

SOME DIBENZO[a,h]QUINOLIZINE DERIVATIVES

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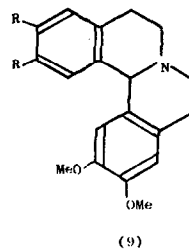
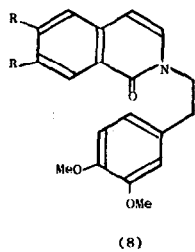
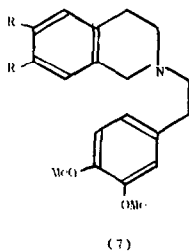
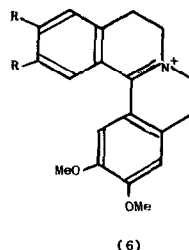
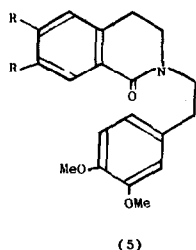
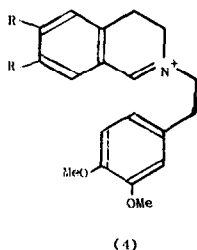
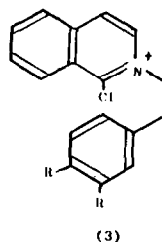
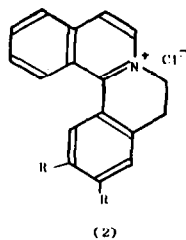
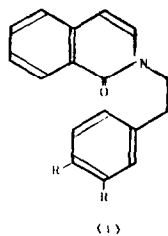
(Received in UK 17 January 1968; accepted for publication 26 February 1968)

Akahoshi has claimed¹ that when the isocarbostyrils (1a) and (1b) are treated with POCl_3 , cyclisation to the dibenzo[a,h]quinolizine derivatives (2a) and (2b) respectively occurs, but we have recently shown² that the products are actually the 1-chloroisoquinolinium salts (3a) and (3b) respectively. It has also been reported³ that oxidation of (4b) yields the dihydroisocarbostyril (5b), which can be cyclised by POCl_3 to (6b), but we were able to show² that the dihydroisocarbostyril (5b) is not formed under the conditions described, and that the "cyclisation" product is simply the tetrahydroisoquinoline (7b).

We have now found that the dihydroisocarbostyril (5b) can be prepared⁴ by the hydrogenation of the corresponding isocarbostyril (8b) and that when it is reacted with POCl_3 , cyclisation does occur to yield (6b). The structure (6b) follows from the fact that only FOUR aromatic protons are discernible in its NMR spectrum and also, its dihydroderivative (9b) is different from (7b). The whole sequence of reactions has also been performed on (8a) with the eventual formation of the dibenzo[a,h]quinolizine derivative (6a).

It was with considerable interest that we read the recent paper⁵ in which it was claimed that during the formation of the 3,4-dihydroisoquinolinium salts (4a) and (4b) from the 3,4-dihydroisoquinolines and β -[3,4-dimethoxy]phenethyl bromide, small amounts of (9a) and (9b), respectively, were formed, particularly when the structural proof rested upon a comparison of the basic material with that obtained by Sugawara and Kakemi³ as described above. Repetition of the reactions as described⁵ quickly confirmed our suspicions that the basic products are not

(a) series: R=H
 (b) series: R=OMe



the dibenzo[a,h]quinolizine derivatives (9a) and (9b), but the tetrahydroisoquinolines (7a) and (7b) respectively, formed, presumably, by disproportionation of the dihydroisoquinolines followed by alkylation.

References

- 1 S. Akahoshi, J. Pharm. Soc. Japan, 1952, 10, 1277
- 2 D.W. Brown, S.F. Dyke, W.G.D. Lugton and A. Davis, Tetrahedron, 1968, in the press.
- 3 S. Sugawara and K. Kakemi, Proc. Imp. Acad. Tokyo, 1939, 15, 52; Ber. Dtsch. Chem. Ges., 1939, 72, 80; J. Pharm. Soc. Japan, 1940, 60, 6.
- 4 Satisfactory analyses have been obtained for all the compounds described.
- 5 Y. Kanaoka, M. Ochiai and Y. Ball, Chem. Pharm. Bull. 1967, 15, 822