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产品名称: (melle-4)cyclosporin
产品别名: NIM811; SDZ NIM811

生物活性:				
Description	NIM811 ((Melle-4)cyclosporin; SDZ NIM811) is a potent and bioavailable mitochondrial permeability transition and cyclophilin dual inhibitor, which exhibits potent in vitro activity against hepatitis C virus (HCV) [1][2].			
IC ₅₀ & Target	Cyclophilin[1], Mitochondrial Permeability Transition Inhibitor[2]			
In Vitro	NIM811 induces a concentration-dependent reduction of HCV RNA in the replicon cells with an IC ₅₀ of 0.66 µM at 48 h. In addition, the combination of NIM811 with alpha interferon significantly enhances anti-HCV activities without causing any increase of cytotoxicity ^[1] . NIM811 blocks the mitochondrial permeability transition induced by calcium and inorganic phosphate ^[2] .			
In Vivo	NIM811 prevents mitochondrial depolarization thereby attenuates liver injury, stimulates regeneration and improves liver function and survival[3].			
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (83.15 mM) H₂O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg
		1 mM	0.8315 mL	4.1576 mL
		5 mM	0.1663 mL	0.8315 mL
		10 mM	0.0832 mL	0.4158 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: ≥ 2.75 mg/mL (2.29 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (2.29 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% corn oil Solubility: ≥ 2.75 mg/mL (2.29 mM); Clear solution			



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	<p>此方案可获得 ≥ 2.75 mg/mL (2.29 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 27.5 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]. Ma S, et al. NIM811, a cyclophilin inhibitor, exhibits potent in vitro activity against hepatitis C virus alone or in combination with alpha interferon. Antimicrob Agents Chemother. 2006 Sep;50(9):2976-82.</p> <p>[2]. Waldmeier PC, et al. Inhibition of the mitochondrial permeability transition by the nonimmunosuppressive cyclosporin derivative NIM811. Mol Pharmacol. 2002 Jul;62(1):22-9.</p> <p>[3]. Rehman H, et al. NIM811 prevents mitochondrial dysfunction, attenuates liver injury, and stimulates liverregeneration after massive hepatectomy. Transplantation. 2011 Feb 27;91(4):406-12.</p>
实验参考:	
Cell Assay	<p>The antiviral activity and cytotoxicity of compounds are determined using an HCV replicon cell line (Huh-Luc/neo-ET) containing a luciferase reporter gene. Briefly, 5,000 replicon cells are seeded in each well of 96-well tissue culture plates and are allowed to attach in complete culture medium without G418 overnight. On the next day, the culture medium is replaced with medium containing serially diluted NIM811 in the presence of 10% FBS and 0.5% DMSO. After a 48-h NIM811 treatment, the remaining luciferase activities in the cells are determined^[1].</p>
Animal Administration	<p>Mice: Male C57BL/6 mice (8-12 weeks) are gavaged with NIM811, 10 mg/kg or an equal volume of vehicle containing 8.3% polyethoxylated castor oil and 8.3% ethanol at 2 h before surgery. Mice undergo massive hepatectomy or sham-operation under ether anesthesia. NIM811 (5 mg/kg) or vehicle is gavaged daily post-operatively for 2 days. Mice are observed for 21 days for survival^[3].</p>
References	<p>[1]. Ma S, et al. NIM811, a cyclophilin inhibitor, exhibits potent in vitro activity against hepatitis C virus alone or in combination with alpha interferon. Antimicrob Agents Chemother. 2006 Sep;50(9):2976-82.</p> <p>[2]. Waldmeier PC, et al. Inhibition of the mitochondrial permeability transition by the nonimmunosuppressive cyclosporin derivative NIM811. Mol Pharmacol. 2002 Jul;62(1):22-9.</p> <p>[3]. Rehman H, et al. NIM811 prevents mitochondrial dysfunction, attenuates liver injury, and stimulates liverregeneration after massive hepatectomy. Transplantation. 2011 Feb 27;91(4):406-12.</p>