

## 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

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)α/γ.

IC50 & Target: ROR $\alpha/\gamma^{[1]}$ 

*In Vitro:* SR1078 is a synthetic ROR $\alpha$ /ROR $\gamma$  ligand. SR1078 modulates the conformation of ROR $\gamma$  in a biochemical assay and activates ROR $\alpha$  and ROR $\gamma$  driven transcription. Furthermore, SR1078 stimulates expression of endogenous ROR target genes in HepG2 cells that express both ROR $\alpha$  and ROR $\gamma$ . 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 $\alpha$  and ROR $\gamma$ , but has no effect on the activity of FXR, LXR $\alpha$  and LXR $\beta$ . In a ROR $\alpha$  cotransfection assay, treatment of cells with SR1078 (10  $\mu$ M) results in a significant increase in transcription. Similarly, in the ROR $\gamma$  cotransfection assay, SR1078 treatment results in a stimulation of ROR $\gamma$ -dependent transcription activity<sup>[1]</sup>.

*In Vivo:* The pharmacokinetic properties of SR1078 are examined in mice and noted significant exposure. Plasma concentrations reach 3.6  $\mu$ 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<sup>[1]</sup>.



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