



上海源叶生物科技有限公司
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产品名称: **Leniolisib**
产品别名: **CDZ173**

生物活性:					
Description	Leniolisib (CDZ173) is a potent and selective PI3K δ inhibitor currently in phase II/III clinical trials for the treatment of immunodeficiency disorders.				
IC ₅₀ & Target	PI3K δ	PI3K α	PI3K β	PI3K γ	DNA-PK
	11 nM (IC ₅₀)	280 nM (IC ₅₀)	480 nM (IC ₅₀)	2.57 μ M (IC ₅₀)	880 nM (IC ₅₀)
In Vitro	Expression of APDS mutant p110 δ in cell lines and patient-derived lymphocytes lead to increased pathway activity, measured as phosphorylation of AKT or S6, which is suppressed by leniolisib in a concentration dependent way ^[1] .				
In Vivo	Oral leniolisib lead to a dose-dependent reduction in PI3K/AKT pathway activity and resolve the immune dysregulation with normalization of circulating transitional and naïve B cells and reduction in PD-1+CD4+ and senescent CD57+CD8+ T cells. After 12 weeks of treatment, all patients show amelioration of lymphoproliferation with lymph node sizes and spleen volumes reduced by 39% (mean, range 26-57%) and 40% (mean, range: 13-65%), respectively ^[1] .				
Solvent&Solubility	In Vitro: DMSO : 100 mg/mL (222.00 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg
		1 mM		2.2200 mL	11.0998 mL
		5 mM		0.4440 mL	2.2200 mL
		10 mM		0.2220 mL	1.1100 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 (5.55 mM); Clear solution 此方案可获得 \geq 2.5 mg/mL (5.55 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 (5.55 mM); Clear solution				



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	<p>此方案可获得 ≥ 2.5 mg/mL (5.55 mM, 饱和度未知) 的澄清溶液。</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 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 \rightarrow 90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.55 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]. Rao V, et al. Effective 'Activated PI3Kd Syndrome' -targeted therapy with PI3Kd inhibitor leniolisib. The New England journal of medicine: NEJM. ISSN 0028-4793; 1533-4406</p>

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