



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **Riociguat**
产品别名: 利奥西呱; **BAY 632521**

生物活性:				
Description	Riociguat is an oral stimulator of soluble guanylate cyclase (sGC) used in the treatment of pulmonary hypertension.			
IC ₅₀ & Target	sGC[1]			
In Vitro	Riociguat stimulates the recombinant sGC concentration dependently from 0.1 to 100 μ M with a two-fold to 73-fold effect by an NO-independent but haem-dependent mechanism[1]. Riociguat inhibits platelet function in washed platelets but not in whole blood, and exerts no direct effects on contractility and relaxation of cardiac myocytes[2].			
In Vivo	Riociguat (10 mg/kg/d, p.o.) partially reverses the pulmonary arterial hypertension, the right heart hypertrophy and the structural remodelling of the lung vasculature in chronic treatment of hypoxic mice and MCT-injected rats[1].			
Solvent&Solubility	In Vitro: DMSO : \geq 50 mg/mL (118.37 mM) <small>* "\geq" means soluble, but saturation unknown.</small>			
		<div>SolventMassConcentration</div>	1 mg	5 mg
	Preparing	1 mM	2.3673 mL	11.8366 mL
	Stock Solutions	5 mM	0.4735 mL	2.3673 mL
		10 mM	0.2367 mL	1.1837 mL
				2.3673 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: \geq 2.5 mg/mL (5.92 mM); Clear solution 此方案可获得 \geq 2.5 mg/mL (5.92 mM, 饱和度未知) 的澄清溶液。 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 400 μ L PEG300 中, 混合均匀; 向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 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: \geq 2.5 mg/mL (5.92 mM); Clear solution 此方案可获得 \geq 2.5 mg/mL (5.92 mM, 饱和度未知) 的澄清溶液。			



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

	<p>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。</p> <p>3. 请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: \geq 2.5 mg/mL (5.92 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (5.92 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。</p> <p>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。</p>
References	<p>[1]. Schermuly RT, et al. Expression and function of soluble guanylate cyclase in pulmonary arterial hypertension. Eur Respir J. 2008 Oct;32(4):881-91.</p> <p>[2]. Schermuly RT, et al. Riociguat for the treatment of pulmonary hypertension. Expert Opin Investig Drugs. 2011 Apr;20(4):567-76.</p> <p>[3]. Donda K, et al. Riociguat prevents hyperoxia-induced lung injury and pulmonary hypertension in neonatal rats without effects on long bone growth. PLoS One. 2018 Jul 10;13(7):e0199927.</p>
实验参考:	
Animal Administration	<p>Mice[1]</p> <p>For chronic intervention studies four groups of mice are used: control mice exposed for 35 days to normoxic gas (n=10); mice exposed for 21 days to hypoxic gas (n=10); mice exposed for 35 days to hypoxic gas and who receives the vehicle (2% methylcellulose solution) from day 21 to day 35 (n=10); and mice exposed for 35 days to hypoxic gas and who receives BAY 63-2521 (10 mg/kg) once a day by oral application (n=10) from day 21 to day 35. For continuous measurement of Prvs and cardiac frequency by radiotelemetry, a separate group of mice is exposed for 35 days to hypoxic gas and receives BAY 63-2521 (10 mg/kg) once a day by oral application from day 21 to day 35. In order to investigate vascular reactivity in isolated mouse lungs, an additional two groups of animals are investigated: control mice (n=12) and animals exposed for 21 days to hypoxic conditions (n=12).</p> <p>Rats[1]</p> <p>Rats are randomised for chronic BAY 63-2521 treatment, 21 days after MCT injection. The experimental groups includes rats that receives BAY 63-2521 (10 mg/kg) or vehicle (2% methylcellulose solution) by oral application, once per day. Rats are examined daily and subjected to haemodynamic measurements and histological assessment at day 35.</p>
References	<p>[1]. Schermuly RT, et al. Expression and function of soluble guanylate cyclase in pulmonary arterial hypertension. Eur Respir J. 2008 Oct;32(4):881-91.</p> <p>[2]. Schermuly RT, et al. Riociguat for the treatment of pulmonary hypertension. Expert Opin Investig Drugs. 2011 Apr;20(4):567-76.</p> <p>[3]. Donda K, et al. Riociguat prevents hyperoxia-induced lung injury and pulmonary hypertension in neonatal rats without effects on long bone growth. PLoS One. 2018 Jul 10;13(7):e0199927.</p>