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产品名称: 利伐普坦

产品别名: Lixivaptan; VPA-985; WAY-VPA 985; 利希普坦

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| 生物活性: | | | | |
| Description | Lixivaptan (VPA-985, WAY-VPA 985) is an orally active and selective vasopressin receptor V2 antagonist, with IC ₅₀ values of 1.2 and 2.3 nM for human and rat V2, respectively. | | | |
| IC ₅₀ & Target | IC ₅₀ : 1.2 nM (human V2), 2.3 nM (rat V2) ^[1] | | | |
| In Vitro | Lixivaptan displays competitive antagonist activity at V2 receptors ^[1] . | | | |
| In Vivo | <p>In conscious dogs, water-loaded with 30 mL/kg (po) and arginine vasopressin (AVP)-treated (0.4 µg/kg in oil, sc), lixivaptan (1, 3, and 10 mg/kg po) increases U_{vol} over the AVP-treated vehicle group by 438, 1018, and 1133%, respectively, while U_{osm} decreases from 1222 mOsm/kg (water-loaded and AVP treated vehicle) to 307, 221, and 175 mOsm/kg, respectively. In homozygous Brattleboro rats lacking AVP, lixivaptan at 10 mg/kg po (i.e., 10 times the dose producing V2 antagonist activity) b.i.d. for 5 days, shows a sustained antagonist action without evidence of agonist effects. In a randomized double-blind placebo-controlled ascending single dose study, patients (deprived of fluids overnight before dosing) are dosed orally with 30, 75, or 150 mg of lixivaptan. All three doses increase urine flow and serum sodium concentrations and produced significant dose-related decreases in urinary osmolality^[1]. Phase II clinical trials in patients with congestive heart failure, liver cirrhosis with ascites or syndrome of inappropriate antidiuretic hormone have demonstrated that lixivaptan increases water clearance without affecting renal sodium excretion or activating the neurohormonal system^[2].</p> | | | |
| Solvent&Solubility | In Vitro: DMSO : ≥ 150 mg/mL (316.50 mM) * "≥" means soluble, but saturation unknown. | | | |
| | | Solvent / Mass / Concentration | 1 mg | 5 mg |
| | Preparing | 1 mM | 2.1100 mL | 10.5501 mL |
| | Stock Solutions | 5 mM | 0.4220 mL | 2.1100 mL |
| | | 10 mM | 0.2110 mL | 1.0550 mL |
| <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: ≥ 2.08 mg/mL (4.39 mM); Clear solution</p> <p>此方案可获得 ≥ 2.08 mg/mL (4.39 mM, 饱和度未知) 的澄清溶液。</p> | | | | |



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| | <p>以 1 mL 工作液为例, 取 100 μL 20.8 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 \rightarrow 90% corn oil</p> <p>Solubility: \geq 2.08 mg/mL (4.39 mM); Clear solution</p> <p>此方案可获得 \geq 2.08 mg/mL (4.39 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 20.8 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]. Albright JD, et al. 5-Fluoro-2-methyl-N-[4-(5H-pyrrolo[2,1-c]-[1,4]benzodiazepin-10(11H)-ylcarbonyl)-3-chlorophenyl]benzamide (VPA-985): an orally active arginine vasopressin antagonist with selectivity for V2 receptors. <i>J Med Chem.</i> 1998 Jul 2;41(14):2442-4.</p> <p>[2]. Ghali JK, et al. Lixivaptan, a non-peptide vasopressin V2 receptor antagonist for the potential oral treatment of hyponatremia. <i>IDrugs.</i> 2010 Nov;13(11):782-92.</p> |

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