ELECTROPHILIC SUBSTITUTION IN 6-HYDROXYINDOLES

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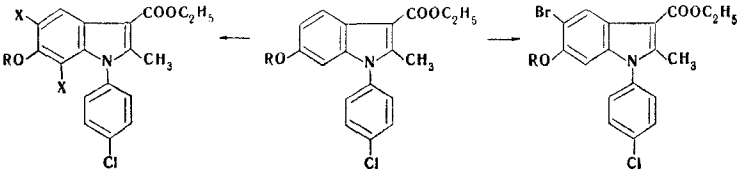
We were able to demonstrate that 5-substituted (during bromination) or 5,7-disubstituted (during nitration) indoles are formed during electrophilic substitution (nitration and bromination) reactions of both 6-methoxy- [1] and 6-acetoxyindoles in which the pyrrole ring is substituted. Thus 1-(p-chlorophenyl)-2-methyl-3-carbethoxy-5-bromo-6-methoxyindole (II) with mp 179-181° was obtained in 71% yield in the bromination of 1-(p-chlorophenyl)-2-methyl-3-carbethoxy-6-methoxyindole (I).



V-VIII I, IV II, III

I, II R=CH_3; IV, V, VII R=H; III, VI, VIII R=CH_3CO; V, VI X=Br; VII, VIII X=NO_2

The PMR spectrum of the product in trifluoroacetic acid contained signals of the 4-H and 7-H protons (8.07 and 6.50 ppm) and a quartet of protons of the p-chlorophenyl ring (7.47, 7.32, 7.14, and 6.99 ppm; J = 9 Hz). 1-(p-Chlorophenyl)-2-methyl-3-carbethoxy-5-bromo-6-acetoxyindole (III) with mp 140-142° was similarly obtained in 86% yield. The signals of the 4-H and 7-H protons and the quartet of protons of the p-chlorophenyl ring are observed in the PMR spectra. The bromination of a compound with an unsubstituted OH group (IV) gave 5,7-dibromoindole (V) with mp 187-189° in 66% yield. Its acetate (VI) (mp 167-169°) has the singlet of a 4-H proton (8.18 ppm) and the quartet of protons of the p-chlorophenyl ring in its PMR spectrum. 5,7-Dinitroindole (VII) with mp 208-210° is obtained in yields up to 38% under various conditions in the nitration of this same compound (IV). Its acetyl derivative (VIII) (mp 165-166°) has the signal of a 4-H proton (9.13 ppm) and a quartet of the protons of the p-chlorophenyl ring in its PMR spectrum.

The structures of the substances obtained were confirmed by the IR and UV spectra and the results of elementary analysis.

LITERATURE CITED

1. F. Eiden and U. Kuckländer, Arch. Pharm., 304, 57 (1971).

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