Product Data Sheet

Product Name: Quinupristin (mesylate)
Cat. No.: GC17579

Chemical Properties

Cas. No.	120138-50-3
Chemical Name	4-[4-(dimethylamino)-N-methyl-L-phenylalanine]-5-[(2S,5R)-5-[[[(3S)-1-azabicyclo[2.2.2]oct-3-yl]thio]methyl]-4-oxo-2-piperidinecarboxylic acid]-virginiamycin S1, monomethanesulfonate
SMILES	O=C1C[C@](C(N[C@@H](C2=CC=CC=C2)C(O[C@H](C)[C@H](NC(C3=C(O)C=CC=N3)=O)C4=O)=O)=O)([H])N(C([C@@](CC5=CC=C(N(C)C)C=C5)([H])N(C)C([C@]6([H])CCCN6C([C@H](N4)CC)=O)=O)=O)C[C@H]1CS[C@@H]7C[NH+]8CCC7CC8.CS([O-])(=O)=O
Formula	$C_{53}H_{68}N_9O_{10}S \cdot CH_3SO_3$
M.Wt	1118.3
Solubility	DMSO : 125 mg/mL (122.28 mM; Need ultrasonic)
Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.
Structure	Quinupristin (mesylate)

Background

Quinupristin is a streptogramin antibiotic.

Streptogramins, a class of antibiotics, are effective in the treatment of vancomycin-resistant Staphylococcus aureus and vancomycin-resistant Enterococcus, which are two of the most rapidly growing strains of multidrug-resistant bacteria. Streptogramins fall into two groups: streptogramin A and streptogramin B.

In vitro: Quinupristin can bind to sequential sites located on the 50s subunit of the bacterial ribosome. Dalfopristin binding causes a conformational change in the ribosome that subsequently increases the binding of quinupristin. The combined actions of the two agents create a stable drug-ribosome complex causing inhibition of protein synthesis by

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prevention of peptide-chain formation, blockade of extrusion of newly formed peptide chains, and bacterial cell death [1].

In vivo: The combination of quinupristin-dalfopristin (Q-D) and gentamicin was tested against two strains of gentamicin- and dalfopristin-susceptible methicillin-resistant Staphylococcus aureus (MRSA). A rabbit endocarditis model simulated the pharmacokinetics achieved in humans receiving intravenous injections of Q-D and gentamicin. For the MLSB-susceptible strain, a 4-day regimen reduced mean bacterial titers (MBT) in vegetations from 8.5 ± 0.8 log CFU/g (control group) to 3.0 ± 0.9 (Q-D) and 2.6 ± 0.5 log CFU/g (Q-D plus gentamicin). For the strain constitutively resistant to MLSB, a 4-day regimen reduced MBT in vegetations from 8.7 ± 0.9 log CFU/g (control group) 5.2 ± 2.2 (Q-D) and and 5.1 ± 2.4 log CFU/g (Q-D plus gentamicin). The differences between control and treatment groups were significant for both strains, although there was no significant difference between treatment groups [2].

Clinical trial: The combination quinupristin/dalfopristin (Synercid) was brought to the market by in 1999. Synercid is clinically used to treat infections by staphylococci and by vancomycin-resistant Enterococcus faecium [3].

References:
[1] Allington D R, Rivey M R Quinupristid/Dalfopristin: A Therapeutic Review. Clinical Therapeutics .200 1, 23,(1): 24-44.
[2] Batard E, Jacqueline C, Boutoille D, Hamel A, Drugeon HB, Asseray N, Leclercq R, Caillon J, Potel G, Bugnon D. Combination of quinupristin-dalfopristin and gentamicin against methicillin-resistant Staphylococcus aureus: experimental rabbit endocarditis study. Antimicrob Agents Chemother. 2002 Jul;46(7):2174-8.
[3] https://en. wikipedia.org/wiki/Dalfopristin