8207 mL	4.1036 mL
		10 mM	0.4104 mL	2.0518 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 (8.54 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (8.54 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% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (8.54 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (8.54 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 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。			



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	<p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.08 mg/mL (8.54 mM); Clear solution</p> <p>此方案可获得 ≥ 2.08 mg/mL (8.54 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 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	[1]. Roberts AM, et al. Chemoproteomic Screening of Covalent Ligands Reveals UBA5 As a Novel Pancreatic Cancer Target. ACS Chem Biol. 2017 Apr 21;12(4):899-904.
实验参考:	
Cell Assay	PaCa2 and Panc1 cells are treated with 0-1000 μ M DKM 2-93 for 48 hours. Cell viability is assessed by Hoescht stain[1].
Animal Administration	Mice: Mice are subcutaneously injected with PaCa2 cells to initiate the tumor xenograft study and treatments of mice are initiated with vehicle or DKM 2-93 (50 mg/kg ip, once per day) three days after injection of cancer cells[1].
References	[1]. Roberts AM, et al. Chemoproteomic Screening of Covalent Ligands Reveals UBA5 As a Novel Pancreatic Cancer Target. ACS Chem Biol. 2017 Apr 21;12(4):899-904.

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