The study of drug distribution within biological tissue is a key part of the development of new pharmaceuticals. Matrix assisted laser desorption ionisation mass spectrometric imaging (MALDI-MSI) is a powerful new imaging technique that can be used to study the distribution of a diverse range of endogenous and xenobiotic compounds within biological tissue. Here fundamental aspects of the technique, appropriate instrumentation and applications in the study of xenobiotics and metabolite distribution are described. Sample preparation issues and some of the challenges in data interpretation/handling are also discussed along with the advantages of ion-mobility separation of species.

A new method for the quantification of drugs in tissue by the use of MALDI-MSI is presented. An array of spiked tissue homogenate is created embedded in frozen gelatin. These arrays can be stored and sectioned for analysis at the same time as the tissue samples of interest. Data are presented from the quantitative analysis of anti-asthma drugs in lung tissue.