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CHIRAL THIAZOLIDINE-2-THIONE DERIVED IMINIUM SALTS AS REAGENTS FOR KINETIC RESOLUTION

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There are currently few simple reagent systems available which can effect efficient kinetic resolution of racemic compounds. We report here a series of chiral bicyclic iminium salts, which can resolve racemic secondary alcohols to give both the unreacted alcohol and the sulphide resulting from reaction in moderate enantiomeric excess.

Bicyclic thiazolidinethione (1) is readily prepared in two steps from S-proline. Reaction with either MeI or PhCH₂Br gives the salts (2). When these are reacted with 2 equiv. of sodium (±)-1-phenylethoxide, the R-enantiomer reacts selectively to give (3) which spontaneously decomposes to the R-sulphide and thiazolidinone (4). Both the sulphide and the unreacted alcohol are obtained in ~25-35% e.e. In an attempt to develop a more efficient process we reacted (4) with Et₃O+ BF₄- to give (5). This also reacts with Na+ PhCH(Me)O- to leave the unreacted S-alcohol in 25% e.e. but the adduct is

now stable. To improve the selectivity we have prepared the substituted thiazolidinethiones (6) and (7) also from S-proline. Treatment of (6) with MeI results in an unexpected ring-opening with rearrangement to give (8), but the salt derived from (7) is obtained in good yield as a single stereoisomer and its use for resolution is currently under investigation.