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产品名称: **Fantofarone**

CAS 号: **114432-13-2**

产品货号: **S87922**

生物活性:

Description	Fantofarone 是钙离子通道 (Calcium Channel) 的强效拮抗剂。				
IC50 & Target	Calcium Channel[1].				
Cellular Effect	Cell Line	Type	Value	Description	
	Ventricular myocyte	IC ₅₀	0.022 μM	Inhibition of L-type calcium channel measured using whole-cell patch clamp in rat ventricular myocytes	
	Ventricular myocyte	IC ₅₀	9 μM	Inhibition of L-type calcium channel measured using whole-cell patch clamp in rat ventricular myocytes	
In Vitro	It can be seen that the calcium channel blockers VIZ and Fantofarone (SR) possess a weak intrinsic antimalarial property compared to CQ, and both appear slightly more potent on the CQ-resistant than on the CQ-sensitive parasites. Interestingly, Fantofarone is ca. 10 times more potent than verapamil. Fantofarone (SR) is 10 times more potent than the phenylalkylamine verapamil (VR) on the two P. fdciparum strains. As revealed by the isobolograms, the two calcium channel blockers potentiate the CQ sensitivity activity on the CQ-resistant P. fufcipurum strain, verapamil appearing 2 to 3 times more potent than Fantofarone. Furthermore, when used at similar subinhibitory fractions of their IC50, VR is 2 to 3 times more potent than Fantofarone in decreasing CQ resistance[1]。				
In Vivo	Treatment with isosorbide dinitrate (0.3 mg/kg, i.v.) or Fantofarone (50 mg/kg, i.v.), a reduction is observed in the occurrence and severity of vasospasm, whereas verapamil (0.2 mg/kg, i.v.) is much less effective. Although it totally inhibits distal AIV, isosorbide dinitrate does not significantly affect proximal diameter decrease. The most potent compound with regard to both the distal and proximal vasospasms is Fantofarone, which significantly reduces AIV throughout the experiment. Verapamil does not reduce AIV significantly[2].				
Solvent&Solubility	细胞实验: DMSO 中的溶解度 : 100 mg/mL (181.58 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	1.8158 mL	9.0792 mL	18.1584 mL
		5 mM	0.3632 mL	1.8158 mL	3.6317 mL
		10 mM	0.1816 mL	0.9079 mL	1.8158 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。 动物实验: 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 方案 一 请依序添加每种溶剂: 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% Saline Solubility: ≥ 2.5 mg/mL (4.54 mM); 澄清溶液 此方案可获得 ≥ 2.5 mg/mL (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; 再向上述体系中加入 50 μL Tween-80, 混合均匀; 然后再继续加入 450 μL 生理盐水 定容至 1 mL。 生理盐水的配制: 将 0.9 g 氯化钠, 溶解于 ddH₂O 并定容至 100 mL, 可以得到澄清透明的生理盐水溶液。 方案 二 请依序添加每种溶剂: 10% DMSO → 90% Corn Oil Solubility: ≥ 2.5 mg/mL (4.54 mM); 澄清溶液 此方案可获得 ≥ 2.5 mg/mL (饱和度未知) 的澄清溶液, 此方案实验周期在半个月以上的动物实验酌情使用。 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。
参考文献	[1]. Adovelande J, et al. Synergy between two calcium channel blockers, verapamil and fantofarone (SR33557), in reversing chloroquine resistance in Plasmodium falciparum. Biochem Pharmacol. 1998 Feb 15;55(4):433-40. [2]. Dongay B, et al. Effect of fantofarone, a new Ca²⁺ channel antagonist, on angioplasty-induced vasospasm in an atherosclerotic rabbit model. Biochem Pharmacol. 1998 Jun 15;55(12):2047-50

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	1.8158 mL	9.0792 mL	18.1584 mL	45.3959 mL
	5 mM	0.3632 mL	1.8158 mL	3.6317 mL	9.0792 mL
	10 mM	0.1816 mL	0.9079 mL	1.8158 mL	4.5396 mL
	15 mM	0.1211 mL	0.6053 mL	1.2106 mL	3.0264 mL
	20 mM	0.0908 mL	0.4540 mL	0.9079 mL	2.2698 mL
	25 mM	0.0726 mL	0.3632 mL	0.7263 mL	1.8158 mL
	30 mM	0.0605 mL	0.3026 mL	0.6053 mL	1.5132 mL
	40 mM	0.0454 mL	0.2270 mL	0.4540 mL	1.1349 mL



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	50 mM	0.0363 mL	0.1816 mL	0.3632 mL	0.9079 mL
	60 mM	0.0303 mL	0.1513 mL	0.3026 mL	0.7566 mL
	80 mM	0.0227 mL	0.1135 mL	0.2270 mL	0.5674 mL
	100 mM	0.0182 mL	0.0908 mL	0.1816 mL	0.4540 mL



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