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SYNTHESIS OF N-ALKYL α -PHOSPHONOLACTAMS VIA ENOLATE CHEMISTRY

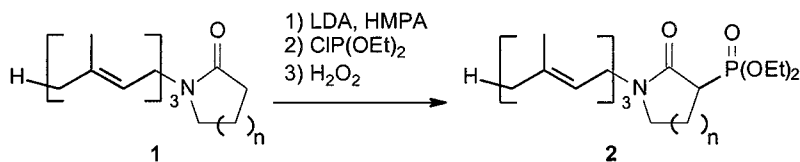
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Phosphonate derivatives of a series of N-farnesyl lactams have been prepared through reaction of the enolate with diethylchlorophosphite and oxidation of the P(III) intermediate.

Keywords: Lactam; phosphonate; synthesis

Our investigations of potential inhibitors of protein prenylation led to an interest in preparation of N-farnesyl α -phosphonolactams. While formation of the lactam enolate and reaction with diethylchlorophosphate gave the intermediate vinyl phosphate in good yield, attempted rearrangement¹ to the corresponding phosphonate suffered from competitive elimination of the alkyl chain. However, reaction of the same enolate with diethylchlorophosphite and oxidation of the P(III) intermediate² gave the desired phosphonates in good yield.



SCHEME 1

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