

## FUNTOL

FLUCONAZOLE CAPSULE

Nafdac N0.A4-0137

### Each hard galatin capsule contains:

Fuconazole USP	150mg.
Excipients	q.s.

Fluconazole is chemically identified as 2-(2,4 difluoropheny) –1, 3 –bis (1 H – 1,2 ,4 – triazol –1 –yl) propan-2-ol.the molecular formula is C<sub>13</sub>H<sub>12</sub>F<sub>2</sub>N<sub>6</sub>O<sub>6</sub> and the molecular weight is 306.3.

### ACTIONS

Funtol contains fluconazole, a member of a new class of triazole agents, a potent and specific inhibitor of fungal sterol synthesis. Activity of fluconazole has been demonstrated against opportunistic mycoses, such as infections with candida spp, including intracranial infections, with microsporium spp; and with Trichophyton spp.

Fluconazole has also been shown to be active in animal models of endermic mycoses, including infection with Blastomyces dermatitidis, with coccidioides immitis, including intracranial infection and with Histoplasma capsulatum in normal and immunosuppressed animals. Fluconazole is highly specific for fungal cytochrome p-450 dependent enzymes.

Fluconazole 50mg daily given up to 28 days has been shown not to affect testosterone plasma concentrations in males or steroid concentration in females of child-bearing age.

Fluconazole 200-400mg daily has no clinically significant effect endogenous steroid levels or on ACTH-stimulated response in healthy male volunteers. After oral administration, fluconazole is well absorbed and plasma levels (and systemic bioavailability) are over 90% of the levels achieved after intravenous administration. Oral absorption is not affected by concomitant food intake. Plasma concentrations are proportional to dose. Ninety percent steady-state levels are reached by day 4 –5 with once daily dosing.

Administration of loading dose (on day 1) of twice the usual daily dose enables plasma levels to approximate to 90% steady-state levels by day 2.The apparent volume of distribution approximates to total body water. Plasma protein binding is low (11.12%).

Fluconazole achieves good penetration into all body fluids studied. The levels of fluconazole in saliva and sputum are similar to plasma levels .In

The major route of excretion is renal with approximately 80% of the administered dose appearing in the urine as unchanged drug. Fluconazole clearance is proportional to creatinine clearance. There is no evidence of circulating metabolites. The long plasma elimination half-life provides the basis for single dose therapy for vaginal candidiasis, once daily and once weekly dosing for other indications.

## **INDICATIONS**

Treatment with Funtol may be instituted before the results of the cultures and other laboratory studies are known; however, once these results become available, anti-infective therapy should be adjusted accordingly. Cryptococcosis. Including cryptococcal meningitis and infections of other sites (e.g pulmonary, cutaneous). Normal hosts and patients with AIDS, organ transplants or other causes of immunosuppression may be treated. Funtol can be used as maintenance therapy to prevent relapse of cryptococcal disease in patients with AIDS.

Systemic candidiasis including candidemia, disseminated candidiasis and other forms of invasive candidal infections. These include infections of the peritoneum, endocardium, eye, pulmonary and urinary tracts. Patients with predisposing to candidal infection may be treated.

**Mucosal Candidiasis:** These include oropharyngeal, esophageal, non-invasive bronchopulmonary infections, candiduria, mucocutaneous and chronic oral atrophic candidiasis (denture sore mouth). Normal hosts and patients with compromised immune function may be treated. Prevention of relapse of oropharyngeal candidiasis in patients with AIDS.

**Genital Candidiasis:** Vaginal candidiasis, acute or recurrent, and prophylaxis to reduce the incidence of recurrent vaginal candidiasis (3 or more episodes a year). candidal balanitis.

**Prevention Of Fungal Infection:** In patients with malignancy who are predisposed to such infections as a result of cytotoxic chemotherapy or radiotherapy.

**Dermatomycosis:** Including tinea pedis, tinea corporis, tinea versicolor, tinea unguium (onychomycosis), and dermal candida infections.

**Pharmacokinetics:** It is absorbed well after oral administration. Fungicidal concentration is achieved in nail, saliva and vagina. It also penetrates brain.

**Onset of Action:** After multiple dosing steady state concentrations, are reached in 6-10 days.

**Duration of action:** Up to 24 hrs.

**Contraindication:** Hypersensitivity to fluconazole

**Special precaution:**

Liver dysfunction, children less than 13 years.

**In pregnancy:** Use only if potential benefit justifies the possible risk to the foetus.

**In lactations:** Not recommended.

**In elderly patients:** Use with caution.

**Interactions:**

Cimetidine: Decreased efficacy of fluconazole.

Cyclosporine: Increase in serum cyclosporine plasma concentration.

Hydrochlorothiazide: Reduction in renal clearance of fluconazole.

Phenytoin: Efficacy of phenytoin enhanced

Rifampicin: Efficacy of fluconazole decrease higher dosage required.

Sulfonylureas: Efficacy of tolbutamide, glyburide and glipizide increased.

Warfarin: Potentiates the anticoagulant effect resulting in increase in prothrombin time.

**DOSAGE:**

**Adults: Mucosal:** 50-100mg daily for 14-30 days. **Vaginal:** 150mg as a single oral dose.

**Systemic:** 400mg on first day as loading dose, 200-400mg once daily for 10-12 weeks after CSF is sterile. **Prophylaxis of fungal infections (in immunocompromised/at risk patients):** 50-100mg once daily. Doses may be given orally or by i.v. infusion at rate 5-10ml/min.

**Children:** Severe life threatening infections in over 1 year: 3-6mg/kg body weight daily.

**Storage:** Store in cool, dry & dark place.

**Presentations:** Available as 10 capsules in a pack of 1 x 10.

**KEEP ALL MEDICINES OUT OF REACH OF CHILDREN**