



上海源叶生物科技有限公司  
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产品名称: **AM0902**  
CAS 号: **1883711-97-4**  
产品货号: **S88529**

| 生物活性:           |                                                                                      |                  |               |                                                                                                                                                                                                                                                                                                                                                                  |
|-----------------|--------------------------------------------------------------------------------------|------------------|---------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description     | AM-0902 是一种有效的选择性瞬时受体电位 A1 (TRPA1) 拮抗剂, 作用于 rTRPA1 和 hTRPA1 的 IC50 值分别为 71 和 131 nM。 |                  |               |                                                                                                                                                                                                                                                                                                                                                                  |
| IC50 & Target   | IC50: 71 nM (rTRPA1), 131 nM (hTRPA1)[1]                                             |                  |               |                                                                                                                                                                                                                                                                                                                                                                  |
| Cellular Effect | Cell Line                                                                            | Type             | Value         | Description                                                                                                                                                                                                                                                                                                                                                      |
|                 | CHO                                                                                  | EC <sub>50</sub> | > 40 $\mu$ M  | Agonist activity at human TRPA1 expressed in tetracycline-inducible T-REx expression system transfected CHO cells by <sup>45</sup> Ca <sup>2+</sup> uptake assay                                                                                                                                                                                                 |
|                 | CHO                                                                                  | EC <sub>50</sub> | > 40 $\mu$ M  | Agonist activity at rat TRPA1 expressed in tetracycline-inducible T-REx expression system transfected CHO cells by <sup>45</sup> Ca <sup>2+</sup> uptake assay                                                                                                                                                                                                   |
|                 | CHO                                                                                  | IC <sub>50</sub> | 0.01 $\mu$ M  | Antagonist activity at rat TRPA1 expressed in tetracycline-inducible T-REx expression system transfected CHO cells assessed as inhibition of hypo osmolarity buffer-induced <sup>45</sup> Ca <sup>2+</sup> uptake preincubated for 10 mins followed by hypo osmolarity buffer addition measured after 3 mins by TopCount microplate scintillator counting method |
|                 | CHO                                                                                  | IC <sub>50</sub> | 0.019 $\mu$ M | Antagonist activity at rat TRPA1 expressed in tetracycline-inducible T-REx expression system transfected CHO cells assessed as inhibition of methylglyoxal-induced <sup>45</sup> Ca <sup>2+</sup> uptake preincubated for 10 mins followed by methylglyoxal addition measured after 3 mins by TopCount microplate scintillator counting method                   |
|                 | CHO                                                                                  | IC <sub>50</sub> | 0.02 $\mu$ M  | Antagonist activity at human TRPA1 expressed in tetracycline-inducible T-REx expression system transfected CHO cells assessed as inhibition of AITC-induced Ca <sup>2+</sup> influx preincubated for 2 mins followed by AITC addition measured for 2 mins by Fluo-4 dye based FLIPR assay                                                                        |
|                 | CHO                                                                                  | IC <sub>50</sub> | 0.02 $\mu$ M  | Antagonist activity at rat TRPA1 expressed in tetracycline-inducible T-REx expression system transfected CHO cells assessed as inhibition of AITC-induced Ca <sup>2+</sup> influx preincubated for 2 mins followed by AITC addition measured for 2 mins by Fluo-4 dye based FLIPR assay                                                                          |
|                 | CHO                                                                                  | IC <sub>50</sub> | 0.071 $\mu$ M | Antagonist activity at rat TRPA1 expressed in tetracycline-inducible T-REx expression system transfected CHO cells assessed as inhibition of AITC-induced <sup>45</sup> Ca <sup>2+</sup> uptake preincubated for 1 min followed by AITC addition measured after 12 mins                                                                                          |
|                 | CHO                                                                                  | IC <sub>50</sub> | 0.131 $\mu$ M | Antagonist activity at human TRPA1 expressed in tetracycline-inducible T-REx expression system transfected                                                                                                                                                                                                                                                       |



