



上海源叶生物科技有限公司
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产品名称: **BMS-303141**
 产品别名: **BMS-303141**

生物活性:																									
Description	BMS-303141 is a potent, cell-permeable ATP-citrate lyase (ACL) inhibitor with an IC ₅₀ of 0.13 μM.																								
IC₅₀ & Target	IC ₅₀ : 0.13 μM (ACL)[1]																								
In Vitro	In HepG2 cells, BMS-303141 shows inhibition of total lipid syntheses with an IC ₅₀ of 8 μM. BMS-303141 shows no cytotoxicity up to 50 μM under a cell based Alamar Blue cytotoxicity assay, indicating the observed inhibition of lipid synthesis is not a result of compound-induced cytotoxicity[1].																								
In Vivo	Chronic oral dosing of BMS-303141 in high-fat fed mice lowers approximate 20-30% plasma cholesterol and triglycerides, as well as 30-50% fasting plasma glucose. Chronic treatment with BMS-303141 shows a gradual inhibition of weight gain along with a reduction in adiposity without apparent changes in food intake. BMS-303141 shows an oral bioavailability of 55% but a relatively short half-life of 2.1 h[1].																								
Solvent&Solubility	<p>In Vitro: DMSO : 25 mg/mL (58.92 mM; Need ultrasonic)</p> <table border="1"> <thead> <tr> <th rowspan="2">Preparing</th> <th>Solvent</th> <th>Mass</th> <th rowspan="2">1 mg</th> <th rowspan="2">5 mg</th> <th rowspan="2">10 mg</th> </tr> <tr> <th>Concentration</th> <th></th> </tr> </thead> <tbody> <tr> <td rowspan="3">Stock Solutions</td> <td>1 mM</td> <td></td> <td>2.3568 mL</td> <td>11.7841 mL</td> <td>23.5682 mL</td> </tr> <tr> <td>5 mM</td> <td></td> <td>0.4714 mL</td> <td>2.3568 mL</td> <td>4.7136 mL</td> </tr> <tr> <td>10 mM</td> <td></td> <td>0.2357 mL</td> <td>1.1784 mL</td> <td>2.3568 mL</td> </tr> </tbody> </table>	Preparing	Solvent	Mass	1 mg	5 mg	10 mg	Concentration		Stock Solutions	1 mM		2.3568 mL	11.7841 mL	23.5682 mL	5 mM		0.4714 mL	2.3568 mL	4.7136 mL	10 mM		0.2357 mL	1.1784 mL	2.3568 mL
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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。 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: 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</p>																									
<p>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (5.89 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.89 mM, 饱和度未知) 的澄清溶液。 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% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.89 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.89 mM, 饱和度未知) 的澄清溶液。 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 20% 的 SBE-β-CD 生理盐水水溶液中,混合均匀。</p>																									



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	<p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (5.89 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.89 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	[1]. Li JJ, et al. 2-hydroxy-N-arylbenzenesulfonamides as ATP-citrate lyase inhibitors. Bioorg Med Chem Lett. 2007 Jun 1;17(11):3208-11.
实验参考:	
Animal Administration	Mice: Effect of BMS-303141 in high-fat fed mice is studied. There are a total of four groups in the study; mice on normal diet and high-fat diet controls, and two treated groups that are supplemented with BMS-303141 in their high-fat diet to an equivalent daily dose of 10 or 100 mg/kg. The study is continued for a total of 34 days. Food consumption and body weight gain are tracked along with weekly assessment of lipid and glucose plasma chemistries[1].
References	[1]. Li JJ, et al. 2-hydroxy-N-arylbenzenesulfonamides as ATP-citrate lyase inhibitors. Bioorg Med Chem Lett. 2007 Jun 1;17(11):3208-11.

源叶生物