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Linezolid

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Linezolid is a member of a new class of antibacterial agents called oxazolidinones, which are chemically unrelated to currently available agents. This drug selectively binds to the 50S ribosomal subunit, thereby resulting in selective inhibition of bacterial protein synthesis. Linezolid is bioavailable both orally and parenterally, is highly active against Gram-positive organisms, and is difficult to select for resistance *in vitro*. Given these attributes, linezolid will be an important addition to our therapeutic armamentarium for patients with a variety of infections including pneumonia, skin and skin structure infections and bacteraemia. The clinical and microbiological efficacy of linezolid has been demonstrated in multiple investigations in comparison with second and third generation cephalosporins, penicillinase-resistant penicillins, vancomycin and macrolides.

Because of its activity against methicillin-resistant *Staphylococcus aureus* (MRSA) and vancomycin-resistant enterococci (VRE), linezolid is the only antimicrobial agent that can be administered both intravenously and orally to patients infected with these multidrug resistant organisms. This has the potential to reduce the use of vancomycin in patients infected with MRSA. Although linezolid is considered bacteriostatic *in vitro*, the clinical response in patients with endocarditis and neutropenic patients with VRE bacteraemia suggests bactericidal activity *in vivo*.

The adverse events profile of linezolid appears to be favourable. The most common drug-related adverse events are nausea, diarrhoea and tongue discolouration. To date, there are no clinically significant drug interactions. Of note, although preclinical data suggested that linezolid was a weak monoamine oxidase inhibitor (MAO), adverse events due to MAO inhibition were not recognised in phase III clinical trials. In summary, based on the results of currently available studies, linezolid appears to be a very promising new antimicrobial agent.