SYNTHESIS OF 2-HYDROXY-3-CARBETHOXY-5,6-DIALKYLPYRAZINES

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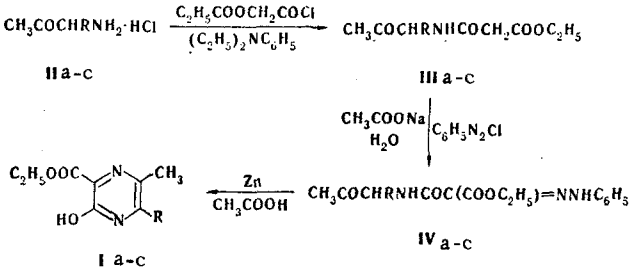
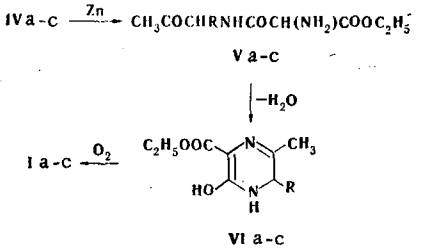
We have accomplished a new synthesis of substituted pyrazines (Ia-c) by reaction of α-amino ketone hydrochlorides IIa-c with carbethoxyacetyl chloride in acetonitrile in the presence of N,N-diethylaniline (at 20°C for 20 h), coupling of the intermediate N-(carbethoxyacetyl)-α-amino ketones (IIIa-c) with benzenediazonium chloride (at 0°C for 24 h), and subsequent treatment of the N-(phenylhydrazonocarbethoxyacetyl)-α-amino ketones (IVa-c) with zinc powder in acetic acid (at 20°C for 5-6 h).

This procedure was used to obtain 2-hydroxy-3-carbethoxy-5,6-dimethylpyrazine (Ia), with mp 98-99°C, 2-hydroxy-3-carbethoxy-5-methyl-6-ethylpyrazine (Ib), with mp 69-71°C, and 2-hydroxy-3-carbethoxy-5-methyl-6-isobutylpyrazine (Ic), with mp 86-87°C, in 20-30% yields based on the starting α-amino ketones (IIa-c).



I-IV a R=CH_3; b R=C_2H_5; c R=$CH_2CH(CH_3)_2$

The conversion of phenylhydrazones IVa-c to substituted pyrazines Ia-c evidently proceeds through an intermediate step involving reduction of phenylhydrazones IVa-c to α-amino esters Va-c, cyclization of α-amino esters Va-c to dihydropyrazines VIa-c, and dehydrogenation of the latter with air oxygen.



The structure of pyrazines Ia-c, which follows from the method used to synthesize them, was confirmed by the results of elementary analysis and by the UV, IR, and PMR spectra.

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