

# 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

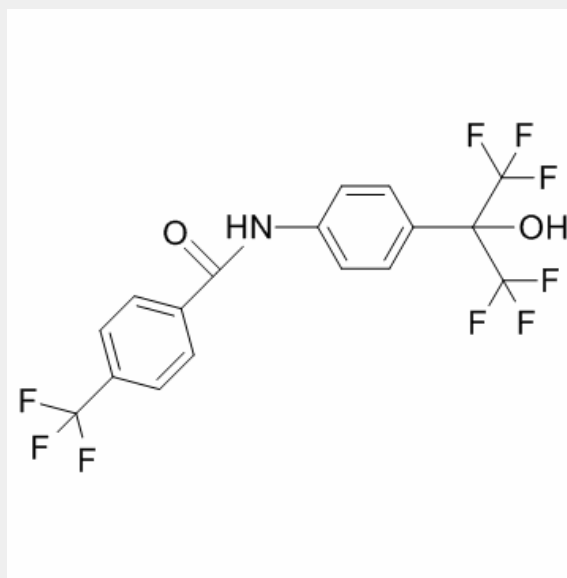
SR1078 is an agonist of retinoic acid receptor-related orphan receptor (**ROR**)

) $\alpha/\gamma$ .

IC50 & Target: ROR $\alpha/\gamma$ <sup>[1]</sup>

**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>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!