Web: www.taiclone.com
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GSK3787

Catalog No: tcsc1262

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
188591-46-0

Formula:
$C_{15}H_{12}ClF_3N_2O_3S$

Pathway:
Cell Cycle/DNA Damage

Target:
PPAR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (127.30 mM); H2O :

Observed Molecular Weight:
392.78

Product Description

GSK3787 is a selective and irreversible peroxisome proliferator-activated receptor δ (**PPARδ**) antagonist with **pIC_{50}** of 6.6.

IC50 & Target: pIC50: 6.6 (PPARδ)[1]

In Vitro: GSK3787 is identified as a potent and selective hPPARδ ligand (pIC_{50}=6.6) with no measurable affinity for hPPARα or hPPARγ (pIC_{50}[1].

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In Vivo: GSK3787 has pharmacokinetic properties suitable for use as an in vivo PPARδ antagonist tool compound in mice. GSK3787 is administered intravenously (0.5 mg/kg) and orally (10 mg/kg) to male C57BL/6 mice. Mean clearance (CL) and volume of distribution at steady state (V_{ss}) following iv administration are 39±11 (mL/min)/kg and 1.7±0.4 L/kg, respectively. Following oral administration, good exposure (C_{max}=881±166 ng/mL, AUC_{inf}=3343±332 h•ng/mL), half-life (2.7±1.1 h), and bioavailability (F=77±17%) are observed[1]. Oral administration of GSK3787 (10 mg/kg) leads to a serum C_{max} of 2.2±0.4 μM in C57BL/6 male mice. Oral administration of GW0742 causes an increase in expression of Angptl4 and Adrp mRNA (known PPARβ/δ target genes) in wild-type mouse colon epithelium, and this effect is not found in *Ppar*β/δ-null mouse colon epithelium. Coadministration of GSK3787 with GW0742 effectively prevents the ligand-induced expression of both Angptl4 and Adrp mRNA in wild-type mouse colon epithelium, and this effect is not found in *Ppar*β/δ-null mouse colon epithelium. Oral administration of GSK3787 causes a modest increase in promoter occupancy of PPARβ/δ in the PPRE region of both the *Angptl4* and *Adrp* genes, but coadministration of GSK3787 with GW0742 results in markedly less accumulation of PPARβ/δ in the PPRE region of both the *Angptl4* and *Adrp* genes in wild-type mouse colon epithelium[2].