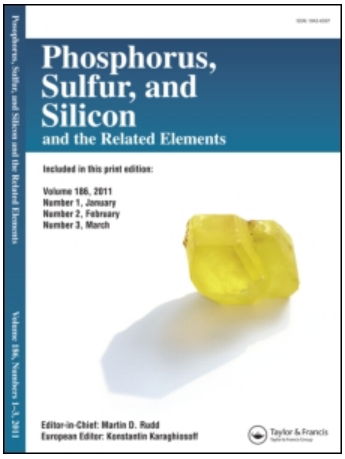

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Stereoselective Synthesis of Chiral (2-Furyl)Amino-Methanephosphonic and Aminophosphonous Acids

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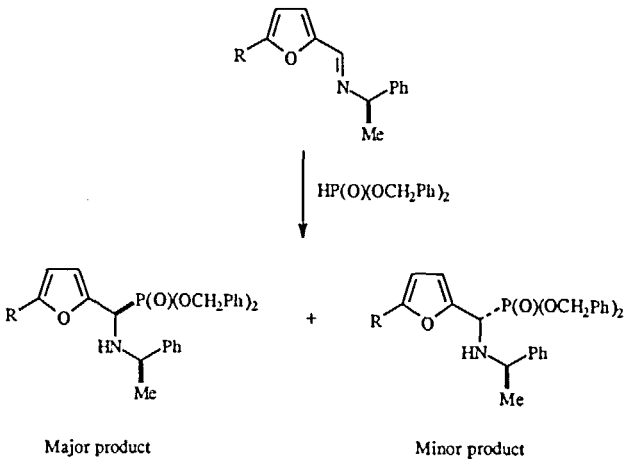
Keywords: Furan derivatives; aminophosphonic acids; aminophosphonous acids; stereoselective synthesis; Strecker synthesis

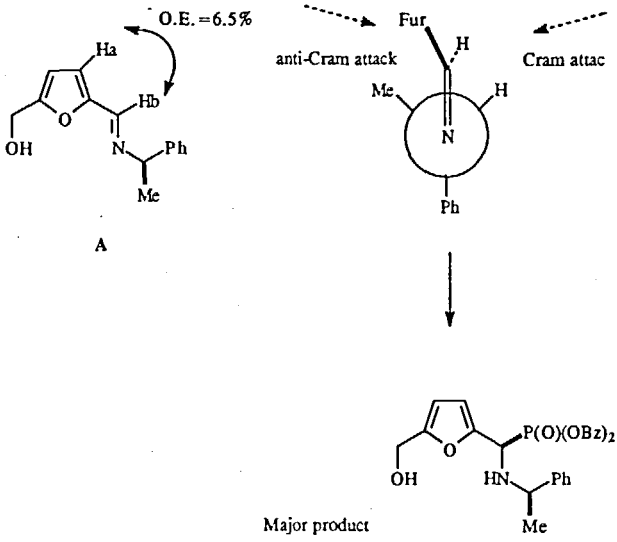
Stereoselective synthesis of (2-furyl)-N-methylbenzylaminophosphonate was performed by the addition of dibenzyl phosphate to N-furfurylidene (R)-α-methylbenzylamine[1]. Resulting diastereoisomeric esters were separated and characterised. As both products were oily liquids, the X-ray study could not have been made. So, we determined the absolute configuration on the base of Cram rules and confirmed it according to Riguera's[2] method.



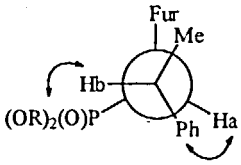
Major product

Minor product

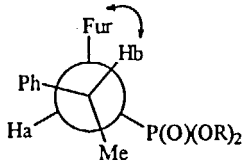
In the course of this reasonning, we state that, if R-imine was used, RR-diastereoisomer forms in majority. We performed Overhauser effect study, which showed predominant configuration of started imine as A.



The difference in spectral data of both diastereoisomers were the base for our investigation to confirm or to reject the above results. We used for this Riguera's method[2] for determination of diastereoisomers by ^{1}H NMR studies. As conformations B for RS diastereoisomer and C for RR diastereoisomer seem to be the most convenient, we could expect the shielding of Ha and Hb protons in the case of RS diasteroisomer and in the case of RR diastereoisomer the interaction between Hb proton and furan ring causing the degradation of AMX system of furan protons signals.

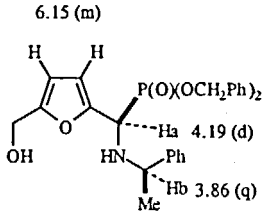


Conformation B

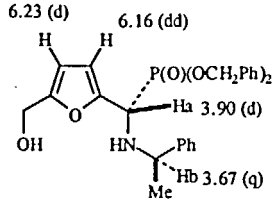


Conformation C

Spectral data confirmed our thesis, as all phenomena mentioned above are visible on the spectra: the shielding effects for protons Ha and Hb in the case of RS diastereoisomer and the degradation of AMX system of furan protons signals in the case of RR isomer.

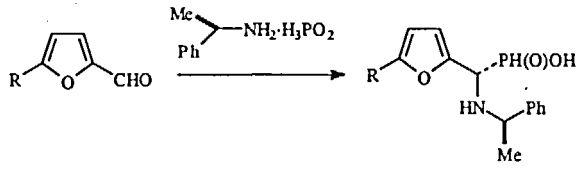


Major product
the most probably RR



Minor product
the most probably RS

Synthesis of (S)-(2-furyl)-R-α-methylbenzylaminomethane phosphonous acid was performed *via* Srecker reaction [3] of corresponding chiral amine, furfural and hypophosphorous acid. The reaction turned out to be 100% diastereoselective as the only one diastereoisomer was found in the reaction mixture which is in accord with Hamilton's results [3].



The X-ray study showed that diastereoisomer formed is of RS absolute configuration, which is in accord with Hamilton's results [3], but is astonishing vide the classical Strecker synthesis of natural amino acids, which is known to give RR diastereoisomer, when R-α-methylbenzylamine was used as a chiral auxiliary.

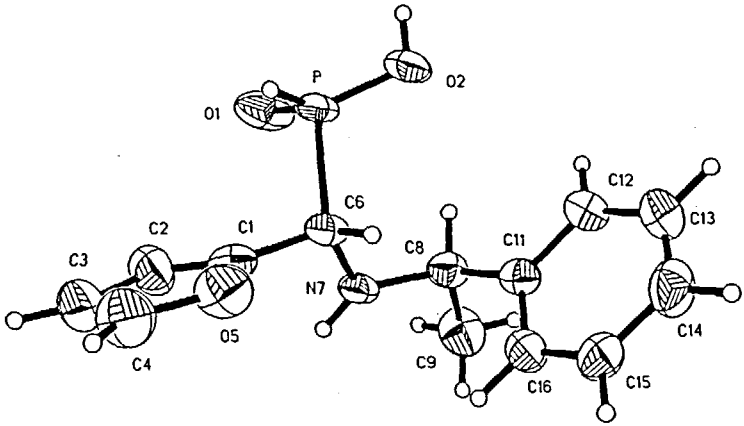


Fig. 1: X-ray structure of **1**

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[2] S.K. Latipov, J.M. Seco, E. Quinoa and R. Riguera, *J.Org. Chem.*, **1995**, *60*, 1538.
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