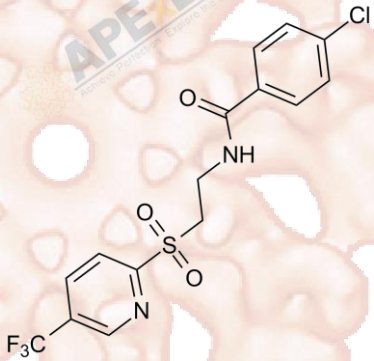
Product Data Sheet

GSK3787



Cat. No.:	A4303
CAS No.:	188591-46-0
Formula:	C15H12ClF3N2O3S
M.Wt:	392.78
Synonyms:	GSK-3787,GSK 3787
Target:	Metabolism
Pathway:	PPAR
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾15.8 mg/mL in DMSO; ⩾2.89 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.5460 mL	12.7298 mL	25.4595 mL
5 mM	0.5092 mL	2.5460 mL	5.0919 mL
10 mM	0.2546 mL	1.2730 mL	2.5460 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	PPARβ/δ antagonist,novel and irreversible
IC_{50} & Target	6.6 (pIC50) (PPARβ), 6.6 (pIC50) (PPARδ)

In Vitro

Cell Viability Assay

Cell Line:	Fibroblasts, keratinocytes, skin cancer cell A341, cancer cell lines MCF7 (breast), Huh7 (liver), and HepG2 (liver) cells, Huh7, HepG2 cells
Preparation method:	The solubility of this compound in DMSO is > 15.8 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	0.1-1.0 μM, 24 h
	Applications:	GSK3787 (1 μM) completely antagonized 50 nM GW0742-induced PPARβ/δ-dependent Angptl4 gene expression in wild-type fibroblasts and in keratinocytes. GSK3787 (1 μM) largely antagonized 50 nM GW0742-induced Angptl4 and Adrp mRNAs expression in skin cancer cell A341. GSK3787 (1 μM) largely antagonized GW0742-induced Angptl4 mRNAs expression in cancer cell lines MCF7 (breast), Huh7 (liver), and HepG2 (liver) cells. GSK3787 (1 μM) largely antagonizesd GW0742-induced increase of Adrp mRNA in Huh7 and HepG2 cells.
In Vivo	**Animal experiment**	
	Animal models:	male wild-type and Pparβ/δ-null mice
	Dosage form:	10 mg/kg, oral gavage 3 h before euthanasia
	Applications:	Administration of GSK3787 (10 mg/kg) effectively prevented the GW0742-induced expression of both Angptl4 and Adrp mRNA in wild-type mouse colon epithelium, which was correlated with reduced promoter occupancy of PPARβ/δ on the Angptl4 and Adrp genes. Administration of GSK3787 showed no effect on glucose tolerance.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Palkar P S, Borland M G, Naruhn S, et al. Cellular and pharmacological selectivity of the peroxisome proliferator-activated receptor-β/δ antagonist GSK3787[J]. Molecular pharmacology, 2010, 78(3): 419-430.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com