Synthesis and Borate-Catalyzed Kinetic Resolution of Terminal Aziridines

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Aziridines, strained nitrogen-containing heterocycles, are versatile intermediates in the pharmaceutical and materials industries. Upon ring opening with common nucleophiles, valuable synthetic building blocks can be produced as single enantiomers. Kinetic resolution of *N*-acylaziridines by nucleophilic ring opening has been developed in our lab. (*R*)-BINOL is utilized as the chiral modifier under boron-catalyzed conditions. The consumed enantiomer of aziridine can be further converted to an enantioenriched 1,2-chloroamide with recovery of (*R*)-BINOL. A series of structurally diverse racemic *N*-acylaziridines, available from alkene feedstock, undergo kinetic resolution with a range of selectivity values. Scalemic *N*-acylaziridine participate in regioselective ring opening reactions to produce enantioenriched oxazolines, diamines, and tryptamines.