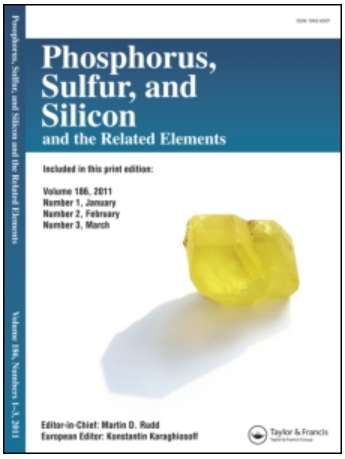

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New Synthesis of Substituted Cyclopropanephosphonic Acid Esters

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NEW SYNTHESIS OF SUBSTITUTED CYCLOPROPANEPHOSPHONIC ACID ESTERS

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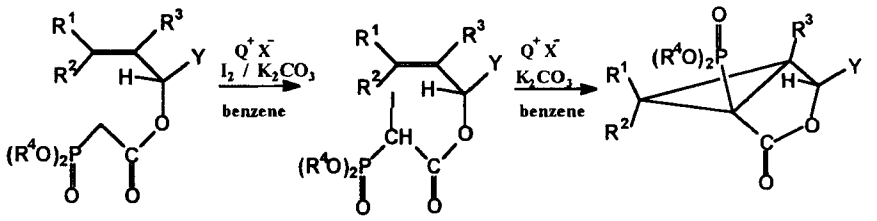
Aminocyclopropane phosphonic acids are considered to be "transition state analogues" of aminocyclopropanecarboxylic acids and they may serve as enzyme inhibitors[1]. We attempted to synthesise aminocyclopropane derivatives by a new route[2]. Phosphonoacetic acid allylic esters were subjected to an intramolecular, radical cyclisation in the presence of iodine, solid potassium carbonate and phase transfer catalyst.



The corresponding iodo phosphonoacetic acid ester is formed first by phase transfer catalytic iodination, which results in a phosphonoacetic acid radical in a SET induced process. Since there is a double bond in a favourable position to the radical centre cyclic radical will be formed, which will be stabilised in a multistep process as cyclopropane fused to a five membered lactone ring. The intermediates were detected and identified by their GC-MS and ESR spectra and a rational mechanism is assumed for the process.

The resulting cyclopropane lactones are very useful in the synthesis of different type of compounds in a stereoselective manner. Subsequent lactone ring opening and further steps can lead to substituted aminocyclopropane phosphonic acids.

1. M. D. ERION and C: T: WALSH, Biochemistry, 26, 3147 (1987).
2. L. TŐKE, et al., Tetrahedron, 49, 5133 (1993).