



上海源叶生物科技有限公司
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产品名称: **GK921**
产品别名: **GK921**

生物活性:				
Description	GK921 is a transglutaminase 2 (TGase) inhibitor with an IC ₅₀ of 7.71 μ M for human recombinant TGase 2.			
IC₅₀ & Target	IC ₅₀ : 7.71 μ M (TGase)[1]			
In Vitro	GK921 inhibits the TGase 2-induced polymerization of I- κ B α and p53 in a dose-dependent manner. The cytotoxicity of GK921 ranged from GI ₅₀ of 10 ⁻¹⁰ to 10 ⁻⁴ M. The average GI ₅₀ is 9.05 $\times$ 10 ⁻⁷ M. GK921 rescues p53 levels and consequently induces apoptosis; a concentration-dependent increase in cleaved poly(ADP-ribose) polymerase (c-PARP) and p53 levels is observed[1].			
In Vivo	A single treatment with GK921 almost completely reduces tumor growth by stabilizing p53 in the ACHN and CAKI-1 preclinical xenograft tumor models[1].			
Solvent&Solubility	In Vitro: DMSO : $\geq$ 30 mg/mL (87.11 mM)			
	Preparing Stock Solutions	Solvent	Mass	Concentration
		1 mg	5 mg	10 mg
		1 mM	2.9035 mL	14.5176 mL
		5 mM	0.5807 mL	2.9035 mL
		10 mM	0.2904 mL	1.4518 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: $\geq$ 2.5 mg/mL (7.26 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (7.26 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% (20% SBE- β -CD in saline) Solubility: $\geq$ 2.5 mg/mL (7.26 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (7.26 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 900 μ L 20% 的 SBE- β -CD 生理盐水溶液中, 混合均匀。			



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References	[1]. Ku BM, et al. Transglutaminase 2 inhibitor abrogates renal cell carcinoma in xenograft models. J Cancer Res Clin Oncol. 2014 May;140(5):757-67.
实验参考:	
Cell Assay	Cells are transfected with a BAX promoter luciferase reporter construct. After exposure to GK921 (0, 0.5, 1, 2.5, 5 μ M), firefly and Renilla luciferase activities are measured using a dual luciferase assay kit and pRL-CMV as an internal control[1].
Animal Administration	Mice: GK921 is dissolved in DMSO. Vehicle alone and GK921 (8 mg/kg) are administered orally once per day, 5 days/week, for 64 days. The size of the primary tumors is measured every 2-3 days using calipers. Tumor volume is calculated[1].
Kinase Assay	TGase 2 from guinea pig liver is preincubated for 10 min with various concentrations of GK13 or GK921 in 0.1 mL of reaction buffer, with or without 10 mM CaCl_2 , followed by the addition of 0.4 mL of substrate solution containing 2[1]
References	[1]. Ku BM, et al. Transglutaminase 2 inhibitor abrogates renal cell carcinoma in xenograft models. J Cancer Res Clin Oncol. 2014 May;140(5):757-67.

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