



上海源叶生物科技有限公司
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产品名称: 托雷斯蔡
产品别名: Tolrestat; AY-27773; 托瑞司他

生物活性:				
Description	Tolrestat is a potent, orally active aldose reductase inhibitor with IC ₅₀ of 35 nM.			
IC ₅₀ & Target	IC ₅₀ : 35 nM (Aldose Reductase)			
In Vivo	Tolrestat (1.8 mg/kg per day) causes a reversal to normal RBC sorbitol levels diabetic rats[1]. In 21-day diabetic rats, the estimated ID in the sciatic nerve and lenses is 4.8 and about 20 for tolrestat, and 1.7 and 2.2 for (±)sorbitol, respectively[2]. Either tolrestat or sorbitol inhibits tissue AR activity but does not significantly affect plasma lipoprotein levels, or affect the body weight of the mice or their general health. Accumulation of cholesterol-rich foam cells is significantly increased in aortic roots of tolrestat-fed mice[3].			
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (279.84 mM) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg
		1 mM	2.7984 mL	13.9919 mL
		5 mM	0.5597 mL	2.7984 mL
		10 mM	0.2798 mL	1.3992 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 (7.00 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.00 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% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.00 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.00 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 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。			



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	3.请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.00 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.00 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]. Sestan J K, et al. N-[5-(trifluoromethyl)-6-methoxy-1-naphthalenyl]thioxomethyl]- N-methylglycine (Tolrestat), a potent, orally active aldose reductase inhibitor. J Med Chem. 1984 Mar;27(3):255-6. [2]. Simard-Duquesne N, et al. The effects of a new aldose reductase inhibitor (tolrestat) in galactosemic and diabetic rats. Metabolism. 1985 Oct;34(10):885-92. [3]. Srivastava S, et al. Aldose reductase protects against early atherosclerotic lesion formation in apolipoprotein E-null mice. Circ Res. 2009 Oct 9;105(8):793-802.
实验参考:	
Animal Administration	For a period of four days, rats weighing about 70 g are given unlimited access to water and Chow supplemented with 20% (wt/wt) galactose and tolrestat at various dose levels. Rats used as control receive chow containing galactose (20%, wt/wt) or glucose (20%, wt/wt). The rats are killed; the lenses and sciatic nerves are removed and homogenized in 5% trichloroacetic acid; the deproteinized extracts are then analyzed for galactitol by a modification of a method for glycerol determination. The values obtained in the group fed 20% glucose are used for background correction. [2]
References	[1]. Sestan J K, et al. N-[5-(trifluoromethyl)-6-methoxy-1-naphthalenyl]thioxomethyl]- N-methylglycine (Tolrestat), a potent, orally active aldose reductase inhibitor. J Med Chem. 1984 Mar;27(3):255-6. [2]. Simard-Duquesne N, et al. The effects of a new aldose reductase inhibitor (tolrestat) in galactosemic and diabetic rats. Metabolism. 1985 Oct;34(10):885-92. [3]. Srivastava S, et al. Aldose reductase protects against early atherosclerotic lesion formation in apolipoprotein E-null mice. Circ Res. 2009 Oct 9;105(8):793-802.