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产品名称: **Azilsartan Medoxomil**  
产品别名: 阿齐沙坦 ; **TAK-491**

生物活性:																														
Description	Azilsartan medoxomil(TAK 491) is an orally administered angiotensin II receptor type 1 antagonist with IC50 of 0.62 nM, which used in the treatment of adults with essential hypertension. IC50 Value: 0.62 nM [2] Target: AT1 receptor in vitro: In aortic endothelial cells, azilsartan inhibited cell proliferation at concentrations as low as 1 μmol/l, whereas valsartan showed little or no antiproliferative effects at concentrations below 10 μmol/l. Antiproliferative effects of azilsartan were also observed in cells lacking AT1 receptors[1]. in vivo: Oral administration of 0.1-3 mg/kg olmesartan medoxomil reduced blood pressure; however, only the two highest doses significantly reduced blood pressure 24h after dosing. ED(25) values were 0.41 and 1.3 mg/kg for azilsartan medoxomil and olmesartan medoxomil, respectively [2]. Over a longer treatment period of 24 weeks, azilsartan medoxomil showed sustained BP-lowering efficacy, with the reduction in 24-hour mean SBP at week 24 significantly greater with azilsartan medoxomil 40 or 80 mg once daily than with valsartan 320 mg once daily. Mean reductions from baseline in mean clinic SBP and DBP as well as DBP by ABPM were also significantly greater with azilsartan medoxomil 40 or 80 mg once daily than with valsartan[3]. In 4 randomized controlled trials (3 published to date), azilsartan medoxomil/chlorthalidone 40 mg/12.5 mg and 40 mg/25 mg reduced blood pressure (BP) significantly more than comparators did, including an approximately 5-mm Hg greater BP reduction than olmesartan medoxomil/hydrochlorothiazide 40 mg/25 mg and azilsartan medoxomil/hydrochlorothiazide [4]. Clinical trial: Effect of Azilsartan on Aldosterone in Post-menopausal Females . Phase not specified																													
	<b>In Vitro:</b> <b>DMSO : 125 mg/mL (219.87 mM; Need ultrasonic)</b> <table><tr><th rowspan="2">Preparing Stock Solutions</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 colspan="5">Concentration</th></tr><tr><td rowspan="3"></td><td colspan="2">1 mM</td><td>1.7589 mL</td><td>8.7946 mL</td><td>17.5892 mL</td></tr><tr><td colspan="2">5 mM</td><td>0.3518 mL</td><td>1.7589 mL</td><td>3.5178 mL</td></tr><tr><td colspan="2">10 mM</td><td>0.1759 mL</td><td>0.8795 mL</td><td>1.7589 mL</td></tr></table> <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> <b>In Vivo:</b> 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: ≥ 2.08 mg/mL (3.66 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (3.66 mM, 饱和度未知) 的澄清溶液。				Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg	Concentration						1 mM		1.7589 mL	8.7946 mL	17.5892 mL	5 mM		0.3518 mL	1.7589 mL	3.5178 mL	10 mM		0.1759 mL	0.8795 mL
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	<p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 20.8 mg/mL 的澄清 DMSO 储备液加到 400 <math>\mu</math>L PEG300 中, 混合均匀向上述体系中加入 50 <math>\mu</math>L Tween-80, 混合均匀; 然后继续加入 450 <math>\mu</math>L 生理盐水定容至 1 mL。</p> <p>2. 请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math> 90% corn oil</p> <p>Solubility: <math>\geq</math> 2.08 mg/mL (3.66 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.08 mg/mL (3.66 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 <math>\mu</math>L 20.8 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p>
References	<p>[1]. Kajiya T, Ho C, Wang J, Molecular and cellular effects of azilsartan: a new generation angiotensin II receptor blocker. J Hypertens. 2011 Dec;29(12):2476-83.</p> <p>[2]. Kusumoto K, Igata H, Ojima M, Antihypertensive, insulin-sensitising and renoprotective effects of a novel, potent and long-acting angiotensin II type 1 receptor blocker, azilsartan medoxomil, in rat and dog models.</p> <p>[3]. Perry CM. Azilsartan medoxomil: a review of its use in hypertension. Clin Drug Investig. 2012 Sep 1;32(9):621-39.</p> <p>[4]. Pierini D, Anderson KV. Azilsartan medoxomil/chlorthalidone: a new fixed-dose combination antihypertensive. Ann Pharmacother. 2013 May;47(5):694-703.</p>

源叶生物