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产品名称: ITD-1
产品别名: ITD-1

生物活性:				
Description	ITD-1 is the first selective TGFβ receptor inhibitor with an IC ₅₀ of 460 nM.			
IC ₅₀ & Target	IC ₅₀ : 460 nM (TGFβ receptor)			
In Vitro	ITD-1 potently blocks phosphorylation of the effector SMAD2/3 proteins induced by TGFβ2, and only minimally in response to Activin A. HEK293T cells are transfected with a Smad4 response element driving luciferase (SBE4-Luc) to test whether ITD-1 blocks Activin A/Nodal and/or TGFβ signaling, which utilize the same intracellular signaling cascade through Smad4. ITD-1 strongly inhibits TGFβ2 signaling with similar efficacy (92% vs. 99% respectively), but with lower potency compared to SB-431542, an ACVR1B/TGFBFR1 kinase inhibitor (IC ₅₀ = 850nM vs. 70nM respectively), and is a weak and partial inhibitor of Activin A signals. ITD-1 selectively enhances the differentiation of uncommitted mesoderm to cardiomyocytes, but not to vascular smooth muscle and endothelial cells. ITD-1 reveals an unexpected role for TGFβ signaling in controlling cardiomyocyte differentiation from multipotent cardiovascular precursors.			
Solvent&Solubility	In Vitro: DMSO : 28 mg/mL (67.39 mM; Need ultrasonic and warming) H₂O : < 0.1 mg/mL (insoluble)			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	2.4066 mL	12.0331 mL
	Stock Solutions	5 mM	0.4813 mL	2.4066 mL
		10 mM	0.2407 mL	1.2033 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 (6.02 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.02 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 Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution				



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	此方案可获得 ≥ 2.5 mg/mL (6.02 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]. Willems E, et al. Small molecule-mediated TGF- β type II receptor degradation promotes cardiomyogenesis in embryonic stem cells. Cell Stem Cell. 2012 Aug 3;11(2):242-52.
实验参考:	
Cell Assay	For the Smad4-Response element (SBE4) assay, 293T cells are grown in Phenol Free DMEM-high glucose supplemented with 1% FBS. About 30000 cells/cm ² are reverse transfected onto white 384-well cell-culture plates with 10ng of SBE4-Lux and CMV-Renilla-Lux using Lipofectamine 2000. Cells are allowed to adhere for at least 12 hours and induced with either TGF β 2 (15 ng/mL), Activin A (15 ng/mL). Simultaneously, ITD-1 (0.001, 0.01, 0.1, 1, and 10 μ M) is added to cells. SB-431542 is used as a positive control for Activin A/TGF β -signaling inhibition. To determine luminescence levels, Dual-Glo kit is used and measured on an Envision plate reader. Firefly luminescence is normalized against renilla luciferase.
References	[1]. Willems E, et al. Small molecule-mediated TGF- β type II receptor degradation promotes cardiomyogenesis in embryonic stem cells. Cell Stem Cell. 2012 Aug 3;11(2):242-52.

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