Orforglipron hemicalcium hydrate

Cat. No.: HY-112185A

CAS No.: 3008544-96-2

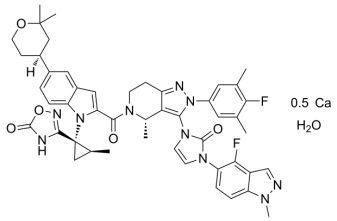
Molecular Formula: $C_{48}H_{48}F_2N_{10}O_5.1/2Ca.H_2O$

Molecular Weight: 921.02

Target: GCGR

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (27.14 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0858 mL	5.4288 mL	10.8575 mL
	5 mM	0.2172 mL	1.0858 mL	2.1715 mL
	10 mM	0.1086 mL	0.5429 mL	1.0858 mL

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

BIOLOGICAL ACTIVITY

Description

Orforglipron hemicalcium hydrate (LY3502970 hemicalcium hydrate; GLP-1 receptor agonist 1 hemicalcium hydrate) is the calcium salt hydrate form of Orforglipron (HY-112185). Orforglipron is an orally active agonist for Glucagon-like peptide-1 receptor (GLP-1R), which exhibits potency in ameliorating the type 2 diabete[1].

In Vivo

Orforglipron hemicalcium hydrate (0.94-4.8 nM in plasma concentration, i.v., or 0.05-0.1 mg/mL, i.g. for 5 days) suppresses food intake in a dose-dependent manner, promotes insulin secretion and blood glucose reduction in cynomolgus monkey model[1].

Orforglipron hemicalcium hydrate (0.05-1.35 mg/kg, i.g.) reaches C_{max} 2 hours after administration at all doses, exhibits proportional ratio of increase in plasma drug exposure to dose increase, indicates a dose-dependent absorption in the gastrointestinal tract[1].

Pharmacokinetic Analysis of Orforglipron hemicalcium hydrate in cynomolgus monkey [1]

route	Dose (mg/kg)	T_{max} (h)	C_{max} (ng/mL)	AUC_{0-24h} (ng·h/mL)

i.g.	0.05	2.0	4.78	23.7
i.g.	0.15	2.0	20.7	135
i.g.	0.45	2.0	32.0	208
i.g.	1.35	2.0	148	1040

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

Animal Model:	cynomolgus monkey model[1]
Dosage:	0.9-4.8 nM; or 0.05-0.1 mg/mL
Administration:	continuous i.v. administration for 30 minutes until a plasma concentration of 0.9-4.8 nM at steady state; i.g. for 5 days with dose of 0.05-0.1 mg/mL
Result:	Increased insulin secretion and decreased plasma-glucose. Suppressed food intake in a dose-dependent manner.

REFERENCES

[1]. Pyrazolopyridine derivative having glp-1 receptor agonist effect. WO2018056453A1

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