

演題: Enantioselective Chromatography: A Key Technology for Chiral Drug Discovery and Development

講師: Dr. Eric Francotte

Novartis Pharma AG, Switzerland

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要旨:

Nowadays, the systematic investigation of the biological activity of the single stereoisomers has become the rule for all new chiral drugs.

Frontier Chemistry Center フロンティア化学教育研究センター

In this context, enantioselective chromatography has become the method of choice for analytical determinations of the enantiomeric purity of chiral compounds and the number of practical applications in synthesis, biological testing, metabolism investigations, pharmacokinetic studies, or toxicological evaluation is innumerable. Thanks to the improving efficiency of the chiral stationary phases and of the separation techniques, solutions responding to new challenges have been elaborated and applied. The new developments include numerous practical applications such as the simultaneous analysis of complex mixtures of multiple diastereoisomers, the determination of the racemization rate of chiral drugs and drug intermediates, or the investigation of the chiral stability of substances under stressed conditions. This latter aspect is gaining increasing attention as it might have a considerable impact on the further development of the drug candidates.

On a preparative scale, enantioselective chromatography is now also established as the most rapid and most general approach in drug discovery and it is the strategy which has been adopted by most pharmaceutical companies. Moreover, for an increasing number of chiral drugs, enantioselective chromatography has also been recognized as a powerful approach for the preparative resolution of the racemate up to the production scale. In particular, the concomitant introduction of both, efficient chiral stationary phases and efficient separation techniques (HPLC, SFC, SMBC), offers possibilities which were not conceivable some years ago in the field of chromatographic separations.

本講演は、大学院総合化学院『化学研究先端講義/総合化学特別研究第二』の一部として認定されています。

連絡先:工学研究院生物機能高分子部門 佐藤信一郎(内線:6603)

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