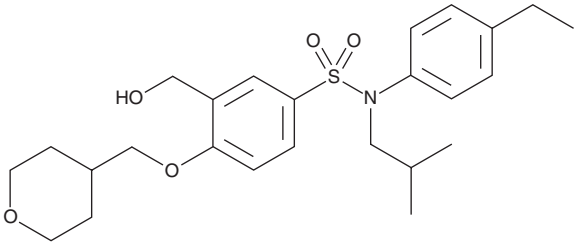
PRODUCT INFORMATION

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:	$C_{25}H_{35}NO_5S$
FW:	461.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 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 γ (RORγ; IC_{50} = 17 nM in a transactivation assay).[1] It is selective for RORγ over RORα.[2] GSK2981278 inhibits IL-17A and IL-22 protein secretion from isolated human peripheral blood T cells grown under Th17 skewing conditions (IC_{50} = 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 RORγ 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 RORγ: From bench to skin. *PLoS One* **11(2)**, e0147979 (2016).

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