Convenient Preparation of the ω-Phtalimidoaliphatic Aldehydes. General Approach to the Synthesis of Tryptamines and Homotryptamines

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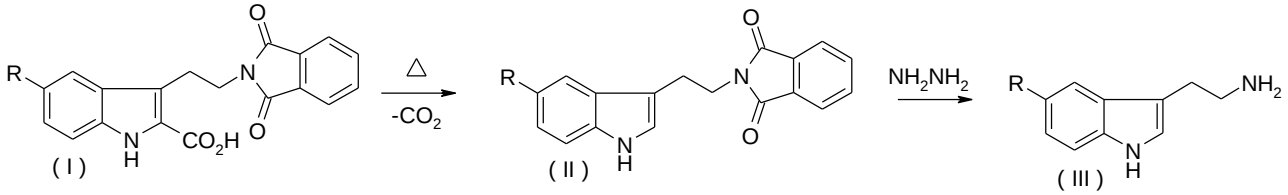
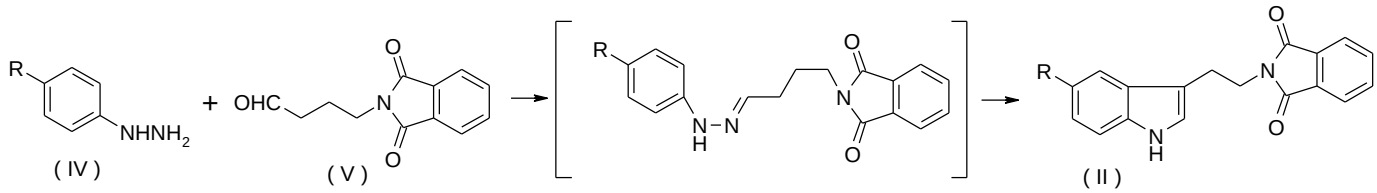
The synthesis of the secondary metabolites of tryptophan - tryptamine, serotonin and melatonin still stays relatively difficult problem. The most important methods for the synthesis of the tryptamines (III) are Abramovich-Shapiro's and Manske-Robinson's methods. Usually both lead to the substituted 3-(2'-phtalimidoethyl)-2-carboxylic acids (I). Following stage is decarboxylation, which requires hard reaction conditions.

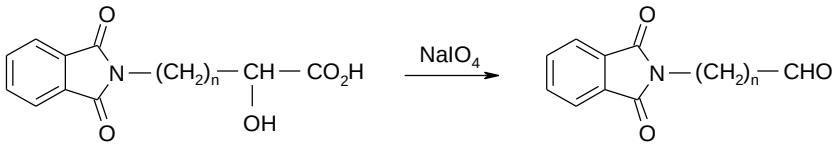


This defect can be avoided by the method, which was offered by Vinograd and Suvorov [1]. As starting materials are used 4-substituted phenylhydrazine (IV) and γ-phtalimidobutyraldehyde (V). The reaction proceeds smoothly with satisfactory yield.



However, γ-phtalimidobutyraldehyde, which was prepared by Rosenmund's method, is sensitive to moisture and requires significant amounts of the expensive ($Pd/BaSO_4$) catalyst.

This work provides an alternative route of preparation ω-phtalimidoaliphatic aldehydes from α-hydroxy-ω-phtalimidoaliphatic acids by periodate oxidation in aqueous media at 45-50°C. Compounds (V) and (VI) were isolated in 80% yields and were characterised as 2,4-dinitrophenylhydrazones [m.p.=182-184, and 168-170°C, respectively].



(V), n=3; (VI), n=4

Several substituted tryptamines and homotryptamines were prepared from (V) and (VI). Thus, ω-phtalimidoaliphatic aldehydes can serve in general synthesis of the benzene ring-substituted tryptamines and homotryptamines.

[1] L.K.Vinograd, and N.N.Suvorov, Khim. Geterotsikl. Soedin., 1984(9), pp.1206-1210