



ITRACONAZOLE

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Itraconazole is a synthetic triazole antifungal drug used to treat various fungal infections. It belongs to a class of drugs known as the azoles. Itraconazole may be administered orally as a capsule or as a solution formulation. It may be given intravenously (directly into a vein) as well. Bioavailability varies based on the type of formulation given. Absorption of itraconazole is erratic and requires gastric acid, so it is recommended that it be taken with a meal. Drugs that decrease gastric acid should not be administered concurrently.

Mechanism: Itraconazole acts by inhibiting the fungal cytochrome P-450 dependent enzyme lanosterol 14- α -demethylase. When this enzyme is inhibited it blocks the conversion of lanosterol to ergosterol, which disrupts fungal cell membrane synthesis. Itraconazole exhibits fungistatic (slows the growth) activity against yeast-like fungi and fungicidal (kills the fungus) activity against *Aspergillus* spp.

Uses: With its broad spectrum antifungal activity itraconazole is used to treat a variety of fungal infections including:

- Blastomycosis
- Histoplasmosis
- Aspergillosis
- **Sporotrichosis**
- Candidiasis
- **Onychomycosis**

Furthermore, itraconazole is the drug of choice for **sporotrichosis**, histoplasmosis and blastomycosis. **Onychomycosis** is treated with a unique plan, called pulse dosing. Under this plan, itraconazole is administered at a higher dose for one week every month, instead of daily.

Interestingly, itraconazole is sometimes used to treat or prevent additional fungal infections in patients with Human Immunodeficiency Virus (HIV) and Acquired Immunodeficiency Syndrome (AIDS).

Side Effects and Special Considerations: Itraconazole can cause minor side effects and rarely serious side effect. Side effects such as constipation, upset stomach, headache, sore or bleeding gums, depression, nervousness, sweating and muscle pain have been noted. More serious side effects such as nausea, yellowing of skin or eyes, pale stools, fever, dark urine, rash, hives, and difficulty swallowing must be addressed immediately. These side effects may be caused by an allergy to the medication, hypokalemia or rarely hepatotoxicity.

Due to the mechanism of action, inhibiting cytochrome 3A4, itraconazole may cause drug interactions. Drugs such as HMG-CoA reductase inhibitors, benzodiazepines, quinidine and warfarin may need dose adjustment or discontinuation for the duration of itraconazole administration.

The Food and Drug Administration has labeled itraconazole as a pregnancy category C, meaning animal studies have shown adverse effects on fetus development but there is inadequate data on human fetus development. Taking itraconazole while pregnant or breastfeeding should be discussed with your doctor.

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