SR1001

Cat. No.: HY-13421

CAS No.: 1335106-03-0

Molecular Formula: $C_{15}H_{13}F_6N_3O_4S_2$

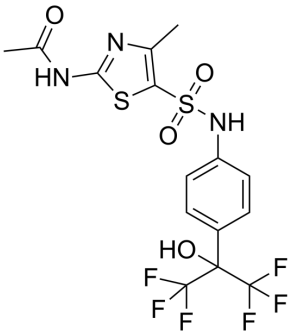
Molecular Weight: 477.4

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 : ≥ 39 mg/mL (81.69 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent, Mass	1 mg	5 mg	10 mg
1 mM	2.0947 mL	10.4734 mL	20.9468 mL
5 mM	0.4189 mL	2.0947 mL	4.1894 mL
10 mM	0.2095 mL	1.0473 mL	2.0947 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: ≥ 2.08 mg/mL (4.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.36 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SR1001 is a selective ROR_α and $ROR_{\gamma t}$ inverse agonist with K_is 172 and 111 nM, respectively.

In Vitro

SR1001 inhibits the development of murine T_H17 cells by inhibition of IL-17A gene expression and protein production. SR1001 reduces the interaction of a coactivator TRAP220 NR box 2 peptide with ROR_γ in a dose dependent manner (IC_{50} value≈117 nM). Additionally, SR1001 inhibits the expression of cytokines when added to differentiated murine or human T_H 17 cells[1].

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

In Vivo	SR1001 effectively suppresses the clinical severity of autoimmune disease in mice. Administration of SR1001 to C57BL/6 mice suppresses the expression of hepatic ROR target genes, Cyp7b1, Rev-erbα, and Serpine 1[1]. SR1001 a ROR_{α} inverse agonist eliminats the circadian pattern of expression of citrate synthase mRNA in mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	HEK293 cells are plated in 96-well plates at a density of 15 x 103 cells/well. Transfections are performed using Lipofectamine 2000. 24 h post-transfection, the cells are treated with vehicle or compound (SR1001). 24 h post-treatment, the luciferase activity is measured using the Dual-Glo luciferase assay system[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: Experimental autoimmune encephalomyelitis is induced in C57BL/6 wild-type mice by s.c. injection over four sites in the flank with 200 μg per mouse. The SR1001 is dissolved in DMSO at 25 mg/mL and the mice are treated (i.p.) with 25 mg/kg SR1001 (1 μL/g body weight of mouse) or vehicle (DMSO, 1 μL/g body weight of mouse) twice per day. The treatment is started 2 days before immunization and continued until the end of experiment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Neuroinflammation. 2022 Jul 14;19(1):186.
- J Nutr Biochem. 24 September 2022, 109155.
- Int Immunopharmacol. 2022 May 7;109:108778.
- FASEB J. 2019 Apr;33(4):5704-5715.

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REFERENCES

[1]. Solt LA, et al. Suppression of TH17 differentiation and autoimmunity by a synthetic ROR ligand. Nature. 2011 Apr 28;472(7344):491-4.

[2]. Crumbley C, et al. Regulation of expression of citrate synthase by the retinoic acid receptor-related orphan receptor α (RORα). PLoS One. 2012;7(4):e33804.

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