SOCIÉTÉ CHIMIQUE DE GENÈVE

Enantioselective chromatography as a key technology to accelerate chiral drug discovery and development

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Nowadays, the systematic investigation of the biological activity of the single stereoisomers has become the rule for all new chiral drugs. In this context, there has been an extended development of enantioselective synthetic methodologies, which have now reached a high degree of diversity and complexity. Simultaneously, this trend has created a strong demand for more efficient stereoselective separation techniques.

Enantioselective chromatography has now 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 incalculable. Thanks to the improving efficiency of the chiral stationary phases and of the analytical techniques, solutions responding to these challenges have been elaborated and applied.

On a preparative scale, they are various strategies available to obtain the single enantiomers of chiral drugs and the best option essentially depends on the development stage of the drug. Especially at an early stage of drug discovery, enantioselective chromatography has prevailed as the most rapid and most general approach and it is now 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.

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