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Reaction of N-Phtalylamino Acid Chlorides with Trialkyl Phosphites

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Reaction of N-blocked amino acid chlorides with trialkyl phosphites is a first step in the synthesis of 2-amino-1-hydroxyalkylphosphonates. Quite surprisingly a very pure trialkyl phosphite is required in order to obtain the desired N-blocked 2-amino-1-oxoalkylphosphonates. Thus, the use of commercially available phosphites prolongation of the reaction time, or attempts for chromatographic purification of the formed oxophosphonate resulted in quite complicated mixture of products. We have found that these products arise as a consequence of rearrangements of 1-oxo-2-phtalylaminoalkylphosphonates in a series of reactions which are promoted by the presence of dialkyl phosphite (standard impurity present in commercially available trialkyl phosphites) in the reaction medium.

