Larazotide acetate

Cat. No.: HY-106268A

CAS No.: 881851-50-9

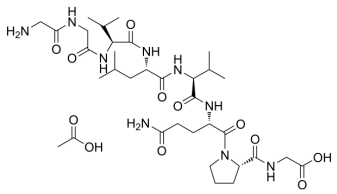
Molecular Formula: $C_{34}H_{59}N_9O_{12}$

Molecular Weight: 785.89

Target: Gap Junction Protein

Pathway: Cytoskeleton

Storage: Sealed storage, away from moisture
Powder -80°C 2 years
-20°C 1 year
* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 16.67 mg/mL (21.21 mM; Need ultrasonic)
DMSO : 3.2 mg/mL (4.07 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.2724 mL	6.3622 mL	12.7244 mL
	5 mM	0.2545 mL	1.2724 mL	2.5449 mL
	10 mM	0.1272 mL	0.6362 mL	1.2724 mL

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

In Vivo

1. Add each solvent one by one: PBS
Solubility: 100 mg/mL (127.24 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Larazotide acetate is a peptide which is an orally active zonulin antagonist. Larazotide acetate shows antiviral activity to varicella-zoster virus (VZV) with EC_{50}s of 44.14 and 59.06 μM for strain OKA and 07-1, respectively. Larazotide acetate can be used for the research of celiac disease and infection.

IC_{50} & Target

Paracellular permeability[1]

In Vitro

Larazotide acetate (1-100 μM; 5 d) affects Vero cell growth[1].
Larazotide acetate (1-100 μM; 3 d) shows antiviral activity to varicella-zoster virus (VZV) with EC_{50}s of 44.14 and 59.06 μM for strain OKA and 07-1, respectively[1].
Larazotide acetate (1 and 3 mM; 72 h) inhibits cytokine-induced tight junction permeability in Caco-2 cells[2].
Larazotide acetate (12.5 mM; 1 h) inhibits PTG-induced ZO-1 redistribution and actin cytoskeletal rearrangement in IEC6

cells[2].

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

Cell Cytotoxicity Assay[1]

Cell Line:	Vero cell line
Concentration:	1-100 μM
Incubation Time:	5 days
Result:	Inhibited Vero cell growth with an CC_{50} value of 82.5 μM.

In Vivo

Larazotide acetate (250 μg; i.p. twice a week for 7 weeks) inhibits intestinal permeability in gluten-sensitive transgenic mice [1].

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

Animal Model:	HLA-HCD4/DQ8 double transgenic mice[2]
Dosage:	250 μg
Administration:	Intraperitoneal injection; 250 μg twice a week for 7 weeks
Result:	Improved barrier function parameters and reduced macrophage counts in the lamina propria to control levels.

CUSTOMER VALIDATION

- Nat Commun. 2020 Jun 19;11(1):3151.
- EBioMedicine. 2021 Oct 20;73:103641.
- J Dent Res. 2022 Sep 12;220345221118508.

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REFERENCES

[1]. Di Micco S, et al. Peptide Derivatives of the Zonulin Inhibitor Larazotide (AT1001) as Potential Anti SARS-CoV-2: Molecular Modelling, Synthesis and Bioactivity Evaluation. Int J Mol Sci. 2021 Aug 30;22(17):9427.

[2]. Gopalakrishnan S, et al. Larazotide acetate regulates epithelial tight junctions in vitro and in vivo. Peptides. 2012 May;35(1):86-94.

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