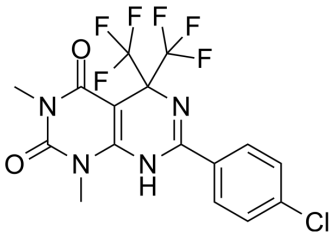
GLP-1R Antagonist 1

Cat. No.:	HY-101116
CAS No.:	488097-06-9
Molecular Formula:	$C_{16}H_{11}ClF_6N_4O_2$
Molecular Weight:	441
Target:	GCGR
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 (226.76 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2676 mL	11.3379 mL	22.6757 mL
5 mM	0.4535 mL	2.2676 mL	4.5351 mL
10 mM	0.2268 mL	1.1338 mL	2.2676 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 (5.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GLP-1R Antagonist 1 (compound 5d) is an orally active, CNS penetrant and non-competitive antagonist of glucagon-like peptide 1 receptor (GLP-1R), with an IC_{50} of 650 nM[1].

IC_{50} & Target

IC50: 650 nM (GLP-1R)[1].

In Vitro

GLP-1R Antagonist 1 (compound 5d) (0.3-10 μM) inhibits the activity of glucagon-like peptide 1 (GLP-1) (7-36) amide in a dose-dependent manner in human TREx293 HEK cells[1].

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

In Vivo

GLP-1R Antagonist 1 (compound 5d) (10 mg/kg, p.o.) increases the levels of blood glucose and decreases the levels of blood insulin in male Sprague-Dawley rats[1].

Pharmacokinetic Analysis in MC38 Syngeneic Model[1]

Route	Dose (mg/kg)	CL_p (mL/min/kg)	$t_{1/2}$ (min)	V_{ss} (L/kg)	%F
i.v., p.o.	1, 3	4.79	587	3.57	50

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

REFERENCES

[1]. Kellie D. Nance, et al. Discovery of a novel series of orally bioavailable and CNS penetrant Glucagon-Like Peptide 1 Receptor (GLP-1R) non-competitive antagonists based on a 1,3-disubstituted-7-aryl-5,5-bis(trifluoromethyl)-5,8-dihydropyrimido[4,5-d]pyrimidine-2,4(1H,3H)-dione core. J. Med. Chem. 19 Jan 2017.

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