



**GSK3787** 

Catalog No: tcsc1262



## **Available Sizes**

Size: 10mg

Size: 50mg



## **Specifications**

CAS No:

188591-46-0

Formula:

 $C_{15}H_{12}CIF_3N_2O_3S$ 

**Pathway:** 

Cell Cycle/DNA Damage

**Target:** 

**PPAR** 

**Purity / Grade:** 

>98%

**Solubility:** 

DMSO :  $\geq$  50 mg/mL (127.30 mM); H2O :

**Observed Molecular Weight:** 

392.78

## **Product Description**

GSK3787 is a selective and irreversible peroxisome proliferator-activated receptor  $\delta$  (**PPAR6**) antagonist with **pIC**<sub>50</sub> of 6.6.

IC50 & Target: pIC50: 6.6 (PPARδ)<sup>[1]</sup>

In Vitro: GSK3787 is identified as a potent and selective hPPAR $\delta$  ligand (pIC $_{50}$ =6.6) with no measurable affinity for hPPAR $\alpha$  or hPPAR $\gamma$  (pIC $_{50}$ [1].





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<sup>[1]</sup>. 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  $Ppar\beta/\delta$ -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  $Ppar\beta/\delta$ -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].

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