Apramycin sulfate

Cat. No.: HY-B1329

CAS No.: 65710-07-8

Molecular Formula: $C_{21}H_{43}N_5O_{15}S$

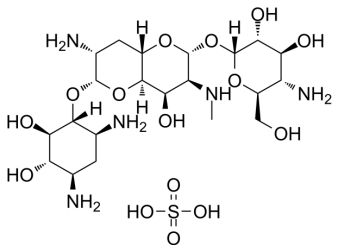
Molecular Weight: 637.66

Target: Bacterial; Antibiotic

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 200 mg/mL (313.65 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5682 mL	7.8412 mL	15.6823 mL
5 mM	0.3136 mL	1.5682 mL	3.1365 mL
10 mM	0.1568 mL	0.7841 mL	1.5682 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 (156.82 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Apramycin (EBL 1003) is an orally active, acidic pH tolerant and aminoglycoside-modifying-enzymes-tolerant aminoglycoside antibiotic which inhibits protein biosynthesis by targeting the bacterial ribosome. Apramycin is a potential anti-drug-resistance antibiotic[1][2][3].

IC_{50} & Target

Aminoglycoside

In Vitro

Apramycin (4 mg/L) inhibits 99% of K. pneumoniae and 93% of Enterobacter clinical isolates. Apramycin (8 mg/L) inhibits 99% of all E. coli, 98% of C. freundii, 96% of Providencia spp., 92% of S. marcescens, 97% of M. morganii and 100% of P. mirabilis clinical isolates, exhibiting significantly better antimicrobial activity than the clinical standard-of-care aminoglycosides Gentamicin and Amikacin[1].

Apramycin (100 μM, 10 min) exerts an 11% decrease in cytoplasmic uptake of E.coli when lowering the pH from 7.3 to 5.7 while the amounts of Gentamicin and Amikacin are reduced by 62% and 51%, respectively[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Apramycin (200 mg/kg/d, s.c., 9 d) demonstrates significant in vivo efficacy in lungs of M. tuberculosis low-dose aerosol infection model IFN-γ knockout mice[2].

Apramycin (16, 32, 80 mg/kg, s.c., 24 h) dose-dependently reduces bacterial burden in the kidneys between 2-5 log_{10} and in blood between 2-3 log_{10} for neutropenic model of Staphylococcus aureus septicemia mice[2].

Apramycin (50 mg/kg/d, s.c., 21 d) induces nephrotoxicity scores comparable to those induced by Gentamicin (10 mg/kg/d)[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	M. tuberculosis low-dose aerosol infection model of IFN-γ knockout mice [2]
Dosage:	200 mg/kg/d
Administration:	Subcutaneousinjection (s.c.) for 9 d
Result:	Showed a 2.4-log_{10} CFU reduction and better antituberculous activity compared to Amikacin (1.8-log_{10} reduction).

CUSTOMER VALIDATION

- Nat Microbiol. 2023 Mar;8(3):410-423.
- Acta Pharm Sin B. 2023 Jun 9.

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REFERENCES

[1]. Juhas M, et al. In vitro activity of apramycin against multidrug-, carbapenem- and aminoglycoside-resistant Enterobacteriaceae and Acinetobacter baumannii. J Antimicrob Chemother. 2019;74(4):944-952.

[2]. Meyer M, et al. In vivo efficacy of apramycin in murine infection models. Antimicrob Agents Chemother. 2014 Nov;58(11):6938-41.

[3]. Becker K, et al. Antibacterial activity of apramycin at acidic pH warrants wide therapeutic window in the treatment of complicated urinary tract infections and acute pyelonephritis. EBioMedicine. 2021 Nov;73:103652.

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

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