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产品名称: 贝达喹啉
产品别名: **Bedaquiline; TMC207; R207910**

生物活性:				
Description	Bedaquiline (TMC207) is a diarylquinoline drug and inhibits Mycobacterium tuberculosis (Mtb) F1FO-ATP synthase through targeting of both the c- and the ε-subunit[1]. Bedaquiline has uncoupler activity. Bedaquiline is used for the multi-drug resistant tuberculosis[2].			
IC ₅₀ & Target	Mtb F1FO-ATP synthase[1]			
In Vitro	Bedaquiline inhibits the growth of TDR M. tuberculosis strains, with MIC values ranging from 0.125 to 0.5 mg/L[2]. Among slowly growing mycobacteria (SGM), bedaquiline exhibits the highest activity against Mycobacterium avium with MIC ₅₀ and MIC ₉₀ 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 MIC ₅₀ and MIC ₉₀ values of 0.13 and >16 mg/L, respectively, for both species. Bedaquiline also shows moderate in vitro activity against NTM species[3]. Bedaquiline has an excellent in vitro activity against Mycobacterium tuberculosis, including multidrug resistant M tuberculosis[4].			
Solvent&Solubility	In Vitro: DMSO : 20 mg/mL (36.00 mM; Need ultrasonic) H₂O : < 0.1 mg/mL (insoluble)			
		Solvent Concentration	Mass	
	Preparing	1 mM	1.8002 mL	9.0009 mL
	Stock Solutions	5 mM	0.3600 mL	1.8002 mL
		10 mM	0.1800 mL	0.9001 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。				
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: 2 mg/mL (3.60 mM); Suspended solution; Need ultrasonic 此方案可获得 2 mg/mL (3.60 mM)的均匀悬浊液, 悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例, 取 100 μL 20.0 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: 2 mg/mL (3.60 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2 mg/mL (3.60 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 20.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-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 →90% corn oil</p> <p>Solubility: ≥ 2 mg/mL (3.60 mM); Clear solution</p> <p>此方案可获得 ≥ 2 mg/mL (3.60 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 20.0 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]. Jang JC, et al. Bedaquiline susceptibility test for totally drug-resistant tuberculosis Mycobacterium tuberculosis. J Microbiol. 2017 Apr 20.</p> <p>[2]. Sarathy JP, et al. TBAJ-876 displays Bedaquiline-like mycobactericidal potency without retaining the parental drug's uncoupler activity. Antimicrob Agents Chemother. 2019 Nov 11.</p> <p>[3]. Chahine EB, et al. Bedaquiline: a novel diarylquinoline for multidrug-resistant tuberculosis. Ann Pharmacother. 2014 Jan;48(1):107-15.</p> <p>[4]. 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