Ketocon®

Ketoconazole

Description
Ketoconazole (**Ketocon®**) is an imidazole-dioxolane antimycotic which is effective after oral administration and has a broad spectrum of activity against dermatophytes, yeasts and other pathogenic fungi.

Mode of action
Ketoconazole (**Ketocon®**) interferes with ergosterol synthesis and therefore alters the permeability of the cell membrane of sensitive fungi. It is reported to be fungistatic at concentrations achieved clinically. Ketoconazole has a wide spectrum of antimicrobial activity including activity against *Blastomyces dermatitidis, Candida spp., Coccidioides immitis, Epidermophyton floccosum, Histoplasma capsulatum, Malassezia spp., Microsporum canis, Paracoccidioides brasiliensis, Trichophyton mentagrophytes,* and *T. rubrum. Some strains of Aspergillus spp., Cryptococcus neoformans,* and *Sporothrix schenckii* are sensitive. Ketoconazole has activity against some gram-positive bacteria and some antiprotozoal activity against *Leishmania spp.*

Pharmacokinetics
The absorption of ketoconazole from the gastrointestinal tract is variable and increases with decreasing stomach pH. Mean peak plasma concentrations of about 3.5 micrograms/mL have been obtained 2 hours after administration of 200 mg by mouth. Ketoconazole is more than 90% bound to plasma proteins, mainly albumin. It is widely distributed and appears in breast milk. Penetration into the CSF is poor. The elimination of ketoconazole is reported to be biphasic, with an initial half-life of 2 hours and a terminal half-life of about 8 hours. Ketoconazole is metabolised in the liver to inactive metabolites. It is excreted as metabolites and unchanged drug chiefly in the faeces; some is excreted in the urine.

Composition
Ketocon® Tablet: Each tablet contains Ketoconazole BP 200 mg.

Indications
Systemic mycosis, e.g. systemic candidiasis, paracoccidioidomycosis, histoplasmosis and coccidioidomycosis. Severe chronic mucocutaneous candidiasis. Disabling candidal chronic paronychia. Serious mycoses of the gastrointestinal tract resistant to other therapy. Chronic vaginal candidiasis resistant to other therapy. Prophylaxis in immunosuppressed patients. Dermatophyte infections unresponsive to other therapy.

Dosage & administration
Adult: 200 mg once daily with food for 14 days, or longer if needed. Maximum 400 mg/day. Chronic resistant vaginal candidiasis- 400 mg daily with food for 5 days. Prophylaxis and maintenance treatment in immunosuppressed patients, 200 mg daily. Child: 3 mg/kg daily.

Contraindications
Patients hypersensitive to imidazole drugs and hepatic impairment.

Side effects
Side effects are rare. Nausea, vomiting, abdominal pain and diarrhoea, headache, dizziness and urticaria have been reported.

Use in pregnancy & lactation
Ketoconazole should not be used during pregnancy and lactation.

Precautions
The liver function of the patients should be monitored during and after treatment.

Drug interactions
Use of drugs that reduce stomach hyperacidity, such as antimuscarinics, antacids, histamine H2-antagonists and proton pump inhibitors, may reduce the absorption of ketoconazole. Absorption of ketoconazole may also be reduced by sucralfate. Use of ketoconazole with enzyme-inducing drugs such as rifampicin, isoniazide, or phenytoin may reduce the plasma concentrations of ketoconazole. Concentrations of isoniazide and rifampicin may also be reduced by ketoconazole.

Overdosage
In the event of overdosage cases should be treated symptomatically with supportive measures. Within the first hour after ingestion gastric lavage may be performed. Activated charcoal may be given if considered appropriate.

Storage
Store in a cool and dry place, protected from light.

Packaging
Ketocon® Tablet: Each carton contains 10X3 tablets in blister pack.

Opsonin Pharma
Ideas for healthcare

052-06

Manufactured by
Opsonin Pharma Limited
Rupatali, Barishal, Bangladesh.