Synthesis and Antibacterial Activity of New Oximes, Semicarbazones and Phenyl Hydrazones

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A series of new oximes, semicarbazones and phenyl hydrazones have been synthesized from 2-formylindol, 2-formylfuran and salicylaldehyde and their antibacterial activities have been studied and compared against *E. coli* which gave different results of activity.

Key Words: Synthesis, Antibacterial activity, Oximes, Semicarbazones, Phenyl hydrazones.

INTRODUCTION

Synthesis of various oxime, semicarbazone and phenylhydrazone derivatives is reported in literature¹⁻³. Most of them are shown to possess antibacterial¹⁻⁸, antitubercular⁹ and antilepral activity¹⁰. This paper is in continuation of our work on the synthesis of oximes, semicarbazones and phenylhydrazones⁷, which have been synthesized from 2-formylindol, 2-formylfuran and salicylaldehyde and characterized by IR data and then tested for their antibacterial activity against *E. coli* bacteria. This testing has been done by the methods described by Broth¹¹ using different concentrations. Antibacterial activity of the compounds has been tested and the results are described in the present communication.

EXPERIMENTAL

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 analysis. 2-Formylfuran and salicylaldehyde were obtained from Sigma-Aldrich Ltd. and used without further purification. 2-Formylindol was synthesized according to the method of Paul¹². All compounds were tested for their antibacterial activity against negative bacteria *E. coli* at different concentrations using Broth dilution susceptibility test¹¹.

General method for the preparation of 2-formylindol oxime (1), 2-formylfuran oxime (2) and salicylaldehyde oxime (3): 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

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purified by crystallization from ethanol to give 69, 33.8 and 74.5% yield respectively.

General method for the preparation of 2-formylindol semicarbazone (4), 2-aldehyde formylfuran semicarbazone (5) and salicylaldehyde semicarbazone (6): Aldehyde (0.02 mol) was dissolved in 15 mL ethanol and was added to aqueous solution of semicarbazide hydrochloride (0.01 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 to give 27, 40 and 57% yield respectively.

General method for the preparation of 2-formylindol phenylhydrazone (7), 2-formylfuran phenylhydrazone (8) and salicylaldehyde phenylhydrazone (9): Aldehyde (0.02 mol) was dissolved in 15 mL ethanol and was added to aqueous solution of phenylhydrazine (0.03 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 to give 57, 55.8 and 63% yield respectively.

RESULTS AND DISCUSSION

Oximes (1, 2 and 3), semicabazones (4, 5 and 6) and phenylhydrazones (7, 8

and 9) were prepared from 2-formylindol, 2-formylfuran and salicylaldehyde which gave a crystalline yield.

All compounds are stable at room temperature and insoluble in water. Some physical properties, analytical and spectral data of the compounds are summarized in Table-1. The IR spectra of compounds 1, 2 and 3 exhibit absorption bands in the region of $1680-1620 \, \text{cm}^{-1}$, these bands were assigned to v(C=N). The strong

bands in region 3464-3440 cm⁻¹ were assigned to v(O—H) and the bands in the region of 1195-1120 cm⁻¹ were assigned to v(N—O).

				TABLE-1				
A	NALYT	TICAL.	AND SP	ECTRAL	DATA	OF COL	MPOU	NS

Compound No.	m.p. (°C)	m.f.	IR band (cm ⁻¹)
1	143-145	C ₉ H ₈ N ₂ O	1610 v(C=N), 3330 v(O—H), 1210 v(N—O)
2	165–167	C ₅ H ₅ NO ₂	1645 v(C=N), 3164 v(O—H), 1022 v(N—O)
3	41-42	C ₇ H ₆ NO ₂	1680 v(C=N), 3464 v(O—H), 1195 v(N—O)
4	141-142	C ₁₀ H ₁₀ N ₄ O	1620 v(C=N), 3164 v(N—H), 1690 v(C=O)
5	79–80	C ₆ H ₇ N ₃ O ₂	1600 v(C=N), 3200 v(N—H), 1680 v(C=O)
6	227-228	C ₈ H ₉ N ₃ O ₂	1590 v(C=N), 3160 v(N—H) 1702 v(C=O)
7	78-79	C ₁₅ H ₁₃ N ₃	1600 v(C=N), 3300 v(N—H)
8	121-122	C ₁₁ H ₁₀ N ₂ O	1600 v(C=N), 3320 v(N—H)
9	141-142	C ₁₃ H ₁₂ N ₂ O	1590 v(C=N), 3180 v(N—H)

The IR spectra of compounds 4, 5 and 6 exhibit absorption bands in the region of 1950–1600 cm⁻¹; these bands were assigned to v(C=N). The bands in the region 3200–3160 cm⁻¹, were assigned to v(N-H) and the bands in the region of 1702–1680 cm⁻¹ were assigned to v(C=O).

The IR spectra of compounds 7, 8 and 9 exhibit absorption bands in region of 1950–1600 cm⁻¹, these bands were assigned to v(C=N). The bands in the region $3320-3180 \,\mathrm{cm}^{-1}$; were assigned to v(N-H).

Oximes were found to possess moderate antibacterial activity while semicarbazones and phenyl hydrazones gave poor antibacterial activity (Table-2). This

Chart-1

might come from the highest electron density in case of semicarbazones and phenyl hydrazones, which might make the diffusion of these compounds more difficult through the body of the bacteria.

TABLE-2
ANTIBACTERIAL ACTIVITY OF COMPOUNDS 1–9

Compound No.	200	100	50	25	12.5
1	+ve	ve	-ve	-ve	-ve
2	+ve	-ve	-ve	-ve	-ve
3	+ve	+ve	-ve	-ve	-ve
4	-ve	-ve	-ve	-ve	-ve
5	-ve	-ve	-ve	-ve	-ve
6	-ve	-ve	-ve	-ve	-ve
7	-ve	-ve	-ve	-ve	-ve
8	-ve	-ve	-ve	-ve	-ve
9	-ve	-ve	-ve	-ve	-ve

This means that the mesomeric effect present in semicarbazones and phenyl hydrazones is more significant than in case of oximes, as represented in Chart-1.

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REFERENCES

- 1. G. Domagk, Naturwiss, 33, 315 (1946).
- 2. H.C. Caldwell and W.L. Nobles, J. Am. Pharm Assoc. Sci., 45, 729 (1956).
- 3. M.J. Desai and K.K. Desai, Asian J. Chem., 11, 1752 (1999).
- 4. Chem. Abstr., 119, 27952 (1993).
- 5. Chem. Abstr., 102, 203868 (1985).
- 6. Chem. Abstr., 116, 41235 (1992).
- 7. M.M. Hania, Asian J. Chem., 14, 1074 (2002).
- 8. A.S. Dobeck and D. