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产品名称: 5-(4-苯氧基丁氧基)补骨脂素 (PAP-1)  
产品别名: PAP-1; 5-(4-Phenoxybutoxy)psoralen

生物活性:

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                                                                      |           |            |            |
|---------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------------------------------------------------------------------|-----------|------------|------------|
| Description               | PAP-1 (5-(4-Phenoxybutoxy)psoralen) is a potent, selective, and orally active Kv1.3 blocker (EC50=2 nM). PAP-1 blocks Kv1.3 in a use-dependent manner and acts by preferentially binding to the C-type inactivated state of the channel. PAP-1 exhibits 23-fold selectivity over Kv1.5 (EC50=45 nM), and further displays 33- to 125-fold selectivity over all other Kv1-family channels. PAP-1 does not exhibit cytotoxic or phototoxic effects[1][2]. |                                                                      |           |            |            |
| IC <sub>50</sub> & Target | EC50: 2 nM (Kv1.3), 45 nM (Kv1.5)[1]                                                                                                                                                                                                                                                                                                                                                                                                                    |                                                                      |           |            |            |
| In Vitro                  | PAP-1 (2-100 nM; 30 minutes) suppresses the proliferation of CCR7-TEM cells with IC50 of 10 nM[1].                                                                                                                                                                                                                                                                                                                                                      |                                                                      |           |            |            |
|                           | Cell Proliferation Assay[1]                                                                                                                                                                                                                                                                                                                                                                                                                             |                                                                      |           |            |            |
|                           | Cell Line:                                                                                                                                                                                                                                                                                                                                                                                                                                              | CCR7-TEM cells (anti-CD3 Ab stimulated)                              |           |            |            |
|                           | Concentration:                                                                                                                                                                                                                                                                                                                                                                                                                                          | 2, 10, 25, 100 nM                                                    |           |            |            |
|                           | Incubation Time:                                                                                                                                                                                                                                                                                                                                                                                                                                        | 30 minutes                                                           |           |            |            |
| In Vivo                   | Result:                                                                                                                                                                                                                                                                                                                                                                                                                                                 | Suppresses the Proliferation of CCR7-TEM cells with IC50 of 10 nM.   |           |            |            |
|                           | PAP-1 (0.3-3 mg/kg; i.p.; three times daily for 48 hours) prevents delayed type hypersensitivity (DTH) in lewis rats[1].                                                                                                                                                                                                                                                                                                                                |                                                                      |           |            |            |
|                           | Animal Model:                                                                                                                                                                                                                                                                                                                                                                                                                                           | 9- to 11- week-old female Lewis rats[1]                              |           |            |            |
|                           | Dosage:                                                                                                                                                                                                                                                                                                                                                                                                                                                 | Intraperitoneal injection; three times daily for 48 hours            |           |            |            |
|                           | Administration:                                                                                                                                                                                                                                                                                                                                                                                                                                         | 0.3, 1, 3 mg/kg                                                      |           |            |            |
| Result:                   | Dose-dependently suppressed the DTH reaction.                                                                                                                                                                                                                                                                                                                                                                                                           |                                                                      |           |            |            |
| Solvent&Solubility        | <b>In Vitro:</b><br>DMSO : 50 mg/mL (142.71 mM; Need ultrasonic)<br>H <sub>2</sub> O : < 0.1 mg/mL (insoluble)                                                                                                                                                                                                                                                                                                                                          |                                                                      |           |            |            |
|                           | Preparing Stock Solutions                                                                                                                                                                                                                                                                                                                                                                                                                               | <div><div>Solvent</div><div>Mass</div><div>Concentration</div></div> | 1 mg      | 5 mg       | 10 mg      |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 1 mM                                                                 | 2.8542 mL | 14.2710 mL | 28.5421 mL |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 5 mM                                                                 | 0.5708 mL | 2.8542 mL  | 5.7084 mL  |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 10 mM                                                                | 0.2854 mL | 1.4271 mL  | 2.8542 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。<br>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。<br><b>In Vivo:</b><br>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:<br>——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<br>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline     |                                                                      |           |            |            |



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|------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|            | <p>Solubility: <math>\geq 2.5</math> mg/mL (7.14 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (7.14 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 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% (20% SBE-<math>\beta</math>-CD in saline)</p> <p>Solubility: 2.5 mg/mL (7.14 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2.5 mg/mL (7.14 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 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液中, 混合均匀。</p> <p>3. 请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math> 90% corn oil</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (7.14 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (7.14 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 25.0 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]. Schmitz A, et al. Design of PAP-1, a selective small molecule Kv1.3 blocker, for the suppression of effector memory T cells in autoimmune diseases. <i>Mol Pharmacol</i>. 2005 Nov;68(5):1254-70.</p> <p>[2]. Pereira LE, et al. Pharmacokinetics, toxicity, and functional studies of the selective Kv1.3 channel blocker 5-(4-phenoxybutoxy)psoralen in rhesus macaques. <i>Exp Biol Med</i> (Maywood). 2007 Nov;232(10):1338-54.</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |

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