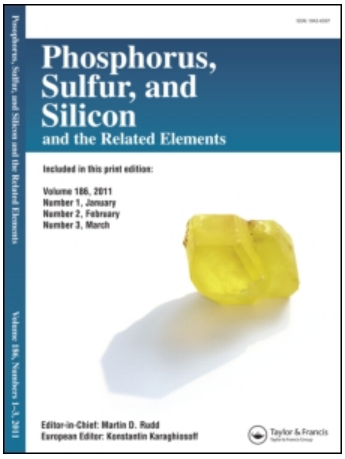

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Fluorinated N-Arylaminoarylmethanephosphonic Acids and Bisfunctional Derivatives

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FLUORINATED N-ARYLAMINOARYLMETHANEPHOSPHONIC ACIDS AND BISFUNCTIONAL DERIVATIVES

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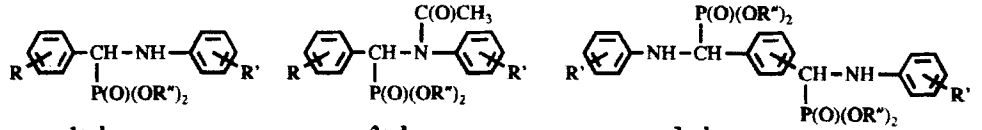
INTRODUCTION

Aminophosphonic acids and corresponding derivatives are of widespread biological and biochemical interest. Especially fluorinated and aromatically substituted compounds acting as antibacterial agents and showing fungicidal activities are important for medicine and agriculture.

RESULTS AND DISCUSSION

Specific properties are expected from fluorinated N-arylaminoarylmethanephosphonic acid dialkylesters **1a** (R" = Me) and **1b** (R" = Et) which are accessible in high yields by a two-step-procedure: Primarily imines are obtained by condensation of benzaldehydes with anilines, which, after isolation, add stoichiometric amounts of dialkyl phosphites at 100°C. N-atoms are acylated by acetyl chloride in the presence of a tert. amine in chloroform leading to **2**. The phosphonate ester groups are cleaved by silylation with an excess of $BrSiMe_3$ in chloroform at 20°C, followed by hydrolysis with water yielding **1c** (R" = H). Bisfunctional aminophosphonates **3** are available by the reaction of bisimines (derived from 1,4- or 1,3-phthaldialdehydes and anilines) with an excess of dialkyl phosphites in THF solution and basic catalysts. Due to the chiral α-C atoms two diastereomeric products are formed. The nature of the catalytic system (NaH or $NaOP(OEt)_2$/THF solution), the reaction temperature and time govern the ratio of those diastereomers. Under simiar conditions the addition of dialkyl phosphites to bisimines (derived from 1,4-phenylenediamine and benzaldehydes) leads specifically to one diastereomeric form only.
The aminophosphonates give rise to interesting $^{31}P\{^1H\}$, ^1H, ^{19}F and $^{13}C\{^1H\}$ NMR studies with respect to chirality and the complex spin systems. Biological investigations show insecticidal properties of some aminophosphonates towards harmful and parasitic insects, they exert slight inhibitoral activity on NADH-ubiquinone reductase (complex I) in the mitochondrial respiratory chain and behaves like an uncoupler there.



1a, b, c **2a, b** **3a, b**

R, R' = H; 4-, 3-, 2-F; 4-, 3-, 2-CF_3; 4-, 2-CF_3O; 2,4-F_2; 3,4-F_2; 2,3,4-F_3