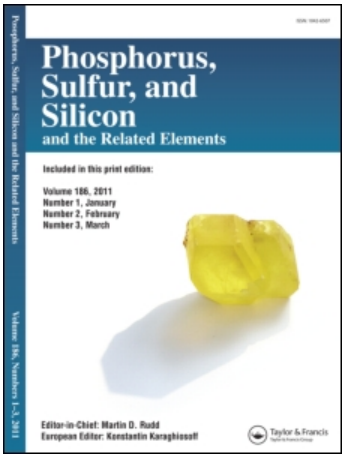

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Amidoalkylation of Tervalent Phosphorus Chlorides with N-α-Hydroxypolyhalogenoalkyl Amides

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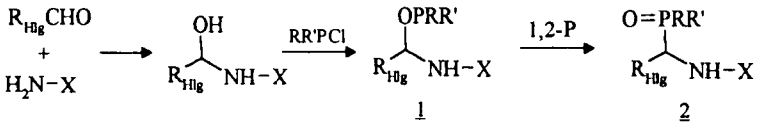
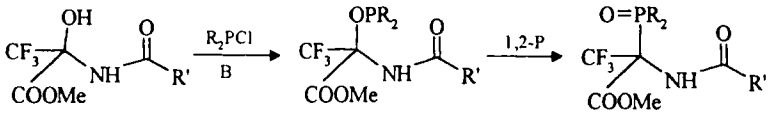
A new preparative method for synthetically and biologically important N-acyl-, N-phosphoryl-, and N-sulfonylaminopolyhalogenoalkylphosphonyl derivatives 2 is presented.



1 2

A key step of the approach is the formation of the P–C bond *via* phosphorotropic rearrangement 1 → 2. The scope of the method and the factors determining the easiness of the isomerization are considered. Electron-accepting substituents at carbon and nitrogen atoms as well as high nucleophilicity of tervalent phosphorus atom in 1 promote the rearrangement. Bulky substituents hamper isomerization 1 → 2. Nevertheless, in the derivatives 3 tervalent phosphorus migrates to quaternary carbon atom to give compounds 4 containing fragments of α-aminocarbon- and aminophosphonic acids in one molecule.



3 4

Phosphorylated amides 2 were used in synthesis of important functionally substituted organophosphorus compounds (C-phosphorylated N-acylimines, vinyl amides, a-aminophosphonic acids, heterocycles).