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产品名称: **JAK3-IN-6**  
CAS 号: **1443235-95-7**  
产品货号: **S88402**

**生物活性:**

|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |           |            |            |
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| Description                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | JAK3-IN-6 是 JAK3 的一个有效的、选择性的、不可逆的抑制剂, 其 IC50 值为 0.15 nM。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |           |            |            |
| IC50 & Target                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | JAK3<br><br>0.15 nM (IC50)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |           |            |            |
| In Vitro                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | JAK3-IN-6 (compound 2), a potent inhibitor of JAK3 (0.15 nM) is 4300-fold selective for JAK3 over JAK1 in enzyme assays, 67-fold (IL-2 vs. IL-6) or 140-fold (IL-2 vs. EPO or GMCSF) selective in cellular reporter assays and >35-fold selective in human PBMC assays (IL-7 vs. IL-6 or GMCSF). Irreversible JAK3-IN-6 with a JAK1 selective inhibitor 3 (JAK1: 0.96 nM, JAK2: 14 nM, JAK3: >1500 nM, TYK2: 10 nM) are cross titrated to determine if there is an additive or synergistic effect of co-inhibiting JAK1 and JAK3 enzymes on IL-7 signaling in CD3+, CD4+ PBMCs. As shown, the predicted levels of pSTAT5 inhibition based on addition of JAK1 and JAK3 inhibition are very close to the measured effects of cross titrating each compound, demonstrating that there is an additive effect but no synergistic effect of inhibiting JAK1 and JAK3 on blocking STAT5 phosphorylation. Furthermore inhibition of either JAK1 or JAK3 alone is sufficient to fully inhibit pSTAT5[2]. |           |            |            |
| Solvent&Solubility                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           | <b>细胞实验:</b><br>DMSO 中的溶解度 : 100 mg/mL (285.41 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<br/>Concentration</div><div>Mass</div></div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   | 1 mg      | 5 mg       | 10 mg      |
| Preparing                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    | 1 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             | 2.8541 mL | 14.2706 mL | 28.5413 mL |
| Stock Solutions                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 5 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             | 0.5708 mL | 2.8541 mL  | 5.7083 mL  |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 10 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            | 0.2854 mL | 1.4271 mL  | 2.8541 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, 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: ≥ 2.17 mg/mL (6.19 mM); 澄清溶液</p> <p>此方案可获得 ≥ 2.17 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 21.7 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> |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |           |            |            |



|      |                                                                                                                                                                                                                                                                                                                                                                                                  |
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|      | <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% Corn Oil</p> <p>Solubility: <math>\geq 2.17</math> mg/mL (6.19 mM); 澄清溶液</p> <p>此方案可获得 <math>\geq 2.17</math> 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 <math>\mu</math>L 21.7 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> |
| 参考文献 | <p>[1]. ARYL SULFONOHYDRAZIDES. WO2017027400A1.</p> <p>[2]. Elwood F, et al. Evaluation of JAK3 Biology in Autoimmune Disease Using a Highly Selective, Irreversible JAK3 Inhibitor. J Pharmacol Exp Ther. 2017 May;361(2):229-244.</p>                                                                                                                                                          |

#### 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             | 2.8541 mL | 14.2706 mL | 28.5413 mL | 71.3531 mL |
|      | 5 mM             | 0.5708 mL | 2.8541 mL  | 5.7083 mL  | 14.2706 mL |
|      | 10 mM            | 0.2854 mL | 1.4271 mL  | 2.8541 mL  | 7.1353 mL  |
|      | 15 mM            | 0.1903 mL | 0.9514 mL  | 1.9028 mL  | 4.7569 mL  |
|      | 20 mM            | 0.1427 mL | 0.7135 mL  | 1.4271 mL  | 3.5677 mL  |
|      | 25 mM            | 0.1142 mL | 0.5708 mL  | 1.1417 mL  | 2.8541 mL  |
|      | 30 mM            | 0.0951 mL | 0.4757 mL  | 0.9514 mL  | 2.3784 mL  |
|      | 40 mM            | 0.0714 mL | 0.3568 mL  | 0.7135 mL  | 1.7838 mL  |
|      | 50 mM            | 0.0571 mL | 0.2854 mL  | 0.5708 mL  | 1.4271 mL  |
|      | 60 mM            | 0.0476 mL | 0.2378 mL  | 0.4757 mL  | 1.1892 mL  |
|      | 80 mM            | 0.0357 mL | 0.1784 mL  | 0.3568 mL  | 0.8919 mL  |
|      | 100 mM           | 0.0285 mL | 0.1427 mL  | 0.2854 mL  | 0.7135 mL  |