PREPARATION OF OPTICALLY ACTIVE PHOSPHONIC ACID DERIVATIVES USING ETHYL L-PROLINATE AND THEIR APPLICATION TO ASYMMETRIC ORGANIC SYNTHESIS

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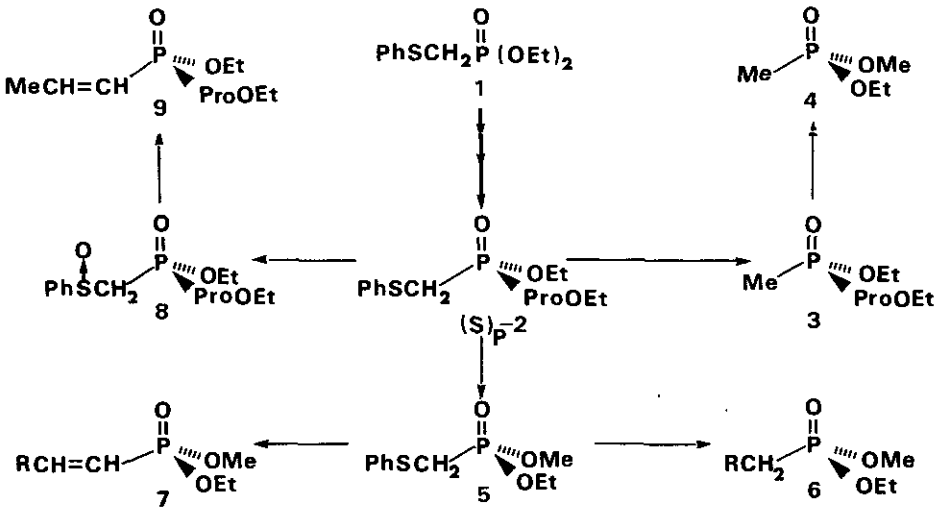
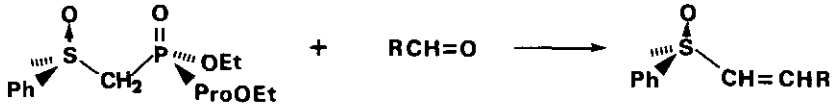
Ethyl L-prolinate had been successfully employed as a chiral source for the preparation of optically active phosphorus esters.[1] As an extension of this research, diastereomerically pure ethyl N-[ethoxy(phenylthiomethyl)phosphonyl] L-prolinate 2 was prepared and was converted to various optically active phosphonyl compounds. The absolute configurations of all compounds were determined by the chemical correlation to (-)-(S) ethyl methyl methylphosphonate 4.



The sulfoxide 8 [diastereomeric mixture of $(S)_S(S)_P$ and $(R)_S(S)_P$] was separated by column chromatography on Silica gel and each diastereomer was subjected to Emmons-Horner reaction with aldehydes to give corresponding optically active phenyl 1-alkenyl sulfoxides.



The addition reactions of the compound 9 with bromine, phenylsulfenyl chloride, and phenylselenenyl chloride afforded the corresponding adducts and the asymmetric induction was observed in all cases. In the case of the addition of PhSeCl the high diastereoselectivity was obtained.

1) T. Koizumi et al., Chem. Lett., 1980, 1403 and references cited therein.