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产品名称: **GSK872**  
CAS 号: **1346546-69-7**  
产品货号: **S88362**

|                    |  |                                                                                                                                                                |                  |                                                                                                                                                                                                                                         |                                                                                                                                                                                                                 |
|--------------------|--|----------------------------------------------------------------------------------------------------------------------------------------------------------------|------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 生物活性:              |  |                                                                                                                                                                |                  |                                                                                                                                                                                                                                         |                                                                                                                                                                                                                 |
| Description        |  | GSK-872 是 RIPK3 抑制剂, 高亲和力结合 RIP3 激酶结构域, IC50 为 1.8 nM, 并抑制激酶活性, IC50 为 1.3 nM。GSK-872 降低 RIPK3 介导的坏死 (necroptosis) 和随后的 HMGB1 的细胞质易位和表达, 并改善早期脑损伤中的脑水肿和神经功能缺损。 |                  |                                                                                                                                                                                                                                         |                                                                                                                                                                                                                 |
| IC50 & Target      |  | RIPK3                                                                                                                                                          |                  |                                                                                                                                                                                                                                         |                                                                                                                                                                                                                 |
| Cellular Effect    |  | Cell Line                                                                                                                                                      | Type             | Value                                                                                                                                                                                                                                   | Description                                                                                                                                                                                                     |
|                    |  | HT-29                                                                                                                                                          | CC <sub>50</sub> | > 50 μM                                                                                                                                                                                                                                 | Antiproliferative activity against human HT-29 cells assessed as reduction in cell viability measured after 72 hrs by celltiter glo assay                                                                       |
|                    |  | HT-29                                                                                                                                                          | EC <sub>50</sub> | 1.51 μM                                                                                                                                                                                                                                 | Anti-necroptotic activity in human HT-29 cells assessed as inhibition of TNFalpha/Z-VAD-fmk (TSZ)-induced necroptosis by measuring increase in cell viability by celltiter-glo luminescent cell viability assay |
|                    |  | MEF                                                                                                                                                            | EC <sub>50</sub> | 2.51 μM                                                                                                                                                                                                                                 | Anti-necroptotic activity in mouse MEF cells assessed as inhibition of TNFalpha/Z-VAD-fmk (TZ)-induced necroptosis by measuring increase in cell viability by celltiter-glo luminescent cell viability assay    |
| In Vitro           |  | GSK-872 (GSK'872; 0.01-3 μM; 24 小时) 以浓度依赖性方式阻断 TNF 诱导的人 HT-29 细胞坏死性凋亡[1].                                                                                      |                  |                                                                                                                                                                                                                                         |                                                                                                                                                                                                                 |
|                    |  | Cell Viability Assay[1]                                                                                                                                        |                  |                                                                                                                                                                                                                                         |                                                                                                                                                                                                                 |
|                    |  | Cell Line:                                                                                                                                                     |                  | HT-29 cells                                                                                                                                                                                                                             |                                                                                                                                                                                                                 |
|                    |  | Concentration:                                                                                                                                                 |                  | 0.01, 0.03 , 0.1, 0.3, 1, and 3 μM                                                                                                                                                                                                      |                                                                                                                                                                                                                 |
|                    |  | Incubation Time:                                                                                                                                               |                  | 24 hours                                                                                                                                                                                                                                |                                                                                                                                                                                                                 |
| Result:            |  | Blocked TNF-induced necroptosis in a concentration-dependent manner.                                                                                           |                  |                                                                                                                                                                                                                                         |                                                                                                                                                                                                                 |
| In Vivo            |  | GSK-872 (25 mM; 脑室内注射) 可减轻蛛网膜下腔出血 (SAH) 后的脑水肿并改善神经功能, 并减少坏死细胞的数量。GSK-872 还可以降低 RIPK3 和 MLKL 的蛋白水平, 以及 HMGB1 的细胞质易位和表达, HMGB1 是一种重要的促炎蛋白[3]。                    |                  |                                                                                                                                                                                                                                         |                                                                                                                                                                                                                 |
|                    |  | Animal Model:                                                                                                                                                  |                  | Eight weeks old Sprague-Dawley male rats with 300-320 g body weight (rat SAH model)[3]                                                                                                                                                  |                                                                                                                                                                                                                 |
|                    |  | Dosage:                                                                                                                                                        |                  | 25 mM/6 μL                                                                                                                                                                                                                              |                                                                                                                                                                                                                 |
|                    |  | Administration:                                                                                                                                                |                  | Syringe pump (intracerebroventricular) at 30 min after SAH                                                                                                                                                                              |                                                                                                                                                                                                                 |
|                    |  | Result:                                                                                                                                                        |                  | Attenuated brain edema, improved neurological function and decreased the number of necrotic cells in the ipsilateral cortex. Decreased the expression of RIPK3, MLKL and cytoplasmic HMGB1 at 72 h after SAH in the ipsilateral cortex. |                                                                                                                                                                                                                 |
| Solvent&Solubility |  | 细胞实验:                                                                                                                                                          |                  |                                                                                                                                                                                                                                         |                                                                                                                                                                                                                 |
|                    |  | DMSO 中的溶解度 : 100 mg/mL (260.76 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)                                                                                  |                  |                                                                                                                                                                                                                                         |                                                                                                                                                                                                                 |
|                    |  | <div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>                                                                                           | 1 mg             | 5 mg                                                                                                                                                                                                                                    | 10 mg                                                                                                                                                                                                           |



