

Product Data Sheet

Zilucoplan

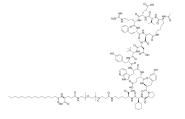
Cat. No.: HY-P3502 CAS No.: 1841136-73-9

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)



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 <u>Lipopolysaccharides</u>-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].

 $Zilucoplan~(10~mg/kg; S.C.; daily, for~6~d)~has~protection~on~myopathy~prevention~in~C57BL/6~mice \cite{Mice_12}. \\$

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

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.

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

Tel: 609-228-6898 Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

Page 2 of 2 www.MedChemExpress.com