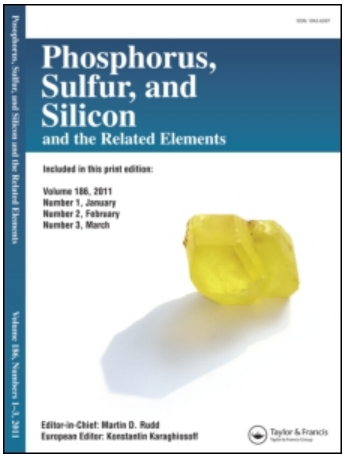

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An Efficient Synthesis of Sodium Methyl α-(Substituted Phenoxy Acetoxy)Alkylphosphinates

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An Efficient Synthesis of Sodium Methyl α-(Substituted Phenoxy Acetoxy)Alkylphosphinates

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A series of sodium methyl α-(substituted phenoxy acetoxy)-alkyl phosphinates were synthesized under mild condition by a simple efficient procedure.

Keywords phosphinate; sodium salt; Synthesis

The pyruvate dehydrogenase complex is of interest from the point of view of agrochemical design, because it catalyses a key transformation in carbohydrate metabolism, the oxidative decarboxylation of pyruvate to acetyl coenzyme A.[1] One approach to design a inhibitor of Pyruvate dehydrogenase (PDH) with a novel structure by using biochemical reasoning was attempted.[2] A series of α-(substituted phenoxyacetoxy) alkylphosphinic acid methyl ester I and its corresponding phosphinate salts II were synthesized as potential inhibitor of PDH. The title compounds can be prepared from α-(substituted phenoxyacetoxy) alkylphosphinic acid methyl esters I, which were synthesized by the condensation of methyl α -hydroxyalkylphosphinates with substituted phenoxyacetic chloride. This method provides a simple and efficient procedure for the synthesis of phosphinate derivatives containing sensitive groups to acid, base or water such as carboxylate ester. The formation of the title compounds II can be rationalized in terms of direct reaction of the esters I with oven-dried sodium iodide in the presence of molecular sieve (4Å) in dried acetone under nitrogen for 4∼6 hours.

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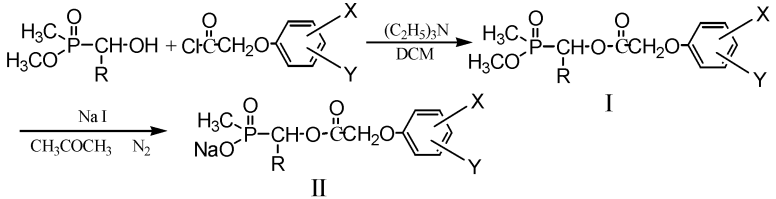
Some advantageous features are: (a) the title compounds II can be obtained from I in one-step; (b) the reactions only need short time under mild condition by a simple procedure. So a series of sodium methyl α-(substituted phenoxyacetoxy)alkylphosphinates were synthesized by this simple efficient procedure. Their herbicidal activities were evaluated in a set of experiments in greenhouse. Most of title compounds exhibited notable inhibitory activity to the growth of *Echinochloa crus-galli (L.) Beauv.,Digitaria adscendens, Medicago sativa L., Brassica napus* and*Amaranthus retroflexus*.



R: Ph, 2,4-2ClPh, 2-ClPh, 2-Pyridyl, X,Y: 2,4-2Cl, 2,6-2Cl, 2-F H, 4-Cl H, 3-CF_3 H, 2-Cl 5-CH_3

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