

# PRODUCT INFORMATION

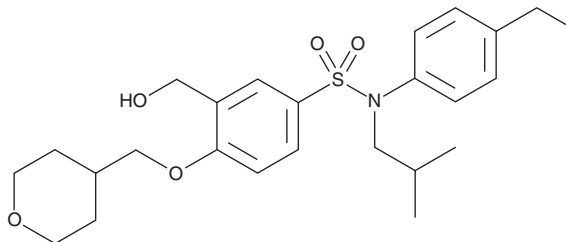


**GSK2981278**

Item No. 20974

**CAS Registry No.:** 1474110-21-8  
**Formal Name:** N-(4-ethylphenyl)-3-(hydroxymethyl)-  
N-(2-methylpropyl)-4-[(tetrahydro-  
2H-pyran-4-yl)methoxy]-  
benzenesulfonamide

**MF:** C<sub>25</sub>H<sub>35</sub>NO<sub>5</sub>S  
**FW:** 461.6  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 246 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

## Laboratory Procedures

GSK2981278 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2981278 in the solvent of choice, which should be purged with an inert gas. GSK2981278 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GSK2981278 in these solvents is approximately 15, 5, and 30 mg/ml, respectively.

GSK2981278 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK2981278 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GSK2981278 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

## Description

GSK2981278 is an inverse agonist of retinoic acid receptor-related nuclear receptor  $\gamma$  (ROR $\gamma$ ; IC<sub>50</sub> = 17 nM in a transactivation assay).<sup>1</sup> It is selective for ROR $\gamma$  over ROR $\alpha$ .<sup>2</sup> GSK2981278 inhibits IL-17A and IL-22 protein secretion from isolated human peripheral blood T cells grown under Th17 skewing conditions (IC<sub>50</sub> = 3.2 nM). Topical application of GSK2981278 (1% ointment) reduces dermal symptoms in a mouse model of psoriasis induced by imiquimod (Item No. 14956).

## References

1. Ouvry, G., Bihl, F., Bouix-Peter, C., *et al.* Sulfoximines as potent ROR $\gamma$  inverse agonists. *Bioorg. Med. Chem. Lett.* **28(8)**, 1269-1273 (2018).
2. Smith, S.H., Peredo, C.E., Takedo, Y., *et al.* Development of a topical treatment for psoriasis targeting ROR $\gamma$ : From bench to skin. *PLoS One* **11(2)**, e0147979 (2016).

### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

### WARRANTY AND LIMITATION OF REMEDY

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