

# ALBENDAZOLE

## **Class:**

Albendazole is a benzimidazole carbamate based on a bicyclic ring structure in which a benzene ring is fused to the 4- and 5- positions of an imidazole ring.

## **Antiparasitic Activity:**

Benzimidazoles have broad-spectrum activity, including helminths as well as protozoa.

## **Mechanism of Action:**

Benzimidazoles selectively bind to nematode  $\beta$ -tubulin, inhibiting polymerization, thus preventing the formation of microtubules and so stopping cell division. Impaired uptake of glucose, leading to depletion of glycogen, and reduced stores of ATP has also been noted.

## **Mechanism of Resistance:**

Resistance to benzimidazoles has been attributed to specific amino acid changes in the  $\beta$ -tubulin protein, leading to reduced binding affinity for  $\beta$ -tubulin

## **Pharmacokinetics:**

Albendazole is poorly absorbed. The parent drug is undetectable in human plasma when 400 mg is taken orally. Albendazole sulphoxide is responsible for the therapeutic effect outside the gut. A single 400 mg dose of the parent drug yields a peak plasma concentration of albendazole sulphoxide in the range 0.22-0.25 mg/L two to three hours post-dose. The estimated terminal half-life of albendazole sulphoxide is 8.5 hours.

## **Dosage:**

A single dose of 400 mg is recommended for clearance of gastrointestinal nematode infection of both adults and children over 2 years of age. Additional or more frequent dosage may be advised in certain conditions, including systemic disease (Table 1).

## **Adverse Effects:**

Single dose albendazole therapy in humans is largely without side-effects. More prolonged courses of therapy, as administered for cystic and alveolar echinococcal disease have been associated with liver function abnormalities and bone marrow toxicity.

## **Pregnancy:**

Category C: Risk unknown. Human studies inadequate.

## **Drug Interactions:**

Taking albendazole with a fatty meal increases its absorption by two to six-fold. The concentration of albendazole sulphoxide in blood is increased by 50% when administered concurrently with dexamethasone and by 4.5 fold when administered concurrently with praziquantel. Administration of albendazole with grapefruit juice results in a 3-fold increase in C<sub>max</sub> of albendazole sulphoxide.

## **Brand names/manufacturer:**

Albenza (Sumac Pharma; US)

Zentel (Glaxo SmithKline)