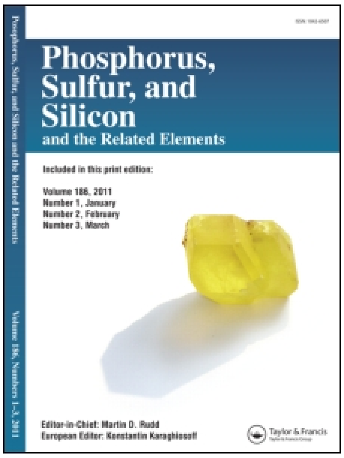

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# Chiral Thiazolidine-2-Thione Derived Iminium Salts as Reagents for Kinetic Resolution

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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 $PhCH_2Br$ 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 $Et_3O^+ BF_4^-$ 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.