



上海源叶生物科技有限公司
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产品名称: **PKG drug G1**

CAS 号: **374703-78-3**

产品货号: **S87739**

生物活性:

Description	PKG drug G1 靶向作用于 PKG I α 。PKG drug G1 通过 C42 PKGI α 非依赖性机制有助于血管舒张和血压降低。				
IC50 & Target	PKG I α [1]				
Cellular Effect	Cell Line	Type	Value	Description	
	Sf9	IC ₅₀	14 μ M	Inhibitory activity against CDK4 using recombinant human cyclin D2-CDK4 complex expressed in sf9 cells	
In Vivo	PKG drug G1 induces vasodilation of isolated resistance blood vessels and blood pressure lowering in a mouse model of angiotensin II - induced hypertension. PKG drug G1 efficiently relaxes WT but not knockin (KI) vessels, which is then assessed in a murine model of hypertension. PKG drug G1 lowers blood pressure in hypertensive WT, but not KI, mice in vivo. PKG drug G1 is tested in vivo in healthy mice implanted with telemetric devices that allow blood pressure and heart rate to be constantly monitored. PKG drug G1 or vehicle control is administrated by intraperitoneal injection, and the acute impact on hemodynamics assessed. PKG drug G1 administered at 7.4 mg/kg does not decrease blood pressure, but there is a concomitant reflex tachycardia. When this is repeated using 14.8 mg/kg dose of PKG drug G1, again blood pressure is not altered-but this higher dose induces a potentiated increase in heart rate[1].				
Solvent&Solubility	细胞实验: DMSO 中的溶解度 : 75 mg/mL (291.48 mM); 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	3.8864 mL	19.4318 mL	38.8636 mL
		5 mM	0.7773 mL	3.8864 mL	7.7727 mL
		10 mM	0.3886 mL	1.9432 mL	3.8864 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, 2 years; -20°C, 1 year。-80°C 储存时, 请在 2 年内使用, -20°C 储存时, 请在 1 年内使用。				
参考文献	[1]. Burgoyne JR, et al. Proof of Principle for a Novel Class of Antihypertensives That Target the Oxidative Activation of PKG I α (Protein Kinase G I α). Hypertension. 2017 Sep;70(3):577-586.				

完整储备液配制表:

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, 2 years; -20° C, 1 year。-80° C 储存时, 请在 2 年内使用, -20° C 储存时, 请在 1 年内使用。

可选溶剂	质量 / 溶剂体积 / 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	3.8864 mL	19.4318 mL	38.8636 mL	97.1591 mL



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	5 mM	0.7773 mL	3.8864 mL	7.7727 mL	19.4318 mL
	10 mM	0.3886 mL	1.9432 mL	3.8864 mL	9.7159 mL
	15 mM	0.2591 mL	1.2955 mL	2.5909 mL	6.4773 mL
	20 mM	0.1943 mL	0.9716 mL	1.9432 mL	4.8580 mL
	25 mM	0.1555 mL	0.7773 mL	1.5545 mL	3.8864 mL
	30 mM	0.1295 mL	0.6477 mL	1.2955 mL	3.2386 mL
	40 mM	0.0972 mL	0.4858 mL	0.9716 mL	2.4290 mL
	50 mM	0.0777 mL	0.3886 mL	0.7773 mL	1.9432 mL
	60 mM	0.0648 mL	0.3239 mL	0.6477 mL	1.6193 mL
	80 mM	0.0486 mL	0.2429 mL	0.4858 mL	1.2145 mL
	100 mM	0.0389 mL	0.1943 mL	0.3886 mL	0.9716 mL

