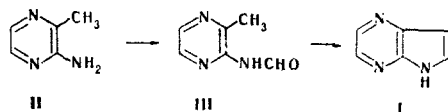


SYNTHESIS OF PYRROLO[2,3-b]PYRAZINE (4,7-DIAZAINDOLE)

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UDC 547.75'861.07

The two-ring pyrrolo[2,3-b]pyrazine system (I) is mentioned in only one communication, in which the formation of 3-formyl- and 1-methyl-3-formyl-1 by reaction of 2-methyl-3-aminopyrazine and 2-methyl-3-methylaminopyrazine with dimethylformamide and POCl_3 is described [1]. We have accomplished the synthesis of the previously unknown unsubstituted pyrrolo[2,3-b]pyrazine via the following scheme:



2-Methyl-3-aminopyrazine (II) [2] is not formylated by the action of 100% HCOOH at 20°C or by refluxing. However, heating 20 mmole of II with a mixture of different volumes (5 ml each) of 100% HCOOH and $(\text{CH}_3\text{CO})_2\text{O}$ for 2 h at 50° with subsequent evaporation to dryness and trituration of the residue with water gives a quantitative yield of colorless needles of III with mp $164\text{--}164.5^\circ$. Found: C 52.9; H 4.9; N 30.3%. $\text{C}_6\text{H}_7\text{N}_3\text{O}$. Calculated: C 52.6; H 5.1; N 30.6%.

A 10-mmmole sample of III was cyclized by heating with sodium ethoxide (from 0.6 g of Na) to 325° . The cooled reaction mixture was treated with water and extracted with CHCl_3 . The mixture, which contained 57% I and 43% II according to gas-liquid chromatography, was separated by chromatography with a column filled with Al_2O_3 (elution with CHCl_3); II is eluted first, followed by I. Compound I was additionally purified by crystallization from benzene-heptane and subsequent sublimation at 60° (2 mm) to give colorless crystals with mp $156\text{--}156.5^\circ$. Found: C 61.0; H 4.5; N 35.0%. $\text{C}_6\text{H}_5\text{N}_3$. Calculated: C 60.5; H 4.2; N 35.2%. UV spectrum (in alcohol): λ_{max} 209, 311 nm ($\log \epsilon$ 4.18, 3.90). PMR spectrum (in CD_3OD , tetramethylsilane internal standard): two doublets at 6.76 (2-H) and 7.81 ppm (3-H), two doublets at 8.32 (5-H) and 8.38 ppm (6-H). The overall yield of I via the scheme was 38%.

LITERATURE CITED

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