|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |       |           |            |            |
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|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | <b>Preparing</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 1 mM  | 2.6076 mL | 13.0381 mL | 26.0763 mL |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | <b>Stock Solutions</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | 5 mM  | 0.5215 mL | 2.6076 mL  | 5.2153 mL  |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       | 10 mM | 0.2608 mL | 1.3038 mL  | 2.6076 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℃, 2 years; -20℃, 1 year。 -80℃ 储存时，请在 2 年内使用， -20℃ 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 → 90% (20% SBE-β-CD in Saline)</p> <p>Solubility: ≥ 2.5 mg/mL (6.52 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 二</p> <p>请依序添加每种溶剂： 10% DMSO → 90% Corn Oil</p> <p>Solubility: ≥ 2.5 mg/mL (6.52 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> <p>方案 三</p> <p>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 (5.42 mM); 澄清溶液</p> <p>此方案可获得 ≥ 2.08 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 20.8 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> <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> |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |       |           |            |            |
| 参考文献                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | <p>[1]. Mandal P, et al. RIP3 induces apoptosis independent of pronecrotic kinase activity. Mol Cell. 2014 Nov 20;56(4):481-95.</p> <p>[2]. Arora D, et al. Deltamethrin induced RIPK3-mediated caspase-independent non-apoptotic cell death in rat primary hepatocytes. Biochem Biophys Res Commun. 2016 Oct 14;479(2):217-223.</p> <p>[3]. Chen T, et al. Inhibiting of RIPK3 attenuates early brain injury following subarachnoid hemorrhage: Possibly through alleviating necroptosis. Biomed Pharmacother. 2018;107:563-570.</p> |       |           |            |            |



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### 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             | 2.6076 mL | 13.0381 mL | 26.0763 mL | 65.1907 mL |
|      | 5 mM             | 0.5215 mL | 2.6076 mL  | 5.2153 mL  | 13.0381 mL |
|      | 10 mM            | 0.2608 mL | 1.3038 mL  | 2.6076 mL  | 6.5191 mL  |
|      | 15 mM            | 0.1738 mL | 0.8692 mL  | 1.7384 mL  | 4.3460 mL  |
|      | 20 mM            | 0.1304 mL | 0.6519 mL  | 1.3038 mL  | 3.2595 mL  |
|      | 25 mM            | 0.1043 mL | 0.5215 mL  | 1.0431 mL  | 2.6076 mL  |
|      | 30 mM            | 0.0869 mL | 0.4346 mL  | 0.8692 mL  | 2.1730 mL  |
|      | 40 mM            | 0.0652 mL | 0.3260 mL  | 0.6519 mL  | 1.6298 mL  |
|      | 50 mM            | 0.0522 mL | 0.2608 mL  | 0.5215 mL  | 1.3038 mL  |
|      | 60 mM            | 0.0435 mL | 0.2173 mL  | 0.4346 mL  | 1.0865 mL  |
|      | 80 mM            | 0.0326 mL | 0.1630 mL  | 0.3260 mL  | 0.8149 mL  |
|      | 100 mM           | 0.0261 mL | 0.1304 mL  | 0.2608 mL  | 0.6519 mL  |