THE BENZOYLATION OF 2-AMINO-1-METHYLBENZIMIDAZOLE

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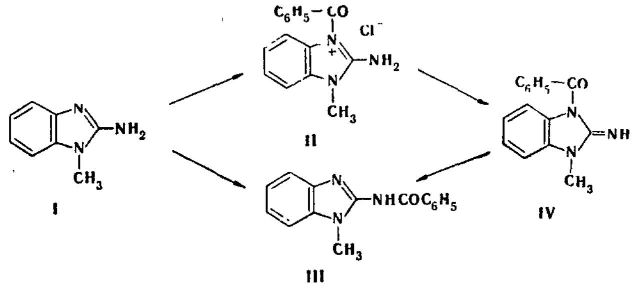
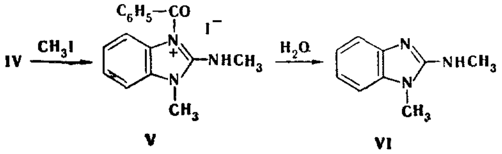
The acylation of 2-amino-1-methylbenzimidazole (I) generally takes place at the amino group [1], but the cyclic nitrogen atom of the imidazole nucleus readily forms quaternary acylium salts [2]. The existence of stable 1-N-acylimidazoles is also known [3]. We have succeeded in obtaining with a yield of 90-93% the product of benzoylation at a cyclic N-atom (II) by mixing a solution of the amine (I) with benzoyl chloride in dry acetone at room temperature; mp 123-125°C. The hydrochloride of the 2-benzoylamino-1-methylbenzimidazole (III) had mp 224-226°C. Compound (II) is very readily soluble in water and moderately soluble in chloroform; it is readily hydrolyzed in aqueous solutions with the formation of the amine (I) and benzoic acid. Its IR spectrum has two strong bands at 1725 and 1675 cm^{-1} (CO and NH_2) [4]. The band at 1675 cm^{-1} is not due to contamination with the hydrochloride of (III) (in its spectrum the band of the CO group is at 1690 cm^{-1}).



The action of anhydrous alkaline agents (sodium carbonate, sodium acetate, triethylamine) in chloroform or benzene with subsequent heating to 60-70°C converted substance (II) into the amide (III) through the stage of the formation of the imine (IV), which is revealed in solution by a series of absorption bands: 3360, 1700, 1660 cm^{-1} (NH, CO, and $CN_{exocyclic}$). When a solution of (IV) was allowed to stand at 20°C for a day, the intensity of these bands fell almost to zero and the bands characteristic for the amide (III) appeared.



The formation of (IV) is confirmed by its conversion into 1-methyl-2-methylaminobenzimidazole (IV) by methylation with subsequent hydrolysis. The IR spectrum of compound (VI) was identical with that of an authentic sample [5].

LITERATURE CITED

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