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Reaction of N-(Benzylkoxycarbonylamino)Benzylphosphonous Acid with Ethyl Orthoformate

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Peptide containing phosphinic acids are peptidomimetics which have been successfully employed in the design of inhibitors of protease and ligase enzymes. These stable tetrahedral phosphorus species act by mimicking the tetrahedral intermediates of the reactions catalyzed by these two groups of enzymes. Using this concept potent transition-state analogue inhibitors of a wide variety of proteases, as well as of some ligases have been developed and studied in some detail. One of the key problems in the synthesis of these peptidomimetics is the conversion of readily available N-blocked 1-aminoalkylphosphonous acids or their esters into corresponding phosphinic acids.

We have found that the reaction of such N-blocked aminobenzylphosphonous acid with ethyl orthoformate yields two major products which may be considered as attractive substrates for the synthesis of these phosphinic acids. By manipulation of the reaction conditions the molar ratio of the formed products may be significantly changed.

