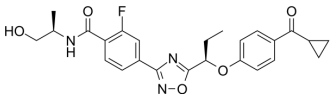
Firuglipel

Cat. No.:	HY-109032
CAS No.:	1371591-51-3
Molecular Formula:	$C_{25}H_{26}FN_3O_5$
Molecular Weight:	467.49
Target:	GPR119
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (213.91 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1391 mL	10.6954 mL	21.3908 mL
5 mM	0.4278 mL	2.1391 mL	4.2782 mL
10 mM	0.2139 mL	1.0695 mL	2.1391 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Firuglipel (DS-8500a) is an orally available, potent and selective GPR119 agonist.

IC_{50} & Target

GPR119[1]

In Vitro

Firuglipel (DS-8500a) increases intracellular cAMP in a concentration-dependent manner in human, rat, and mouse GPR119-expressing Chinese hamster ovary (CHO)-K1 cells, with EC_{50} values of 51.5, 98.4, and 108.1 nM, respectively. DS-8500a has no effect on intracellular cAMP in pcDNA3.1/CHO-K1 cells[1].

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

In Vivo

Firuglipel (DS-8500a) (1-30 mg/kg) upregulates glucagon-like peptide-1 and enhances glucose-dependent insulin secretion

and improves glucose homeostasis in type 2 diabetic rats[1].

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

PROTOCOL

Animal Administration [1]

Rats[1]

Male SD rats (8 weeks) are fasted overnight and orally given vehicle (0.5% MC), DS-8500a (0.1, 1, 3 and 10 mg/kg), or glimepiride (10 mg/kg). Thirty minutes later, all animals received a 50% glucose solution intravenously (glucose load: 0.5 g/kg). Blood collection is performed from the tail vein 35 minutes before, 5 minutes before, and 5 minutes after the glucose load. The plasma insulin concentration is measured using ELISA kits.

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

REFERENCES

[1]. Matsumoto K, et al. DS-8500a, an Orally Available G Protein-Coupled Receptor 119 Agonist, Upregulates Glucagon-Like Peptide-1 and Enhances Glucose-Dependent Insulin Secretion and Improves Glucose Homeostasis in Type 2 Diabetic Rats. J Pharmacol Exp Ther. 2018 Dec;367(3):509-517.

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