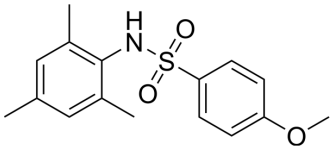
GSK137647A

Cat. No.:	HY-19995
CAS No.:	349085-82-1
Molecular Formula:	$C_{16}H_{19}NO_3S$
Molecular Weight:	305.39
Target:	Free Fatty Acid Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (327.45 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2745 mL	16.3725 mL	32.7450 mL
5 mM	0.6549 mL	3.2745 mL	6.5490 mL
10 mM	0.3275 mL	1.6373 mL	3.2745 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK137647A (GSK 137647) is a potent, selective free fatty acid receptor 4 (FFA4) agonist with pEC_{50} values of 6.3, 6.2, and 6.1 for human, mouse and rat FFA4, and pEC_{50} values < 4.5 for all three species for FFA1, FFA2, and FFA3, respectively. GSK137647A has anti-inflammatory activity. GSK137647A induces insulin secretion and inhibits epithelial ion transport, GSK137647A is related to regulation of glucose homeostasis and anti-inflammatory response[1][2].

In Vitro

GSK137647A (GSK 137647) (50 μM) reduces the production of NO in macrophages without affecting cell viability[1].
GSK137647A (GSK 137647) (30 μM; 12 hours) alleviates response to inflammatory stimuli in Caco-2 cells and induces secretion of IL-6[1].
GSK137647A (GSK 137647) (10 μM) reduces the ion flow and affects the colonic epithelial ion transport in healthy[1].
GSK137647A (GSK 137647) (50 μM) increases glucose stimulated insulin secretion[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Caco-2 cells
Concentration:	30 μM
Incubation Time:	12 hours
Result:	Downregulated FFAR1, FFAR2, and FFAR4 as compared to control.

Cell Viability Assay[1]

Cell Line:	RAW264.7 macrophages
Concentration:	10, 20 and 50 μM
Incubation Time:	24 hours
Result:	Without affected cell viability.

In Vivo

GSK137647A (GSK 137647) (1 mg/kg; i.p.; twice daily, for 7 days; C57BL/6 mice) alleviates colitis in TNBS- and DSS-treated mice[1].

GSK137647A (GSK 137647) (1 mg/kg; i.p.; twice daily, for 7 days; C57BL/6 mice) restores intestinal permeability in vivo[1].

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

Animal Model:	Male C57BL/6 mice[1]
Dosage:	1 mg/kg
Administration:	Intraperitoneal injection; twice daily, for 7 days
Result:	Had anti-inflammatory effect and reversed colonic injury induced by DSS.

Animal Model:	Male C57BL/6 mice[1]
Dosage:	1 mg/kg
Administration:	Intraperitoneal injection; twice daily, for 7 days
Result:	Decreased the amount of FITC and alleviated intestinal epithelial barrier permeability.

CUSTOMER VALIDATION

- Front Immunol. 2021 Jun 10;12:703914.

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REFERENCES

[1]. Salaga M, et, al. Activation of Free Fatty Acid Receptor 4 Affects Intestinal Inflammation and Improves Colon Permeability in Mice. Nutrients. 2021 Aug 6;13(8):2716.

[2]. Sparks SM, et, al. Identification of diarylsulfonamides as agonists of the free fatty acid receptor 4 (FFA4/GPR120). Bioorg Med Chem Lett. 2014 Jul 15;24(14):3100-3.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA