Fungone® 150mg Capsules
(Fluconazole)

DESCRIPTION:

Fungone® (fluconazole), the first of a new subclass of synthetic triazole antifungal agents, is available as capsules for oral administration

COMPOSITION:

Each capsule contains:
Fluconazole USP..... 150mg

CLINICAL PHARMACOLOGY:

Mode of Action

Fluconazole inhibits the fungal cytochrome P450 enzyme 14-α-demethylase. Mammalian demethylase activity is much less sensitive to fluconazole than fungal demethylase. This inhibition prevents the conversion of lanosterol to ergosterol, an essential component of the fungal cytoplasmic membrane

Pharmacokinetics

Fluconazole is well absorbed after oral administration. The bioavailability of orally administered fluconazole is over 90%. Mean peak plasma concentrations of 6.72 µg/ml have been reported in healthy subjects following a 400mg oral dose. Peak concentrations are reached in 1 to 2 hrs. of oral administration. Steady state concentrations are reached within 6 to 10 days following oral doses of 50 to 400mg given once daily. Plasma protein binding is low (11 to 12%). Following either single or multiple oral doses for up to 14 days. Fluconazole is widely distributed into all body fluids and the apparent volume of distribution is close to that of the total body water. Concentration in joint fluid, breast milk, saliva, sputum, vaginal fluids, and peritoneal fluids are similar to those achieved in plasma. Concentration in CSF range from 50 to 90% of plasma concentrations, even in the absence of meningeal inflammation

Fluconazole is cleared primarily by renal excretion, with approximately 80% of the drug is excreted as unchanged in the urine and about 11% of the drug is eliminated as metabolites. The elimination half life is about 30 hours and is increased in patients with impaired renal function. The pharmacokinetics of fluconazole is markedly affected by reduction in renal function, so the dose of fluconazole may need to be reduced in patients with impaired renal function. Fluconazole is removed by dialysis

Microbiology

Fluconazole is active against:

- Blastomyces dermatitidis
- Candida spp. (Except C. krusie)
- Coccidioides immitis
- Cryptococcus neoformans
- Epidermophyton spp.
- Histoplasma capsulatum
- Microsporum spp.
- Trichophyton spp.

THERAPEUTIC INDICATIONS:

Fluconazole is indicated for the treatment of:

1. Vaginal candidiasis (vaginal yeast infections due to Candida)
2. Oropharyngeal and esophageal candidiasis. Fluconazole is also effective for the treatment of Candida urinary tract infections, peritonitis, and systemic Candida infections including candidemia, disseminated candidiasis, and pneumonia
3. Dermatomycosis i.e. Tinea pedis, Tinea corporis, Tinea cruris, Tinea versicolor
4. Cryptococcosis including cryptococcal meningitis
5. Tinea unguium

Fluconazole is also indicated to decrease the incidence of candidiasis in patients undergoing bone marrow transplantation who receive cytotoxic chemotherapy and/or radiation therapy

CONTRA-INDICATIONS:

Fluconazole is contra-indicated for patients who have shown hypersensitivity to fluconazole and should be prescribed with caution to patients with hypersensitivity to other azoles. Co-administration of fluconazole with cisapride or terfenadine is contra-indicated because of reports of cardiac events

UNDESIRABLE EFFECTS:

Fluconazole is generally well tolerated. The most common effects include:

Gastrointestinal effects: Abdominal pain, nausea, vomiting, flatulence and taste disturbance

CNS effects: Headache and dizziness

Hepatic effects: Hepatic toxicity including elevated liver enzymes (alkaline phosphatase, SGPT, SGOT) and increased bilirubin level

Skin/Allergic reactions: Rashes, alopecia, Stevens-Johnson syndrome and toxic epidermal necrolysis

Body as a whole: Anaphylaxis and angioedema

Metabolic effects: Hypokalemia and hyperlipidemia

DRUG INTERACTIONS:

- Hydrochlorothiazide increases the plasma concentrations of fluconazole
- Cimetidine decreases the plasma concentrations of fluconazole
- Fluconazole reduces the metabolism of oral hypoglycemic (tolbutamide, glyburide, and glipizide) and increases the plasma concentration of these agents
- Prothrombin time may be increased in patients receiving concomitant fluconazole and coumarin-type anticoagulants. In post-marketing experience, as with other azole antifungals, bleeding events (bruising, epistaxis, gastrointestinal bleeding, hematuria, and melena) have been reported in association with increase prothrombin time in patients receiving fluconazole concurrently with warfarin
- Fluconazole increases the plasma concentrations of phenytoin
- Fluconazole may significantly increase cyclosporine levels in renal transplant patients with or without renal impairment
- Rifampicin enhances the metabolism of concurrently administered fluconazole
- Fluconazole increases the serum concentrations of theophylline
- There have been reports of cardiac events, including torsade de pointes in patients to whom fluconazole and cisapride were co-administered
- The use of fluconazole in patients concurrently taking astemizole or other drugs metabolized by the cytochrome P450 system may be associated with elevations in serum levels of these drugs
- Following oral administration of midazolam, fluconazole resulted in substantial increase in midazolam concentrations and psychomotor effects

WARNINGS AND PRECAUTIONS:

Pregnancy

There are no adequate and well controlled studies in pregnant women. Fluconazole should be used in pregnancy only if the potential benefit justifies the possible risk to the fetus

Nursing Mothers

Fluconazole is secreted in human milk at concentrations similar to plasma. Therefore, the use of fluconazole in nursing mothers is not recommended

DOSAGE AND ADMINISTRATION:

DOSAGE SCHEDULE	
Vaginal candidiasis	150mg as a single oral dose
Dermal infections including Tinea pedis, corporis, cruris and Candida infections	150mg once weekly for 2 to 4 weeks but Tinea pedis may require treatment for up to 6 weeks
Tinea versicolor	300mg once weekly for 2 weeks
Tinea unguium	150mg once weekly, treatment should be continued until infected nail is replaced

OR

As directed by the physician

PRESENTATION:

Fungone® 150mg capsule in pack of 1's

STABILITY:

See expiry on the pack

INSTRUCTIONS:

Do not chew or crush capsule content
The capsule should be swallowed whole with water
Keep out of reach of children
Avoid exposure to heat, light and humidity
Store between 15 to 30°C
Improper storage may deteriorate the medicine

فنگون ۱۵۰ ملی گرام
(فلوکونازول) کیپسول

خوراک: ڈاکٹر کی ہدایت کے مطابق استعمال کریں
کیپسول چبائے بغیر پانی سے نگل لیں
بچوں کی پہنچ سے دور رکھیں
دوا کو دھوپ، گرمی اور نمی سے محفوظ ۱۵ سے ۳۰ ڈگری سینٹی گریڈ
کے درمیان میں رکھیں ورنہ دوا خراب ہو جائیگی

Manufactured by:
SAMI Pharmaceuticals (Pvt.) Ltd.
F-95, S.I.T.E., Karachi-Pakistan
www.samipharmapk.com

P000352/S R.N-04/HA/05/15

220 mm

71 mm