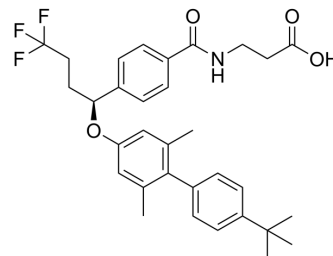


Adomeglivant

Cat. No.:	HY-19904
CAS No.:	1488363-78-5
Molecular Formula:	C ₃₂ H ₃₆ F ₃ NO ₄
Molecular Weight:	555.63
Target:	GCGR
Pathway:	GPCR/G Protein
Storage:	<div>Powder</div> <div>-20°C 3 years</div> <div>4°C 2 years</div> <div>In solvent</div> <div>-80°C 2 years</div> <div>-20°C 1 year</div>



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (179.98 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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]}.

IC₅₀ & 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-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:	<i>Avp^{ires-Cre+}</i> 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. 2021 Feb 15;212:113118.
- Cells. 2023 Apr 6;12(7):1098.
- Cell Signal. 2021 Aug;84:110010.

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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.

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

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