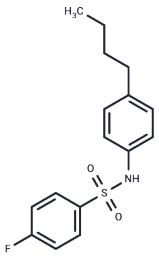
Data Sheet (Cat.No.T7127)

TargetMol

DC260126

Chemical Properties



CAS No. : 346692-04-4

Formula: C16H18FNO2S

Molecular Weight: 307.38

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	DC260126 is a small-molecule antagonist of FFA1 (GPR40)
Targets(IC50)	Apoptosis,GPCR
In vivo	DC260126, a small molecule antagonist of GPR40, on β-cell function following administration of 10 mg/kg dose of DC260126 to obese diabetic db/db mice. Oral glucose tolerance test, glucose stimulated insulin secretion and insulin tolerance test were used to investigate the pharmacological effects of DC260126 on db/db mice after 21-days treatment. Immunohistochemistry and serum biochemical analysis were also performed. Although no significant change of blood glucose levels was found in DC260126-treated mice, DC260126 significantly inhibited glucose stimulated insulin secretion, reduced blood insulin level and improved insulin sensitivity after 3 weeks administration in db/db mice. Moreover, DC260126 reduced the proinsulin/insulin ratio and the apoptotic rate of pancreatic β-cells remarkably in DC260126-treated db/db mice compared to vehicle-treated mice ($p<0.05$, $n = 8$). Suggest that although DC260126 could not provide benefit for improving hyperglycemia, it could protect against pancreatic β-cells dysfunction through reducing overload of β-cells, and it increases insulin sensitivity possibly via alleviation of hyperinsulinemia in db/db mice[1].
Animal Research	To investigate the dose-dependent effect of DC260126, nine-week-old db/db male mice were divided into four groups ($n = 6$/group). Mice were give vehicle (5% DMSO in PBS) or DC260126 (3, 10, 30 mg/kg) once daily by tail vein injection for 5 days. At day 5, each group of mice were fasted for 6 h and blood samples were collected from orbital venous plexus and centrifuged for serum separation. Then the concentration of serum insulin level was measured by ELISA kit following its protocol. For long term experiments, six-week-old obese db/db male mice were divided into two groups ($n = 8$/group) and given vehicle (5% DMSO in PBS) or DC260126 (10 mg/kg) once daily by tail vein injection for 24 days, respectively. Meanwhile, their lean littermates were treated with vehicle in an identical manner as normal control. Body weight and food intake were recorded regularly. After 6 h fasting, blood glucose concentrations were monitored by tail vein blood using a glucometer every week. At the end of the experiment, mice were fasted for 12 h to perform oral glucose tolerance test (OGTT, day 21) and for 6 h to generate insulin tolerance test (ITT, day 23) as described with slight modification indicated . Meanwhile, the insulin release during OGTT was also measured, blood sample was obtained from tail veins and serum insulin concentration was determined by ELISA kit

Animal Research	(Alpco, USA). At the end of experiment (day 24), mice were fasted for 6 h, and blood samples were collected from orbital venous plexus and centrifuged for serum separation. Then the animals were killed by CO2 inhalation, the pancreas tissue were removed and kept in 4% paraformaldehyde[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (325.33 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (13.01 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2533 mL	16.2665 mL	32.533 mL
5 mM	0.6507 mL	3.2533 mL	6.5066 mL
10 mM	0.3253 mL	1.6267 mL	3.2533 mL
50 mM	0.0651 mL	0.3253 mL	0.6507 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Peng S , Ting W , Yuren Z , et al. DC260126: A Small-Molecule Antagonist of GPR40 that Protects against Pancreatic β-Cells Dysfunction in db/db Mice[J]. PLoS ONE, 2013, 8(6):e66744-.

Zhang X , Yan G , Li Y , et al. DC260126, a small-molecule antagonist of GPR40, improves insulin tolerance but not glucose tolerance in obese Zucker rats[J]. Biomedicine and Pharmacotherapy, 2010, 64(9):0-651.