Kinetic resolution of chiral racemic secondary allylboronates and their application in the synthesis of homoallylic amines

[Abstract]

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Abstract: Chiral amines are powerful pharmacophore groups due to their favourable physico-chemical properties that include inherent capability of hydrogen bonding and a wealth of relevant, well-understood structural information. Homochiral amines and their derivatives belong to the class of strategic building blocks for pharmaceutical, agrochemical and fine chemical development. We shall present a novel solution to attaining high stereoselectivity in the allylation of imines with secondary allylboronates. The method is based on our recently developed kinetic resolution of chiral racemic allylboronates (±)-1, which are readily synthesised from simple precursors. Conditions of the kinetic resolution catalysed by chiral Bronsted acid TRIP were optimised to afford highly enantiomerically enriched boronates (S)-1. In developing allylation of imines 3 with allylboronates (S)-1, it was important to ensure that the reaction is stereoselective, i.e. that chirality of the reagent is efficiently transferred into the product and that its selectivity is controlled with respect to the alkene geometry to give either 4 or 5. Details of the development of stereoselective addition of (S)-1 to imines to furnish selectively (S,Z)-5 will be presented.