

Itrafungex 100mg Hard Gelatin Capsules

Composition:

Each hard gelatin capsule contains:

Active ingredients:

Itraconazole 100mg.

Inactive ingredients:

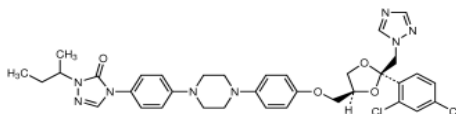
- Lactose monohydrate
- Microcrystalline cellulose
- Magnesium stearate
- Titanium dioxide
- Gelatin
- Erythrosine E123
- Indigotin.

Properties:

Itraconazole is an oral broad spectrum antifungal.

Its chemical name is:

-(±)-2-sec-Butyl-4-[4-(4-[(2R*,4S*)-2-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-ylmethoxy]phenyl)-piperazin-1-yl]phenyl]-2,4-dihydro-1,2,4-triazol-3-one.



Chemical Structure of **itraconazole**

Clinical

Pharmacology:

Pharmacodynamics:

Itraconazole is a triazole derivative and has broad spectrum antifungal activities against infections with various fungi and yeast. In vitro, itraconazole inhibits the cytochrome p-450 synthesis of ergosterol which is a vital component for fungal cell membrane.

Pharmacokinetics:

Absorption:

-Peak plasma levels are reached 3-4 hours after an oral dose with terminal half-life of 1-1.5 days.

Distribution:

-The plasma protein binding is 99.8% and concentration of itraconazole in blood is 60% of this in plasma.

Uptake in keratinous tissue, especially the skin, is up to 4 times higher than in plasma and therapeutic levels in the skin persist for 2-4 weeks after discontinuation of a 4 weeks treatment course.

Itraconazole is also present in sebum and to a less extent in sweat.

Concentration in the lung, kidney, spleen, liver, stomach, bone and muscles are 2-3 times higher than the corresponding plasma concentration.

Therapeutic levels in vaginal tissue are maintained for another 3 days after discontinuation of a 1 day course.

Metabolism:

The active metabolite is hydroxyitraconazole . Metabolized predominantly by CYP- 450 3A4 isoenzyme, resulting in several metabolites.

Indications:

Itraconazole capsules are indicated for the treatment of the following fungal infections:

- 1-Oropharyngeal and vulvovaginal candidiasis.
- 2-Tinea.
- 3-Blastomycosis
- 4-Histoplasmosis
- 5-Aspergillosis
- 6-Onychomycosis

Dosage and Administration:**To be taken directly after meals.**

- Oropharyngeal candidiasis: 100mg daily for 15 days.
- Vulvovaginal candidiasis: 200mg twice daily for one day.
- Tinea corporis: 100mg daily for 15 days.
- Tinea pedis &tinea manuum: 200mg daily for 7 days.
- Pityriasis versicolor: 200 mg daily for 7 days.
- Systemic aspergillosis, candidiasis and cryptococcosis including cryptococcal

meningitis: 200mg once daily increased to 200mg twice daily.

Drug Interactions:

- Avoid concomitant use with: cisapride, pimozide or quinidine which may result in serious cardiovascular events.
- Itraconazole may inhibit the metabolism of vinca alkaloids. So, it may increase the risk of neurotoxicity.
- Concomitant administration of itraconazole and alprazolam or midazolam could lead to increased plasma concentrations of these benzodiazepines concentrations that could potentiate and prolong hypnotic and sedative effects.
- Calcium channel blockers can have a negative inotropic effect possibly increased when administered with itraconazole. Itraconazole can inhibit the metabolism of calcium channel blockers such as dihydropyridines (e.g. felodipine). Therefore, caution should be used when co-administering itraconazole and calcium channel blockers due to an increased risk of CHF.
- Phenytoin reduces plasma concentrations of Itraconazole.
- Reduced plasma concentrations of itraconazole were reported when administered concomitantly with H₂-receptor antagonists.
- Avoid concomitant use with simvastatin or atorvastatin as it may increase risk of myopathy.

Side Effects:

- Dyspepsia, nausea and constipation.
- Less commonly: headache, irregular menstruation, pruritis and diarrhea.
- In case of itraconazole treatment for more than one month, rare cases of hypokalemia may occur.

Contraindications:

Itrafungex (itraconazole) Capsules should not be administered in patients with evidence of ventricular dysfunction such as congestive heart failure (CHF) or a history of CHF.

Itraconazole is contraindicated in patients who have a known hypersensitivity to Itraconazole or the excipients of the drug.

Warnings & Precautions:

- It is advisable to monitor liver functions in patients receiving itraconazole continuously for more than one month.
- In patients with raised liver enzymes, treatment should not be started unless the potential benefits exceed the potential risk.
- If neuropathy occurs during itraconazole therapy the treatment should be stopped.
- Absorption of itraconazole is impaired when gastric acid production is decreased. So, antacids should be administered at least 1 hour before or 2 hours after administration of Itraconazole.

Pregnancy:

-Ensure effective contraception during treatment.

-Used only in life-threatening situations.

Breast Feeding:

It is secreted in milk, so it is advised to avoid unless potential benefits outweighs the risk.

Patient Information:

- Keep this leaflet. You may need to read it again.
- If you have any further question, ask your doctor or pharmacist.

- If any of the side effects gets serious, or if you notice any side effects not listed in this leaflet, please tell your doctor or pharmacist.
- Take capsules after a full meal to obtain maximum benefits.
- Take the antacid at least 1 hour before or 2 hours after taking itraconazole capsules.
- If a dose is missed, take as soon as remembered. But if it is near the time for the next dose, skip the dose and take the next dose at the regular schedule.
- Complete entire course of therapy even if symptoms of infection have disappeared.

Package:

- **Carton box contains 1 PVDC / Aluminum blister of 4 hard gelatin capsules with insert leaflet.**
- **Carton box contains 3 PVDC / aluminum blisters; each blister contains 5 hard gelatin capsules with insert leaflet.**

Storage :

Keep out of reach of children.

Store at a temperature not exceeding 30° in a dry place.

Produced by Medizen Pharmaceutical Industries for Utopia Pharmaceuticals.

