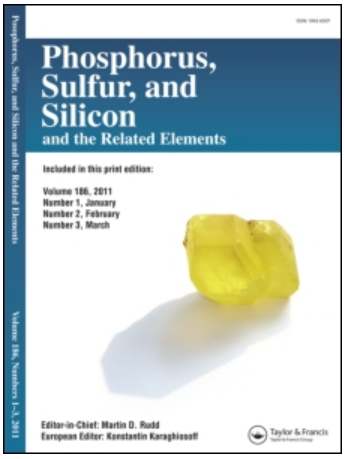

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3-Diethoxyphosphorylpropionic Acid, a Convenient Reagent for the Synthesis of ß,γ-Unsaturated Amides

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3-DIETHOXYPHOSPHORYLPROPIONIC ACID, A CONVENIENT REAGENT FOR THE SYNTHESIS OF β,γ-UNSATURATED AMIDES

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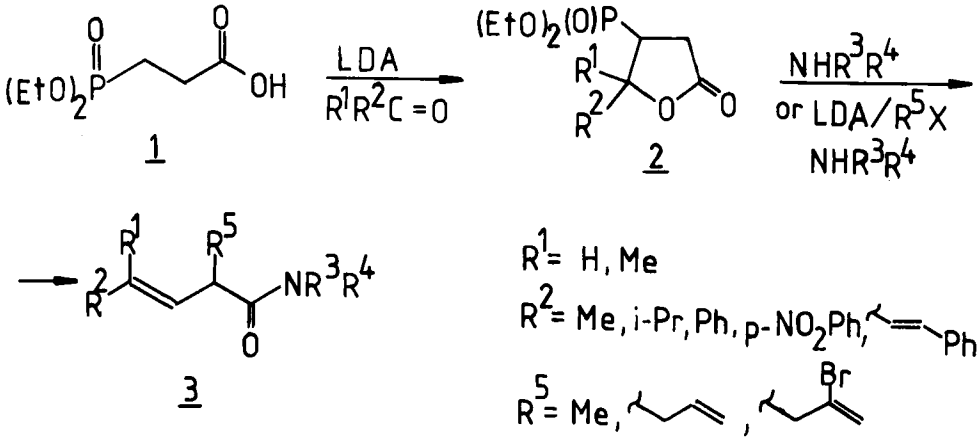
β,γ-Unsaturated amides are versatile intermediates in the organic synthesis e.g. in the synthesis of various analogues of penicillins, cephalosporins, carbapenems, and functionalized monocyclic β-lactam antibiotics.[1)]
We have now developed a novel route to β,γ-unsaturated amides 3 starting from diethoxyphosphorylpropionic acid (1). Dilithium derivative of the acid 1 reacts with a variety of carbonyl compounds to give lactons 2. Treatment of 2 with amines results in nucleophilic lacton ring opening with subsequent Horner-Emmons olefination to give 3 (R^5=H). Alkylation of the lithiated lacton 2 with alkyl halogens folloved by the ring opening-olefination sequence provides α-substituted β,γ-unsaturated amides 3 (R^5=alkyl).



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