

SR1078

Catalog No: tcsc1045



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1246525-60-9

Formula:

$C_{17}H_{10}F_9NO_2$

Pathway:

Metabolic Enzyme/Protease

Target:

ROR

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

431.25

Product Description

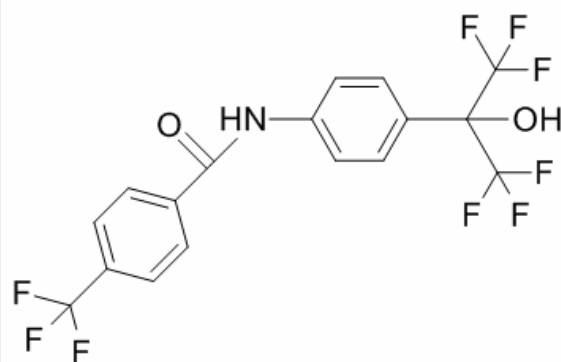
SR1078 is an agonist of retinoic acid receptor-related orphan receptor (**ROR**

) α/γ .

IC50 & Target: ROR α/γ ^[1]

In Vitro: SR1078 is a synthetic ROR α /ROR γ ligand. SR1078 modulates the conformation of ROR γ in a biochemical assay and activates ROR α and ROR γ driven transcription. Furthermore, SR1078 stimulates expression of endogenous ROR target genes in HepG2 cells that express both ROR α and ROR γ . In a cell-based chimeric receptor Gal4 DNA-binding domain-NR ligand binding domain cotransfection assay, SR1078 significantly inhibits the constitutive transactivation activity of ROR α and ROR γ , but has no effect on the activity of FXR, LXR α and LXR β . In a ROR α cotransfection assay, treatment of cells with SR1078 (10 μ M) results in a significant increase in transcription. Similarly, in the ROR γ cotransfection assay, SR1078 treatment results in a stimulation of ROR γ -dependent transcription activity^[1].

In Vivo: The pharmacokinetic properties of SR1078 are examined in mice and noted significant exposure. Plasma concentrations reach 3.6 μ M 1h after a 10 mg/kg i.p. injection of SR1078 and sustained levels of above 800 nM even 8h after the single injection. These levels are sufficient to perform a proof-of-principle experiment to determine if SR1078 treatment would stimulate ROR target gene expression in an animal model. Mice are treated with SR1078 (10 mg/kg i.p.) and 2h after the injection the livers are harvested and mRNA purified for assessment of *G6Pase* and *FGF21* gene expression. The expression of both *FGF21* and *G6Pase* is significantly stimulated by SR1078 treatment vs. vehicle control^[1].



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