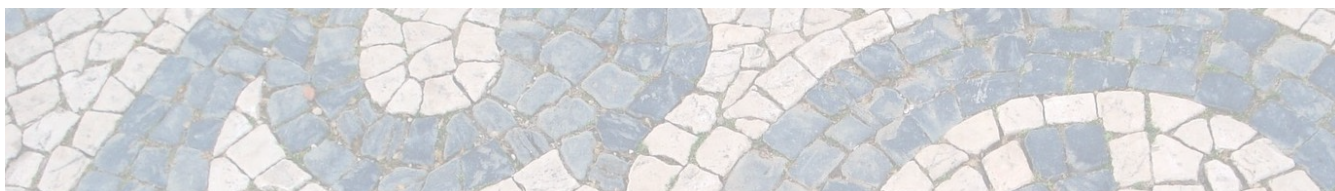


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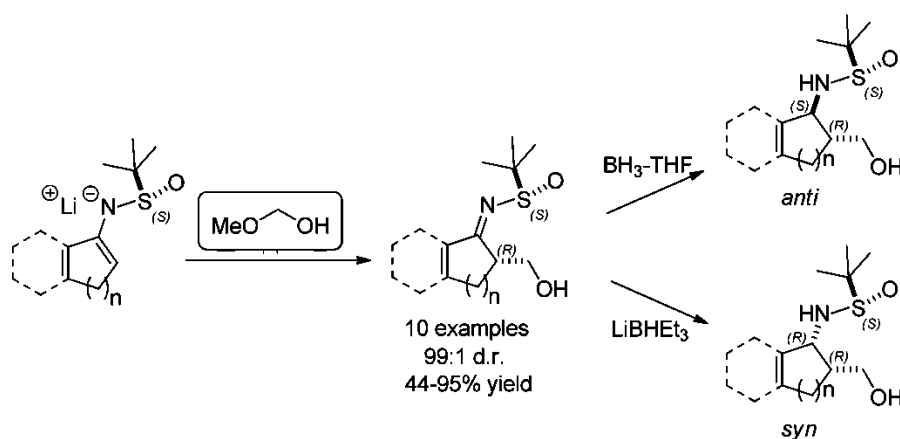


DIASTEREOSELECTIVE HYDROXYMETHYLATION OF CYCLIC *N*-*TERT*-BUTANESULFINYLKETIMINES USING METHOXYMETHANOL AS FORMALDEHYDE SOURCE

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Hydroxymethylation of cyclic (*S*_S)-*tert*-butylsulfinylketimine-derived lithium enamides with methoxymethanol as a source of anhydrous monomeric formaldehyde affords (*S*_S,*R*)- α -hydroxymethyl ketimines with excellent diastereoselectivity (99:1 dr). Subsequent diastereoselective reduction of the ketimine moiety from *Re*-face with BH₃-THF provided (*S*_S,*R*,*R*)-*N*-sulfinyl-1,3-amino alcohols. Diastereomeric (*S*_S,*R*,*S*)-1,3-amino alcohols were also obtained by using LiBHET₃ as the reducing agent. The *tert*-butylsulfinyl chiral auxiliary controls the diastereoselectivity of both hydroxymethylation reaction and subsequent reduction of ketimines. Further studies to expand the scope of aldehydes in the reaction with (*S*_S)-*tert*-butylsulfinyl ketimines are ongoing in our laboratory.^[1]



References:

^[1] M. Priede, M. Kazak, T. Kalnins, K. Shubin, E. Suna, *J. Org. Chem.* **2014**, *79*, 3715–3724.