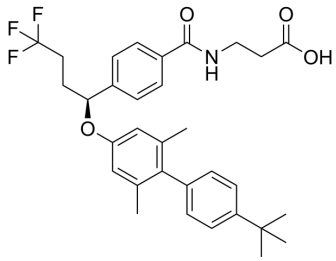
Adomeglivant

Cat. No.:	HY-19904
CAS No.:	1488363-78-5
Molecular Formula:	$C_{32}H_{36}F_3NO_4$
Molecular Weight:	555.63
Target:	GCGR
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (179.98 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7998 mL	8.9988 mL	17.9976 mL
5 mM	0.3600 mL	1.7998 mL	3.5995 mL
10 mM	0.1800 mL	0.8999 mL	1.7998 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 (4.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.50 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Adomeglivant (LY2409021) is a potent, selective glucagon receptor (GluR) allosteric antagonist. Adomeglivant is widely used in the research for type 2 diabetes mellitus[1][2][3].

IC_{50} & Target

GluR[1][2]

In Vitro

Adomeglivant dose-dependently blocks glucagon-induced the raise levels of cAMP in HEK293-GluR cells[2].

Adomeglivant fails to block cAMP-elevating actions of adenosine[2].
Adomeglivant exhibits high selectivity for family B GPCRs, and specifically interacts with a conserved binding motif within the GluR, GLP-1R, and GIP-R[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Adomeglivant (5 mg/kg; i.p.) completely abolishes the hyperglycaemic action of CNO (clozapine-N-oxide) in $Avp^{ires\text{-}Cre+}$ mice. (CNO is a specific, pharmacologically inert agonist for hM3Dq-induced membrane depolarisation and increased the firing rate in hM3Dq-expressing arginine-vasopressin (AVP) neurons.)[3]
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	$Avp^{ires\text{-}Cre+}$ mice[3]
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection, 30 minutes prior to CNO
Result:	Completely abolished the hyperglycaemic action of CNO.

CUSTOMER VALIDATION

- Eur J Med Chem. 2020, 113118.
- Cells. 2023 Apr 6, 12(7), 1098.
- Cell Signal. 2021 Apr 16;110010.
- J Biol Chem. 2019 Mar 8;294(10):3514-3531.

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REFERENCES

[1]. Sonam Grover, et al. Computational identification of novel natural inhibitors of glucagon receptor for checking type II diabetes mellitus. BMC Bioinformatics. 2014; 15(Suppl 16): S13.

[2]. Oleg G Chepurny, et al. Non-conventional glucagon and GLP-1 receptor agonist and antagonist interplay at the GLP-1 receptor revealed in high-throughput FRET assays for cAMP. J Biol Chem. 2019 Mar 8;294(10):3514-3531.

[3]. Angela Kim, et al. AVP-induced counter-regulatory glucagon is diminished in type 1 diabetes. bioRxiv. January 31, 2020.

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