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产品名称: **K145 (hydrochloride)**

产品别名: **K145 hydrochloride**

生物活性:				
Description	K145 is a selective SphK2 inhibitor with an IC50 of 4.30±0.06 μM , while no inhibition of SphK1 at concentrations up to 10 μM. IC50 value: 4.3 uM [1] Target: SphK2 in vitro: K145 inhibited the activity of SphK2 in a dose-dependent manner with an IC50 of 4.30±0.06 uM , while no inhibition of SphK1 at concentrations up to 10 uM was observed. Lineweaver-Burk analysis revealed a Ki of 6.4±0.7 uM for SphK2 and indicated that K145 is a substrate competitive inhibitor (with sphingosine). K145 accumulates in U937 cells, suppresses the S1P level, and inhibits SphK2. K145 also exhibited inhibitory effects on the growth of U937 cells as well as apoptotic effects in U937 cells, and that these effects may be through the inhibition of down-stream ERK and Akt signaling pathways [1]. in vivo: K145 also significantly inhibited the growth of U937 tumors in nude mice by both intraperitoneal and oral administration, thus demonstrating its in vivo efficacy as a potential lead anticancer agent [2].			
Solvent&Solubility	In Vitro: H₂O : 126.7 mg/mL (329.16 mM; Need ultrasonic and warming) DMSO : 50 mg/mL (129.90 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
	Preparing	1 mM	1 mg	5 mg 10 mg
	Stock Solutions	5 mM	0.5196 mL	2.5979 mL 5.1959 mL
		10 mM	0.2598 mL	1.2990 mL 2.5979 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: ≥ 0.83 mg/mL (2.16 mM); Clear solution 此方案可获得 ≥ 0.83 mg/mL (2.16 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 8.3 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: ≥ 0.83 mg/mL (2.16 mM); Clear solution 此方案可获得 ≥ 0.83 mg/mL (2.16 mM, 饱和度未知) 的澄清溶液。				



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	以 1 mL 工作液为例, 取 100 μL 8.3 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。 3. 请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: $\geq$ 0.83 mg/mL (2.16 mM); Clear solution 此方案可获得 $\geq$ 0.83 mg/mL (2.16 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 8.3 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Liu K, et al. Biological characterization of 3-(2-amino-ethyl)-5-[3-(4-butoxyl-phenyl)-propylidene]-thiazolidine-2,4-dione (K145) as a selective sphingosine kinase-2 inhibitor and anticancer agent. PLoS One. 2013;8(2):e56471.

源叶生物