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TECHNICAL DATA SHEET

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93890-KETOCONAZOLE

1. IDENTIFICATION OF THE SUBSTANCE OR PREPARATION

1.1 Identification of the substance or preparation

Name: Ketoconazole

Bulk code: 93890

1.2 Synonyms

Ketoconazolum

2. DESCRIPTION

Appearance: Crystalline powder.

Colour: White or almost white.

Odour: Odourless.

3. COMPOSITION/INFORMATION ON COMPONENTS

Formula: $C_{26}H_{28}Cl_2N_4O_4$

CAS: 65277-42-1

Molecular weight: 513.4 g/mol

4. PHYSICO-CHEMICAL DATA

See detailed specifications in analysis report.

Solubility: Practically insoluble in water; soluble in alcohol, chloroform, acetone, acids, methidyl and methanol. Highly soluble in methylene chloride.

Melting point: 148 – 152 °C.

5. PROPERTIES/USES

ACTIVE PHARMACEUTICAL INGREDIENT

It is a synthetic imidazolic derivative with fungistatic activity, which acts by altering the permeability of the fungal membrane by inhibiting the synthesis of ergosterol. It has a broad-spectrum activity, including against dermatophytes and yeasts. It is also active against *Trichomonas vaginalis*.

It is an effective oral treatment for systemic blastomycosis, candidiasis (disseminated, chronic mucocutaneous, oropharyngeal, vulvovaginal and candiduria), coccidioidomycosis, histoplasmosis, paracoccidioidomycosis, chromomycosis, disseminated sporotrichosis, fungal infections of the gastrointestinal tract, fungal pneumonia, paronychia, athlete's foot, ringworm (body, crural region



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and versicolor), onychomycosis and fungal septicaemia. It is also used in the prophylaxis of fungal infections in immunosuppressed patients, although its use is not advisable when infection has already settled in. It does not cross the meningeal barrier, so it should not be used in therapy against fungal meningitis. Due to its high hepatotoxicity, its systemic use should be reserved for serious infections not amenable to topical treatment.

6. DOSAGE

Orally:

- For therapy and prophylaxis, a single daily dose of 200 mg is usually administered, with meals, and may be increased to up to 400 mg/day.
- In children, 3 mg/kg of weight per day is used; or 50 mg in children aged 1–4 years and 100 mg in children aged 5–12 years.
- For chronic vaginal candidiasis, 400 mg daily dosages are used for 5 days.

Vaginally:

- 400 mg suppository every 24 hours, for 3–5 days, to treat vulvovaginal candidiasis.

Topically:

- It is used as a cream, gel or powder, at a concentration of 2%, for cases of candidiasis, ringworms and pityriasis versicolor, applied once or twice a day until symptoms resolve.
- It is used in the form of 2% shampoo to treat seborrheic dermatitis and dandruff, twice weekly for 15–30 days; and in pityriasis versicolor, only once a day, for up to 5 days.

7. REMARKS

STORAGE:

Store at room temperature ($25\pm 2^{\circ}\text{C}$), in a cool, dry place, away from sunlight, in a tightly closed container.

8. BIBLIOGRAPHY

Monografías Farmacéuticas. COF Alicante, 1998.

"Martindale. The Extra Pharmacopoeia". 30th Edition. Ed. The Pharmaceutical Press. London. (1993).