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Synthesis of (2-Furyl)Aminomethanephosphonic Acids Derivatives

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SYNTHESIS OF (2-FURYL)AMINOMETHANEPHOSPHONIC ACIDS DERIVATIVES

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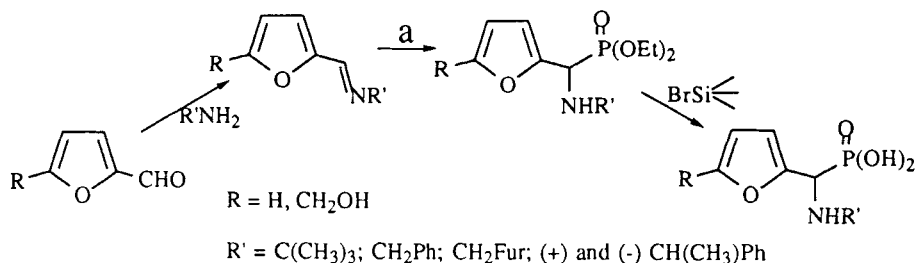
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Abstract: Synthetical aspects of furylaminophosphonic acids are discussed here.

Studies on aminophosphonic acids started in late 40's¹, since then various derivatives containing alkyl, aryl or heterocyclic groups^{2,3} were synthesized.

To our knowledge, furylaminophosphonic acids derivatives were scarcely studied. Here we want to report some preliminary results of their synthesis. The reaction sequence, when based on a published procedures³, gave poor results (conv. rate = 75% after 72 hrs). But operating on such factors as temperature, a catalyst and an amount of a reagent, we improved conversion rates and consequently yields.



a: 80°, MeCN, HP(O)(OEt)₂(xS), CF₃COOH, 6 hrs, conv. rate - 98%, Y ≈ 65 - 90%

We also performed stereochemical studies. Chiral (+) and (-) - α -methylbenzylimines when applied, yielded two diastereoisomers in 1 : 4 ratio. These results show a certain stereoselectivity of the addition of a phosphonate. But this topic is still under study.

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