

Product Data Sheet

Product Name: Firuglipel

Cat. No.: GC31508

Chemical Properties

Cas. No. 1371591-51-3

SMILES CC[C@H](C1=NC(C2=CC(F)=C(C(N[C@H](C)CO)=O)C=C2)=NO1)OC3=CC=C(C(C4CC4)=O)C=C3

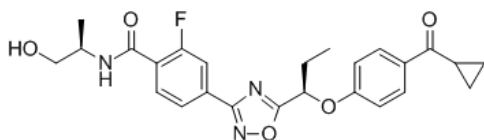
Formula $C_{25}H_{26}FN_3O_5$ M.Wt 467.49

Solubility DMSO : 100 mg/mL (213.91 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Animal experiment: 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.

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.

Background

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

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 EC₅₀ 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].

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].

[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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