



上海源叶生物科技有限公司
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产品名称: **KR-33494**
产品别名: **KR-33494**

生物活性:				
Description	KR-33493 is a potent inhibitor of Fas-mediated cell death (FAF1).			
IC ₅₀ & Target	FAF1[1]			
In Vivo	Body weight changes of both sexes are not related to KR-33493 in all doses. In rats administrated KR-33493 for 4 weeks, no test article-related changes in any treated groups of either sex are found in hematology, serum biochemistry, and urinalysis. In dogs administrated KR-33493 for 2 weeks, red blood cell count (RBC) value in males is significantly higher at the 1000 mg/kg/day dose than that of the control group (i.e., 6.96±0.323 vs. 6.12±0.418). However, the change of RBC is recovered after the end of the administration period. The dose-normalized AUClast is not significantly different between the groups, suggesting that KR-33493 is governed by linear kinetics[1].			
Solvent&Solubility	In Vitro: DMSO : ≥ 31 mg/mL (67.34 mM) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg
		1 mM	2.1723 mL	10.8615 mL
		5 mM	0.4345 mL	2.1723 mL
		10 mM	0.2172 mL	1.0862 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: ≥ 2.5 mg/mL (5.43 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.43 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 25.0 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。 .请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.43 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。			



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	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 玉米油中, 混合均匀。
References	[1]. Jeong JW, et al. Subacute toxicity evaluation of KR-33493, FAF1 inhibitor for a new anti-parkinson's disease agent, after oral administration in rats and dogs. Regul Toxicol Pharmacol. 2016 Nov;81:387-396.
实验参考:	
Animal Administration	A total of 93 male and 93 female specific pathogen-free rats (6 weeks of age), and 16 male and 16 female beagle dogs (8 months of age) are used in this study. In a toxicokinetic study, rat blood samples (approximately 0.6 mL) are collected into tubes containing heparin from the lateral tail vein at 0, 0.5, 1, 2, 4, 8, 12, and 24 h after dosing with KR-33493 at doses of 50, 150, and 500 mg/kg/day on Day 1 and Week 4. Dog blood samples (approximately 0.6 mL) are collected into tubes containing EDTA-2K from the cephalic vein at 0, 0.5, 1, 2, 4, 6, 8, and 24 h after dosing at KR-33493 doses of 50, 250, and 1000 mg/kg/day on Day 1 and Week 2. The plasma is separated by centrifugation (approximately 132,000 g, 3 min, 4°C) and stored at approximately -80°C until analysis. The KR-33493 concentration in plasma is quantified[1].
References	[1]. Jeong JW, et al. Subacute toxicity evaluation of KR-33493, FAF1 inhibitor for a new anti-parkinson's disease agent, after oral administration in rats and dogs. Regul Toxicol Pharmacol. 2016 Nov;81:387-396.

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