2,1-BENZOTHIAZINES

PREPARATION AND REACTIVITY

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ABSTRACT

The synthesis of chiral ligands to tune the reactivity and stereoselectivity of many catalytic asymmetric reactions has been given considerable attention in synthetic organic chemistry over the past decade. This report will show the results of efforts toward the syntheses of several families of enantiomerically pure 2,1-benzothiazine ligands. These ligands are unique in that they contain a chiral sulfoximine.

Several 2,1-benzothiazine ligands were prepared in single one-pot syntheses and others in as many as five or more steps for larger heterocycles. An optimized synthetic route will be shown for a very well known Buchwald Hartwig *N*-arylation of sulfoximines and haloarenes. The synthetic procedure for the *N*-arylation of sulfoximines synthetic procedure has virtually been unchanged since its introduction in 1998. The new synthesis herein has dramatically improved reaction time and scope for the *N*-arylation of aryl bromides and aryl chlorides. Until now, aryl chloride based *N*-arylations gave extremely poor conversions when attempted thermally. Lastly, unsubstituted and 4-phenyl substituted 2,1-benzothiazine lithiation reactivity will be discussed for the sulfoximine stabilized lithium vinyl carbanions. Mono- and di-substitutions are now synthetically possible. New synthetic strategies for accessing the *ortho*-*S*-phenyl ring as a viable carbanion will also be shown.