

产品名称: Solithromycin
产品别名: 索利霉素 ; CEM-101; OP-1068

生物活性:																							
Description	Solithromycin (CEM-101) is an orally bioavailable, effective antimicrobial agent, with IC50s for inhibition of cell viability, protein synthesis, and growth rate are 7.5 ng/mL, 40 ng/mL, and 125 ng/mL for Streptococcus pneumonia, Staphylococcus aureus, and Haemophilus influenzae, respectively. Solithromycin binds to the large 50S subunit of the ribosome and inhibits protein biosynthesis[1].																						
IC₅₀ & Target	Bacterial[1]																						
In Vitro	The IC50s values for Solithromycin on TNF α and CXCL8 release are 41.6 μ M and 78.2 μ M, respectively. Solithromycin markedly reduces MMP9 activity, with an IC50 of 14.9 μ M[2]. Solithromycin (0-333 μ M; 72 hours; U937 and PBMC cells) suppresses lipopolysaccharide-induced TNF α release and phorbol 12-myristate 13-acetate (PMA)-induced matrix metalloproteinase 9 (MMP9) activity, and does not affect cell viability in monocytic U937 and PBMC cells[2].																						
In Vivo	<p>Solithromycin (100 mg/kg; oral administration; every day; for 8 days; C57BL/6J mice) treatment inhibits inflammatory cells accumulation and pro-MMP9 production in cigarette smoke-exposed mice[2].</p> <table border="1"> <tr> <td>Animal Model:</td><td>C57BL/6J mice (male, 4 weeks)[2]</td></tr> <tr> <td>Dosage:</td><td>100 mg/kg</td></tr> <tr> <td>Administration:</td><td>Oral administration; every day; for 8 days</td></tr> <tr> <td>Result:</td><td>Inhibited cigarette smoke-induced neutrophilia and pro-MMP9 production.</td></tr> </table>	Animal Model:	C57BL/6J mice (male, 4 weeks)[2]	Dosage:	100 mg/kg	Administration:	Oral administration; every day; for 8 days	Result:	Inhibited cigarette smoke-induced neutrophilia and pro-MMP9 production.														
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Solvent&Solubility	<p>In Vitro:</p> <p>DMSO : \geq 32 mg/mL (37.87 mM) H₂O : < 0.1 mg/mL (insoluble)</p> <p>* "\geq" means soluble, but saturation unknown.</p> <table border="1"> <thead> <tr> <th rowspan="2">Preparing Stock Solutions</th> <th>Solvent</th> <th>Mass</th> <th>Concentration</th> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> </thead> <tbody> <tr> <td>1 mM</td> <td>1.1834 mL</td> <td></td> <td>5.9171 mL</td> <td>11.8342 mL</td> </tr> <tr> <td>5 mM</td> <td>0.2367 mL</td> <td></td> <td>1.1834 mL</td> <td>2.3668 mL</td> </tr> <tr> <td>10 mM</td> <td>0.1183 mL</td> <td></td> <td>0.5917 mL</td> <td>1.1834 mL</td> </tr> </tbody> </table> <p>*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。</p> <p>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。</p> <p>In Vivo:</p> <p>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:</p> <p>——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</p> <p>1.请依序添加每种溶剂: 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% saline</p> <p>Solubility: \geq 2.5 mg/mL (2.96 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (2.96 mM, 饱和度未知) 的澄清溶液。</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 400 μL PEG300 中, 混合均匀。</p>	Preparing Stock Solutions	Solvent	Mass	Concentration	1 mg	5 mg	10 mg	1 mM	1.1834 mL		5.9171 mL	11.8342 mL	5 mM	0.2367 mL		1.1834 mL	2.3668 mL	10 mM	0.1183 mL		0.5917 mL	1.1834 mL
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	<p>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> <p>2.请依序添加每种溶剂: 10% DMSO → 90% corn oil Solubility: ≥ 2.5 mg/mL (2.96 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (2.96 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]. Rodgers W, et al. Solithromycin inhibition of protein synthesis and ribosome biogenesis in <i>Staphylococcus aureus</i>, <i>Streptococcus pneumoniae</i>, and <i>Haemophilus influenzae</i>. <i>Antimicrob Agents Chemother</i>. 2013 Apr;57(4):1632-1637.</p> <p>[2]. Kobayashi Y, et al. A novel macrolide solithromycin exerts superior anti-inflammatory effect via NF-κB inhibition. <i>J Pharmacol Exp Ther</i>. 2013 Apr;345(1):76-84.</p>



源叶生物