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|---------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------|---------------------------------------|------------|------------|-------|------|-----------|------------|------------|------|-----------|-----------|-----------|-------|-----------|-----------|-----------|
|                           | CHO cells assessed as inhibition of AITC-induced 45Ca2+ uptake preincubated for 1 min followed by AITC addition measured after 12 mins                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                           |                                       |            |            |       |      |           |            |            |      |           |           |           |       |           |           |           |
| In Vitro                  | AM-0902 是一种有效的选择性 TRPA1 拮抗剂, 对 rTRPA1 和 hTRPA1 的 IC50 分别为 71 和 131 nM。AM-0902 具有高渗透性 (在 MDCK 细胞中平均 Papp=44.5 μ cm/s), 不太可能是 P-gp 的底物 (在 P-gp 过表达 MDCK 细胞中流出比=1.3), 并证明良好的溶解性 (PBS pH 7.4: 226 μ M, SIF: 248 μ M)。AM-0902 显示出优于其他 TRP 通道的良好选择性, 因为在浓度高达 10 μ M 时未观察到针对人 TRPV1 或 TRPV4, 或大鼠 TRPV1、TRPV3 或 TRPM8 的活性。AM-0902 在用甲基乙二醛激活大鼠 TRPA1 时抑制 45Ca2+ 通量, IC50 为 0.019 μ M[1]。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                           |                                       |            |            |       |      |           |            |            |      |           |           |           |       |           |           |           |
| In Vivo                   | AM-0902 是一种有效的选择性体内 TRPA1 拮抗剂。AM-0902 具有适度的终末消除半衰期 (t1/2=0.6 小时, 大鼠 (0.5 mg/kg, 静脉注射)、大鼠 (30 mg/kg, 口服) 为 2.8 小时)。对于 AM-0902, 观察到异硫氰酸烯丙酯 (AITC) 诱导的退缩呈剂量依赖性减少, 在 10 和 30 mg/kg 的给药后观察到退缩显著减少。1、3、10 和 30 mg/kg 剂量的 1 小时未结合血浆浓度 (Cu) 分别为 0.051±0.024 (n=8)、0.19±0.11 (n=8), 0.58±0.35 (n=8), and 2.2±0.40 (n=8) μ M, 覆盖体外大鼠 TRPA145Ca2+ IC50 分别为 0.72、2.7、8.2 和 30.3 倍。在此目标覆盖模型中观察到良好的暴露-响应关系。未结合的体内 IC50 为 0.35 μ M, 与体外大鼠 TRPA145Ca2+ IC 非常一致 50, 未结合的体内 IC90 为 1.7 μ M。值得注意的是, 在 30 mg/kg 的剂量下, AM-0902 以超过体内 IC90 的浓度参与 TRPA1, 使其成为探索急性疼痛体内模型的有用工具[1]。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |                           |                                       |            |            |       |      |           |            |            |      |           |           |           |       |           |           |           |
| Solvent&Solubility        | <p><b>细胞实验:</b></p> <p>DMSO 中的溶解度 : 150 mg/mL (404.54 mM); 超声加热助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)</p> <table><tr><td rowspan="4">Preparing Stock Solutions</td><td><div>Solvent Mass Concentration</div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>2.6969 mL</td><td>13.4847 mL</td><td>26.9694 mL</td></tr><tr><td>5 mM</td><td>0.5394 mL</td><td>2.6969 mL</td><td>5.3939 mL</td></tr><tr><td>10 mM</td><td>0.2697 mL</td><td>1.3485 mL</td><td>2.6969 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。</p> <p>储备液的保存方式和期限: -80°C, 2 years; -20°C, 1 year。 -80°C 储存时, 请在 2 年内使用, -20°C 储存时, 请在 1 年内使用。</p> <p><b>动物实验:</b></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。</p> <p>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;</p> <p>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>方案 一</p> <p>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 (6.74 mM); 澄清溶液</p> <p>此方案可获得 ≥ 2.5 mg/mL (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; 再向上述体系中加入 50 μL Tween-80, 混合均匀; 然后再继续加入 450 μL 生理盐水 定容至 1 mL。</p> | Preparing Stock Solutions | <div>Solvent Mass Concentration</div> | 1 mg       | 5 mg       | 10 mg | 1 mM | 2.6969 mL | 13.4847 mL | 26.9694 mL | 5 mM | 0.5394 mL | 2.6969 mL | 5.3939 mL | 10 mM | 0.2697 mL | 1.3485 mL | 2.6969 mL |
| Preparing Stock Solutions | <div>Solvent Mass Concentration</div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |                           | 1 mg                                  | 5 mg       | 10 mg      |       |      |           |            |            |      |           |           |           |       |           |           |           |
|                           | 1 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                           | 2.6969 mL                             | 13.4847 mL | 26.9694 mL |       |      |           |            |            |      |           |           |           |       |           |           |           |
|                           | 5 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                           | 0.5394 mL                             | 2.6969 mL  | 5.3939 mL  |       |      |           |            |            |      |           |           |           |       |           |           |           |
|                           | 10 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       | 0.2697 mL                 | 1.3485 mL                             | 2.6969 mL  |            |       |      |           |            |            |      |           |           |           |       |           |           |           |



