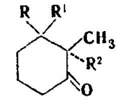
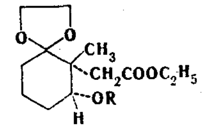
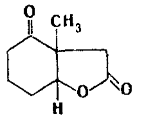
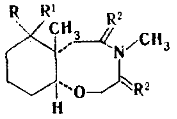
num hydride in dioxane. Hydrolysis of IVb with hydrochloric acid in dioxane gave the corresponding keto amine IVc, with mp 30-32°C, in 52% yield. Keto amine IVc gives a hydrochloride with mp 125-130°C (dec., from alcohol – ether) and a methiodide with mp 132-135°C (from ethanol). Reduction of keto amine IVc with lithium aluminum hydride in dioxane easily leads to hydroxy amine IVd (83%) in the form of an oil, which was characterized in the form of the 3,5-dinitrobenzoate with mp 111-113°C (from ethanol).


Ia-d


IIa, b


III


IVa-d

I a R+R¹=O, R²=H; b R+R¹=O, R²=CH₂COOEt; c R+R¹=OCH₂CH₂O, R²=CH₂COOEt; II a R=H; b R=CH₂CONHCH₃; IV a R+R¹=OCH₂CH₂O, R²=O; b R+R¹=OCH₂CH₂O, R²=H; c R+R¹=O, R²=H₂; d R=OH, R¹=H, R²=H₂

The structures of the compounds obtained were confirmed by data from the IR, PMR, and mass spectra. The results of elementary analysis were in agreement with the calculated values.

SYNTHESIS OF TETRAHYDROPYRROLOISOQUINOLONES

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UDC 547.743'833.07

We have shown that amides Ib and IIb are formed in the acylation of aminomethylindoles Ia and IIa with ethyl mandelate. Amides Ib and IIb readily undergo cyclization to give substituted tetrahydropyrroloisoquinolones III-V in high yields when they are heated with polyphosphoric acid (PPA). Thus 0.245 g (84%) of 2,3-dimethyl-8-phenyl-5,6,7,8-tetrahydropyrrolo-[2,3-g]isoquinol-7-one (III), with mp 243-244°C, which was purified by chromatography on silica gel 5/40 in a benzene – ethyl acetate system (1:1), was obtained from 0.308 g of 2,3-dimethyl-5-[N-(α-hydroxyphenacetyl)aminomethyl]indole (Ic, mp 129-130°C, in 67% yield from amine Ia) after heating for 50 min with 5 g of PPA. The PMR spectrum (in CF_3COOH) of III contains singlets at 6.93 and 7.20 ppm, which correspond to the hydrogen atoms in the para positions of the benzene ring, and a C_6H_5 multiplet centered at 6.83 ppm. Amide IIc, with mp 160-161°C (from benzene), was similarly obtained from amine IIa. Cyclization of 0.3 g of amide IIc gave 0.26 g (90%) of a mixture of the linear and angular (IV and V) isomers in a ratio of 3:1. Chromatography on silica gel 5/40 in a benzene – ethyl acetate system (1:1) yielded 2,3-dimethyl-5-phenyl-5,6,7,8-tetrahydropyrrolo[3,2-g]isoquinol-6-one (IV), with mp 273-274°C, and 2,3-dimethyl-9-phenyl-6,7,8,9-tetrahydropyrrolo[2,3-f]isoquinol-8-one (V), with mp 297-298°C (after vacuum sublimation). In contrast to the PMR spectra of III and IV, the PMR spectrum (in d_6-DMSO) of V contains two doublets of signals of aromatic protons at 6.90 and 7.30 ppm (J = 8 Hz), which correspond to the AB system of ortho-coupling protons. (See scheme on following page.)

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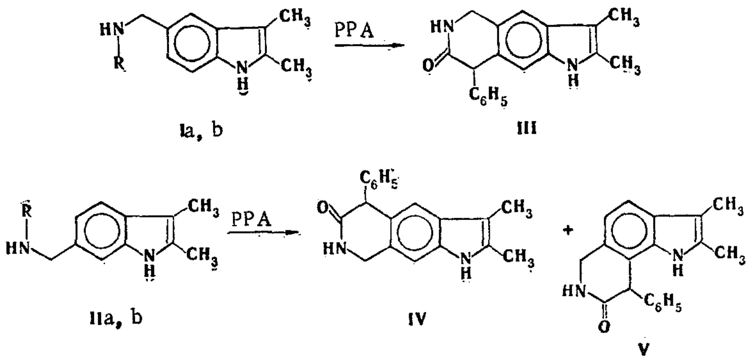
Ia, b

III

IIa, b

IV

V

I–II a R=H; b R=$C_6H_5CH(OH)CO$

The compositions and structures of the compounds obtained were confirmed by the results of elementary analysis and the UV, IR, and mass spectra.