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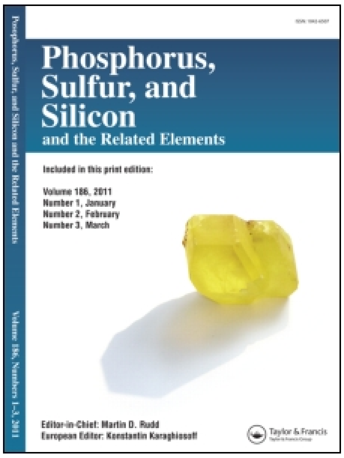

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Chiral Phosphine Ligand via Cyclization of Bis-Alkenylphosphonate

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CHIRAL PHOSPHINE LIGAND VIA CYCLIZATION OF BIS-ALKENYLPHOSPHONATE

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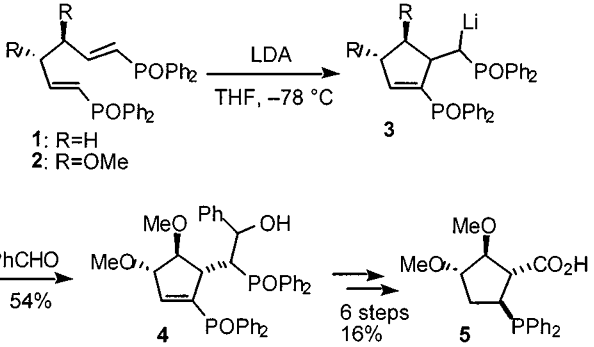
As our continuing studies of efficient synthetic application of lithium phosphonates,[1] we have developed cyclization reaction of bis-alkenylphosphonate **1**.[2] Although the reaction of **1** with organolithium reagents afforded both tandem Michael cyclization products and α-deprotonation-cyclization products,[2] the latter was selectively formed in high yield by simply treating **1** with LDA. Application of chiral bis-alkenylphosphonate **2** opens a simple synthetic way to chiral phosphine ligand **5**.[3,*] Treatment of **2** with LDA followed by electrophilic trapping of intermediate cyclic lithium phosphonate **3** with benzaldehyde afforded aldol-type adduct **4** in 54%. The alcohol **4** was transformed to **5** by 6 steps in 16% yield.



SCHEME 1

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*A chiral phosphone **5** without two methoxy groups was developed by Minami as an efficient ligand for the enantioselective allylic alkylation.

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