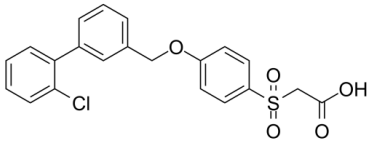
Data Sheet

Product Name:	GPR40 agonist 4
Cat. No.:	CS-0023823
CAS No.:	2102196-57-4
Molecular Formula:	C21H17ClO5S
Molecular Weight:	416.87
Target:	GPR40
Pathway:	GPCR/G Protein
Solubility:	DMSO : 160 mg/mL (383.81 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

GPR40 agonist 4 is a potent **free fatty acid receptor 1** (**FFA1/ GPR40**) agonist with a **pEC_{50}** of 7.54. IC50 & 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

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]. **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].

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.

CAIndexNames:

Acetic acid, 2-[[4-[(2'-chloro[1,1'-biphenyl]-3-yl)methoxy]phenyl]sulfonyl]-

SMILES:

ClC1=CC=CC=C1C2=CC(COC3=CC=C(S(CC(O)=O)(=O)=O)C=C3)=CC=C2

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

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