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

T. Janecki^a; R. Bodalski^a

^a Institute of Organic Chemistry, Technical University, Łódź, Poland

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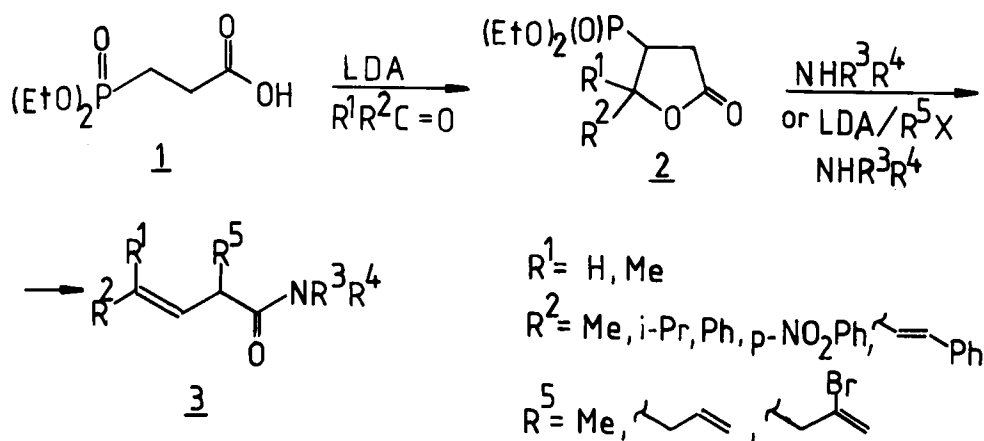
3-DIETHOXYPHOSPHORYLPROPIONIC ACID, A CONVENIENT REAGENT FOR THE SYNTHESIS OF β,γ -UNSATURATED AMIDES

T. JANECKI and R. BODALSKI

Institute of Organic Chemistry, Technical University,
 Żwirki 36, 90-924 Łódź, Poland

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 followed by the ring opening-olefination sequence provides α -substituted β,γ -unsaturated amides 3 ($R^5=alkyl$).



(1) G. Rajendra, M. J. Miller, J. Org. Chem. 52, 4471 (1987).