

Product Name:	Quinupristin-Dalfopristin Mesylate
Product Number:	Q009
CAS Number:	126602-89-9
Molecular Formula:	$C_{54}H_{71}N_9O_{13}S_2$ (for quinupristin mesylate); $C_{35}H_{54}N_4O_{12}S_2$ (for dalfopristin mesylate)
Molecular Weight:	1118.3 (for quinupristin mesylate) ; 786.9 (for dalfopristin mesylate)
Appearance:	White to light-yellow solid
Storage Conditions:	-20°C
Description:	Quinupristin-Dalfopristin Mesylate is a 70:30 (w/w) complex of two semi-synthetic analogues of pristinamycin marketed as Synercid. Quinupristin-dalfopristin was developed in 1989 by the Rhône-Poulenc company in France. Dalfopristin is a semisynthetic analogue of Pristinamycin IIA (RP 54476), while quinupristin is a semi-synthetic analogue of Pristinamycin I (RP 57669).

To optimise stability, the compounds are presented as the mesylate salts with 10% sodium mesylate excess to provide a buffered aqueous solution. The complex is more hydrophobic than the naturally-occurring virginiamycin complex, with a readily ionisable group for generating a salt for improved solubility.

While both dalfopristin and quinupristin have bacteriostatic effects, they act synergistically to kill gram-positive bacteria, as well as some gram-negative and anaerobic bacteria. Dalfopristin binds to the 23s portion of the 50s ribosomal subunit and inhibits peptidyl transfer, as well as changing conformation to enhance binding of quinupristin. Quinupristin binds to a nearby site on the 50s ribosomal subunit and prevent elongation of the polypeptide as well as incomplete polypeptide chains to be released prematurely.

Quinupristin-Dalfopristin Mesylate is soluble in ethanol, methanol, DMF and DMSO.

Mechanism of Action:	While both dalfopristin and quinupristin have bacteriostatic effects, they act synergistically to kill gram-positive bacteria, as well as some gram-negative and anaerobic bacteria. Dalfopristin binds to the 23s portion of the 50s ribosomal subunit and inhibits peptidyl transfer, as well as changing conformation to enhance binding of quinupristin. Quinupristin binds to a nearby site on the 50s ribosomal subunit and prevent elongation of the polypeptide as well as incomplete polypeptide chains to be released prematurely.
Spectrum:	Quinupristin/dalfopristin is active against a range of gram-positive bacteria including methicillin- and multidrug-resistant strains of <i>Staphylococcus aureus</i> and <i>S. epidermidis</i> , vancomycin-resistant <i>Enterococcus faecium</i> (but not <i>E. faecalis</i>), and penicillin- and macrolide-resistant <i>Streptococcus pneumoniae</i> . It is also active against the anaerobe <i>Clostridium perfringens</i> , and Gram-negative bacteria <i>Legionella pneumophila</i> , <i>Moraxella catarrhalis</i> (<i>Branhamella catarrhalis</i>), <i>Mycoplasma pneumoniae</i> , and <i>Neisseria meningitidis</i>
Microbiology Applications	Quinupristin/dalfopristin received approval for use in adults for the treatment of infections caused by susceptible strains of vancomycin-resistant <i>Enterococcus faecium</i> (VREF) and for the treatment of complicated skin and skin structure infections caused by <i>Staphylococcus aureus</i> (methicillin-susceptible) or <i>Streptococcus pyogenes</i>
Cancer Applications	In cancer patients, quinupristin-dalfopristin treatment is associated with a relatively high frequency of myalgias/arthralgias; however, profound thrombocytopenia might limit the choice of linezolid in a subpopulation of cancer patients

References:

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