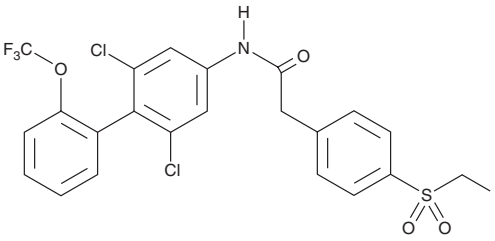
PRODUCT INFORMATION

GSK805

Item No. 9002444

CAS Registry No.:	1426802-50-7
Formal Name:	N-[2,6-dichloro-2'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]-4-(ethylsulfonyl)-benzeneacetamide
MF:	$C_{23}H_{18}Cl_2F_3NO_4S$
FW:	532.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 221, 256 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

GSK805 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK805 in the solvent of choice. GSK805 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of GSK805 in these solvents is approximately 5 and 3 mg/ml, respectively.

GSK805 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK805 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK805 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK805 is a potent, orally bioavailable retinoid-related orphan receptor gamma t (RORγt) inverse agonist that interacts with the receptor's putative ligand binding domain without exerting significant effects on DNA binding.[1] It inhibits the expression of IL-17 (at 0.5 µM) in naïve $CD4^+$ T cells activated under Th17-cell-polarizing conditions and affects the broader RORγt-dependent gene network, inhibiting the development and pathogenic function of Th17 cells. GSK805 significantly reduces the severity of experimental autoimmune encephalomyelitis (EAE), a mouse model of multiple sclerosis, when given orally to the hosts at 10 mg/kg daily beginning at the time of disease induction.

Reference

1. Xiao, S., Yosef, N., Yang, J., *et al.* Small-molecule RORγt antagonists inhibit T helper 17 cell transcriptional network by divergent mechanisms. *Immunity* **40(4)**, 477-489 (2014).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM