SYNTHESIS OF NATURAL 2-ACYLRESORCINOLS

F. A. Lakhvich and I. I. Petrusevich

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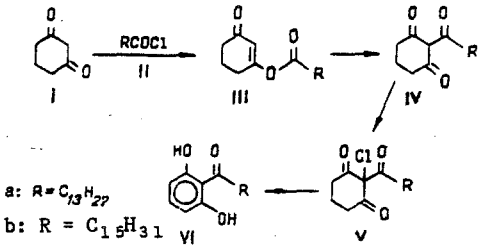
Resorcinols acylated in position 2 and derivatives based on them form a broad group of natural biologically active compounds that have been isolated from various plants [1-3]. Using as examples the isolation of 2-myristoylresorcinol (VIa) and 2-palmitoylresorcinol (VIb), which have been detected and identified recently [2, 3] in plants of the family Myristicaceae that are used in folk medicine, we propose a general method of synthesizing this group of natural compounds from dihydroresorcinol (I) and the chloride of the corresponding acid (II) by the following scheme:



The scheme of synthesis includes the stages of obtaining the monoenol acylates (III) and their O—C isomerization by a method which we have developed previously [4, 5], a stage of chlorinating [6] the β-triketones (IV) with t-butyl hypochlorite, and the subsequent aromatization of the 2-chloro derivatives (V) into the desired resorcinol (VI) as a result of a dehydrochlorination reaction under mild conditions in DMFA solution [7]. The overall yield of 2-myristoylresorcinol (VIa) was 70%, and that of 2-palmitoylresorcinol (VIb) was 90%. The physicochemical characteristics of the compounds synthesized agreed with those described for the natural compounds.

It must be mentioned that the direct acylation of resorcinols with acid chlorides under conditions of the Friedel—Crafts reaction leads mainly to the formation of 4-acyl derivatives [8].

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Institute of Bioorganic Chemistry, Belorussian SSR Academy of Sciences, Minsk.
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