Product Data Sheet

Product Name: GSK805
Cat. No.: GC18591

Chemical Properties

Cas. No.	1426802-50-7		
Chemical Name	N-[2,6-dichloro-2'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]-4-(ethylsulfonyl)-benzeneacetamide		
SMILES	ClC1=CC(NC(CC2=CC=C(S(CC)(=O)=O)C=C2)=O)=CC(Cl)=C1C3=C(OC(F)(F)F)C=CC=C3		
Formula	$C_{23}H_{18}Cl_2F_3NO_4S$	M.Wt	532.4
Solubility	DMF: 3 mg/ml,DMSO: 5 mg/ml,DMSO:PBS (pH 7.2) (1:2): 0.3 mg/ml	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	GSK805		

Protocol

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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Animal experiment:

Animal administration[1]GSK805 are orally administered once daily at 3 doses (1, 3, and 10 mg/kg) to EAE mice from the day of immunization. Compared to the control, the treatment with 9a or 9g resulted in a delay and significant reduction in clinical severity of EAE in a dose-dependent manner. Compared to thiazole ketone amide 2, which only showed EAE efficacy up to day 20 at 100 mg/kg twice daily dosing,32 the biaryl amides 9a and 9g are much more efficacious. This could be attributed to their good in vitro activities as well as much improved oral exposure and CNS penetration. However, it should be noted that although 9g had more brain exposure than 9a, it exhibited less efficacy than 9a in EAE experiments, indicating that there might be additional factors such as "free" brain concentration affecting in vivo efficacy[1].

References:

[1]. Wang Y, et al. Discovery of Biaryl Amides as Potent, Orally Bioavailable, and CNS Penetrant RORγt Inhibitors. ACS Med Chem Lett. 2015 May 26;6(7):787-792.

Background

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Background

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. It inhibits the expression of IL-17 (at 0.5 uM) in nave 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.

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