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# 产品名称：GSK2981278
# 产品别名：GSK2981278

## 生物活性：

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| **Description** | GSK2981278 is a potent and selective RORγ inverse agonist. GSK2981278 inhibits activation of the *il17* promoter and interferes RORγ-DNA binding[1]. |
| **$IC_{50}$ & Target** | RORγ[1] |
| **In Vitro** | GSK2981278 markedly and potently inhibits IL-17A and IL-22 protein secretion in a concentration dependent manner (IC50 = 3.2 nM) during 5 days of culture under Th17 skewing conditions[1].<br>GSK2981278 (0.3, 1, 3, 10, 30, 100, 300, 1000 pM; 5 day) potently and selectively inhibits IL-17 and IL-22 levels. Culture in the presence of ≥3 nM GSK2981278 led to a near-complete inhibition of IL-17A protein secretion[1]. |
| **In Vivo** | GSK2981278 (1% in ointment; topically; for three days) reduces skin redness and scaling, as well as decreased hyperplasia, as evidenced by a 23% reduction in epidermal thickness. GSK2981278 attenuates inflammation in a mouse model of psoriasis[1]. |

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| **Animal Model:** | BALB/c JByRj Female Mice (8 week-old at study initiation; imiquimod (IMQ) mouse model) [1] |
| **Dosage:** | 1% |
| **Administration:** | In ointment; topically; for three days |
| **Result:** | Reduced skin redness and scaling, as well as decreased hyperplasia, as evidenced by a 23% reduction in epidermal thickness. |

**Solvent&Solubility**

***In Vitro:***

**DMSO : ≥ 100 mg/mL (216.63 mM)**

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
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| 1 mM | 2.1663 mL | 10.8317 mL | 21.6633 mL |
| 5 mM | 0.4333 mL | 2.1663 mL | 4.3327 mL |
| 10 mM | 0.2166 mL | 1.0832 mL | 2.1663 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。

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。

***In Vivo:***

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：

——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

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution

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| | 此方案可获得 ≥ 2.5 mg/mL (5.42 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 (5.42 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (5.42 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。<br><br>3.请依序添加每种溶剂： 10% DMSO →90% corn oil<br>Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (5.42 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。<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 玉米油中，混合均匀。 |
| **References** | [1]. Smith SH, et al. Development of a Topical Treatment for Psoriasis Targeting RORγ: From Bench to Skin. PLoS One. 2016 Feb 12;11(2):e0147979. |