



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: **Alisporivir**

产品别名: 阿拉泊韦; **DEB-025; Debio-025; UNIL-025**

生物活性:				
Description	Alisporivir (DEB-025; Debio-025) is a cyclophilin inhibitor molecule with potent anti-hepatitis C virus (HCV) activity.			
In Vitro	DEB025 binds to CypA, a peptidyl-prolyl cis-trans isomerase which is a crucial cofactor for HCV replication[1]. Alisporivir (Debio-025) is an analogue of cyclosporine A and represents the prototype of a new class of non-immunosuppressive cyclophilin inhibitors. Alisporivir prevents HCV protein-mediated collapse of the respiration-driven mitochondrial membrane potential. Alisporivir prevents HCV protein-mediated mitochondrial dysfunction outside the context of apoptosis, calcium overload, production of ROS, dysfunction[2]. In cell culture models, low-micromolar doses of alisporivir block SARS-CoV and MERS-CoV replication. Combination treatment with alisporivir and ribavirin increases the anti-MERS-CoV activity in cell culture[3]. Alisporivir pretreatment stimulates antigen presentation by hepatoma target cells, leading to enhancement of antigen-specific CD8+ T cell activation by 40%. Alisporivir induces an increase of MHC-I and beta-2 microglobulin on the surface of several cell lines[4].			
In Vivo	Combination treatment with alisporivir and ribavirin does not protect against SARS-CoV infection in a mouse model[3].			
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (82.19 mM) * "$\geq$" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg
		1 mM	0.8219 mL	4.1097 mL
		5 mM	0.1644 mL	0.8219 mL
		10 mM	0.0822 mL	0.4110 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: ≥ 2.5 mg/mL (2.05 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (2.05 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			



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	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。
References	[1]. Coelmont L, et al. DEB025 (Alisporivir) inhibits hepatitis C virus replication by preventing a cyclophilin A induced cis-trans isomerisation in domain II of NS5A. PLoS One. 2010 Oct 27;5(10):e13687. [2]. Quarato G, et al. The cyclophilin inhibitor alisporivir prevents hepatitis C virus-mediated mitochondrial dysfunction. Hepatology. 2012 May;55(5):1333-43. [3]. de Wilde AH, et al. Alisporivir inhibits MERS- and SARS-coronavirus replication in cell culture, but not SARS-coronavirus infection in a mouse model. Virus Res. 2017 Jan 15;228:7-13. [4]. Esser-Nobis K, et al. The cyclophilin-inhibitor alisporivir stimulates antigen presentation thereby promoting antigen-specific CD8(+) T cell activation. J Hepatol. 2016 Jun;64(6):1305-14.
实验参考:	
Cell Assay	Alisporivir is prepared in DMSO at 4 mM and diluted in cell culture medium at the indicated concentrations (0.1, 0.2, 0.3, 0.4, 0.5 μ M). UHCV-32 and UHCVcon-57.3 are U-2 OS human osteosarcoma-derived cell lines inducibly expressing the entire open reading frame derived from the HCV H77 prototype and consensus clones, respectively. Cell viability is measured by trypan blue exclusion analysis. HCV protein expression in these cells is induced by withdrawal of tetracycline from the culture medium. The effect of tetracycline on the naive U2 OS cell line is tested measuring mitochondria-related respiration and reactive oxygen species (ROS) production, which remains unchanged[2].
References	[1]. Coelmont L, et al. DEB025 (Alisporivir) inhibits hepatitis C virus replication by preventing a cyclophilin A induced cis-trans isomerisation in domain II of NS5A. PLoS One. 2010 Oct 27;5(10):e13687. [2]. Quarato G, et al. The cyclophilin inhibitor alisporivir prevents hepatitis C virus-mediated mitochondrial dysfunction. Hepatology. 2012 May;55(5):1333-43. [3]. de Wilde AH, et al. Alisporivir inhibits MERS- and SARS-coronavirus replication in cell culture, but not SARS-coronavirus infection in a mouse model. Virus Res. 2017 Jan 15;228:7-13. [4]. Esser-Nobis K, et al. The cyclophilin-inhibitor alisporivir stimulates antigen presentation thereby promoting antigen-specific CD8(+) T cell activation. J Hepatol. 2016 Jun;64(6):1305-14.