

产品名称：羟基伊曲康唑(伊曲康唑代谢物)  
 产品别名：**Hydroxy Itraconazole; Itraconazole metabolite Hydroxy Itraconazole; R-63373**

生物活性:

Description	Hydroxy Itraconazole (Itraconazole metabolite Hydroxy Itraconazole; R-63373) is an active metabolite of Itraconazole (ITZ), which is a triazole antifungal agent.				
IC <sub>50</sub> & Target	Antifungal[1]				
In Vitro	Hydroxy Itraconazole (Itraconazole metabolite Hydroxy Itraconazole; R-63373) is an active metabolite of Itraconazole (ITZ). Although Hydroxy Itraconazole is also reported to have antifungal activity in vitro, its pharmacokinetics in humans has been studied less than that of ITZ. ITZ and Hydroxy Itraconazole have a triazole ring and inhibit CYP3A. Their half-lives can be extended by 26-60% with repeated administration compared to single administration[1].				
In Vivo	The plasma concentration of Hydroxy Itraconazole is weakly dependent on the dose of ITZ, most likely because the process that forms Hydroxy Itraconazole is saturated. Serum albumin and GFR may alter the pharmacokinetics of ITZ and Hydroxy Itraconazole. Antifungal activity should be discussed while taking into account not only the plasma concentration of ITZ but also that of Hydroxy Itraconazole. However, the pharmacokinetics of Hydroxy Itraconazole is similar to that of ITZ in immunocompromised patients taking an oral solution of ITZ. Since the plasma concentrations of ITZ and Hydroxy Itraconazole are closely correlated, determining the plasma concentration of either should be sufficient from a clinical point of view[1].				
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : 100 mg/mL (138.58 mM; Need ultrasonic)</b> <b>H<sub>2</sub>O : &lt; 0.1 mg/mL (insoluble)</b>				
	<div>Preparing Stock Solutions</div>	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	1.3858 mL	6.9288 mL	13.8575 mL
		5 mM	0.2772 mL	1.3858 mL	2.7715 mL
		10 mM	0.1386 mL	0.6929 mL	1.3858 mL
	<div>*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。</div> <div>储备液的保存方式和期限：-80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用， -20℃ 储存时，请在 1 个月内使用。</div> <div><b>In Vivo:</b> 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 <b>In Vitro</b> 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</div>				
	1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline				
	Solubility: ≥ 2.5 mg/mL (3.46 mM); Clear solution				
	此方案可获得 ≥ 2.5 mg/mL(3.46 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。				

	<p>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (3.46 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (3.46 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (3.46 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL(3.46 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 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p>
References	<p>[1]. Mino Y, et al. Hydroxy-itraconazole pharmacokinetics is similar to that of itraconazole in immunocompromised patients receiving oral solution of itraconazole. Clin Chim Acta. 2013 Jan 16;415:128-32.</p>

源叶生物