Lidocaine

General	
• Class of the drug:	Antiarrhythmic drugs, local anesthetics
• Synonym(s):	Lignocaine
• Common trade name(s) in Switzerland:	Xylocard®
• Conversion factors:	*mg/l x 4.27 = µmol/l* *µmol/l x 0.234 = mg/l*
Clinical pharmacology	
• Indications for TDM:	To control lidocaine levels after heart failure, shock, hepatic disease or suspected toxicity. Drug monitoring is not routinely performed.
• Protein binding:	60-70% (α_1-acid glycoprotein)
• Elimination half-life:	1-2 h
• Volume of distribution:	1.1 l/kg
• Metabolism:	
- Main metabolic pathways:	Via CYP1A2 and CYP3A4 to monoethylglycinexylide (MEGX) and glycinexilide (GX)
- Active metabolite(s)?	MEGX and GX
- Inhibitor or inductor of the cytochrome P450 system?	Not known
- Other significant pharmacokinetic interactions:	Inducers or inhibitors of CYP1A2 or CYP3A4 can influence lidocaine levels
• Elimination of parent drug:	>97% hepatic <3% renal
• Typical therapeutic range:	2-5 mg/l (8.5-21 µmol/l)
• Potentially toxic concentration:	>6 mg/l (>26 µmol/l)
Pre-analytics	
• Time to steady-state since beginning of treatment or change of posology:	30-90 min after a loading dose; 5-10 h without an initial loading dose.
• Time for blood sampling:	2 h after loading dose or 5-10 h after beginning of the infusion (without an initial loading dose)
• Type(s) of sample:	Serum or plasma

• Stability:	6 hours at 4°C; 8 weeks at -25°C. Binds to barrier gels in blood collection tubes!
Analytics	
• Position(s) in the analysis list/Method:	8635.02 HPLC/GC 8635.03 LC-MS/GC-MS
Remarks	Determination of MEGX can be used as liver function test (e.g. after liver transplantation)
References	• *Valdes R et al., Clin. Chem. 44 (1998) 1096-1109* • *Campbell TJ and Williams KM, Br. J. Clin. Pharmacol. 46 (1998) 307* • *JürgensG et al., Clin. Pharmacokinet. 42 (2003) 647* • *Orlando R et al., Clin. Pharmacol. Therap. 75 (2004) 80* • *Tanaka E et al., J.Clin. Pharm. Therap. 25 (2000) 411*