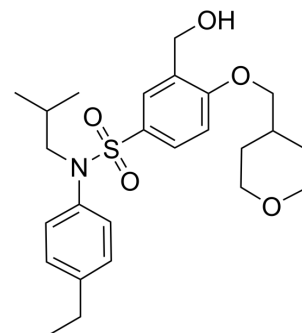


## GSK2981278

<b>Cat. No.:</b>	HY-19770		
<b>CAS No.:</b>	1474110-21-8		
<b>Molecular Formula:</b>	C <sub>25</sub> H <sub>35</sub> NO <sub>5</sub> S		
<b>Molecular Weight:</b>	461.61		
<b>Target:</b>	ROR		
<b>Pathway:</b>	Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 100 mg/mL (216.63 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
 Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

GSK2981278 is a potent and selective ROR $\gamma$  inverse agonist. GSK2981278 inhibits activation of the il17 promoter and interferes ROR $\gamma$ -DNA binding<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

ROR $\gamma$ <sup>[1]</sup>

#### In Vitro

GSK2981278 markedly and potently inhibits IL-17A and IL-22 protein secretion in a concentration dependent manner (IC<sub>50</sub> =

3.2 nM) during 5 days of culture under Th17 skewing conditions<sup>[1]</sup>.  
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  $\geq 3$  nM GSK2981278 led to a near-complete inhibition of IL-17A protein secretion<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### 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<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

## CUSTOMER VALIDATION

- Sci Adv. 2021 Jan 22;7(4):eabe4827.
- Antioxidants (Basel). 2022 Apr 8;11(4):748.
- Poultry Sci. 2020 Sep;99(9):4294-4302.

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## REFERENCES

[1]. Smith SH, et al. Development of a Topical Treatment for Psoriasis Targeting ROR $\gamma$ : From Bench to Skin. PLoS One. 2016 Feb 12;11(2):e0147979.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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