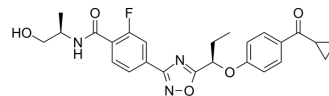


Firuglipel

Cat. No.:	HY-109032
CAS No.:	1371591-51-3
Molecular Formula:	C ₂₅ H ₂₆ FN ₃ O ₅
Molecular Weight:	467.49
Target:	GPR119
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (213.91 mM; Need ultrasonic)						
	Preparing Stock Solutions	Solvent Concentration	Mass	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.

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

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