L6 ①Synthesis of Radiolabelled Agrochemicals

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②Low level radioactivity counting in agrochemicals development

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① Radiolabelled materials are often used in environmental fate studies, as the inclusion of the radiolabel makes detection and identification easier compared to using non-labelled materials. Within Quotient our chemistry group has made many radiolabelled agrochemicals for such studies.

The talk will focus initially on the labelling strategies used to label pyrethroid insecticides with carbon-14 as well as other labelling products. This class of insecticides is based on the natural product pyrethin I - which is an ester of an acid (chrysanthemic acid) and an alcohol (pyrethrolone). By altering the structure of the acid and or alcohol components a range of synthetic pyrethroids is obtained. We have successfully introduced labels into the cyclopropane ring and vinyl groups of chrysanthemic acid and made several of the alcohol moieties.

② The increasing need to obtain high quality metabolism data to meet regulatory requirements continues to be a challenge in environmental fate studies (ADME, e-Fate & dietary) as the samples obtained often contain low levels of radioactivity.

Early data on the nature of metabolites during biotransformation studies are often generated during the discovery phase of compound development. Data obtained in this manner has limitations as it is semi quantitative in nature and is based mostly on mass spectrometric response factors. For chromatographic data generate in development stage to be useful accurate methods of metabolite quantification are required. The profiled chromatographic peaks are also subject to structural analysis using techniques such as mass spectrometry or in some cases even NMR. By linking the structural data with the profiled data, a metabolism pathway can be elucidated on a quantitative level.

The talk will focus on recent developments that improve the limit of quantification for HPLC and TLC measurements thus providing added value to the experiment.

・The talk will provide examples from ADME, dietary and eFate studies

・The techniques discussed will include tissue distribution, 2-D TLC, HPLC with online radiodetection and multiwell microplate scintillation counting.

・Provide conclusions on advantages and disadvantages of different methods.

①農薬の放射性同位元素標識体合成○ジュディス・スミス ②農薬開発における低レベル放射能計測○アンドリュー・マクエゥイン（クオーションバイオリサーチ）