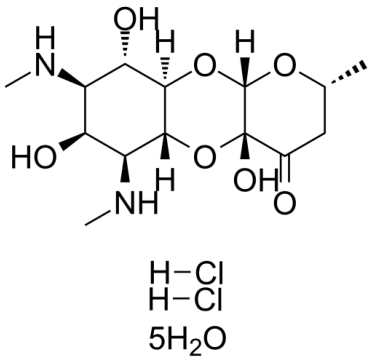
Data Sheet

Product Name:	Spectinomycin (dihydrochloride pentahydrate)
Cat. No.:	CS-6875
CAS No.:	22189-32-8
Molecular Formula:	C14H36Cl2N2O12
Molecular Weight:	495.35
Target:	Bacterial
Pathway:	Anti-infection
Solubility:	H2O : ≥ 6.6 mg/mL (13.32 mM)



BIOLOGICAL ACTIVITY:

Spectinomycin dihydrochloride pentahydrate is a broad-spectrum aminocyclitol antibiotic that inhibits the growth of a variety of gram-positive and gram-negative organisms. **In Vitro:** Spectinomycin selectively inhibits protein synthesis in cells and in extracts of Escherichia coli. When added to an exponentially growing culture, spectinomycin (50 μg/mL) rapidly and reversibly inhibits growth of Escherichia coli. Amino acid incorporation is slowed immediately but RNA synthesis continued. In extracts of Escherichia coli B, spectinomycin inhibits polypeptide synthesis directed either by endogenous messenger RNA or by MS-2 bacteriophage RNA. Maximum inhibition (70 to 80%) is achieved at 1 μg/mL (3 μM). [1]. Spectinomycin blocks the translocation of peptidyl-tRNAs from the A-site to the P-site by inhibiting the binding of elongation factor G to the ribosome. Spectinomycin interacts specifically with the residues G1064 and 01192 in 16S rRNA and potentially change this molecule into an inactive conformation[2]. Spectinomycin acts as a mixed noncompetitive inhibitor for the td intron RNA with a K_i of 7.2 mM. The splicing inhibition by spectinomycin is dependent on pH changes and Mg^{2+} concentration, indicating electrostatic interactions with the intron RNA[3]. **In Vivo:** Renal excretion is a major elimination pathway for spectinomycin. Following IV administration, approximately 55% of the drug is excreted into the urine in unchanged form. After IV administration of 10 mg/kg spectinomycin shows a peak plasma concentration of 37.8 μg/mL and a systemic exposure (area-under the curve $AUC_{0-\infty}$) of 15.7 μg/mL. Following single dose intramuscular administration, the overall elimination half-life of spectinomycin is 1.2 h in cattle, 1.0 h in sheep, 1.0 h in pigs, 1.65 h in chicken and 1.85 h in humans[4].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Spectinomycin drug solution is prepared in physiologic saline solution, sterile filtered.[4]Rats: Spectinomycin (10 mg/kg) is administered intravenously to rats. Serial blood samples (approx. 250 μL) are collected pre-dose, and at 0.25, 0.5, 0.75, 1.0, 1.5, 2.0, 4.0, 6.0, 8.0, 12.0, 24.0, 36.0 and 48.0 h post-dose. Plasma is separated immediately by centrifugation (10,000g for 5 min at 4°C) and stored at −80°C until analysis. Urine samples are collected at an interval of 0-6, 6-12, 12-24, 24-36 and 36-48 h post-dose and stored at −80°C until analysis[4].

References:

[1]. Davies J, et al. Inhibition of protein synthesis by spectinomycin. Science. 1965 Sep 3;149(3688):1096-8.

[2]. Brink MF, et al. Spectinomycin interacts specifically with the residues G1064 and C1192 in 16S rRNA, thereby potentially freezing this molecule into an inactive conformation. Nucleic Acids Res. 1994 Feb 11;22(3):325-31.

[3]. Park IK, et al. Spectinomycin inhibits the self-splicing of the group 1 intron RNA. Biochem Biophys Res Commun. 2000 Mar 16;269(2):574-9.

[4]. Madhura DB, et al. Pharmacokinetic profile of spectinomycin in rats. Pharmazie. 2013 Aug;68(8):675-6.

CAIndexNames:

4H-Pyrano[2,3-b][1,4]benzodioxin-4-one, decahydro-4a,7,9-trihydroxy-2-methyl-6,8-bis(methylamino)-, hydrochloride, hydrate (1:2:5), (2R,4aR,5aR,6S,7S,8R,9S,9aR,10aS)-

SMILES:

O=C1C[C@@H](C)O[C@@]2([H])O[C@@]3([H])[C@]([C@@H](NC)[C@@H](O)[C@@H](NC)[C@@H]3O)([H])O[C@]21O.[H]Cl.[H]Cl.[5H2O]

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA