

Ivermectin

Class:

Ivermectin is a semi-synthetic derivative of a family of macrocyclic lactones called the avermectins

Antiparasitic Activity:

Ivermectin is active against most nematode parasites. It can also be used in the treatment of arthropod ectoparasite infestations such as scabies. Its principal indications are for treatment of onchocerciasis and strongyloidiasis. Additionally, it shows useful activity against a number of other helminth parasites, particularly *Ascaris lumbricoides*. A summary of the utility of ivermectin is shown in Table 1.

Mechanism of Action:

Ivermectin causes an influx of Cl⁻ ions through the cell membrane of invertebrates by activation of specific ivermectin-sensitive ion channels. The resultant hyperpolarization leads to muscle paralysis.

Mechanisms of Resistance:

Widespread use of ivermectin for treatment of intestinal nematode infections of sheep and goats has led to the development of drug resistance in veterinary practice. Reports have emerged from West Africa pointing to a reduced effect of ivermectin in onchocerciasis. Resistance may be associated with alterations in P-glycoprotein gene expression.

Pharmacokinetics:

Ivermectin is rapidly absorbed with C_{max} is proportional to dose, with a value of approximately 38-46 µg/L reached after a therapeutic dose of 150-200 µg/kg. Estimates of the terminal half-life of the parent drug range from 28-56 hours.

Dosage:

Ivermectin is generally administered as a single dose of 150-200 µg/kg.

Adverse Effects:

In the absence of parasitic infection, the adverse effects of ivermectin in therapeutic doses are minimal. Adverse effects seen in filarial infections include fever, myalgia, malaise, lightheadedness, and occasionally postural hypotension. In onchocerciasis, skin oedema, pruritis and mild eye irritation may be seen.

Pregnancy:

While no adverse effects have been recorded in retrospective studies, administration of the drug to pregnant women is not advised.

Drug Interactions:

None of any clinical significance has been reported.

Brand names/Manufacturer:

Mectizan®, Stromectol® (Merck).