Daptomycin

Cat. No.: HY-B0108

CAS No.: 103060-53-3

Molecular Formula: $C_{72}H_{101}N_{17}O_{26}$

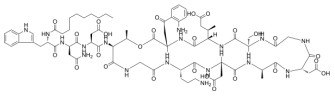
Molecular Weight: 1621

Target: Bacterial; Antibiotic

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (61.69 mM; Need ultrasonic)

DMSO : ≥ 100 mg/mL (61.69 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.6169 mL	3.0845 mL	6.1690 mL
5 mM	0.1234 mL	0.6169 mL	1.2338 mL
10 mM	0.0617 mL	0.3085 mL	0.6169 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (61.69 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (1.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (1.28 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (1.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Daptomycin is a lipopeptide antibiotic with rapid in vitro bactericidal activity against gram-positive organisms.
IC_{50} & Target	Lipopeptide

In Vitro	Daptomycin has excellent in-vitro inhibitory and bactericidal activity against nafcillin-susceptible and resistant staphylococci (MIC_{90} less than or equal to 0.5 mg/L) and against enterococci (MIC_{90} less than or equal to 2.0 mg/L). Daptomycin is more active than vancomycin against the majority of isolated tested. With the exception of trimethoprim-sulphamethoxazole, daptomycin is the most active agent in vitro against enterococci, and is the most active against nafcillin-resistant staphylococci. Daptomycin and vancomycin show a marked increase in MIC when the inoculum is increased from 10^5 to 10^7 cfu/mL[1]. Daptomycin is effective within a very narrow range of drug concentrations (from 0.125 to 2.0 tLg/mL) and is more active than other agents tested against S. faecalis[2]. Daptomycin inhibits the formation of these nucleotide-linked intermediates[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	At a dose of 10 mg/kg given twice daily, daptomycin reduces the number of organisms per kidney significantly compared with that in infected untreated controls within 48 h after the initiation of therapy. At 20 mg/kg given once a day, daptomycin is less effective but reduces colony counts significantly after 4 days of therapy, and its activity is comparable to that of vancomycin or vancomycin-gentamicin given twice daily[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Inocula containing 109 CFU/mL are prepared from an 18-h brain heart infusion broth culture. The exact number in each inoculum is subsequently determined by the standard serial 10-fold dilution agar pour plate technique. Animals are challenged intravenously with a 1.0-mL inoculum. This inoculum is known to infect the renal medulla of normal rats. Twenty-four hours later the animals are divided into eight groups and are given either saline only (controls) or antibiotic therapy initiated with Daptomycin at 10 mg/kg (Daptomycin10), Daptomycin at 20 mg/kg (Daptomycin20), Daptomycin10 plus gentamicin at 1.5 mg/kg, vancomycin at 20 mg/kg, vancomycin at 20 mg/kg plus gentamicin at 1.5 mg/kg, ampicillin at 30 mg per rat per injection, and ampicillin at 30 mg per rat plus gentamicin at 1.5 mg/kg. Animals receive Daptomycin20 once daily; all other drugs are administered twice daily. Vancomycin and Daptomycin are given subcutaneously; ampicillin and gentamicin are given intramuscularly. Drugs are administered for up to 13 days. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- iScience. 5 January 2022, 103731.
- ACS Infect Dis. 2023 Nov 28.
- Appl Microbiol Biotechnol. 2022 Apr;106(7):2689-2702.
- Antimicrob Agents Chemother. 2021 Jan 20;65(2):e01275-20.
- ACS Omega. 2023 Feb 2; 8 (6), 5415-5425.

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REFERENCES

[1]. Benson CA, et al. Comparative in-vitro activity of LY146032 a new peptolide, with vancomycin and eight other agents against gram-positive organisms. J Antimicrob Chemother. 1987 Aug;20(2):191-6.

[2]. Miniter PM, et al. Activity of LY146032 in vitro and in experimental enterococcal pyelonephritis. Antimicrob Agents Chemother. 1987 Aug;31(8):1199-203.

[3]. Allen NE, et al. Inhibition of peptidoglycan biosynthesis in gram-positive bacteria by LY146032. Antimicrob Agents Chemother. 1987 Jul;31(7):1093-9.

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

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