RECYCLIZATION OF 5-PHENYL-2,3-DIHYDROFURAN-2,3-DIONE TO GIVE 1,5-DIPHENYLPYRAZOLE-3-CARBOXYLIC ACID

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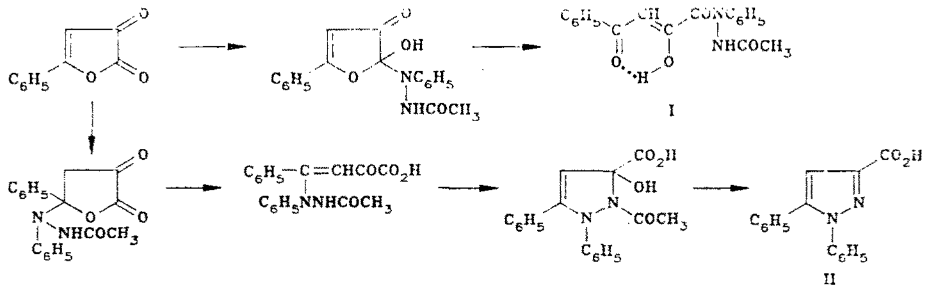
Substituted 2,3-dihydrofuran-2,3-diones react with alcohols and amines with initial nucleophilic attack at the most electrophilic $C_{(2)}$ atom of the furandiones and the formation of the corresponding derivatives of 2,4-dioxobutanoic acids (for example, see [1]). The recyclization of furandiones under the influence of binucleophiles also proceeds through a step involving the addition of the most nucleophilic group to the $C_{(2)}$ atom of the furandiones and the formation of a thermodynamically stable five- or six-membered ring (for example, see [2]).

We have detected the first instance of the formation of a product of initial nucleophilic attack at the $C_{(5)}$ atom of substituted 2,3-dihydrofuran-2,3-diones, which was previously noted only for the aza analogs – 2,3-dihydropyrrole-2,3-diones [3]. Thus, when we refluxed equimolar amounts of 5-phenyl-2,3-dihydrofuran-2,3-dione and β-phenylacethydrazide in benzene, we obtained, in addition to the expected hydrazide I (in 51% yield), 1,5-diphenylpyrazole-3-carboxylic acid (II) in 23% yield, which was identified by comparison with a genuine sample.



The two competitive pathways of initial addition (at $C_{(2)}$ and at $C_{(5)}$) are probably realized as a consequence of reversibility of the first step in the addition, as well as the comparable thermodynamic stabilities of pyrazole II and hydrazide I, which is stabilized by an intramolecular hydrogen bond.

LITERATURE CITED

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