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产品名称: **GSK864**  
产品别名: **GSK864**

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                |             |                      |
|---------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------------|-------------|----------------------|
| 生物活性:                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                |             |                      |
| Description               | GSK864 is an isocitrate dehydrogenase 1 (IDH1) mutant inhibitor; inhibits IDH1 mutants R132C, R132H, and R132G with IC <sub>50</sub> values of 8.8, 15.2 and 16.6 nM.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                |             |                      |
| IC <sub>50</sub> & Target | IC <sub>50</sub> : 8.8 nM (IDH1 mutants R132C), 15.2 nM (IDH1 mutants R132H), 16.6 nM (IDH1 mutants R132G) <sup>[1]</sup>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |                |             |                      |
| In Vitro                  | GSK864 inhibits 2-HG production in R132C IDH1 mutant HT1080 fibrosarcoma cells with an EC <sub>50</sub> of 320 nM by LCMS/MS analysis <sup>[1]</sup> .                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                |             |                      |
| In Vivo                   | Following intraperitoneal (IP) administration in CD-1 mice, significant concentrations of GSK864 are maintained in peripheral blood samples of mice for up to 24 hours. Analysis of BM cells for expression of markers of early differentiation reveals slightly increased numbers of huCD45 <sup>+</sup> CD38 <sup>+</sup> cells in R132C or R132H IDH1 mutant engrafted mice treated with GSK864 <sup>[1]</sup> .                                                                                                                                                                                                                                                                                                                                                                                  |                |             |                      |
| Solvent&Solubility        | <b>In Vitro:</b><br><b>DMSO : 100 mg/mL (179.02 mM; Need ultrasonic)</b><br><b>H<sub>2</sub>O : &lt; 0.1 mg/mL (insoluble)</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |                |             |                      |
|                           | <b>Preparing Stock Solutions</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | <b>Solvent</b> | <b>Mass</b> | <b>Concentration</b> |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | <b>1 mg</b>    | <b>5 mg</b> | <b>10 mg</b>         |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 1 mM           | 1.7902 mL   | 8.9509 mL            |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 5 mM           | 0.3580 mL   | 1.7902 mL            |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 10 mM          | 0.1790 mL   | 0.8951 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。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                |             |                      |
|                           | <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<br>Solubility: ≥ 2.5 mg/mL (4.48 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (4.48 mM, 饱和度未知) 的澄清溶液。<br>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, 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。<br><br>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)<br>Solubility: 2.5 mg/mL (4.48 mM); Suspended solution; Need ultrasonic<br>此方案可获得 2.5 mg/mL (4.48 mM) 的均匀悬浊液, 悬浊液可用于口服和腹腔注射。<br>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 |                |             |                      |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                |             |                      |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                |             |                      |
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|-----------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|                       | <p>盐水水溶液中，混合均匀。</p> <p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (4.48 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (4.48 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            | [1]. Okoye-Okafor UC, et al. New IDH1 mutant inhibitors for treatment of acute myeloid leukemia. Nat Chem Biol. 2015 Nov;11(11):878-86.                                                                                                                                                                                               |
| 实验参考：                 |                                                                                                                                                                                                                                                                                                                                       |
| Animal Administration | Mice: Mice receive GSK864 (213 mg/kg, 10 mL/kg) via intraperitoneal (ip) administration. IP formulation is PG:DMSO:PEG400:H2O (16.7:3.3:40:40). Serial blood samples (~0.030 mL) are collected via the femoral artery catheter at 15, 30, 45, 60, 120, 180 min following administration <sup>[1]</sup> .                              |
| References            | [1]. Okoye-Okafor UC, et al. New IDH1 mutant inhibitors for treatment of acute myeloid leukemia. Nat Chem Biol. 2015 Nov;11(11):878-86.                                                                                                                                                                                               |

源叶生物