

The antimicrobial activity of tetracyclines reflects reversible binding to the bacterial 30S ribosomal subunit, and specifically at the aminoacyl-tRNA acceptor (A) site on the mRNA ribosomal complex, thus preventing ribosomal translation. Antibacterial efficacy is described as time dependent. Tetracyclines enter microorganisms in part via diffusion and in part via an energy-dependent, carrier-mediated system responsible for the high concentrations achieved in susceptible bacteria. At high concentrations, as may be attained in urine, they become bactericidal because the organisms seem to lose the functional integrity of the cytoplasmic membrane. Doxycycline and minocycline also inhibit matrix metalloproteinases, leading to anticollagenolytic and antiinflammatory activity. The tetracyclines are generally bacteriostatic, and a responsive host-defense system is essential for their successful use.