



上海源叶生物科技有限公司
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产品名称: **Aliskiren**

产品别名: 阿利吉仑; **CGP 60536; CGP60536B; SPP 100**

生物活性:																					
Description	Aliskiren(CGP 60536) is a direct renin inhibitor with IC50 of 1.5 nM. IC50 value: 1.5 nM [1] Target: renin in vitro: Aliskiren hemifumarate appears to bind to both the hydrophobic S1/S3-binding pocket and to a large, distinct subpocket that extends from the S3-binding site towards the hydrophobic core of renin. Oral bioavailability of Aliskiren hemifumarate is 2.4% in rats, 16% in marmosets and about 2.5% in humans [2]. in vivo: Aliskiren hemifumarate (< 10 mg/kg, oral) inhibits plasma renin activity and lowers blood pressure in sodium-depleted marmosets[3]. Once-daily oral treatment with Aliskiren hemifumarate lowers blood pressure effectively, with a safety and tolerability profile, in patients with mild-to-moderate hypertension[4].																				
	In Vitro: DMSO : 100 mg/mL (181.24 mM; Need ultrasonic) <table><tr><th rowspan="2">Preparing Stock Solutions</th><th>Solvent Mass Concentration</th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr><tr><th>1 mM</th><td>1.8124 mL</td><td>9.0619 mL</td><td>18.1238 mL</td></tr><tr><th rowspan="2"></th><th>5 mM</th><td>0.3625 mL</td><td>1.8124 mL</td><td>3.6248 mL</td></tr><tr><th>10 mM</th><td>0.1812 mL</td><td>0.9062 mL</td><td>1.8124 mL</td></tr></table>				Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg	1 mM	1.8124 mL	9.0619 mL	18.1238 mL		5 mM	0.3625 mL	1.8124 mL	3.6248 mL	10 mM	0.1812 mL	0.9062 mL
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Solvent&Solubility	<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℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 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: ≥ 2.5 mg/mL (4.53 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.53 mM, 饱和度未知) 的澄清溶液。</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% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (4.53 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.53 mM, 饱和度未知) 的澄清溶液。</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 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>																				



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	<p>Solubility: ≥ 2.5 mg/mL (4.53 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.53 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	<p>[1]. Yuji Nakamura, et al. Discovery of DS-8108b, a Novel Orally Bioavailable Renin Inhibitor. ACS Med. Chem. Lett., 2012, 3 (9), pp 754–758</p> <p>[2]. Chang AY, et al. Interplay between brain stem angiotensins and monocyte chemoattractant protein-1 as a novel mechanism for pressor response after ischemic stroke. Neurobiol Dis. 2014 Nov;71:292-304.</p> <p>[3]. Buczko W, et al. Pharmacokinetics and pharmacodynamics of aliskiren, an oral direct renin inhibitor. Pharmacol Rep. 2008 Sep-Oct;60(5):623-31.</p> <p>[4]. Wood JM, et al. Structure-based design of aliskiren, a novel orally effective renin inhibitor. Biochem Biophys Res Commun, 2003, 308(4), 698-705.</p> <p>[5]. Gradman AH, et al. Aliskiren, a novel orally effective renin inhibitor, provides dose-dependent antihypertensive efficacy and placebo-like tolerability in hypertensive patients. Circulation, 2005, 111(8), 1012-1018.</p>

源叶生物