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产品名称: **ONX-0914 (PR-957)**  
产品别名: **ONX-0914**

生物活性:				
Description	ONX-0914 (PR-957) is a potent and selective inhibitor of immunoproteasome subunit LMP7.			
IC <sub>50</sub> & Target	IC <sub>50</sub> : ~10 nM (LMP7)[1].			
In Vitro	PR-957 blocked presentation of LMP7-specific, MHC-I-restricted antigens in vitro and in vivo. Selective inhibition of LMP7 by PR-957 blocked production of interleukin-23 (IL-23) by activated monocytes and interferon-gamma and IL-2 by T cells[1].			
In Vivo	In mouse models of rheumatoid arthritis and lupus, ONX-0914 treatment reverses signs of disease and results in reductions in cellular infiltration, cytokine production and autoantibody levels at well-tolerated doses. The maximum tolerated dose (MTD) of ONX-0914 in mice to be 30 mg/kg body weight. IFN-g release is inhibited by ~60% at LMP7-selective concentrations of ONX-0914 and by ~90% at higher concentrations. Production of IL-2 is also inhibited by ~50%[1].			
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : ≥ 35 mg/mL (60.28 mM)</b>  * "≥" means soluble, but saturation unknown.			
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	
		<b>Concentration</b>		
			<b>1 mg</b>	<b>5 mg</b>
				<b>10 mg</b>
		1 mM	1.7221 mL	8.6107 mL
		5 mM	0.3444 mL	1.7221 mL
		10 mM	0.1722 mL	0.8611 mL
				1.7221 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。  <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 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: ≥ 2.17 mg/mL (3.74 mM); Clear solution  此方案可获得 ≥ 2.17 mg/mL (3.74 mM, 饱和度未知) 的澄清溶液。  以 1 mL 工作液为例, 取 100 μL 21.7 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: ≥ 2.17 mg/mL (3.74 mM); Clear solution  此方案可获得 ≥ 2.17 mg/mL (3.74 mM, 饱和度未知) 的澄清溶液。			



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	<p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 21.7 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math> 90% corn oil</p> <p>Solubility: <math>\geq</math> 2.17 mg/mL (3.74 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.17 mg/mL (3.74 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 21.7 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	[1]. Muchamuel T, et al. A selective inhibitor of the immunoproteasome subunit LMP7 blocks cytokine production and attenuates progression of experimental arthritis. Nat Med. 2009 Jul;15(7):781-7.
实验参考:	
Cell Assay	Stock solutions of PR-957 was prepared in DMSO and were diluted 400-fold for cell treatments. Drug treatments were performed in RPMI-1640 media containing 5% FBS, 100 units/ml penicillin and 100 $\mu$ g/ml streptomycin. Cells were exposed to PR-957 or 0.25% DMSO at 37°C for a 1 hr period followed by four washes with media (RPMI-1640 containing 5% FBS) prior to either stimulation or washing [twice with media and twice with phosphate buffered saline (PBS)], lysis in hypotonic TE buffer (20 mM Tris HCL and 5 mM EDTA pH 8.0), and storage at -80°C for the active site ELISA. Tissue culture media and fetal bovine serum (FBS) were purchased from Mediatech or Invitrogen-Life Technologies.
References	[1]. Muchamuel T, et al. A selective inhibitor of the immunoproteasome subunit LMP7 blocks cytokine production and attenuates progression of experimental arthritis. Nat Med. 2009 Jul;15(7):781-7.

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