

NOTE

Synthesis and Antibacterial Activity of 2-Acetyl and 2-Formylpyrrole oximes, Semicarbazones and Phenylhydrazones

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A series of new oximes, semicarbazones and phenyl hydrazones have been synthesized from 2-acetylpyrrole and 2-formylpyrrole and their antibacterial activity have been studied against *E. coli* which gave poor activity.

Key Words: Synthesis, Antibacterial activity, 2-Acetyl/2-formylpyrrole oximes, Semicarbazones, Phenylhydrazones.

Many oxime, semicarbazone, phenylhydrazone, thiosemicarbazone and hydrazone derivatives are reported to be active as antibacterial¹⁻⁴, antitubercular⁵ and antilepral⁶ compounds. Here we report the preparation of some different oximes, semicarbazones and phenylhydrazones derived from 2-acetyl and 2-formylpyrrole, which have been characterized by IR data and elemental analysis. Their antibacterial activity has been studied against *E. coli*, which gave poor activity.

Melting points were determined in open capillaries and are uncorrected. IR spectra were recorded in KBr on Perkin-Elmer 883 spectrometer. All compounds gave satisfactory elemental analysis. 2-Acetylpyrrole was obtained from Sigma-Aldrich Ltd. and used without further purification, 2-Formylpyrrole was synthesized according to the method of Paul⁷. All compounds were tested for their antibacterial activity against negative bacteria *E. coli* at concentration of 50 mg/disc using cup-plate method⁸.

Preparation of 2-acetylpyrrole oxime (1) and 2-formyl pyrrole oxime (2)

Ketone or aldehyde (0.02 mol) was dissolved in 15 mL ethanol and was added to aqueous solution of hydroxylamine hydrochloride (0.08 mol) and sodium acetate (0.1 mol). The mixture was heated at 80–90°C for 4 h and then left to cool. The precipitate was collected and purified by crystallization from ethanol (yield 90%).

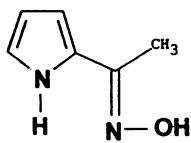
Preparation of 2-acetylpyrrole semicarbazone (3) and 2-formylpyrrole semicarbazone (4)

Ketone or aldehyde (0.002 mol) was dissolved in 15 mL ethanol and was added

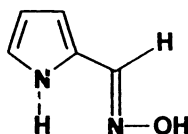
to aqueous solution of semicarbazide hydrochloride (0.01 mol); the mixture was heated at 80–90°C for 4 h and then left to cool. The precipitate was collected and purified by crystallization from ethanol to give the desired product in 63% and 77% yield respectively.

Preparation of 2-acetylpyrrole phenylhydrazone (5) and 2-formylpyrrole phenylhydrazone (6)

Ketone or aldehyde (0.02 mol) was dissolved in 15 mL ethanol and was added to aqueous solution of phenylhydrazine (0.03 mol); the mixture was heated at



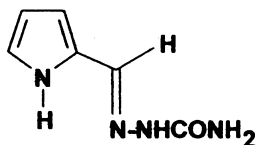
(1)



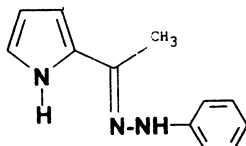
(2)



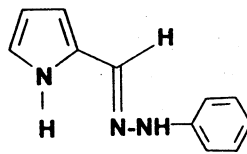
(3)



(4)



(5)



(6)

80–90°C for 4 h and then left to cool. The precipitate was collected and purified by crystallization from ethanol to give in 71% and 90% yield respectively.

Oximes (1 and 2), semicarbazones (3 and 4) and phenylhydrazones (5 and 6) were prepared from 2-acetyl and 2-formylpyrrole which gave a good crystalline yield. The analytical and infrared spectral data are presented in Table-1.

TABLE-1
ANALYTICAL AND SPECTRAL DATA OF COMPOUNDS

| Compound No. | m.p. (°C) | m.f. | I.R. bands (cm ⁻¹) |
|--------------|-----------|---|---------------------------------------|
| (1) | 138–139 | C ₆ H ₈ N ₂ O | 3421 v(O—H), 1663 v(C=N), 1116 v(N—O) |
| (2) | 160–162 | C ₅ H ₆ N ₂ O | 3400 v(O—H) 1650 v(C=N), 1121 v(N—O) |
| (3) | 163–165 | C ₇ H ₁₀ N ₄ O | 3307 v(N—H), 1670 v(C=O), 1662 v(C=N) |
| (4) | 165–167 | C ₆ H ₈ N ₄ O | 3300 v(N—H), 1686 v(C=O), 1638 v(C=N) |
| (5) | 143–145 | C ₁₂ H ₁₃ N ₃ | 3300 v(N—H), 1650 v(C=N), |
| (6) | 133–135 | C ₁₁ H ₁₁ N ₃ | 3311 v(N—H), 1646 v(C=N) |

Our antibacterial activity results have been compared with other workers⁴ to show absolutely different results; this might come from changing of the aromatic ring (benzene to pyrrole). Acetophenone derivatives⁴ showed good activity against -ve bacteria *E. coli*, but 2-acetyl and 2-formylpyrrole derivatives showed poor activity against -ve bacteria (Table-2).

TABLE-2
COMPARISON OF OXIME DERIVATIVES AND SEMICARBAZONE DERIVATIVES

| Compound name | Antibacterial activity | Compound names | Antibacterial activity |
|---|------------------------|---|------------------------|
| 2-Acetylpyrrole oxime | Poor | 2-Acetylpyrrole semicarbazone | Poor |
| 2-Formylpyrrole oxime | Poor | 2-Formylpyrrol semicarbazone | Poor |
| 2,4-Dihydroxy acetophenone oxime | Good | 2,4-Dihydroxyacetophenone semicarbazone | Good |
| 2,4-Dihydroxy-5-nitroacetophenone oxime | Good | 2,4-Dihydroxy-5-nitroacetophenone semicarbazone | Good |

In conclusion, we have synthesized different oximes, semicarbazones and phenylhydrazones from 2-acetyl and 2-formylpyrrole compounds, which have shown poor antibacterial activity against -ve *E. coli* and the comparison gave an indication that it might be a relation between the mesomeric effect on the pyrrole ring and the antibacterial activity.

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