

This article was downloaded by:

On: 29 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>

Chemical Aspects of Bioisosteric Substances: Thienyl- and Pyridinyl-Phosphinic Acids

K. Diemert^a; W. Kuchen^a; P. Staviek^a; H. Wunderlich^a

^a Institut für Anorganische Chemie und Strukturchemie der Universität Düsseldorf, FRG

To cite this Article Diemert, K. , Kuchen, W. , Staviek, P. and Wunderlich, H.(1990) 'Chemical Aspects of Bioisosteric Substances: Thienyl- and Pyridinyl-Phosphinic Acids', *Phosphorus, Sulfur, and Silicon and the Related Elements*, 51: 1, 387

To link to this Article: DOI: 10.1080/10426509008040914

URL: <http://dx.doi.org/10.1080/10426509008040914>

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.

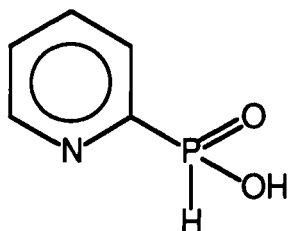
The publisher does not give any warranty express or implied or make any representation that the contents will be complete or accurate or up to date. The accuracy of any instructions, formulae and drug doses should be independently verified with primary sources. The publisher shall not be liable for any 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.

CHEMICAL ASPECTS OF BIOISOSTERIC SUBSTANCES: THIENYL- AND PYRIDINYL-PHOSPHINIC ACIDS

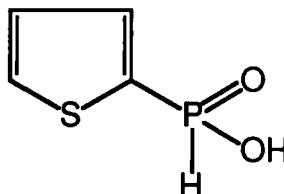
K.DIEMERT, W.KUCHEN, P.STANIEK and H.WUNDERLICH
Institut für Anorganische Chemie und Strukturchemie
der Universität Düsseldorf, 4000 Düsseldorf 1,
Universitätsstr. 1, FRG

Substitution of the carboxyl-group (-COOH) in substances of biological interest by the phosphinic acid group (-PH(O)OH) leads to "bioisosteric" compounds [1,2]. This concept is of great importance for the pharmaceutical and biochemical investigation as many of those biomimic compounds show remarkable biological activities.

We now report synthesis, properties and crystallographic data for some heteroaromatic phosphinic acids, e.g. 2-Pyridinyl- and 2-Thienylphosphinic acid.



2-Pyridinylphosphinic acid [3]



2-Thienylphosphinic acid [4]

By comparing physical data and spectral properties (e.g. pK_s -values, stability constants of metal complexes, IR- and NMR-spectra) relationship of these substances to their carboxyl analoga is discussed, especially with regard to hydrogen bonding.

- [1] E. K. Baylis, C. D. Campbell, J. G. Dingwall, J. Chem. Soc. Perkin Trans I, **1984**, 2845 (1984)
- [2] K. Issleib, Nachr. Chem. Techn. Lab. **35**, 1037 (1987)
- [3] $a = 504$, $b = 2126$, $c = 697$ pm, $\beta = 93.8^\circ$; crystal structure determination in progress
- [4] $a = 772.2(1)$, $b = 1186.3(2)$, $c = 791.2(1)$ pm, $\beta = 119.06(1)^\circ$; $P2_1/c$; 1465 refl. ; $R = 0.035$