GSK805

Cat. No.: HY-12776

CAS No.: 1426802-50-7

Molecular Formula: $C_{23}H_{18}Cl_2F_3NO_4S$

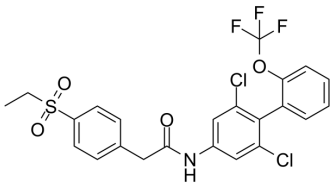
Molecular Weight: 532.36

Target: ROR

Pathway: Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (187.84 mM)

* "≥" 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 an orally active and CNS penetrant RORγt inhibitor. GSK805 inhibits RORγ and Th17 cells differentiation with pIC_{50} values of 8.4 and >8.2. GSK805 inhibits the function of Th17 cells. GSK805 can be used for the research of immunity[1].

IC_{50} & Target

IC50: 8.4 (RORγt)[1]

In Vitro

GSK805 (0.5 μM; 4 d) inhibits Th17 cell responses[2].

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

Cell Differentiation Assay[2]

Cell Line:	$CD4^{+}$ T cells
Concentration:	0.5 μM
Incubation Time:	4 days
Result:	Inhibited IL-17 production during Th17 cell differentiation.

In Vivo

GSK805 (10 mg/kg; p.o. once per day for 35 days) improves the situation of mice with experimental autoimmune encephalomyelitis (EAE)[2].
GSK805 (30 mg/kg; p.o. once) inhibits Th17 cell responses in EAE mice[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 mice were immunized with MOG35–55 plus CFA[2]
Dosage:	10 mg/kg
Administration:	Oral gavage; 10 mg/kg once per day; for 35 days
Result:	Efficiently ameliorated the severity of EAE in mice.

Animal Model:	C57BL/6 mice with EAE[2]
Dosage:	30 mg/kg
Administration:	Oral gavage; 30 mg/kg once
Result:	Reduced both IFN-γ^{-}IL-17^{+} and IFN-γ^{+}IL-17^{+} T cells without altered the frequency of TNF-α^{+} T cells in EAE mice.

CUSTOMER VALIDATION

- Nat Microbiol. 2019 Mar;4(3):492-503.
- Proc Natl Acad Sci U S A. 2021 Nov 16;118(46):e2105950118.

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REFERENCES

[1]. Xiao S, et al. Small-molecule RORγt antagonists inhibit T helper 17 cell transcriptional network by divergent mechanisms. Immunity. 2014 Apr 17;40(4):477-89.

[2]. 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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