Several other plants, e.g. *Arnebia guttata, Onosma paniculatum*, and *O. hookeri*, are used under the name of the traditional Chinese medicine Zicao. All these plants contain naphthaquinone pigments with β,β-dimethylacrylalkannin and acetylshikonin [25] as the main constituents.

80.3 Pharmacology

The ether extract of *L. erythrorhizon*, the fraction soluble in petroleum ether and the subfraction soluble in acetone of the petroleum ether fraction were inhibitory to the growth of *Staphylococcus aureus, S. epidermidis, Sarcina lutea*, and *Bacillus subtilis*. The growth of *Saccharomyces cerevisiae* was slightly inhibited but not the growth of *Escherichia coli* and *Pseudomonas aeruginosa* [31]. β,β-Dimethylacrylshikonin and hydroxyisovalerylshikonin inhibited the growth of *Bacillus subtilis, Staphylococcus aureues*, and *Sarcina lutea*, but not that of *E. coli* [32]. In concentrations of 20–30 μg/ml, shikonin had a bactericidal effect on *Lactobacillus* [33].

Shikonin showed a significant antiamebic action on *Entamoeba histolytica* at 0.5–10 μg/ml in the culture medium. However, when administered orally at 0.25–0.50 mg/animal per day for 6 days to rats with experimental intestinal amebiasis, shikonin only showed a weak therapeutic effect [34].

LD_{50} values of shikonin and acetylshikonin were 20 and 41 mg/kg respectively by intraperitoneal administration and >1000 mg/kg oral administration in mice. Weak analgesic effects and moderate antipyretic actions were also observed [35].

Shikonin and acetylshikonin administered orally to rats inhibited histamine-induced vascular permeability [35]. Acetylshikonin also showed antiinflammatory effects in adrenalectomized rats [36]. β,β-Dimethylacrylshikonin showed antiinflammatory activity in the following tests: inhibition of histamine-induced capillary permeability in rats, inhibition of rat paw edema in intact and adrenalectomized rats, and inhibition of cotton pellet granuloma. The intraperitoneal LD_{50} of β,β-dimethylacrylshikonin in mice was 48 mg/kg [37].

The aqueous extract of the herb was found to exhibit gonadotropin antagonistic activity and was effective in terminating early pregnancy in mice and rabbits [38, 39].

Alkannin was fed to mice for 15 weeks at 1% of the diet with no evidence of toxicity. The average total intake per animal was 3.4 g. Alkannin was excreted via urine and was not deposited in abdominal fat. The LD_{50} of alkannin was 3 g/kg for mice and >1.0 g/kg for rats by oral administration [40].

The pharmacokinetics of shikonin in mice were studied using [^{3}H]shikonin. The plasma concentration time curve obtained after intravenous injection was shown to fit a three-compartment open model. The drug concentration was found to be high in bile and liver; moderate in lung, spleen, kidney, heart, and skin; and low in testis, muscle, and brain. The absorption of shikonin was rapid after oral or intramuscular administration. In both cases radioactivity could be detected from the plasma about 1 min after administration. The bioavailability was 34% for the oral route and 65% for the intramuscular injection. Within 96 h following intravenous injection, the total radioactivity excreted in both urine and feces was 40% of the dose, of which only 3.6% and 7.7% were unchanged drug [41]. Shikonin showed high antitumor activity against ascites cells of sarcoma 180 in mice. It completely inhibited tumor