GSK2033

Cat. No.: HY-108688

CAS No.: 1221277-90-2

Molecular Formula: $C_{29}H_{28}F_3NO_5S_2$

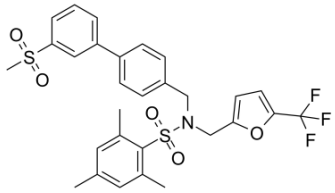
Molecular Weight: 591.66

Target: LXR

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 30 mg/mL (50.70 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6902 mL	8.4508 mL	16.9016 mL
	5 mM	0.3380 mL	1.6902 mL	3.3803 mL
	10 mM	0.1690 mL	0.8451 mL	1.6902 mL

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

BIOLOGICAL ACTIVITY

Description

GSK2033 is a LXR antagonist with pIC_{50}s of 7 and 7.4 for LXRα or LXRβ, respectively.

IC_{50} & Target

pIC50: 7 (LXRα), 7.4 (LXRβ)[1]

In Vitro

GSK2033 is a LXR antagonist with pIC_{50}s of 7 and 7.4 for LXRα or LXRβ, respectively. GSK2033 dose-dependently suppresses basal transcription in full-length LXRα or full-length LXRβ cotransfection assays with IC_{50}s of 17 nM and 9 nM, respectively. GSK2033 also effectively suppresses the transcription of an ABCA1 driven luciferase reporter dose-dependently displaying IC_{50}s of 52 nM for LXRα and 10 nM for LXRβ. GSK2033 also suppresses the expression of both of fatty acid synthase (FASN) and SREBP1[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

One month treatment of GSK2033 does not have significant effects on hepatic triglyceride levels. Plasma triglyceride levels are also unaffected by treatment with GSK2033[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	HepG2 cells are maintained in minimal essential medium supplemented with 10% FBS and antibiotics. HepG2 cells are then treated for 24 h with GSK2033 followed by assessment of expression of genes by qPCR[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	21-week old male C57BL6 DIO mice are used. Animals are individually housed and fed a high fat diet (60% kcal/fat diet, 20% carbohydrate) for the duration of the experiment that includes GSK2033 administration for 28 days (30 mg/kg, q. d, i. p.). Body weight and food intake are monitored daily[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Death Differ. 2020 Aug;27(8):2433-2450.
- Acta Pharmacol Sin. 2020 Dec 10.

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REFERENCES

[1]. Zuercher WJ, et al. Discovery of tertiary sulfonamides as potent liver X receptor antagonists. J Med Chem. 2010 Apr 22;53(8):3412-6.

[2]. Griffett K, et al. Promiscuous activity of the LXR antagonist GSK2033 in a mouse model of fatty liver disease. Biochem Biophys Res Commun. 2016 Oct 21;479(3):424-428.

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