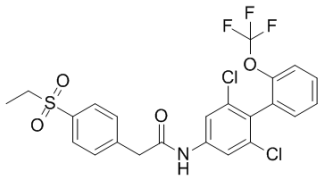
GSK805

Cat. No.:	HY-12776
CAS No.:	1426802-50-7
Molecular Formula:	$C_{23}H_{18}Cl_2F_3NO_4S$
Molecular Weight:	532.36
Target:	ROR
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (187.84 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8784 mL	9.3921 mL	18.7843 mL
5 mM	0.3757 mL	1.8784 mL	3.7569 mL
10 mM	0.1878 mL	0.9392 mL	1.8784 mL

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

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK805 is a potent, orally bioavailable, and CNS penetrant **RORγt** inhibitor with pIC_{50} of 8.4 and >8.2 for RORγ FRET assay and Th17 assay[1].

IC_{50} & Target

IC50: 8.4 (RORγt)[1]

PROTOCOL

Animal Administration [1]

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].

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

CUSTOMER VALIDATION

- **Nat Microbiol**. 2019 Mar;4(3):492-503.

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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.

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