Name of the product: Conascot capsules

Size of the insert (5.5x5.7 inch front & back printing)

Conascot Capsule

Fluconazole

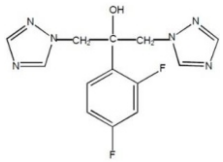
کونیسکوٹ کیپسولز

COMPOSITION

Each Capsule contains Fluconazole BP:.....150 mg

DESCRIPTION

Fluconazole is designated chemically as 2,4-difluoro-α,α1 - bis(1H-1,2,4-triazol-1-ylmethyl) benzyl alcohol with an empirical formula of C13H12F2N6O and molecular weight of 306.3. The structural formula is:



CLINICAL PHARMACOLOGY

Pharmacodynamic: Mechanism of action

Fluconazole is a triazole antifungal agent. Its primary mode of action is the inhibition of fungal cytochrome P-450-mediated 14-alpha-lanosterol demethylation, an essential step in fungal ergosterol biosynthesis. The accumulation of 14-alpha-methyl sterols correlates with the subsequent loss of ergosterol in the fungal cell membrane and may be responsible for the antifungal activity of fluconazole. Fluconazole has been shown to be more selective for fungal cytochrome P-450 enzymes than for various mammalian cytochrome P-450 enzyme systems.

Pharmacokinetic properties

Absorption: After oral administration fluconazole is well absorbed. Plasma concentrations are proportional to dose. 90% steady state levels are reached by day 4-5 with multiple once daily dosing. Distribution: The apparent volume of distribution approximates to total body water. Plasma protein binding is low (11-12%). Fluconazole achieves good penetration in all body fluids. In patients with fungal meningitis, fluconazole levels in the CSF are approximately 80% the corresponding plasma levels. Metabolism: Fluconazole is metabolised only to a minor extent. Of a radioactive dose, only 11% is excreted in a changed form in the urine. Elimination: Plasma elimination half-life for fluconazole is approximately 30 hours. The major route of excretion is renal, with approximately 80% of the administered dose appearing in the urine as unchanged medicinal product.

INDICATIONS AND USAGE

Conascot is indicated in adults for the treatment of:

. Cryptococcal meningitis

. Coccidioidomycosis

. Invasive candidiasis.

. Mucosal candidiasis including oropharyngeal, oesophageal candidiasis, candiduria and chronic mucocutaneous candidiasis.

. Chronic oral atrophic candidiasis (denture sore mouth) if dental hygiene or topical treatment are insufficient.

. Vaginal candidiasis, acute or recurrent; when local therapy is not appropriate.

. Candidal balanitis when local therapy is not appropriate.

. Dermatomycosis including tinea pedis, tinea corporis, tinea cruris, tinea versicolor and dermal candida infections when systemic therapy is indicated.

. Tinea unguinium (onychomycosis) when other agents are not considered appropriate.

CONTRAINDICATIONS

Hypersensitivity to the active substance and to related azole substances. Coadministration of fluconazole with cisapride, terfenadine is contraindicated because of reports of cardiac events.

ADVERSE REACTIONS

· Gastrointestinal Effects: Abdominal pain, nausea, vomiting, flatulence, taste disturbance

· CNS Effects: Headache and dizziness

· Hepatic Effects: Hepatic toxicity including elevated liver enzymes and increased bilirubin levels

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

· Body as whole: Anaphylaxis and angioedema

. Metabolic effect: Hypokalemia and hyperlipidemia

DRUG INTERACTIONS

Fluconazole coadministered with Cisapride, Terfenadine, Astemizole, Pimozide, Quinidine, Erythromycin leads to cardiac events including QT interval prolongation and torsades de pointes hence coadministration with these is contraindicated.

WARNINGS

Pregnancy: Fluconazole in standard doses and short-term treatments should not be used in pregnancy unless clearly necessary. Fluconazole in high dose and/or in prolonged regimens should not be used during pregnancy except for potentially life-threatening infections. Breast-feeding: Breast-feeding is not recommended after repeated use or after high dose fluconazole.

