Zilucoplan

Cat. No.: HY-P3502

CAS No.: 1841136-73-9

Molecular Formula: $C_{172}H_{278}N_{24}O_{55}$

Molecular Weight: 3562.18

Target: Complement System

Pathway: Immunology/Inflammation

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

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

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (28.07 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.2807 mL	1.4036 mL	2.8073 mL
	5 mM	0.0561 mL	0.2807 mL	0.5615 mL
	10 mM	0.0281 mL	0.1404 mL	0.2807 mL

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

BIOLOGICAL ACTIVITY

Description

Zilucoplan (RA101495), a 15-amino acid macrocyclic peptide, is a potent complement component 5 (C5) inhibitor. Zilucoplan can be used in research of immune-mediated necrotising myopathy (IMNM)[1][2].

In Vitro

Zilucoplan (RA101495; 1-1000 nM; 30 min) inhibit Lipopolysaccharides-induced increase in C5a plasma levels in human whole blood with an IC_{50} value of 474.5 pM. Zilucoplan has a 65.7% reduction in C5a plasma levels observed at a concentration of 1 nM[2].

Zilucoplan bins to complement component 5 (C5) and blocks the downstream assembly of the membrane attack complex (MAC; C5b-9) by inhibiting the cleavage of C5 by the C5 convertase into C5a and C5b and binding to preformed C5b to sterically block interaction with C6, thereby inhibiting the formation of membrane pores and subsequent cell death[1].

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

In Vivo

Zilucoplan (RA101495; 10 mg/kg; S.C.; daily, for 6 d) prevents the development of immune-mediated necrotising myopathy (IMNM) in C5-deficient mice supplemented with human complement[1].

Zilucoplan (10 mg/kg; S.C.; daily, for 6 d) has protection on myopathy prevention in C57BL/6 mice[1].

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

Animal Model:	C57BL/10SnJ C5-deficient ($C5^{def}$) mice with anti-$HMGCR^{+}$ IMNM IgG xenografts[1]
Dosage:	10 mg/kg
Administration:	Subcutaneous injection; daily, for 6 days
Result:	Prevented muscle strength loss in $C5^{def}$ mice with less complement deposition on myofibres and consequently less necrosis/regeneration.

Animal Model:	C57BL/6 mice with anti-$HMGCR^{+}$ IMNM IgG xenografts[1]
Dosage:	10 mg/kg
Administration:	Subcutaneous injection; daily, for 6 days
Result:	Prevented muscle weakness and reduced regenerated myofibres. Decreased necrotic cells as well as regenerating cells expressing foetal myosin.

REFERENCES

[1]. Julien S, et, al. Prevention of Anti-HMGCR Immune-Mediated Necrotising Myopathy by C5 Complement Inhibition in a Humanised Mouse Model. Biomedicines. 2022 Aug 20;10(8):2036.

[2]. Gorman DM, et, al. Chemical synthesis and characterisation of the complement C5 inhibitory peptide zilucoplan. Amino Acids. 2021 Jan;53(1):143-147.

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