

Product Data Sheet

Product Name: SR 1001
Cat. No.: GC15009

Chemical Properties

Cas. No. 1335106-03-0

Chemical Name (Z)-N-(5-(N-(4-(1,1,1,3,3,3-hexafluoro-2-hydroxypropan-2-yl)phenyl)sulfamoyl)-4-methylthiazol-2-yl)acetimidic acid

SMILES CC1=C(S(NC2=CC=C(C(C(F)(F)F)(O)C(F)(F)F)C=C2)(=O)=O)SC(/N=C(O)/C)=N1

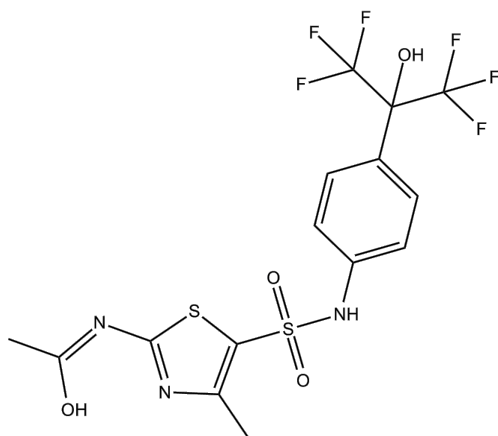
Formula $C_{15}H_{13}F_6N_3O_4S_2$ M.Wt 477.4

Solubility ≥ 102.4 mg/mL in DMSO, ≥ 17.1 mg/mL in EtOH Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Protocol

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

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Cell experiment: HEK293 cells are plated in 96-well plates at a density of 15×10^3 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].

Animal experiment: Mice: Experimental autoimmune encephalomyelitis is induced in C57BL/6 wild-type mice by s.c. injection over four sites in the flank with 200 μ g 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 μ L/g body weight of mouse) or vehicle (DMSO, 1 μ L/g body weight of mouse) twice per day. The treatment is started 2 days before immunization and continued until the end of experiment[1].

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 (ROR α). *PLoS One*. 2012;7(4):e33804.

Background

SR1001 is a selective ROR α and ROR γ inverse agonist with K_{is} 172 and 111 nM, respectively.

SR1001 inhibits the development of murine TH17 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 $\approx$ 117 nM). Additionally, SR1001 inhibits the expression of cytokines when added to differentiated murine or human TH17 cells[1].

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-erb α , and Serpine 1[1]. SR1001 a ROR α inverse agonist eliminates the circadian pattern of expression of citrate synthase mRNA in mice[2].

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