

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

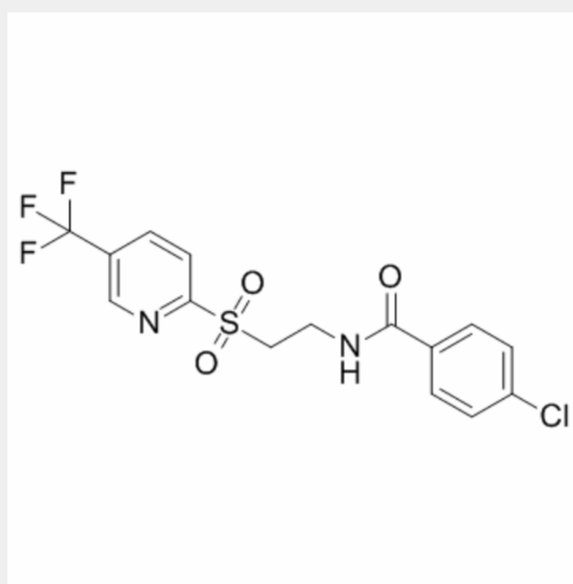
Product Description

GSK3787 is a selective and irreversible peroxisome proliferator-activated receptor δ (**PPAR δ**) antagonist with **pIC₅₀** of 6.6.

IC₅₀ & Target: pIC₅₀: 6.6 (PPAR δ)^[1]

In Vitro: GSK3787 is identified as a potent and selective hPPAR δ ligand (pIC₅₀=6.6) with no measurable affinity for hPPAR α or hPPAR γ (pIC₅₀^[1]).

In Vivo: GSK3787 has pharmacokinetic properties suitable for use as an in vivo PPAR δ 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 ($C_{max} = 881 \pm 166$ ng/mL, $AUC_{inf} = 3343 \pm 332$ h•ng/mL), half-life (2.7 ± 1.1 h), and bioavailability ($F = 77 \pm 17\%$) are observed^[1]. Oral administration of GSK3787 (10 mg/kg) leads to a serum C_{max} of 2.2 ± 0.4 μ M in C57BL/6 male mice. Oral administration of GW0742 causes an increase in expression of *Angptl4* and *Adrp* mRNA (known PPAR β/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 PPAR β/δ in the PPRE region of both the *Angptl4* and *Adrp* genes, but coadministration of GSK3787 with GW0742 results in markedly less accumulation of PPAR β/δ in the PPRE region of both the *Angptl4* and *Adrp* genes in wild-type mouse colon epithelium^[2].



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