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Reaction of Phosphoramides with Isocyanates in PTC Conditions - Novel Method of Synthesis of Carbodiimides

Zs. M. Jászay^a; I. Petneházy^a; L. Töke^a

^a Org. Chem. Technology Dept., Polytechnical Univ. Budapest., Budapest, Hungary

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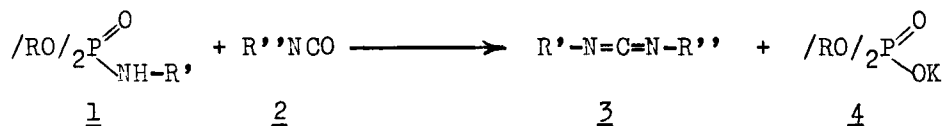
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Reaction of Phosphoramides with Isocyanates in PTC Conditions - Novel Method of Synthesis of Carbodiimides

Zs.M.Jászay*, I.Petneházy, L. Tóke

Org. Chem. Technology Dept., Polytechnical Univ. Budapest.
1521 Budapest, HUNGARY

During our attempts to prepare asymmetrically substituted carbodiimides of biochemical interest we have observed that phosphoramidate-esters react under phase-transfer conditions with isocyanates giving carbodiimides.



where R: Me, Et, i-Pr

R': alkyl, cycloalkyl, aminoalkyl group

R'': Bu, Ph, cyclohexyl

To prepare the phosphoramides 1 we used the modified Atherton-Todd reaction applying the phase-transfer catalysis suggested by Zwiernak. We found, that the phosphoramidate anion could be formed from 1, without the use of any catalyst in the presence of solid K_2CO_3 in aprotic solvent at reflux and reacts smoothly with isocyanates. Comparising our method with other synthesis of 3 it seems to be a promising preparation of carbodiimides used in peptide chemistry.