

Educational Pearl

Enhancing Itraconazole Absorption

Itraconazole is a broad-spectrum, triazole antifungal available in a variety of formulations, including oral solution, conventional oral capsule, and a super bioavailable (SUBA) oral capsule. However, the oral absorption and administration varies between formulations. Confused about itraconazole formulations? Keep reading to learn more about optimizing itraconazole bioavailability and enhancing absorption.

Bioavailability and Special Considerations

Itraconazole absorption is effected by presence of food and gastric pH.

Itraconazole Bioavailability by Formulation ¹⁻⁴				
Formulation	Brand Name	Bioavailability	Impacted by Food?	Impacted by Acidity?
Itraconazole Oral	Sporanox	55%	Yes, increased	Yes, increased by
Capsule (conventional)			absorption with food	acidity
Itraconazole Oral	Sporanox	80%	Yes, decreased	No
Solution			absorption with food	
SUBA Itraconazole Oral	Tolsura	90%	Yes, increased	Yes, decreased by
Capsule			absorption with food	acidity

Optimizing the Bioavailability of Itraconazole

It is recommend that the patient take the conventional oral capsule with a full meal (preferably high fat) and an acidic beverage (e.g. cola). An acidic beverage is especially important if a patient is taking medications that decrease stomach acid such as proton pump inhibitors, H2 receptor antagonists, and antacids. Like the conventional capsule, the absorption of the SUBA itraconazole capsule is increased when administered with food. However, absorption of SUBA itraconazole is enhanced in less acidic environments and absorption is NOT reduced by medications that decrease stomach acid.⁴ Unlike the capsule formulations, the oral solution should be taken on an empty stomach for optimal absorption.³

Dosing and Monitoring

Itraconazole capsules have different bioavailability and therefore different dosing strategies. Conventional itraconazole capsules and solution are typically dosed 200 mg 2-3 times per day. SUBA itraconazole is typically dosed 130 mg 1-2 times per day. Some clinicians utilize therapeutic drug monitoring to assess absorption of itraconazole.

<u>Key Takeaways</u>: Absorption of itraconazole varies between formulations. Bioavailability may be impacted by the administration of food or gastric acidity. Discuss administration best practices with patients to optimize absorption.

References

- 1. Mandell, Douglas, and Bennett's Principles and Practice of Infectious diseases. Ninth Edition. Chapter 40B. Antifungal Drugs: Azoles.
- 2. Package insert. Itraconazole Capsules. https://www.accessdata.fda.gov/drugsatfda_docs/label/2009/020083s040s041s044lbl.pdf.
- 3. Package insert. Itraconazole Oral Solution. https://www.accessdata.fda.gov/drugsatfda_docs/label/2009/020657s011s018s019s021lbl.pdf.
- 4. Package insert. Tolsura. https://www.accessdata.fda.gov/drugsatfda_docs/label/2018/208901s000lbl.pdf.