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Sommario/riassunto	In vivo target site concentrations are probably the most important determinant of drug effects. Traditionally, linking drug concentrations to drug effects has been accomplished by modelling blood-derived data, mostly because a direct quantification of tissue concentrations has been beyond technical reach. Today, a direct measurement of target site concentrations is possible by employing microdialysis or complementary approaches such as imaging technologies. Microdialysis, initially conceived in the 1970ies, has become a standard tool in drug development. This comprehensive overview of current microdialysis technology covers general and disease-specific aspects of microdialysis by international experts in the field. It provides useful information for colleagues in academia and industry who are interested PK-PD aspects of drug development.