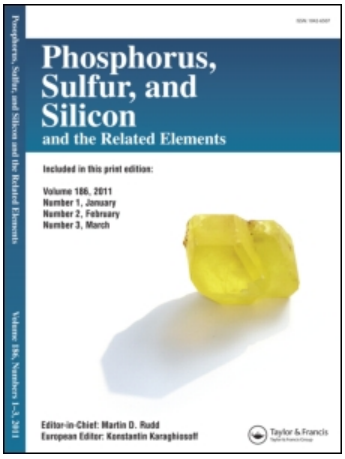

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Synthesis of N -Alkyl α-Phosphonolactams Via Enolate Chemistry

Yanming Du[a]; David F. Wiemer[a]
[a] University of Iowa, Iowa City, Iowa

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SYNTHESIS OF *N*-ALKYL α-PHOSPHONOLACTAMS VIA ENOLATE CHEMISTRY

Yanming Du and David F. Wiemer
University of Iowa, Iowa City, Iowa

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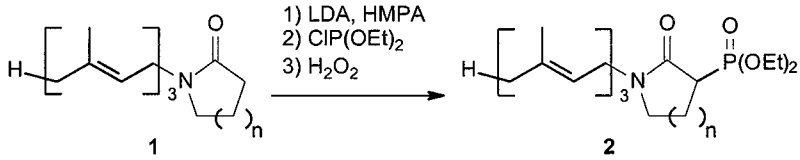
Phosphonate derivatives of a series of N-farnesyl lactams have been prepared through reaction of the enolate with diethylchlorophosphite and oxidation of the P(III) intermediate.

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 rearrangement[1] 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) intermediate[2] gave the desired phosphonates in good yield.



SCHEME 1

REFERENCES

[1] T. Calogeropoulou, G. B. Hammond, and D. F. Wiemer, *J. Org. Chem.*, **52,** 4185–4190 (1987).

[2] a) K. Lee and D. F. Wiemer, *J. Org. Chem.*, **56,** 5556–5560 (1991). b) R. K. Boeckman, Jr., T. M. Kamenecka, S. G. Nelson, J. R. Pruit, and T. E. Barta, *Tetrahedron Lett.*, **32,** 2581–2584 (1991). c) M. B. Gazizov, R. A. Khairullin, and V. V. Moskva, *Russ. Chem. Rev.*, **59,** 251–267 (1990).

Address correspondence to David F. Wiemer, Department of Chemistry, University of Iowa, Iowa City, IA 52242. E-mail: david-wiemer@uiowa.edu