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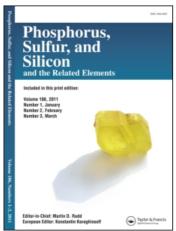
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## Aminoacylphosphonates-Novel Modified Amino Acid Derivatives

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AMINOACYLPHOSPHONATES - NOVEL MODIFIED AMINO ACID DERIVATIVES

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Modified amino acids and peptides are in the focus of medicinal chemistry as potential mimics of natural compounds that may act as inhibitors and modulators of natural processes. Along with many other types of analogs, considerable effort was invested into the synthesis of phosphaamino acids. Presently phospha analogs for all amino acids and for many peptides are known and some of these are of considerable practical importance.

In contrast, no reports are available about acylphosphonates derived from amino acids. In this communication we wish to describe some experiments directed toward the preparation of aminoacylphosphonic derivatives. The general approach to acylphosphonates is based upon the Arbuzov reaction of acyl halides with trialkyl phosphites. Because of the multiplicity of the functionalities present in the target compounds (amino, keto, phosphoryl) the main problem lies in the choice of protecting groups that have to be compatible with the conditions of the various synthetic steps. For example, the N-protecting group has to withstand the conditions required for the preparation of the acyl chloride function. Various synthetic approaches that take into account these limitations will be presented. <u>Acknowledgement</u>: This research was supported, in part, by The Fund for Basic Research Administered by The Israel Academy of Sciences and Humanities (to E.B.)