

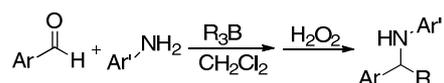
Diastereoselective synthesis of chiral amines by imines alkylation

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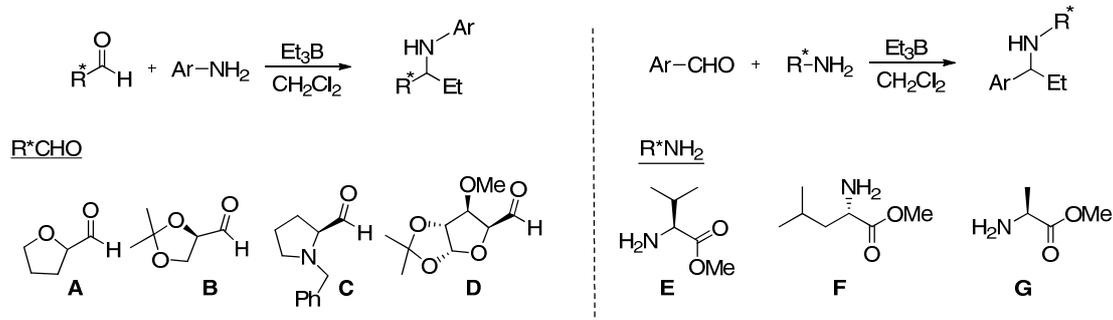
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Chiral amines are important chemical building blocks, which are most well recognized in the pharmaceutical industries for imparting desirable biological activity to chemical entities.¹ The 1,2-addition of alkyl groups to the C=N bond is a basic widely spread methodology for the preparation of alkylamines. However most of the alkylating procedures are mediated by ionic species and require therefore highly basic reagents, which limit severely the choice of suitable substrates. Free radical additions to activated imino compounds offer increased synthetic accessibility of chiral amines, but lack of general methods for stereocontrol has hindered their development.²

We have recently described a one-pot alkylative amination reaction for arylaldehyde derivatives that involves three components with trialkylborane as the alkylating agent. Our methodology is the first example of these processes for non-activated imines using a wide variety of trialkylboranes. The broad applicability of the procedure was ascertained by extending this reaction to enolizable aldehydes and aliphatic amines.³



We describe herein the one-pot procedure for the alkylation of non activated chiral imines, based on the use of triethylborane as the alkylating agent and enantiomerically pure aldehydes. We have studied the effect of the temperature and the influence of the heteroatom located at the position vicinal to the carbonyl on reaction efficiency and stereoselectivity.



At present we are studying the same alkylation reaction using chiral imines derived of aminoacids, valuing the influence of the amine nature as well.

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