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产品名称: **Balapiravir**
产品别名: **Ro 4588161; R1626**

生物活性:				
Description	Balapiravir (R1626, Ro 4588161) is the prodrug of a nucleoside analogue inhibitor of the hepatitis C virus (HCV) RNA-dependent RNA polymerase (R1479, RG1479). IC50 Value: Target: HCV Balapiravir(R-1626; R 1626; Ro 4588161) is useful for Anti HCV. Balapiravir (R1626) is the tri-isobutyrate ester prodrug of R1479 under clinical development to improve exposure of R1479 upon oral administration. Balapiravir was discontinued for safety reasons in 28-36% of patients (most often for lymphopenia) and the percentage of patients with serious adverse events (especially hematological, infection, ocular events) was dose related. Serious hematological adverse events (particularly neutropenia, lymphopenia) were more common in balapiravir recipients. Two deaths in the balapiravir/peginterferon alfa-2a/ribavirin combination groups were considered possibly related to study medication.			
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (202.22 mM) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg
		1 mM	2.0222 mL	10.1112 mL
		5 mM	0.4044 mL	2.0222 mL
		10 mM	0.2022 mL	1.0111 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 (5.06 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.06 mM, 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, 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: ≥ 2.5 mg/mL (5.06 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.06 mM, 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 900 μL 20% 的 SBE-β-CD 生理			



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	<p>盐水水溶液中，混合均匀。</p> <p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (5.06 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.06 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 25.0 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]. Nguyen NM, et al. A randomized, double-blind placebo controlled trial of balapiravir, a polymerase inhibitor, in adult dengue patients. J Infect Dis. 2013 May 1;207(9):1442-1450.</p> <p>[2]. Nelson DR, et al. Balapiravir plus peginterferon alfa-2a (40KD)/ribavirin in a randomized trial of hepatitis C genotype 1 patients. Ann Hepatol. 2012 Jan-Feb;11(1):15-31.</p> <p>[3]. Li F, et al. Chemical stability of 4'-azidocytidine and its prodrug balapiravir. Drug Dev Ind Pharm. 2010 Apr;36(4):413-20.</p> <p>[4]. Kros PJ, et al. R1626 plus peginterferon Alfa-2a provides potent suppression of hepatitis C virus RNA and significant antiviral synergy in combination with ribavirin. Hepatology. 2008 Aug;48(2):385-97.</p> <p>[5]. Roberts SK, et al. Robust antiviral activity of R1626, a novel nucleoside analog: a randomized, placebo-controlled study in patients with chronic hepatitis C. Hepatology. 2008 Aug;48(2):398-406.</p> <p>[6]. Chen YL, et al. Activation of peripheral blood mononuclear cells by dengue virus infection depotentiates balapiravir. J Virol. 2014 Feb;88(3):1740-1747.</p>

源叶生物