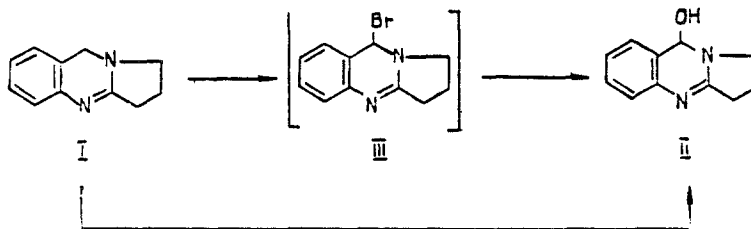


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We have shown previously that the bromination of deoxypeganine (I) with bromosuccinimide (BSI) in glacial acetic acid leads to 6-bromodeoxypeganine, substituted in the benzene ring [1]. In the present paper we give the results of the bromination of (I) in chloroform and of the oxidation of deoxypeganine to peganol (II).



The bromination reaction was carried out by the addition of small portions of bromosuccinimide to a cooled and stirred chloroform solution of (I). The mixture was washed with 10% NaOH solution and then with water. The residue after the elimination of the chloroform was crystallized from benzene. This gave (II), mp 180°C (decomp.), having TLC characteristics and IR and PMR spectra identical with those of natural peganol [2]; yield 20%. The peganol was probably formed from 4-bromodeoxypeganine (III) on the treatment with alkali. Similar transformations have been described for certain tetrahydroisoquinolines and lupanine [3]. It must be mentioned that (II) is formed only when freshly purified BSI is used.

Peganol was obtained with twice the yield by the oxidation of deoxypeganine hydrochloride with an aqueous solution of potassium permanganate. The pH of the reaction mixture was kept at 2-3 by the periodic addition of sulfuric acid. The mixture was worked up as described in [4], and by recrystallization from benzene peganol with mp 180°C (decomp.) was isolated.

It is known that 2,3-substituted dihydroquinazolones are readily, frequently spontaneously, oxidized to the corresponding dihydroquinazolones [5]. We are the first to have detected oxidation to a carbinolamine in this series of compounds, although a similar oxidation has been described for sparteine [4].

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