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产品名称: **Cinaciguat**
产品别名: **BAY 58-2667**

生物活性:				
Description	Cinaciguat is an activator of guanylate cyclase (sGC), and used for acute decompensated heart failure.			
In Vitro	Cinaciguat (10 μ M) significantly enhances intracellular cGMP generation. Cinaciguat does not dose-dependent effects on cell contraction and calcium transients[2].			
In Vivo	Cinaciguat (10 mg/kg/day, p.o.) treatment in diabetic rats does not influence blood glucose levels, but leads to attenuated water intake. Cinaciguat treatment alleviates diabetes mellitus related oxidative stress, protects against DM related alteration of the NO-sGC-cGMP-PKG signalling, and alleviates DM related myocardium hypertrophy and apoptosis[1]. Cinaciguat (1-10-100 nM) induces concentration-dependent relaxations in strips from both WT and apo-sGC mice, but does not have any effect on phasic activity induced by PGF _{2α} in WT or apo-sGC strips[3].			
Solvent&Solubility	<i>In Vitro:</i> DMSO : $\geq$ 50 mg/mL (88.39 mM) * " $\geq$ " means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent	Mass	
		Concentration		
			1 mg	5 mg
				10 mg
	*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。 <i>In Vivo:</i> 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 <i>In Vitro</i> 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$\rightarrow$ 90% (20% SBE-β-CD in saline) Solubility: $\geq$ 2.5 mg/mL (4.42 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (4.42 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 生理盐水水溶液中, 混合均匀。 2.请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: $\geq$ 2.5 mg/mL (4.42 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (4.42 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			



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	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]. Mátyás C, et al. The soluble guanylate cyclase activator cinaciguat prevents cardiac dysfunction in a rat model of type-1 diabetes mellitus. Cardiovasc Diabetol. 2015 Oct 31;14:145. [2]. Reinke Y, et al. The soluble guanylate cyclase stimulator riociguat and the soluble guanylate cyclase activator cinaciguat exert no direct effects on contractility and relaxation of cardiac myocytes from normal rats. Format: AbstractSend to Eur J Pharmacol [3]. Cosyns SM, et al. Influence of cinaciguat on gastrointestinal motility in apo-sGC mice. Neurogastroenterol Motil. 2014 Nov;26(11):1573-85.
实验参考:	
Animal Administration	After confirmation of DM, rats are randomised into four groups: vehicle-treated control, cinaciguat-treated control, vehicle-treated diabetic and cinaciguat-treated diabetic groups. Animals are treated for 8 weeks with 0.5% methylcellulose vehicle or with the sGC activator cinaciguat in suspension p.o. (10 mg/kg/day), starting immediately after DM confirmation. Water bottles are filled every morning with the same amount of fresh tap water and daily water intake is measured. Animal cages are handled with care and are not moved after water bottle replacement to prevent spilling of water from the bottles. Body weight of the animals are recorded every 2 days and the dose of cinaciguat is adjusted accordingly.
References	[1]. Mátyás C, et al. The soluble guanylate cyclase activator cinaciguat prevents cardiac dysfunction in a rat model of type-1 diabetes mellitus. Cardiovasc Diabetol. 2015 Oct 31;14:145. [2]. Reinke Y, et al. The soluble guanylate cyclase stimulator riociguat and the soluble guanylate cyclase activator cinaciguat exert no direct effects on contractility and relaxation of cardiac myocytes from normal rats. Format: AbstractSend to Eur J Pharmacol [3]. Cosyns SM, et al. Influence of cinaciguat on gastrointestinal motility in apo-sGC mice. Neurogastroenterol Motil. 2014 Nov;26(11):1573-85.