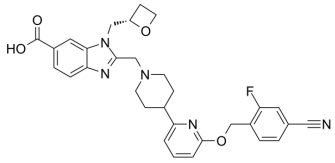
Danuglipron

Cat. No.:	HY-125824
CAS No.:	2230198-02-2
Molecular Formula:	$C_{31}H_{30}FN_5O_4$
Molecular Weight:	555.6
Target:	GCGR
Pathway:	GPCR/G Protein
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 : 116.67 mg/mL (209.99 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7999 mL	8.9993 mL	17.9986 mL
	5 mM	0.3600 mL	1.7999 mL	3.5997 mL
	10 mM	0.1800 mL	0.8999 mL	1.7999 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: ≥ 2.08 mg/mL (3.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Danuglipron (PF-06882961) is an orally active glucagon-like peptide-1 receptor (GLP-1R) agonist. Danuglipron has the potential for type 2 diabetes research[1][2].

IC_{50} & Target

GLP-1R[1][2]

In Vitro

Danuglipron (PF-06882961) shows agonist activities at both the cAMP and βArr pathways. Danuglipron is a full agonist (EC_{50} of 13 nM) in the CS cAMP assay. Danuglipron is a partial agonist in recruiting βArr2 (EC_{50} of 490 nM)[1].

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

In Vivo

Danuglipron (PF-06882961; 10 mg/kg; po; a single dose) reduces blood glucose levels following intraperitoneal glucose tolerance test (IPGTT) in the hGLP-1R mouse model[2].

Pharmacokinetic Parameters of Danuglipron in Male Wistar rats and Male cynomolgus monkeys[1].

Species	Dose (mg/kg)	C_{max} (ng/mL)	T_{max} (h)	$AUC_{0-\infty}$ (ng h/mL)	CL_p (mL/min/kg)	Vd_{ss} (L/kg)	$t_{1/2}$ (h)	Oral F (%)
rat	1.0 (iv)	-	-	296 ± 39.8	57.3 ± 8.68	0.86 ± 0.38	1.13 ± 0.84	-
rat	5.0 (po)	141	0.5	168	-	-	0.63	11
rat	100 (po)	2820	0.75	11900	-	-	2.37	39
monkey	1.0 (iv)	-	-	1240	13.8	0.266	1.89	-
monkey	5.0 (po)	68.7	1.5	303	-	-	6.92	5.0
monkey	100 (po)	1150 ± 715	3.3 ± 2.5	11000 ± 3500	-	-	6.37	9.0

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

Animal Model:	C57BL/6 mice expressing humanized GLP-1R[2]
Dosage:	10 mg/kg
Administration:	po; a single dose
Result:	Lowered blood glucose levels following IPGTT.

CUSTOMER VALIDATION

- Expert Opin Emerg Drugs. 2021 Jun 28.
- bioRxiv. 2024 April 30.

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REFERENCES

[1]. David A Griffithm, et al. A Small-Molecule Oral Agonist of the Human Glucagon-like Peptide-1 Receptor. J Med Chem. 2022 Jun 23;65(12):8208-8226.

[2]. W. GUO, et al. Preclinical pharmacology of low molecular weight GLP-1 receptor agonist XW014.

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

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