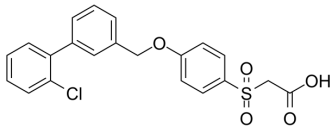
GPR40 agonist 4

Cat. No.:	HY-103083
CAS No.:	2102196-57-4
Molecular Formula:	$C_{21}H_{17}ClO_5S$
Molecular Weight:	416.87
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 : 160 mg/mL (383.81 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3988 mL	11.9941 mL	23.9883 mL
	5 mM	0.4798 mL	2.3988 mL	4.7977 mL
	10 mM	0.2399 mL	1.1994 mL	2.3988 mL

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

BIOLOGICAL ACTIVITY

Description

GPR40 agonist 4 is a potent free fatty acid receptor 1 (FFA1/ GPR40) agonist with a pEC_{50} of 7.54.

IC_{50} & Target

pEC_{50}: 7.54 (FFA1/GPR40)[1]

In Vitro

GPR40 agonist 4 tends to have a low risk of activating caspase-3/7[1].

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

In Vivo

Single oral administration of GPR40 agonist 4 (compound 20) robustly reduces the plasma glucose excursion and enhances insulin secretion during an oral glucose tolerance test (OGTT) in a dose-dependent manner from 1 to 10 mg/kg when GPR40 agonist 4 is dosed 60 min prior to the oral glucose challenge. The area under the curve of blood glucose ($AUC_{0\text{-}120min}$) and blood insulin ($AUC_{0\text{-}120min}$) reveal that the minimum effective dose of GPR40 agonist 4 is 3 mg/kg. The hyperglycemia state is also markedly improved in GPR40 agonist 4 (20 mg/kg) treated group[1].

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

PROTOCOL

Cell Assay [1]	Human hepatocyte HepG2 cells are cultured at 37°C, 5% CO_2 in DMEM supplemented with 10% fetal bovine serum, 50 μg/mL streptomycin and 50 IU/mL penicillin. Cells are seeded in a 96-well plate (2×10^4 cells/well) and cultured with GPR40 agonist 4 (compound 20) in DMEM for 24 h. FGPR40 agonist 4 is measured in three independent experiments[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	8 weeks old normal male SD rats after 1 week adaptation are fasted overnight (12 h), weighted, bled via the tail vein, and randomized into 5 groups (n=6 for each group). Rats are administrated orally with a single doses of vehicle (0.5% methylcellulose aqueous solution) or GPR40 agonist 4 (compound 20) (1, 3 and 10 mg/kg suspended in vehicle) and subsequently dosed orally with glucose aqueous solution (3 g/kg) after 60 min. Blood samples are collected immediately before drug administration (~60 min), before glucose challenge (0 min), and at 15, 30, 60 and 120 min post-dose. The blood glucose is measured by blood glucose test strips[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Li Z, et al. Discovery of phenylsulfonyl acetic acid derivatives with improved efficacy and safety as potent free fatty acid receptor 1 agonists for the treatment of type 2 diabetes. Eur J Med Chem. 2017 Sep 29;138:458-479.

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