AMY-101 acetate

Cat. No.: HY-P1717B

Molecular Formula: $C_{85}H_{121}N_{23}O_{20}S_2$

Molecular Weight: 1849.16

Sequence Shortening: YICV-{Trp(Me)}-QDW-{Sar}-AHRC-{N(Me)Ile}-NH2 (Disulfide bridge:Cys3-Cys13)

YICV-{Trp(Me)}-QDW-{Sar}-AHRC-{N(Me)Ile}-NH_2 (Disulfide bridge:Cys3-Cys13) (acetate salt)

Target: Complement System; SARS-CoV

Pathway: Immunology/Inflammation; Anti-infection

Storage: Sealed storage, away from moisture and light

Powder -80°C 2 years

-20°C 1 year

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

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (54.08 mM; Need ultrasonic)

H_2O : 50 mg/mL (27.04 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.5408 mL	2.7039 mL	5.4079 mL
5 mM	0.1082 mL	0.5408 mL	1.0816 mL
10 mM	0.0541 mL	0.2704 mL	0.5408 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (1.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (1.35 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (1.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AMY-101 acetate (Cp40 acetate), a peptidic inhibitor of the central complement component C3 (K_D = 0.5 nM), inhibits naturally occurring periodontitis in non-human primates (NHPs). AMY-101 acetate (Cp40 acetate) exhibits a favorable anti-inflammatory activity in models with COVID-19 severe pneumonia with systemic hyper inflammation[1][2].

IC_{50} & Target

KD: 0.5 nM (C3)[1].

In Vivo

AMY-101 can improve the periodontal condition of NHPs with natural chronic periodontitis[1].
AMY-101 can induce a long-lasting anti-inflammatory effect[1].
AMY-101 (4 mg/kg bodyweight, subcutaneous injection. once per 24 hr for a total of 28 days) causes a significant and long-lasting reduction in PPD, an index that measures tissue destruction[1].
AMY-101 (Cp40, 1 mg/kg, sc, injection every 12 h, daily, 7 or 14 days) attenuates fibrosis and infiltration of inflammatory cells in UUO-induced renal fibrosis[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Fifteen adult male cynomolgus monkeys (Macaca fascicularis) (7-15 years old; 5.0-7.6 kg body weight)[1].
Dosage:	0.1 mg/site; 50 μL of 2 mg/mL solution.
Administration:	Injected locally. (Either three times per week or once a week for 6 weeks followed by a 6-week follow-up period without treatment.)
Result:	Does not cause irritation in healthy gingiva.

Animal Model:	UUO and sham-operated mice[3].
Dosage:	1 mg/kg.
Administration:	Subcutaneous injection every 12 h, daily, 7 or 14 days.
Result:	1 mg/kg Cp40 had much less severe interstitial fibrosis than control peptide-injected mice.

CUSTOMER VALIDATION

- Nucleic Acids Res. 2021 Jan 8;49(D1):D1113-D1121.

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REFERENCES

[1]. Kajikawa T, et al. Safety and Efficacy of the Complement Inhibitor AMY-101 in a Natural Model of Periodontitis in Non-human Primates. Mol Ther Methods Clin Dev. 2017 Aug 18;6:207-215.

[2]. Mastaglio S, et al. The first case of COVID-19 treated with the complement C3 inhibitor AMY-101. Clin Immunol. 2020 Apr 29:108450.

[3]. 1 mg/kg Cp40 had much less severe interstitial fibrosis than control peptide-injected mice.

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