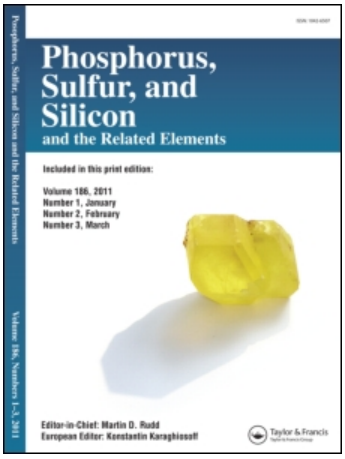

Phosphorus, Sulfur, and Silicon and the Related Elements
Publication details, including instructions for authors and subscription information:
http://www.informaworld.com/smpp/title~content=t713618290

Synthesis of Phosphorus-Containing Carboxylic Acid Derivatives

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To cite this Article Bondarenko, N. A. , Raitarskaya, M. V. , Rudomino, M. V. and Tsvetkova, E. N.(1990) 'Synthesis of Phosphorus-Containing Carboxylic Acid Derivatives', Phosphorus, Sulfur, and Silicon and the Related Elements, 51: 1, 381
To link to this Article: DOI: 10.1080/10426509008040908
URL: http://dx.doi.org/10.1080/10426509008040908

Phosphorus, Sulfur, and Silicon, 1990, Vols. 51/52, p. 381
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SYNTHESIS OF PHOSPHORUS-CONTAINING CARBOXYLIC ACID DERIVATIVES

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Phosphorus-containing carboxylic acids are of great interest as potential antiviral substances (1). Thus, the search for the simple and technological methods of their synthesis draws much attention.

We have developed a method of obtaining alkyl esters of mono- and bis(trimethylsiloxy)phosphinylcarboxylic acids with the general formula $(Me_3SiO)_nP(O)[(CH_2)_mCOOR]_{3-n}$, where n = 1,2, m = 1,2, R = Alk.

Bis(trimethylsiloxy)phosphinylacetic acid ester (n = 2, m = 1, R = Et) has been obtained in high yield by the rearrangement of tris(trimethylsilyl)phosphite by ethyl chloroacetate. Phosphinic acid trimethylsilyl ester containing two alkoxycarbonyl groups (n = 1, m = 1, R = Me) is synthesized by the reaction of bis(trimethylsilyl)hypophosphite with methyl chloroacetate.

The presence of the siloxy groups at phosphorus atom increases the rate of hydrolysis or alcoholysis of these compounds, which allows to synthesize free carboxyalkyl phosphonic and phosphinic acids and their derivatives in high yields.

The same ways are employed for the preparation of phosphorus-containing propionic acids (m = 2).

(1) D.W.Hutchinson, P.A.Cload, M.C.Haugh. Phosphorus and Sulfur, 14, 285 (1983).