Praziquantel

Class:
Praziquantel is a pyrazino-isoquinoline.

Antiparasitic Activity:
Praziquantel shows broad spectrum activity against most trematodes, the exception being *Fasciola* species against which the drug is ineffective.

Mechanism of Action:
A preformed antiparasitic antibody response is required for optimal activity. Following drug exposure, damage to the tegument of schistosomes has been observed, with exposure of parasite antigens on the surface. A profound effect on calcium-dependent muscle contraction has also been observed, with the rapid influx of Ca^{2+} ions. Following tetanic paralysis, adult schistosomes are swept down the portal vessels to the liver, while luminal tapeworms are swept away in the faecal stream.

Mechanism of Resistance:
The mechanisms of resistance remain unknown but isolates collected from humans with resistant infections were less susceptible to praziquantel-induced tegumental damage *in vitro*

Pharmacokinetics:
Praziquantel absorption appears rapid after oral dosing. However, because there is extensive hepatic first-pass metabolism to inactive metabolites, most of the active drug does not reach the systemic circulation. Administration of praziquantel with food increases its bioavailability. The terminal elimination half life of praziquantel is approximately 2 hours. The volume of distribution is around 8000 L and the clearance (CL/f) is 7.0 L/kg/hr. Praziquantel is between 80 and 85% bound to plasma proteins.

Dosage:
These are summarised on a mg/kg body weight basis by indication in Table 1. Praziquantel is considered safe in children over the age of 2 years.

Adverse Effects:
Praziquantel is well tolerated. Relatively few adverse effects have been reported. The majority of side-effects develop due to the killing of parasites, release of contents of parasites and consequent host immune reactions. The heavier the parasite burden, the heavier and more frequent the side effects normally are.

Pregnancy:
Although there have been no reports of adverse maternal and foetal outcome in large scale treatment programs in which inadvertent administration to women in early pregnancy was likely, it is recommended that praziquantel be withheld during the first trimester. It is also recommended that mothers should not breast feed for three days following drug ingestion.

Drug Interactions:
The antiepileptic drugs phenytoin and carbamazepine both substantially reduce the praziquantel AUC. Dexamethasone administered 24 hours before praziquantel reduces bioavailability by approximately 50%

Brand names/manufacturer: Bilitricide® (Bayer) 600mg Tablets.