Parallel Kinetic Resolution of N-Heterocycles by N-Acylations in Flow

Selected examples:

Significance: A flow system for parallel kinetic resolution of N-heterocycles by using polymer-supported pseudoenantiomeric acylating agents was developed. A racemic mixture of heterocycles **1** was converted into the corresponding enantio-enriched amides **4** and **5** in 17–50% yield by using a flow-reaction system with acylating agents **2** and **3** (0.5 mol equiv each).

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Comment: The resulting amides **4** and **5** were readily deprotected to give the corresponding free amines. Thus, for example, (*R,S*)- and (*S,R*)-mefloquine (**1e**) were obtained from a 1:1 mixture of amides **4e** and **5e** by selective deprotection without detectable epimerization (60–90% yield).