CONVERSION OF N-ACETYL-2-ARYL-2,3-DIHYDROPERIMIDINES TO 2-METHYLPERIMIDINE

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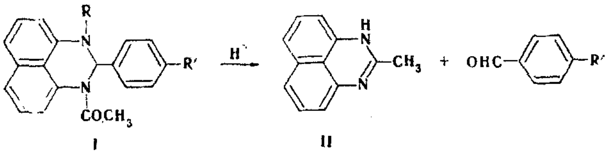
We have found that N-acetyl- or N,N'-diacetyl-2-aryl-2,3-dihydroperimidines (I) are converted to 2-methylperimidine (II) and an aryl aldehyde when they are heated in acidic media.



R = H, COCH$_3$; R' = H, OH

2-Methylperimidine (mp 213-214°C) is formed in 90% yield and is identical to a genuine sample [1] (with respect to its melting point, results of thin-layer chromatography, and IR spectrum).

It should be noted that nonacylated 2-aryl-2,3-dihydroperimidine is stable under these conditions when it is heated in hydrochloric acid: it does not decompose to 1,8-naphthalenediamine and aryl aldehyde.

The presence of an electron-acceptor acetyl group, by increasing the partial positive charge on the C_2 atom, evidently makes it accessible to nucleophilic attack, and this leads to hydrolytic cleavage of I to an aryl aldehyde and N-acetyl- or N,N'-diacetyl-1,8-naphthalenediamine. The latter compounds are cyclized in acidic media to 2-methylperimidine. We confirmed the conversion of N-acetyl derivatives of 1,8-naphthalenediamine in acidic media to 2-methylperimidine experimentally.

Benzaldehyde and p-hydroxybenzaldehyde, which were isolated as the 2,4-dinitrophenylhydrazones (mp 238-239 and 280-282°, respectively), were identical to authentic samples.

LITERATURE CITED

1. V. Paragamian, M. B. Baker, B. M. Puma, and J. Reale, J. Heterocycl. Chem., 5, 591 (1968).

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