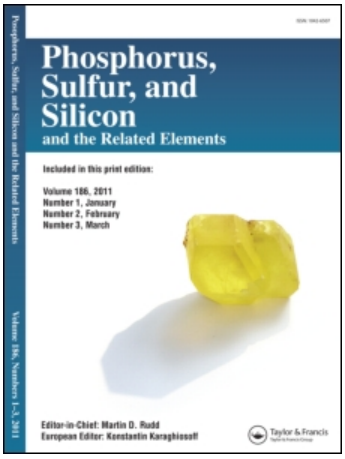

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Double Asymmetric Induction During Addition of Chiral Phosphites to C=N Bond

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DOUBLE ASYMMETRIC INDUCTION DURING ADDITION OF CHIRAL PHOSPHITES TO C=N BOND

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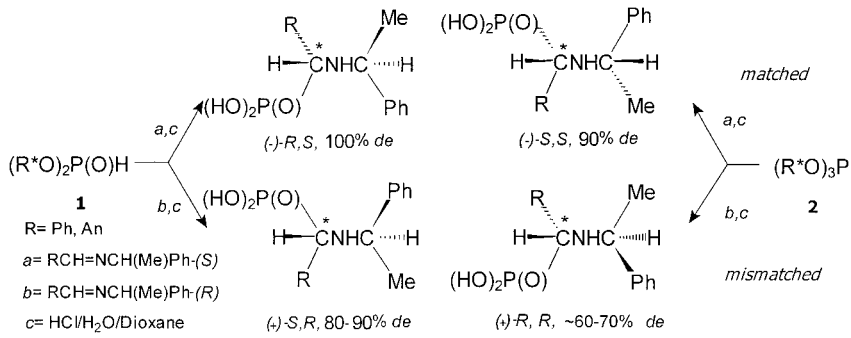
We found that the addition of chiral di- or trialkylphosphites **1,2** [R*O= (*1R,2S,5R*)-menthyl, (*1S*)-*endo*-bornyl,glucofuranosyl, *etc*)[1] to chiral C=N compounds is accompanied by *double asymmetric induction* at the α-carbon atom (*) to give aminophosphonic acids with ~100% *de* in case of *matched pairs* and 80–90% *de* in case of *mismatched pairs* as shown in the scheme.[1,2] We found also that di- and trialkylphosphites **1,2** furnish the aminosphosphonic acids with antipodal configuration.[3]



Configurations of new stereogenic centers were determined by chemical methods, NMR and, in some cases X-ray analysis. N-substituted aminophosphonic acids have been debenzylated by catalytic hydrogenation with Pd-C and converted to 1-aminobenzylphosphonic acids of well-known configuration.

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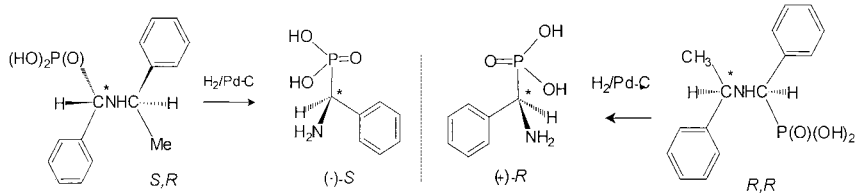

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