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Chiral discrimination in diastereomeric salt formation

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One commonly used method for obtaining enantiopure acids and amines is optical resolution via diastereomeric salt formation with a chiral resolving agent. 1-2 Based on previous results, we recognized that the eutectic composition of the racemate and/or the resolving agent determines the composition of the formed (crystalline) diastereoisomers even if the diastereoisomer forming chiral compounds

We wished to study chiral discrimination of racemic mandelic acid derivates by using, as resolving agent, amphoteric characteric chiral molecules ((R)-pregabalin [(R)-PG] and (S)-phenylalanine [(S)-Phe]).

In view of eutectic composition of racemate and resolving agent, we have found that the eutectic composition of the compounds involved in the kinetically controlled and termodinamically controlled resolution procedure may influence the efficiency of the enantiomeric separations (Sheme 1).

Sheme 1

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1 Jacques, J.; Collet, A.; Wilen, S. H. Enantiomers, Racemates and Resolution; Wiley & Sons: New York, 1981

2 Kozma, D. Optical Resolution via Diastereomeric Salt Formation; CRC Press:London, 2002

3 Pálovics, E.; Szeleczky, Zs.; Fődi, B.; Fogassy, E. RSC Adv. 2014, 4, 21254.