

SYNTHESIS AND PESTICIDAL ACTIVITY OF A NATURAL ANTIBIOTIC 2,4-DIACETYLPHLOROGLUCINE (DAPG) AND ITS DERIVATIVES

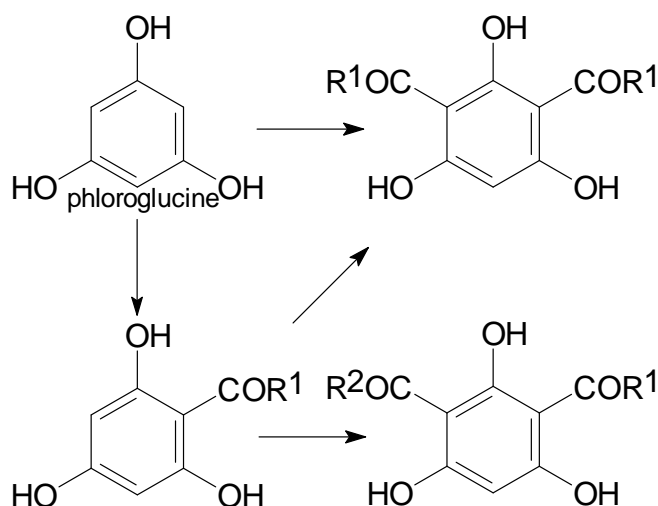
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2,4-Diacetylphloroglucinol (DAPG), a naturally occurring antibiotic, is known as an antifungal metabolite of many fluorescent pseudomonad bacteria living in the rhizosphere of crop plants [1-4]. 2,4-Diacetylphloroglucine is usually synthesized by Friedel-Crafts acylation using either directly phloroglucine [5] or 2-acetylphloroglucinol (MAPG) [6] as starting compounds, respectively. The homologues, namely 2,4-diacetylphloroglucinols are also synthesized in the same manner [7].

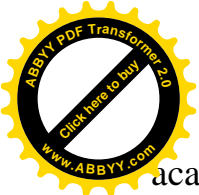
In order to evaluate the pesticidal properties (mainly fungicidal [4,8] and herbicidal [9]) of 2,4-diacetylphloroglucine, 2-acetylphloroglucine as well as their homologues, the compounds were synthesized using Friedel-Crafts reaction from phloroglucine and an acyl reagent, a corresponding anhydride of carboxylic acid or directly a carboxylic acid itself. Either complex of boron trifluoride in diethyl ether or aluminium chloride was used as a Lewis acid catalyst (Scheme).



Scheme. Friedel-Crafts synthesis of 2,4-diacetylphloroglucine and 2-acetylphloroglucine; $R^1, R^2 =$ alkyl C1-C18.

Crude acyl derivatives of phloroglucine were purified by means of crystallization and/or column chromatography. Structures of the synthesized compounds were confirmed using spectroscopic methods; mass spectroscopy: MS/EI, MS/ESI, high resolution mass spectroscopy: HR/MS/EI, HR/MS/ESI, magnetic resonance spectroscopy: 1H NMR, ^{13}C NMR (including DEPT) and infrared spectroscopy: IR.

Insecticidal, acaricidal, fungicidal and herbicidal properties of di- and monoacyl derivatives of phloroglucine were tested. Neither insecticidal, nor



acaricidal activity of the investigated compounds was observed. Some of the diacyl as well as monoacyl derivatives of phloroglucine provide an effective herbicidal and fungicidal protection.

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