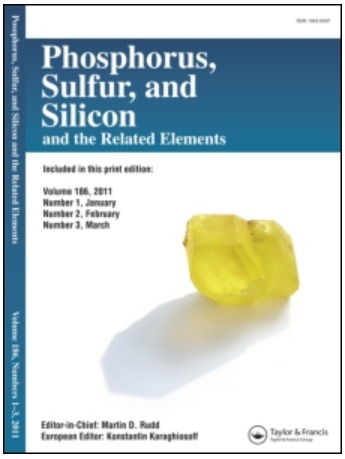
This article was downloaded by:
On: *28 January 2011*
Access details: *Access Details: Free Access*
Publisher *Taylor & Francis*
Informa Ltd Registered in England and Wales Registered Number: 1072954 Registered office: Mortimer House, 37-41 Mortimer Street, London W1T 3JH, UK



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

Synthesis of New Phosphomycin Analogues

Henri-Jean Cristau[a]; Marie-Benedicte Gasc[a]; Xavier Yangkou Mbianda[a]; Annabelle Geze[a]
[a] Laboratoire de Chimie Organique, URA 458, Ecole Nationale Supérieure de Chimie 8, Montpellier Cedex, FRANCE

To cite this Article Cristau, Henri-Jean , Gasc, Marie-Benedicte , Mbianda, Xavier Yangkou and Geze, Annabelle(1996) 'Synthesis of New Phosphomycin Analogues', Phosphorus, Sulfur, and Silicon and the Related Elements, 111: 1, 127
To link to this Article: DOI: 10.1080/10426509608054756
URL: http://dx.doi.org/10.1080/10426509608054756

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.

Phosphorus, Sulfur, and Silicon, 1996, Vol. 111, p. 127
Reprints available directly from the publisher
Photocopying permitted by license only

© 1996 OPA (Overseas Publishers Association)
Amsterdam B.V. Published in The Netherlands
under license by Gordon and Breach Science
Publishers SA
Printed in Malaysia

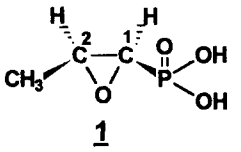
SYNTHESIS OF NEW PHOSPHOMYCIN ANALOGUES

HENRI-JEAN CRISTAU, MARIE-BENEDICTE GASC,
XAVIER YANGKOU MBIANDA, ANNABELLE GEZE.
Laboratoire de Chimie Organique, URA 458, Ecole Nationale Supérieure de Chimie
8, rue de l'école normale 34053 Montpellier Cedex FRANCE

Abstract: In the aim to carry out a quantitative reactivity/structure/biological activity relationship, a general four step synthesis, gives us access to a number of new phosphomycin analogues. A new synthesis of di- and tri-substituted vinylphosphonates *via* cuprate reactions and their epoxidation by dioxirane are described.

Downloaded At: 19:33 28 January 2011

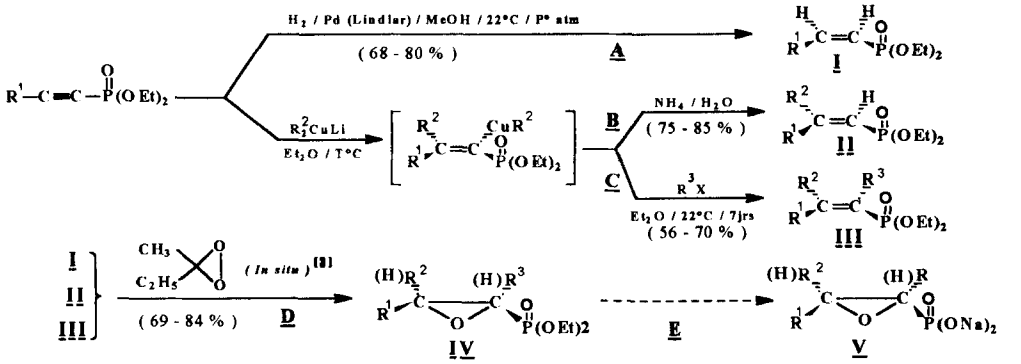
Phosphomycin **1** [(-)(1R,2S) 1,2-epoxypropylphosphonic acid)] has been isolated in 1969 from *streptomyces* and described as a large spectrum antibiotic.[1]



1

The strategic point for the biological activity seems to be the C_2 carbon of the molecule.[2] For this reason we decided to synthesize new phosphorus analogues with differently substituted C_2 and C_1 carbon atoms in the aim to carry out a quantitative reactivity / structure / biological activity relationship.

We present here our first results concerning the preparation of a series of compounds through the following pathway:



R^1 = alkyl, aryl; R^2 = alkyl, aryl; R^3 = alkyl, halogen, functionnal groups.

The **B** and **C** reactions exhibit high stereo and regioselectivity and constitute a new acces to di- and tri-substituted vinylphosphonates[4]. The **D** reaction is stereospecific and constitute the first epoxidation of vinylphosphonates by dioxirane.

1. D. HENDLIN, E.D. STAPLEY, M. JACKSON, H. WALLICK, A.K. MILLER, F.J. WOLF, T.W. MILLER, L. CHAÎET,F.M. KAHAN, E.L.FOLTZ, H.B. WOODRUPP, J.M. MATA, S. HERNANDEZ, S. MOCHALES. *SCIENCES*, **166**, 122.(1969)
2. Y.G. SMEYERS, F.J. ROMERO-SANCHEZ, A. HERNANDEZ-LAGUNA. *FOLIA. CHIM. THEOR. LAT.*, **15**, 79, (1987)
3. W. ADAM, L. HADJIARAPOGLOU, A. SMERZ. *CHEM. BER.*, **124**, 227, (1991)
4 -H.J. CRISTAU, M.B. GASC, X.YANGKOU-MBIANDA, *J. ORGANOMETAL. CHEM.*, **474**, C_{14}-C_{15}, (1994)