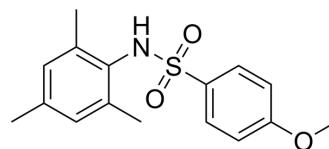


## GSK137647A

<b>Cat. No.:</b>	HY-19995		
<b>CAS No.:</b>	349085-82-1		
<b>Molecular Formula:</b>	C <sub>16</sub> H <sub>19</sub> NO <sub>3</sub> S		
<b>Molecular Weight:</b>	305.39		
<b>Target:</b>	Free Fatty Acid Receptor		
<b>Pathway:</b>	GPCR/G Protein		
<b>Storage:</b>	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 Concentration	Mass		
		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<sub>50</sub> values of 6.3, 6.2, and 6.1 for human, mouse and rat FFA4, and pEC<sub>50</sub> 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<sup>[1][2]</sup>.

#### In Vitro

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

Western Blot Analysis <sup>[1]</sup>	
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 <sup>[1]</sup>	
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 <sup>[1]</sup> .										
	GSK137647A (GSK 137647) (1 mg/kg; i.p.; twice daily, for 7 days; C57BL/6 mice) restores intestinal permeability in vivo <sup>[1]</sup> .										
	MCE has not independently confirmed the accuracy of these methods. They are for reference only.										
	<table border="1"> <tr> <td>Animal Model:</td> <td>Male C57BL/6 mice<sup>[1]</sup></td> </tr> <tr> <td colspan="2"><b>Caution: Product has not been fully validated for medical applications. For research use only.</b></td> </tr> <tr> <td>Dosage:</td> <td>1 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; twice daily, for 7 days</td> </tr> <tr> <td>Result:</td> <td>Had anti-inflammatory effect and reversed colonic injury induced by DSS.</td> </tr> </table>	Animal Model:	Male C57BL/6 mice <sup>[1]</sup>	<b>Caution: Product has not been fully validated for medical applications. For research use only.</b>		Dosage:	1 mg/kg	Administration:	Intraperitoneal injection; twice daily, for 7 days	Result:	Had anti-inflammatory effect and reversed colonic injury induced by DSS.
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## 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.