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产品名称: **TPX-0005**
产品别名: **Repotrectinib**

生物活性:				
Description	Repotrectinib (TPX-0005) is a potent ROS1 (IC ₅₀ =0.07 nM), TRK (0.83/0.05/0.1 nM=TRKA/B/C) inhibitor. Repotrectinib (TPX-0005) potently inhibits WT ALK (1.01 nM) and mutant ALKs including ALK G1202R (1.26 nM) and ALK L1196M (1.08 nM). It also inhibits a variety of other kinases, including JAK2, LYN, Src, and FAK (1.04, 1.66, 5.3, and 6.96 nM, respectively) [1][2].			
IC ₅₀ & Target	IC ₅₀ : 0.07 nM (ROS1), 0.83/0.05/0.1 nM (TRKA/B/C), 1.01 nM (ALK), 1.04 nM (JAK2), 1.66 nM (LYN), 5.3 nM (Src), 6.96 nM (FAK) [1][2]			
In Vitro	Repotrectinib (TPX-0005) effectively overcomes this primary resistance (IC ₅₀ 100 nM in cell proliferation assay) with strong inhibition of the phosphorylation of EML4-ALK (IC ₅₀ 13 nM) and the SRC substrate paxillin (IC ₅₀ 107 nM). Repotrectinib inhibits H2228 cell migration in a wound healing assay with similar activity to saracatinib [1].			
In Vivo	Repotrectinib (TPX-0005) effectively inhibits tumor growth in vivo in ALK WT and ALK G1202R xenografts [1].			
Solvent&Solubility	In Vitro: DMSO : ≥ 83.3 mg/mL (234.40 mM) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg
		1 mM	2.8140 mL	14.0698 mL
		5 mM	0.5628 mL	2.8140 mL
		10 mM	0.2814 mL	1.4070 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: ≥ 2.5 mg/mL (7.03 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.03 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。 2.请依序添加每种溶剂: 10% DMSO →90% corn oil			



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	Solubility: ≥ 2.5 mg/mL (7.03 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.03 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Dayong Zhai, et al. Abstract 2132: The novel, rationally-designed, ALK/SRC inhibitor TPX-0005 overcomes multiple acquired resistance mechanisms to current ALK inhibitors. Cancer Research. July 2016 [2]. Karachaliou N, et al. Common Co-activation of AXL and CDCP1 in EGFR-mutation-positive Non-smallcell Lung Cancer Associated With Poor Prognosis. EBioMedicine. 2018 Mar;29:112-127.

源叶生物