47.3 Pharmacology

47.3.1 Antimicrobial Activities

The extract of *C. chinensis* rootstock showed a high antibacterial activity against numerous gram-positive and gram-negative bacteria including *Shigella*, *Brucella*, *Staphylococcus*, and *Streptococcus* species [14]. Berberine chloride was found to be active against a number of gram-positive as well as gram-negative bacteria, such as *Staphylococcus aureus*, *S. hemolyticus*, *Salmonella typhosa*, *Shigella dysenteriae*, *S. paradysenteriae*, *Escherichia coli*, *Neisseria gonorrhoeae*, and *Diplococcus pneumoniae* in different media. It had about the same antibacterial activity as some sulfonamides. However, berberine chloride also had an effect in broth and serum, where the sulfonamides were antagonized [15]. The antibacterial effect of berberine chloride at pH 5 was the same as at pH 9. The microorganisms acquired resistance when left in contact with berberine chloride for a long time [16].

Berberine sulfate was shown to be bactericidal to *Vibrio cholerae* and bacteriostatic to *Staphylococcus aureus* at concentrations of 35 and 50 μg/ml, respectively. In both these organisms berberine at the concentrations mentioned above inhibited RNA and protein synthesis almost immediately after the addition of the drug [17]. Berberine sulfate at concentrations of 50–600 μg/ml in culture medium showed bactericidal activity against *S. aureus*. The growth of 70% from 196 strains of *S. aureus* was inhibited. Cross-resistance between berberine sulfate and antibiotics used in therapy was not observed except for streptomycin [18].

Cell-free preparations made from vibrios pretreated with berberine did not produce choleraic symptoms in infant rabbits, suggesting that the toxin was either inactivated or neutralized [19, 20]. Oral administration of berberine to infant rabbits 18–24 h before a single fatal intraintestinal dose of choleragenic toxin prevented toxin-induced diarrhea and consequently a prolonged survival time when compared with untreated choleragenic animals [21]. Berberine also markedly inhibited the secretory response of *E. coli* heat-stable enterotoxin in rabbits and mice [22] and was dose dependently effective in reducing water and electrolyte secretions induced by *E. coli* heat-stable enterotoxin [23]. Mild changes in mucosal histology due to cholera toxin were also reversed by berberine. Berberine did not significantly alter normal ileal water and electrolyte transport [24]. The antisecretory effects of berberine may be explained by stimulation of NaCl-coupled absorptive transport process [25].

Berberine chloride appeared to be a weak tuberculostatic agent. It inhibited the multiplication of 7 of 16 strains of *Mycobacterium tuberculosis* at a concentration of 0.5 μg/ml in nutrient [26]. Berberine sulfate was also studied for antitrachoma activity [27].

The fecal flora of rats after oral treatment of berberine chloride, coptisine chloride, and a methanol extract of *C. japonica* for 10 days showed no significant change in the counts of bacteria such as *Escherichia coli*, *Staphylococcus*, and *Streptococcus* as compared with controls [28].

The quaternary ammonium group in berberine is necessary for its antibacterial activity. Derivatives without the quaternary ammonium group, such as tetrahydroberberine, showed little antibacterial effect [29].

Berberine sulfate in concentrations of 10–25 mg/ml inhibited the growth of 11 of 13 fungi: *Alternaria* sp., *Aspergillus flavus*, *A. fumigatus*, *Candida albicans*, *Curvula-*