OVERDOSAGE

There have been reports of overdose with Conascot. Hallucination and paranoid behavior have been concomitantly reported. In the event of overdose, symptomatic treatment (with supportive measures and gastric lavage if necessary) may be adequate. Fluconazole is largely excreted in the urine; forced volume diuresis would probably increase the elimination rate. A three-hour haemodialysis session decreases plasma levels by approximately 50%.

DOSAGE & ADMINISTRATION

Indications		Posology
Cryptococcosis	- Treatment of cryptococcal meningitis.	Loading dose: 400 mg on Day 1 Subsequent dose: 200 mg to 400 mg once daily
	- Maintenance therapy to prevent relapse of cryptococcal meningitis in patients with high risk of recurrence.	200 mg once daily
Coccidioidomycosis		200 mg to 400 mg once daily
Invasive candidiasis		Loading dose: 800 mg on Day 1 Subsequent dose: 400 mg once daily
Treatment of mucosal candidiasis	- Oropharyngeal candidiasis	Loading dose: 200 mg to 400 mg on Day 1 Subsequent dose: 100 mg to 200 mg once daily
	- Oesophageal candidiasis	Loading dose: 200 mg to 400 mg on Day 1 Subsequent dose: 100 mg to 200 mg once daily
	- Candiduria	200 mg to 400 mg once daily
	- Chronic atrophic candidiasis	50 mg once daily
	- Chronic mucocutaneous candidiasis	50 mg to 100 mg once daily
Prevention of relapse of mucosal candidiasis in patients infected with HIV who are at high risk of experiencing relapse	- Oropharyngeal candidiasis	100 mg to 200 mg once daily or 200 mg 3 times per week
	- Oesophageal candidiasis	100 mg to 200 mg once daily or 200 mg 3 times per week
Genital candidiasis	- Acute vaginal candidiasis - Candidal balanitis	150 mg
	- Treatment and prophylaxis of recurrent vaginal candidiasis (4 or more episodes a year).	150 mg every third day for a total of 3 doses (day 1, 4, and 7) followed by 150 mg once weekly maintenance dose
Dermatomycosis	- tinea pedis, - tinea corporis, - tinea cruris, - candida infections	150 mg once weekly or 50 mg once daily
	- tinea versicolor	300 mg to 400 mg once weekly
		50 mg once daily
	- tinea unguium (onychomycosis)	150 mg once weekly
Prophylaxis of candidal infections in patients with prolonged neutropenia		200 mg to 400 mg once daily

Infants, toddlers and children (from 28 days to 11 years old):

Indication	Posology
- Mucosal candidiasis	Initial dose: 6 mg/kg Subsequent dose: 3 mg/kg once daily
- Invasive candidiasis - Cryptococcal meningitis	Dose: 6 to 12 mg/kg once daily
- Maintenance therapy to prevent relapse of cryptococcal meningitis in children with high risk of recurrence	Dose: 6 mg/kg once daily
- Prophylaxis of *Candida* in immunocompromised patients	Dose: 3 to 12 mg/kg once daily

STORAGE/ PRECAUTIONS: Avoid Direct sunlight and protect from heat and moisture. Store Below 25 °C. Keep all medicines out of the reach of children.

PRESENTATION: Conascot 150 mg Capsule is available in packing containing 1 Capsule.

عمومی خوراک: ڈاکٹر کی ہدایت کے مطابق۔

احتیاط: دوا صرف مستند ڈاکٹر کے زیر ہدایت استعمال کریں۔ دھوپ، نمی اور گرمی سے بچائیں۔ 25 ڈگری سینٹی گریڈ سے کم درجہ حرارت پر محفوظ کریں۔ تمام ادویات بچوں کی پہنچ سے دور رکھیں۔

Complete Medical Information only for doctors on request.

scotmann

Manufactured By:
Scotmann Pharmaceuticals
5-D, I-10/3, Industrial Area, Islamabad-Pakistan
www.scotmann.com

Reference: FDA Label