Ciclosporine

General	
• Class of the drug:	Immunosuppressants
• Synonym(s):	
• Common trade name(s) in Switzerland:	Sandimmun®, Sandimmun Neoral®, Ciclosol®
• Conversion factors:	*µg/l x 0.83 = nmol/l* *nmol/l x1.20 = µg/l*
Clinical pharmacology	
• Indications for TDM:	Individual dose adaptation, verification of compliance, side effects, suspicion of toxicity
• Protein binding:	41 – 58 % localized in erythrocytes; in plasma 90 % bound to proteins, mainly lipoproteins
• Elimination half-life:	5 – 18 h
• Volume of distribution:	3 – 5 l/kg
• Metabolism:	
- Main metabolic pathways:	CYP3A4
- Active metabolite(s)?	AM1 and AM9 have about 10 % of the activity of cyclosporine
- Inhibitor or inducer of the cytochrome P450 system?	No
- Other significant pharmacokinetic interactions:	P-glycoprotein substrate and inducer (e.g. St. John's Worth)
• Elimination of parent drug:	Hepatic > 94 % Renal < 6 %
• Typical therapeutic range:	Dependent on combination therapy and indication
• Potentially toxic concentration:	> 500 µg/l (C0)
Pre-analytics	
• Time to steady-state since beginning of treatment or change of posology:	~ 2 days
• Time for blood sampling:	Before next dose at steady state (C0) or 2 hours after administration (C2)
• Type(s) of sample:	Whole blood on EDTA
• Stability:	5 days at 25°C

Analytics	
• Position(s) in the analysis list/Method:	8634.01 Immunoassay 8634.02 HPLC-UV 8634.03 LC-MS
Remarks	None
References	• *Compendium suisse des médicaments, Documed, 2005* • *Kelly and al., Curr. Drug Metabol. 3 (2002) 275* • *Holt et al, Therap. Drug Monit. 24 (2002) 59* • *Macphee et al., Transplantation 74 (2002), 1486* • *Armstrong et al., Clin. Biochem. 34 (2001) 9* • *Marzolini et al, Clin. Pharmacol. Ther. 75 (2004), 13*