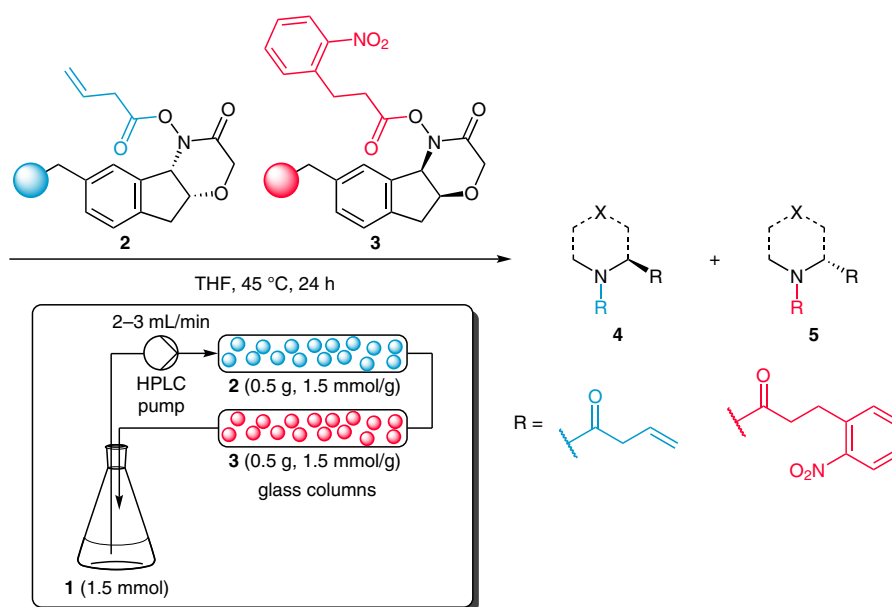
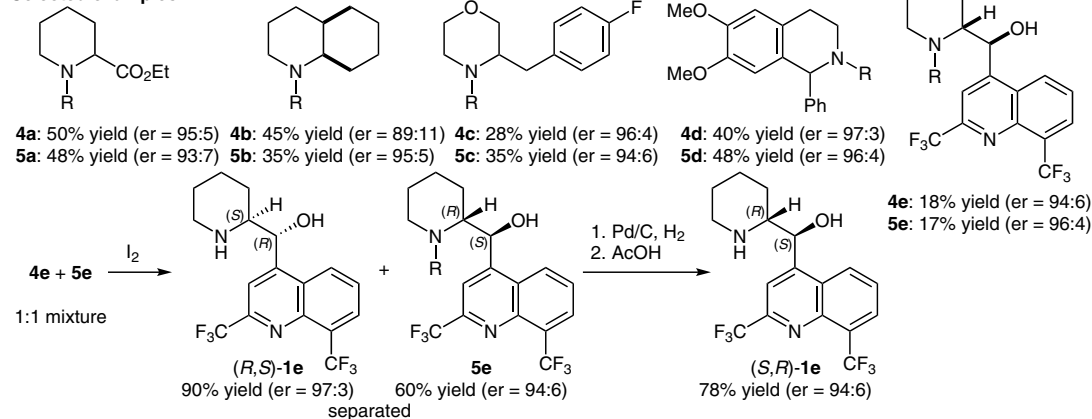


Parallel Kinetic Resolution of N-Heterocycles by N-Acylations in Flow



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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Synfacts 2017, 13(08), 0877 Published online: 18.07.2017
DOI: 10.1055/s-0036-1590709; Reg-No.: Y09317SF

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).