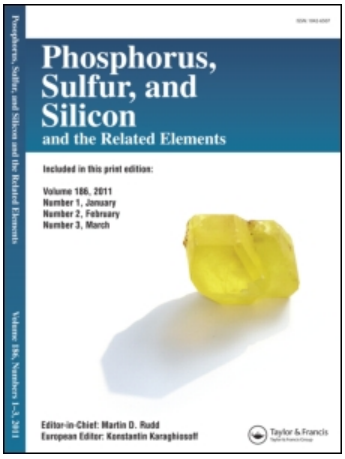

Phosphorus, Sulfur, and Silicon and the Related Elements
Publication details, including instructions for authors and subscription information:
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Chemical Aspects of Bioisosteric Substances: Thienyl- and Pyridinyl-Phosphinic Acids

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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

Phosphorus, Sulfur, and Silicon, 1990, Vols. 51/52, p. 387
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CHEMICAL ASPECTS OF BIOISOSTERIC SUBSTANCES: THIENYL- AND PYRIDINYL-PHOSPHINIC ACIDS

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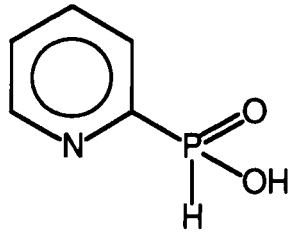
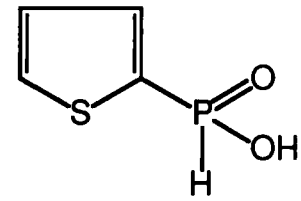
Substitution of the carboxyl-group (-COOH) in substances of biological interest by the phosphinic acid group (-PH(O)OH) leads to "bioisosteric" compounds [1,2]. This concept is of great importance for the pharmaceutical and biochemical investigation as many of those biomimic compounds show remarkable 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, β = 93.8°; crystal structure determination in progress

[4] a = 772.2(1), b = 1186.3(2), c = 791.2(1) pm, β = 119.06(1)°; $P2_1/c$; 1465 refl. ; R = 0.035