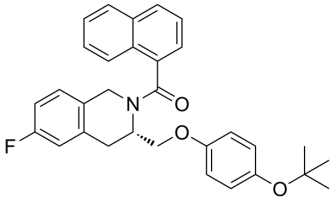
SR12418

Cat. No.:	HY-148103
CAS No.:	1801185-08-9
Molecular Formula:	$C_{31}H_{30}FNO_3$
Molecular Weight:	483.57
Target:	REV-ERB
Pathway:	Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor
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 (206.80 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0680 mL	10.3398 mL	20.6795 mL
	5 mM	0.4136 mL	2.0680 mL	4.1359 mL
	10 mM	0.2068 mL	1.0340 mL	2.0680 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 (5.17 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.17 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

SR12418 is a REV-ERB-specific synthetic ligand with IC_{50}s of 68 nM and 119 nM for REV-ERBα and REV-ERBβ, respectively. SR12418 can be used in experimental autoimmune encephalomyelitis (EAE) and colitis research[1][2].

IC_{50} & Target

IC50: 68 nM (REV-ERBα) and 119 nM (REV-ERBβ)[1]

In Vitro

SR12418 (5 μM; 96 h) inhibits the growth of TH17 cells[1].
SR12418 (5 and 10 μM; 4 d) inhibits cell differentiation under TH17 polarizing conditions[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.
RT-PCR[1]

Cell Line:	TH17 cells
Concentration:	5 μM
Incubation Time:	96 hours
Result:	Repressed TH17-mediated gene expression and Nfil3.

Cell Differentiation Assay[1]

Cell Line:	Mouse $CD4^+$ T cells
Concentration:	5 and 10 μM
Incubation Time:	4 days
Result:	Inhibited TH17 cell differentiation in a dose-dependent manner.

In Vivo

SR12418 (intraperitoneal injection; 50 mg/kg; twice a day) suppresses the development and severity of experimental autoimmune encephalomyelitis[1].
SR12418 (intraperitoneal injection; 50 mg/kg; twice a day; begin at day 18 post-immunization) shows effects in intervention studies of relapsing-remitting experimental autoimmune encephalomyelitis[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 mice inducing experimental autoimmune encephalomyelitis (EAE)[1]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection; 50 mg/kg; twice a day
Result:	Diminished the incidence of disease with approximately 20% mice developing disease. Showed no overt signs of toxicity.

Animal Model:	PLP139-151-induced relapsing-remitting EAE (R-EAE) in SJL/J mice[1]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection; 50 mg/kg; twice a day; begin at day 18 post-immunization
Result:	Resulted in a significant reduction in the relapse severity compared to the vehicle control. Showed a significant decrease in the frequency and number of $CD4^+$ and $CD8^+$ effector cells ($CD44^{hi}$).

REFERENCES

[1]. Mohammed Amir, et al. REV-ERBα Regulates TH17 Cell Development and Autoimmunity. Cell Rep. 2018 Dec 26;25(13):3733-3749.e8.

[2]. Shuai Wang, et al. Targeting REV-ERBα for therapeutic purposes: promises and challenges. Theranostics. 2020 Mar 4;10(9):4168-4182.

Caution: Product has not been fully validated for medical applications. For research use only.

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