

# Pileus

## Fluconazole USP

### Composition

Pileus 50: Each capsule contains Fluconazole USP 50 mg.

Pileus 150: Each capsule contains Fluconazole USP 150 mg.

### Pharmacology

Fluconazole is a synthetic triazole antifungal drug that inhibits the biosynthesis of ergosterol, a major component of the cell membrane of yeast and fungal cells, leading to abnormalities in membrane permeabilities, inhibition of growth, abnormal cell wall formation and accumulation of intracellular lipids and membranous vesicles. It is active against a broad spectrum of yeast and other fungal pathogens. Following oral administration, absorption is rapid with > 90% of the dose being absorbed. Bioavailability is the same whether taken during fasting or with food, as the pharmacokinetics of Fluconazole is relatively insensitive to physiological changes in the GIT. Unlike other azole drugs, the bioavailability of Fluconazole is unaffected by gastric pH so it can be given during treatment with antiulcer drugs including PPI. 80% of a dose of Fluconazole is excreted unchanged and 11% is excreted as inactive metabolites in the urine, presumably as a result of metabolism in the liver. A further 2% of a dose is recovered unchanged in the feces, and the fate of the remaining is unknown.

### Indication

- Superficial candidal infections such as oral or vaginal thrush
- Esophagitis caused by Candida or other susceptible species
- Maintenance therapy of cryptococcal meningitis
- Disseminated candidiasis
- Prophylaxis for fungal infection in neutropenic cancer patients
- Acute treatment of other systemic fungal infections
- Dermatophyte and Candida skin infections
- Fungal UTIs

### Dose and administration

Fluconazole is usually given orally. Generally the total daily dose is given at once unless nausea supervenes, in which case the dose may be divided.

- Vaginal candidiasis and candidal balanitis, by mouth, a single dose of 150 mg.
- Mucosal candidiasis (except genital), by mouth, 50 mg daily (100 mg daily in usually difficult infections) given for 7-14 days in oropharyngeal candidiasis; for 14-30 days in other mucosal infections (e.g. oesophagitis, candiduria, non-invasive bronchopulmonary infections); Child by mouth 3-6 mg/kg on 1st day then 3 mg/kg daily (every 72 hours in neonate up to 2 weeks old and every 48 hours in neonate 2-4 weeks old).
- Tinea pedis, corporis, cruris, pityriasis versicolor, and dermal candidiasis, by mouth, 50 mg daily for 2-4 weeks (for up to 6 weeks in tinea pedis); maximum duration of treatment 6 weeks.
- Invasive candidal infections including candidaemia and disseminated candidiasis and cryptococcal infections including meningitis, by mouth, 400 mg initially then 200 mg daily, increased if necessary to 400 mg daily, treatment continued according to response (at least 6-8 weeks for cryptococcal meningitis); Child 6-12 mg/kg daily (every 72 hours in neonate up to 2 weeks old, every 48 hours in neonate 2-4 weeks old); maximum 400 mg daily.
- Prevention of relapse of cryptococcal meningitis, by mouth, 100-200 mg daily.
- Prevention of fungal infections in immunocompromised patients following cytotoxic chemotherapy or radiotherapy, by mouth 50-400 mg daily adjusted according to risk; Child according to extent and duration of neutropenia, 3-12 mg/kg daily (every 72 hours in neonate up to 2 weeks old, every 48 hours in neonate 2-4 weeks old); maximum 400 mg daily.

### Contraindication

Fluconazole is contraindicated to patients hypersensitive to this drug and in advanced liver disease.

### Warning & Precaution

Cautions should be taken in renal impairment; in hepatic disease liver function should be monitored and should be discontinued if signs or symptoms of hepatic disease appear.

### Side effects

**Common:** Nausea, abdominal discomfort, diarrhea, flatulence, headache, rash; less frequently dyspepsia, vomiting, abnormalities in liver enzymes, seizures, alopecia and Stevens Johnson syndrome reported.

**Rare:** Allergic reaction (hives, difficult breathing, swelling in your face or throat) or a severe skin reaction (fever, sore throat, burning eyes, skin pain, red or purple skin rash with blistering and peeling).

### Use in pregnancy and lactation

Pregnancy: There are limited data on the use of Fluconazole in pregnant woman. However Fluconazole should be used in pregnancy only when the benefit clearly outweighs the risk.

Lactation: Fluconazole is excreted in breast milk in levels about half of those found in plasma. Therefore, the drug should be avoided during lactation.

### Use in children & adolescent

Fluconazole is relatively safe for pediatric patients. Hepatotoxicity and gastrointestinal toxicity are the most common adverse events. It is important to be aware that drug interactions with fluconazole can result in significant toxicity.

### Drug interaction

**With medicine:** Fluconazole decreases the metabolism of Cyclosporine and Phenytoin and increases the AUC of Retinoic acid. Fluconazole increases bleeding time in patients treated with Warfarin. Concomitant use of Fluconazole decreases in the mean plasma clearance of Theophyllin and increases the plasma levels of Zidovudine and concentration of Oral hypoglycemics. Rifampin induces the metabolism of Fluconazole.

**With food & others:** Avoid milk, milk products, iron-containing products, or antacids containing calcium, magnesium, and aluminum one hour before or 2 hours after taking these medications.

### Over dosage

In the case of an overdose, supportive measures should be instituted.

### Storage

Store below 30° C temperature and cool and dry place, away from light. Keep out of the reach of children.

### Packaging

Pileus 50: Each box contains 2 blister of 10 capsules.

Pileus 150: Each box contains 1 blister of 10 capsules.