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产品名称: Delamanid  
产品别名: 迪拉马尼; OPC-67683

| 生物活性:              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                      |             |              |
|--------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------------------|-------------|--------------|
| Description        | Delamanid, a newer mycobacterial cell wall synthesis inhibitor, inhibits the synthesis of mucolic acids[1].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                      |             |              |
| In Vitro           | <p>Delamanid inhibits the synthesis of mucolic acids, a crucial component of the cell wall of the Mycobacterium tuberculosis complex[1].</p> <p>Delamanid shows more potent antibacterial activity against drug-susceptible and drug-resistant strains of M. tuberculosis[2].</p> <p>Delamanid does not affect rifampin, pyrazinamide, and isoniazid exposure; the ethambutol AUC<sub>0-24</sub> and C<sub>max</sub> values are about 25% higher with delamanid coadministration[3].</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                  |                      |             |              |
| In Vivo            | Delamanid (orally administration; 30 mg/kg; 5 days) results in sterile cures in a mouse model of VL[4].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |                      |             |              |
| Solvent&Solubility | <p><b>In Vitro:</b></p> <p>DMSO : ≥ 50 mg/mL (93.55 mM)</p> <p>H<sub>2</sub>O : &lt; 0.1 mg/mL (insoluble)</p> <p>* "≥" means soluble, but saturation unknown.</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                      |             |              |
|                    | <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                 | 1.8710 mL   | 9.3549 mL    |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           | 5 mM                 | 0.3742 mL   | 1.8710 mL    |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           | 10 mM                | 0.1871 mL   | 0.9355 mL    |
|                    | <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><b>In Vivo:</b></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: 2.5 mg/mL (4.68 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2.5 mg/mL (4.68 mM)的均匀悬浊液, 悬浊液可用于口服和腹腔注射。</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, 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</p> <p>Solubility: ≥ 2.5 mg/mL (4.68 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.68 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> |                      |             |              |



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|------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|            | 以 1 mL 工作液为例, 取 100 $\mu$ L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 $\mu$ L 玉米油中, 混合均匀。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |
| References | <p>[1]. Sotgiu G et al. Delamanid (OPC-67683) for treatment of multi-drug-resistant tuberculosis. Expert Rev Anti Infect Ther. 2015 Mar;13(3):305-15.</p> <p>[2]. Xavier AS et al. Delamanid: A new armor in combating drug-resistant tuberculosis. J Pharmacol Pharmacother. 2014 Jul;5(3):222-4</p> <p>[3]. Mallikaarjun S et al. Delamanid Coadministered with Antiretroviral Drugs or Antituberculosis Drugs Shows No Clinically Relevant Drug-Drug Interactions in Healthy Subjects. Antimicrob Agents Chemother. 2016 Sep 23;60(10):5976-85.</p> <p>[4]. Patterson S et al. The anti-tubercular drug delamanid as a potential oral treatment for visceral leishmaniasis. Elife. 2016 May 24;5.</p> |



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