

产品名称：**Pimobendan**
 产品别名：匹莫苯丹； **UD-CG115**

生物活性:

Description	Pimobendan (UD-CG115) is a selective inhibitor of PDE3 with IC ₅₀ of 0.32 μM.				
IC ₅₀ & Target	PDE3[1].				
In Vitro	Pimobendan (UD-CG115) exhibits selective inhibition of PDE III isolated from guinea pig cardiac muscle with IC50 of 0.32 uM compared to the inhibition of PDE I and PDE II (IC50 >30 μM). In human atrial cells, 100 μM Pimobendan (UD-CG115) significantly increases the L-type calcium current (ICa(L)) (evoked by depolarization to +10 mV from a holding potential of -40 mV) by 250.4% with the half-maximal stimulation (EC50) of 1.13 μM. In rabbit atrial cells, Pimobendan (UD-CG115) increases ICa(L) at +10 mV by 67.4%, which is significantly lower than that obtained in human atrial cells[1].				
In Vivo	Pimobendan (UD-CG115) shows a beneficial effect on survival in the murine model of EMC virus-induced myocarditis. Administration of Pimobendan (UD-CG115) significantly increases the final survival rate from 33.6% (control) to 53.3% (0.1 mg/kg) or 66.7% (1 mg/kg). Pimobendan (UD-CG115) (1 mg/kg) also significantly reduces myocardial cellular infiltration, the level of intracardiac tumor necrosis factor (TNF)-α and interleukin (IL)-1β compared with the control group, which shows no effect on myocardial necrosis, heart weight and body weight. Pimobendan (UD-CG115) suppresses expression of the intracardiac iNOS gene , causing reduction of intracardiac NO production[2].				
Solvent&Solubility	<i>In Vitro:</i> DMSO : 50 mg/mL (149.53 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	2.9907 mL	14.9535 mL	29.9070 mL
		5 mM	0.5981 mL	2.9907 mL	5.9814 mL
		10 mM	0.2991 mL	1.4953 mL	2.9907 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。 <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 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: ≥ 2.75 mg/mL (8.22 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (8.22 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 27.5 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: ≥ 2.75 mg/mL (8.22 mM); Clear solution				

	此方案可获得 ≥ 2.75 mg/mL (8.22 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 27.5 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。 3.请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: ≥ 2.75 mg/mL (8.22 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (8.22 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 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Kajimoto K, et al. Contribution of phosphodiesterase isozymes to the regulation of the L-type calcium current in human cardiac myocytes. Br J Pharmacol. 1997 Aug;121(8):1549-56. [2]. Iwasaki A, et al. Pimobendan inhibits the production of proinflammatory cytokines and gene expression of inducible nitric oxide synthase in a murine model of viral myocarditis. J Am Coll Cardiol. 1999 Apr;33(5):1400-7.
实验参考:	
Animal Administration	Mice[2] Since, in this model, most mice die of congestive heart failure within 14 days after EMC virus inoculation (21), the survival was observed up to 14 days in this study. Pimobendan was administered in doses of 0.1 mg/kg or 1 mg/kg daily for 14 days from the day of EMC virus inoculation while control mice received vehicles only. Thirty mice were randomly assigned to each group[2].
References	[1]. Kajimoto K, et al. Contribution of phosphodiesterase isozymes to the regulation of the L-type calcium current in human cardiac myocytes. Br J Pharmacol. 1997 Aug;121(8):1549-56. [2]. Iwasaki A, et al. Pimobendan inhibits the production of proinflammatory cytokines and gene expression of inducible nitric oxide synthase in a murine model of viral myocarditis. J Am Coll Cardiol. 1999 Apr;33(5):1400-7.

源叶生物