|      |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |
|------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|      | <p>生理盐水的配制: 将 0.9 g 氯化钠, 溶解于 ddH<sub>2</sub>O 并定容至 100 mL, 可以得到澄清透明的生理盐水溶液。</p> <p>方案 二</p> <p>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 (6.74 mM); 澄清溶液</p> <p>此方案可获得 ≥ 2.5 mg/mL (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 生理盐水水溶液中, 混合均匀。</p> <p>2 g SBE-β-CD (磺丁基醚 β-环糊精) 粉末定容于 10 mL 的生理盐水中, 完全溶解至澄清透明。</p> <p>方案 三</p> <p>请依序添加每种溶剂: 10% DMSO → 90% Corn Oil</p> <p>Solubility: ≥ 2.5 mg/mL (6.74 mM); 澄清溶液</p> <p>此方案可获得 ≥ 2.5 mg/mL (饱和度未知) 的澄清溶液, 此方案实验周期在半个月以上的动物实验酌情使用。</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> |
| 参考文献 | [1]. Schenkel LB, et al. Optimization of a Novel Quinazolinone-Based Series of Transient Receptor Potential A1 (TRPA1) Antagonists Demonstrating Potent in Vivo Activity. J Med Chem. 2016 Mar 24;59(6):2794-809.                                                                                                                                                                                                                                                                                                                                                                                                                                            |

#### 完整储备液配制表:

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, 2 years; -20° C, 1 year. -80° C 储存时, 请在 2 年内使用, -20° C 储存时, 请在 1 年内使用。

| 可选溶剂 | 质量<br>溶剂体积<br>浓度 | 1 mg      | 5 mg       | 10 mg      | 25 mg      |
|------|------------------|-----------|------------|------------|------------|
| DMSO | 1 mM             | 2.6969 mL | 13.4847 mL | 26.9694 mL | 67.4236 mL |
|      | 5 mM             | 0.5394 mL | 2.6969 mL  | 5.3939 mL  | 13.4847 mL |
|      | 10 mM            | 0.2697 mL | 1.3485 mL  | 2.6969 mL  | 6.7424 mL  |
|      | 15 mM            | 0.1798 mL | 0.8990 mL  | 1.7980 mL  | 4.4949 mL  |
|      | 20 mM            | 0.1348 mL | 0.6742 mL  | 1.3485 mL  | 3.3712 mL  |
|      | 25 mM            | 0.1079 mL | 0.5394 mL  | 1.0788 mL  | 2.6969 mL  |
|      | 30 mM            | 0.0899 mL | 0.4495 mL  | 0.8990 mL  | 2.2475 mL  |
|      | 40 mM            | 0.0674 mL | 0.3371 mL  | 0.6742 mL  | 1.6856 mL  |
|      | 50 mM            | 0.0539 mL | 0.2697 mL  | 0.5394 mL  | 1.3485 mL  |
|      | 60 mM            | 0.0449 mL | 0.2247 mL  | 0.4495 mL  | 1.1237 mL  |



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|  |        |           |           |           |           |
|--|--------|-----------|-----------|-----------|-----------|
|  | 80 mM  | 0.0337 mL | 0.1686 mL | 0.3371 mL | 0.8428 mL |
|  | 100 mM | 0.0270 mL | 0.1348 mL | 0.2697 mL | 0.6742 mL |



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