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Synthesis, Crystal Structure, and Bioactivities of Dimethyl[(3,7-Dichloroquinolin-8-yl Carbonyloxy)Alkyl] Phosphonate

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Synthesis, Crystal Structure, and Bioactivities of Dimethyl[(3,7-Dichloroquinolin-8-yl Carbonyloxy)Alkyl] Phosphonate

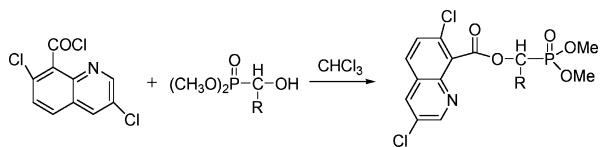
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Fourteen of phosphonates containing quinoline were synthesized and the preliminary bioassay indicated that these compounds exhibited a little better fungicidal and lower herbicidal activities than the starting compound Quinclorac.

Keywords Bioactivities; phosphonate; quinoline; synthesis

3,7-Dichloroquinoline-8-carbonyl chloride was reacted with O,O-dimethyl-1-hydroxyalkylphosphonates^{1,2} to form 3,7-dichloroquinolin-8-yl carbonyloxyalkylphosphonate. The preparation of title compounds could be completed in anhydrous solvent at 2–15°C for 2–5 h good yields (60%–80%) by adding triethylamine (1.2 equivalent) as catalyst and trap of acid. All 14 compounds were confirmed by ¹H NMR, IR, MS spectra and elemental analysis, and one was examined by the single

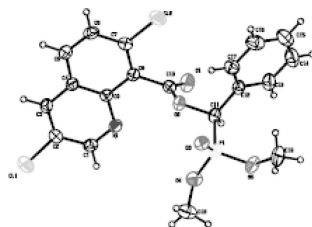


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crystal X-ray diffraction.³ The results of preliminary bioassay indicated that the title compounds (R=H, alkyl, Ph, substituted Ph, furfuryl) exhibited a little better fungicidal activities and lower herbicidal activities than the starting compound Quinciorac, a commercialized herbicide.



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