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产品名称: **Bedaquiline (fumarate)**

产品别名: 贝达喹啉富马酸盐; **R403323; TMC207 fumarate; R207910 fumarate**

生物活性:

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Description	Bedaquiline fumarate, a diarylquinoline antibiotic that targets ATP synthase, is effective for the treatment of Mycobacterium tuberculosis infections.																	
In Vitro	Bedaquiline inhibits the growth of TDR M. tuberculosis strains, with MIC values ranging from 0.125 to 0.5 mg/L[1]. Among slowly growing mycobacteria (SGM), bedaquiline exhibits the highest activity against Mycobacterium avium with MIC50 and MIC90 values of 0.03 and 16 mg/L, respectively. Among rapidly growing mycobacteria (RGM), Mycobacterium abscessus subsp. abscessus (M. abscessus) and Mycobacterium abscessus subsp. massiliense (M. massiliense) seem more susceptible to bedaquiline than Mycobacterium fortuitum, with MIC50 and MIC90 values of 0.13 and >16 mg/L, respectively, for both species. Bedaquiline also shows moderate in vitro activity against NTM species[2]. Bedaquiline has an excellent in vitro activity against Mycobacterium tuberculosis, including multidrug resistant M tuberculosis[3].																	
Solvent&Solubility	In Vitro: DMSO : 100 mg/mL (148.90 mM; Need ultrasonic) H₂O : < 0.1 mg/mL (insoluble)																	
	<table><tr><td rowspan="4">Preparing Stock Solutions</td><td><div>Solvent / Mass / Concentration</div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td></td><td></td><td></td></tr><tr><td>5 mM</td><td></td><td></td><td></td></tr><tr><td>10 mM</td><td></td><td></td><td></td></tr></table>	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg	1 mM				5 mM				10 mM			
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	<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: ≥ 2.75 mg/mL (4.09 mM); Clear solution</p> <p>此方案可获得 ≥ 2.75 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 27.5 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% (20% SBE-β-CD in saline)</p>																	



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	<p>Solubility: 2.75 mg/mL (4.09 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2.75 mg/mL (4.09 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 27.5 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 \rightarrow90% corn oil</p> <p>Solubility: \geq 2.75 mg/mL (4.09 mM); Clear solution</p> <p>此方案可获得 \geq 2.75 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 27.5 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]. Jang JC, et al. Bedaquiline susceptibility test for totally drug-resistant tuberculosis Mycobacterium tuberculosis. J Microbiol. 2017 Apr 20.</p> <p>[2]. Chahine EB, et al. Bedaquiline: a novel diarylquinoline for multidrug-resistant tuberculosis. Ann Pharmacother. 2014 Jan;48(1):107-15.</p> <p>[3]. Pang Y, et al. In Vitro Activity of Bedaquiline against Nontuberculous Mycobacteria in China. Antimicrob Agents Chemother. 2017 Apr 24;61(5).</p>

源叶生物