Murepavadin

Cat. No.:	HY-P1674
CAS No.:	944252-63-5
Molecular Formula:	$C_{73}H_{112}N_{22}O_{16}$
Molecular Weight:	1553.81
Sequence:	Cyclo(Ala-Ser-{d-Pro}-Pro-Thr-Trp-Ile-{Dab}-{Orn}-{d-Dab}-{Dab}-Trp-{Dab}-{Dab})
Sequence Shortening:	Cyclo(AS-{d-Pro}-PTWI-{Dab}-{Orn}-{d-Dab}-{Dab}-W-{Dab}-{Dab})
Target:	Bacterial; Antibiotic
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Murepavadin (POL7080), a 14-amino-acid cyclic peptide, is a highly potent, specific antibiotic. Murepavadin exhibits a potent antimicrobial activity for P. aeruginosa with both MIC_{50} and MIC_{90} values of 0.12 mg/L. Murepavadin also can target the lipopolysaccharide transport portin D. Murepavadin can be used for the research of bacterial resistance[1][2].

IC_{50} & Target

MIC50: 0.12 mg/L(P. aeruginosa)[2] MIC90: 0.12 mg/L(P. aeruginosa)[2]IC50: 5.84 μM (gentamicin)[2]

In Vitro

Murepavadin has activity against P. aeruginosa with MIC_{50} and MIC_{90} values both of 0.12 mg/L[2].
Murepavadin inhibits megalin-mediated uptake of gentamicin in vitro with an IC_{50} value of 5.84 μM[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Murepavadin (s.c.; 0-100 mg/kg) is active in pre-clinical animal models including infections with XDR isolates[2].
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Animal Model:	murine models of P. aeruginosa infection[2]
Dosage:	0-100 mg/kg
Administration:	Subcutaneous, q24h or q12h
Result:	Resulted in an increase in survival rate to 100% and showed significantly lower CFU levels both in the blood and in the peritoneal fluid at 2 and 10 mg/kg 1 h post-infection.

Animal Model:	Mouse, rat, rabbit, and monkey[2]
Dosage:	0-5 mg/kg
Administration:	Intraperitoneal or subcutaneous, single
Result:	Followed a two-compartment model following intravenous administration and decline of plasma concentrations. Distributed into the aqueous phase of the body, and systemic plasma clearance (CL)

	values were similar to the species-specific glomerular filtration rates (GFRs) . Had high bioavailability (67.79%) after subcutaneous (s.c.) administration in rats but had low oral bioavailability (<0.01%). Had a linear relationship between ELF AUC and unbound plasma AUC in mouse. Did not readily cross the blood/brain barrier.

CUSTOMER VALIDATION

- Front Immunol. 2021 Jun 23;12:689410.
- Microbiol Spectr. 2023 Sep 5;e0125723.

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REFERENCES

[1]. Ignacio Martin-Loeches, et al. Murepavadin: a new antibiotic class in the pipeline. Expert Rev Anti Infect Ther. 2018 Apr;16(4):259-268.

[2]. Matteo Bassetti, et al. New antibiotics for ventilator-associated pneumonia. Curr Opin Infect Dis. 2018 Jan 13.

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

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