Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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: RORα/γ[1]

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

In Vivo: The pharmacokinetic properties of SR1078 are examined in mice and noted significant exposure. Plasma concentrations reach 3.6 μM 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.T he expression of both *FGF21* and *G6Pase* is significantly stimulated by SR1078 treatment vs. vehicle control[1].