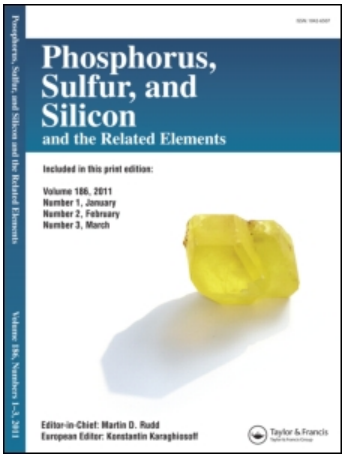

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An Efficient Synthesis of N-(Phosphonoacetylamino)-. Acids

B. Stiebitz[a]; K. Issleib[b]; W. Mögelin[a]; A. Balszweit[a]
[a] Department of Biotechnology, Martin-Luther-University, Halle/S., GDR [b] Dept. Chem. Martin-Luther-University, Halle/S., GDR

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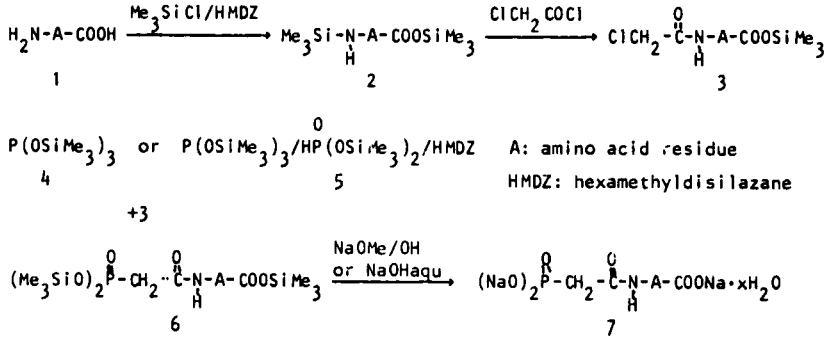
AN EFFICIENT SYNTHESIS OF N-(PHOSPHONOACETYLAMINO)-ACIDS

B.STIEBITZ, K.ISSLEIB[a], W.MÖGELIN, and A.BALSZUWEIT
Department of Biotechnology, ([a]Dept. Chem.) Martin-Luther-University, Halle/S., 4010, GDR

In the past 15 years N-(phosphonoacetylamino)-acids have been extensively investigated because of interesting biological activities of the L-aspartic acid derivative (1). In recent years the trimethylsilyl group became more and more important for masking in synthesis of organo-phosphorus compounds as well as natural products.



Thus, N-(phosphonoacetylamino)-acids or their sodium salts 7, respectively, could be obtained from the corresponding trimethylsilyl esters 6 under mild conditions in nearly quantitative yield. Starting from 1 or N,O-trimethylsilyl amino acids 2, respectively, the esters 6 were synthesized followed by conversion to chloroacetylamino acid trimethylsilyl esters 3 and subsequent Arbuzov reaction with 4 or 5. The esters 2 and 3 were isolated in high yield (of about 85-92%) and 3 were used without further purification. Starting from 4 the Arbuzov reaction is especially efficient because the synthesis of pure tris(trimethylsilyl)-phosphite is difficult and takes up effort. Compounds 1-7 were characterized by their n.m.r. data.

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