REDUCTION OF SOME ALKALOIDS FROM Peganum harmala WITH SODIUM TETRAHYDROBORATE

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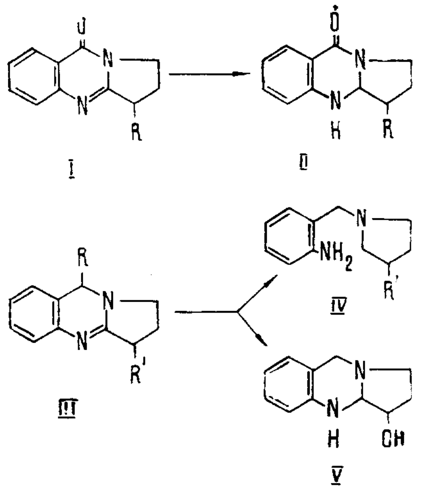
To determine the pharmacological activity of some bases, we have reduced them with sodium tetrahydroborate. The dihydroquinazolinone derivatives – vasicinone (I, R =OH) and deoxyvasicinone (I, R =H) – were not reduced at room temperature (18-20°C). The reaction took place on boiling in ethanol and, regardless of the time of heating and the ratio of the reactants, dihydro derivatives were obtained with the reduction of the C =N double bond and the retention of the carbonyl group. Dihydrovasicinone (II, R =OH) has mp 190°C; UV spectrum: λ_{max} 224, 340 nm (log ε 4.43, 3.25); IR spectrum: 3320, 1620 cm^{-1}; mass spectrum: 204, 160, 147, 132; and the NMR spectrum retains the one-proton doublet in the weak field for the hydrogen in the peri position to the carbonyl group. Dihydrodeoxyvasicinone (II, R =H) has mp 182-183°C. The UV and NMR spectra in the region of aromatic groupings are similar to those of the II (R =OH); IR spectrum: 3280, 1640 cm^{-1}; mass spectrum: 188, 187, 160, 132.



The dihydroquinazoline derivatives deoxypeganine (III, R =R' =H) and peganol (III, R =OH, R' =H) were reduced at room temperature, giving one and the same substance (IV, R' =H), i.e., reduction took place with the cleavage of the heterocyclic ring in the 1,2-position.

Peganine (III, R =H, R' =OH) gave a mixture of compounds IV, (R' =OH) and (V) (the latter predominating).

Substance (IV, R' =H) formed an oil giving a picrate with mp 167-168°C. The UV spectrum [λ_{max} 237, 288 nm (log ε 3.8, 3.2)] is close to that of aniline; the IR spectrum shows bands of a primary amino group at 3440, 3300 cm^{-1}, and the mass spectrum has ions with m/e 186, 159, 106, and 70. Thus, (IV, R' = H) must be o-aminobenzylpyrrolidine, which has been obtained by the reduction of (V) with tin in hydrochloric acid [1] and also by the electrolytic reduction of (III, R =H, R' =OH) [2].

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Compound (IV, R' = OH) formed an oil; mass spectrum: 192, 175, 106, 86; the melting point of (V) agrees with that given in the literature [1]. Its spectral characteristics also confirm its structure.

It is interesting to note that under similar conditions 2,3-diaryl- and 2,3-diaryl-substituted dihydroquinazolinones are reduced with the cleavage of the 2–3 bond [3], and 2,3-tetramethylenedihydroquinazoline, which is very close in structure to (III, R = R' = H), gives only a dihydro derivative without the opening of a ring [4].

LITERATURE CITED

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