Murepavadin TFA

Cat. No.: HY-P1674A

Molecular Formula: $C_{73}H_{112}N_{22}O_{16}.C_2HF_3O_2$

Molecular Weight: 1667.83

Sequence Shortening: Cyclo(AS-{d-Pro}-PTWI-{Dab}-{Orn}-{d-Dab}-{Dab}-W-{Dab}-{Dab})

Cyclo(AS-{d-Pro}-PTWI-{Dab}-{Orn}-{d-Dab}-{Dab}-W-{Dab}-{Dab}) (TFA salt)

Target: Bacterial; Antibiotic

Pathway: Anti-infection

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

H_2O : 50 mg/mL (29.98 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.5996 mL	2.9979 mL	5.9958 mL
	5 mM	0.1199 mL	0.5996 mL	1.1992 mL
	10 mM	0.0600 mL	0.2998 mL	0.5996 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (14.99 mM); Clear solution; Need ultrasonic and warming

BIOLOGICAL ACTIVITY

Description

Murepavadin (POL7080) (TFA), a 14-amino-acid cyclic peptide, is a highly potent, specific antibiotic. Murepavadin exhibits a potent antimicrobial activity for P. aeruginosa with MIC_{50} and MIC_{90} values both 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.; 0-100mg/kg) is active in pre-clinical animal models including infections with XDR isolates[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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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.

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