METAPHARMACEUTICAL IND SL
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TECHNICAL DATA SHEET

009463-TDS-ENG-2019

FLUCONAZOLE PH.EUR.		
DESCRIPTION DCI: FLUCONAZOLE	DESCRIPTION DOE: FLUCONAZOL	
CAS Nº: 86386-73-4	EC Nº: 627-806-0	AEMPS CODE: 2432A
MOL. WEIGHT: 306.27	MOL. FORMULA: C13H12N6F2O	ARTICLE CODE: 009463

ATTRIBUTES	SHOULD BE
Appearance	White or almost white, hygroscopic, crystalline powder
Solubility	Slighlty soluble in water, freely soluble in methanol, soluble in acetone
Identification	Complies
Appearance of solution	Clear and colourless
Related substances	
Impurity A	=< 0.4 %
Impurity B	=< 0.3 %
Impurity C	=< 0.15 %
Unspecified impurities	=< 0.10 %
Total impurities	=< 0.6 %
Loss on drying	=< 0.5 %
Sulfated ash	=< 0.1 %
Assay	99.0 - 101.0 %
Residual solvents	
Isopropyl alcohol	=< 5000 ppm
Methylene chloride	=< 600 ppm
Ethyl acetate	=< 5000 ppm

COMPLIES WITH

European Pharmacopoeia 9.0

STORAGE

Keep the containers tightly closed. Store in a cool and well-ventilated place.

REMARKS

FLUCONAZOLE is subjected to the requirements of the ICH Q3D "Elemental Impurities" guideline.

Certificates of residual solvents, allergens, non-OMG and BSE-TSE are available upon request.

Properties and use
FLUCONAZOLE is a triazole antifungal. It is active against Blastomyces dermatitidis, Candida spp, Coccidioides immitis, Cryptococcus neoformans, Epidermophyton spp, Histoplasma capsulatum, Microsporum spp, and Trichophyton spp.
It is well absorbed and has an oral bioavailability of 90%. The maximum plasma concentration is reached at 1 or 2 h. It is widely distributed. The average elimination half-life is about 30 hours. It is eliminated mainly by urine, mostly in an unchanged form.
Go to breast milk.
It is used in superficial mucosal candidiasis (oropharyngeal, esophageal, and vaginal) and in fungal infections of the skin, such as onychomycosis caused by dermatophytes and yeasts. It is also used in systemic infections, including systemic candidiasis, coccidioidomycosis, and cryptococcosis. Finally it has ophthalmic application for fungal infections of the eyes and ocular attachments.

Dosage
Oral route, at a dose of 50 - 400 mg / day depending on the infection.
Topical route, at 1 - 2%.

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Ophthalmic route, at 0.2 - 0.3%.

Side effects
The most frequent ones affect the digestive tract in the form of abdominal pain, diarrhea, flatulence, nausea and vomiting. Other adverse effects are headache, vertigo, leukopenia, thrombocytopenia, hyperlipidemia, and increased liver enzymes. Severe hepatotoxicity has been observed in patients with severe underlying disease. Anaphylaxis or angioedema has rarely occurred.
Dermatological reactions are rare, but exfoliating skin reactions such as toxic epidermal necrosis and Stevens-Johnson syndrome may occur, mainly in AIDS patients.

Precautions
Administer with caution in patients with impaired renal or hepatic function.
In patients with severe underlying diseases abnormalities of hematological, renal and hepatic function tests have been observed.
The use of FLUCONAZOLE during pregnancy or breastfeeding is not recommended.

Interactions
Rifampicin results in a decrease in the plasma concentration of FLUCONAZOLE.
Hydrochlorothiazide produces a non-significant increase in the plasma concentration of FLUCONAZOLE.
FLUCONAZOLE may interfere with the metabolism of some drugs, such as an increase in the plasma concentration of ciclosporin, midazolam, nortriptyline, phenytoin, rifabutin, hypoglycaemic sulfonylureas, tacrolimus, triazolam, warfarin, and zidovudine.
A decrease in the production of a toxic metabolite of sulfamethoxazole may occur. The concomitant use of FLUCONAZOLE and astemizole, cisapride or terfenadine should be avoided due to the risk of cardiac arrhythmias.
FLUCONAZOLE also reduces the clearance of theophylline. The effectiveness of oral contraceptives can be affected.

Formulation examples

Solution for onychomycosis
FLUCONAZOLE - **2 %**
Dimethylsulfoxide c.s.p. - **30 mL**

Oral suspension of FLUCONAZOLE 1 mg/mL
FLUCONAZOLE - **0.1 g**
Glycerin - **5.0 mL**
Methylcellulose - **0.5 g**
Sweetener c.s.
Flavoring c.s
Purified water c.s
Syrup simple c.s.p - **100 mL**

Modus operandi: Moisten the FLUCONAZOL with the glycerin in a mortar to obtain a fine paste. Dissolve the sweetener in a little purified water and add the methylcellulose. If necessary, heat to 40 - 45 ºC. Add the solution on the mortar. Add the flavor and the simple syrup. Adjust to pH 4.0 - 8.0. The methylcellulose 0.5 g can be replaced by sodium carboxymethylcellulose 0-0.1 g.

Conservation: approx. 60 days refrigerated at 4 ºC.