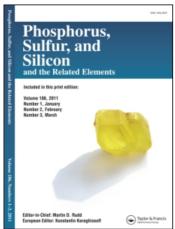
This article was downloaded by:

On: 28 January 2011

Access details: Access Details: Free Access

Publisher Taylor & Francis

Informa Ltd Registered in England and Wales Registered Number: 1072954 Registered office: Mortimer House, 37-41 Mortimer Street, London W1T 3JH, UK



Phosphorus, Sulfur, and Silicon and the Related Elements

Publication details, including instructions for authors and subscription information: http://www.informaworld.com/smpp/title~content=t713618290

Fluorinated N-Arylaminoarylmethanephosphonic Acids and Bisfunctional Derivatives

Ulrike Gruss^a; Gerhard Hägele^a

^a Institute of Inorganic Chemistry and Structural Chemistry I, Heinrich Heine-University Düsseldorf, Düsseldorf, Germany

To cite this Article Gruss, Ulrike and Hägele, Gerhard(1996) 'Fluorinated N-Arylaminoarylmethanephosphonic Acids and Bisfunctional Derivatives', Phosphorus, Sulfur, and Silicon and the Related Elements, 111: 1, 159

To link to this Article: DOI: 10.1080/10426509608054788 URL: http://dx.doi.org/10.1080/10426509608054788

PLEASE SCROLL DOWN FOR ARTICLE

Full terms and conditions of use: http://www.informaworld.com/terms-and-conditions-of-access.pdf

This article may be used for research, teaching and private study purposes. Any substantial or systematic reproduction, re-distribution, re-selling, loan or sub-licensing, systematic supply or distribution in any form to anyone is expressly forbidden.

The publisher does not give any warranty express or implied or make any representation that the contents will be complete or accurate or up to date. The accuracy of any instructions, formulae and drug doses should be independently verified with primary sources. The publisher shall not be liable for any loss, actions, claims, proceedings, demand or costs or damages whatsoever or howsoever caused arising directly or indirectly in connection with or arising out of the use of this material.

FLUORINATED N-ARYLAMINOARYLMETHANEPHOSPHONIC ACIDS AND BISFUNCTIONAL DERIVATIVES

ULRIKE GRUSS and GERHARD HÄGELE

Institute of Inorganic Chemistry and Structural Chemistry I, Heinrich Heine-University Düsseldorf, Universitätsstraße 1; D-40225 Düsseldorf, Germany

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 BrSiMe₃ 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 ³¹P{¹H}, ¹H, ¹⁹F and ¹³C{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.