Itraconazole

General	
• Class of the drug:	Antimycotics
• Synonym(s):	
• Common trade name(s) in Switzerland:	Sporanox®
• Conversion factors:	*Itraconazole:* *mg/l x 1.42 = µmol/l* *µmol/l x 0.71 = mg/l* *Hydroxyitraconazole:* *mg/l x 1.39 = µmol/l* *µmol/l x 0.72 = mg/l*
Clinical pharmacology	
• Indications for TDM:	Individual dose adaptation, verification of compliance
• Protein binding:	> 99 % (albumin)
• Elimination half-life:	1.0 - 1.5 days
• Volume of distribution:	10.7 l/kg
• Metabolism:	
- Main metabolic pathways:	Hydroxylation by CYP3A4 to hydroxyitraconazole (stereoselective biotransformation) and a number of other metabolites
- Active metabolite(s)?	Hydroxyitraconazole (should be determined as well)
- Inhibitor or inducer of the cytochrome P450 system?	Inhibits CYP3A4
- Other significant pharmacokinetic interactions:	Inhibitor of P-gp
• Elimination of parent drug:	Mainly hepatic
• Typical therapeutic range:	> 0.50 mg/l (> 0.71 µmol/l) for itraconazole > 1.0 mg/l (> 1.42 µmol/l) for itraconazole + hydroxyitraconazole
• Potentially toxic concentration:	Not known
Pre-analytics	
• Time to steady-state since beginning of treatment or change of posology:	7 - 14 days
• Time for blood sampling:	Before next dose at steady state
• Type(s) of sample:	Serum or plasma

• Stability:	Several days at 4°C
Analytics	
• Position(s) in the analysis list/Method:	8632.02 HPLC/GC 8632.03 LC-MS/GC-MS
Remarks	None
References	• *Heykants et al., Mycoses 32 (1989) 67* • *Poirier et al.,, Ther. Drug Monit. 19 (1997) 247* • *Glasmacher et al., Mycoses 42 (1999) 443* • *Arzneimittel Kompendium der Schweiz, Documed, 2005* • *Breadmore et al., Electrophoresis 24 (2003) 2588*