



上海源叶生物科技有限公司
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产品名称: 泰地罗新
 产品别名: Tildipirosin

生物活性:																												
Description	Tildipirosin, a long-acting macrolide, has antibiotic activity.																											
In Vitro	Tildipirosin exhibits the inhibitory effect on C. coli species, and 23 of 31 (74%) isolates have MICs of 8 or 16 µg/mL while 8 of 31 (26%) have MIC >256 µg/mL. MICs against C. jejuni are 8-64 µg/mL. Tildipirosin against S. enterica and E. coli are 2-8 µg/mL[1]. Tildipirosin inhibits the treponeme isolates from CODD lesions from 19 sheep, with MIC90 of 0.0469 mg/L[3]. The P. multocida B130 clones show the MIC of 0.25 mg/L for tildipirosin. The 10 P. multocida isolates that carry only erm(42) exhibit MIC of 16-32 mg/L for tildipirosin. The single M. haemolytica that harbours only erm(42) shows MIC of 32 mg/L for tildipirosin[4].																											
In Vivo	The mean percentage of lung consolidation for tildipirosin (4 mg/kg, s.c.)-treated calves is significantly lower than those for tulathromycin-treated and control calves. Metaphylactic administration of tildipirosin to calves 5 days prior to H somni challenge prevents subsequent culture of the pathogen from bronchial secretions and is more effective in minimizing clinical disease and lung lesions than is metaphylactic administration of tulathromycin[2].																											
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (136.24 mM) * "≥" means soluble, but saturation unknown.																											
		<table border="1"> <thead> <tr> <th>Solvent</th> <th>Mass</th> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> </thead> <tbody> <tr> <td rowspan="3">Preparing Stock Solutions</td> <td>Concentration</td> <td></td> <td></td> <td></td> </tr> <tr> <td>1 mM</td> <td>1.3624 mL</td> <td>6.8118 mL</td> <td>13.6236 mL</td> </tr> <tr> <td>5 mM</td> <td>0.2725 mL</td> <td>1.3624 mL</td> <td>2.7247 mL</td> </tr> <tr> <td></td> <td>10 mM</td> <td>0.1362 mL</td> <td>0.6812 mL</td> <td>1.3624 mL</td> </tr> </tbody> </table>	Solvent	Mass	1 mg	5 mg	10 mg	Preparing Stock Solutions	Concentration				1 mM	1.3624 mL	6.8118 mL	13.6236 mL	5 mM	0.2725 mL	1.3624 mL	2.7247 mL		10 mM	0.1362 mL	0.6812 mL	1.3624 mL			
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*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: ≥ 3 mg/mL (4.09 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (4.09 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 µL 30.0 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。																												



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	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 3 mg/mL (4.09 mM); Clear solution</p> <p>此方案可获得 ≥ 3 mg/mL (4.09 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 30.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 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: ≥ 3 mg/mL (4.09 mM); Clear solution</p> <p>此方案可获得 ≥ 3 mg/mL (4.09 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 30.0 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]. Rose M, et al. A microbiological assay to estimate the antimicrobial activity of parenteral tildipirosin against foodborne pathogens and commensals in the colon of beef cattle and pigs. <i>J Vet Pharmacol Ther.</i> 2016 Jun;39(3):277-86.</p> <p>[2]. Angell JW, et al. In vitro susceptibility of contagious ovine digital dermatitis associated <i>Treponema</i> spp. isolates to antimicrobial agents in the UK. <i>Vet Dermatol.</i> 2015 Dec;26(6):484-7, e114-5.</p> <p>[3]. Confer AW, et al. Clinical disease and lung lesions in calves experimentally inoculated with <i>Histophilus somni</i> five days after metaphylactic administration of tildipirosin or tulathromycin. <i>Am J Vet Res.</i> 2016 Apr;77(4):358-66.</p> <p>[4]. Michael GB, et al. Increased MICs of gamithromycin and tildipirosin in the presence of the genes <i>erm(42)</i> and <i>msr(E)-mph(E)</i> for bovine <i>Pasteurella multocida</i> and <i>Mannheimia haemolytica</i>. <i>J Antimicrob Chemother.</i> 2012 Jun;67(6):1555-7.</p>
实验参考:	
Animal Administration	<p>On day 0, each pen of 4 calves is randomly assigned by means of drawing numbers from a hat to receive 1 of 3 treatments; thus, each treatment group consists of 8 calves. Calves in group 1 receive tildipirosin (4 mg/kg, SC), calves in group 2 receive tulathromycin (2.5 mg/kg, SC), and calves in group 3 receive saline (0.9% NaCl) solution (1 mL/45 kg, SC; control). The volume of saline solution administered to the calves in group 3 approximates the volume of the assigned antimicrobial administered to the calves of groups 1 and 2. On day 5, all calves are experimentally inoculated (challenged) with 10 mL of PBS solution supplemented with 5% bovine fetal serum containing 1.6×10^9 CFUs of <i>H. somni</i>/mL instilled via a flexible bronchoalveolar lavage tube (length, 3 m; external diameter, 11 mm; internal diameter, 3 mm) that is passed through the nasal passage and nasopharynx to the level of the tracheal bifurcation. Proper placement of the tube at the tracheal bifurcation is verified on the basis of qualitative observations that include an elicited cough, absence of evidence of esophageal or ruminal placement as determined by smell and lack of tension and failure to observe the tube within the esophagus during placement, the presence of resistance at the carina, and the passage of the tube to a predetermined mark that approximates the distance from the nares to the carina. Following experimental inoculation, the tube is flushed with 60 mL of saline solution and 120 mL of air before it is removed from the calf. On day 8, all calves are weighed, sedated with xylazine (0.25 mg/kg), and transported in a trailer in groups of 4 to 6 calves.</p>



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	Immediately after euthanasia, a necropsy is performed on each calf. [2]
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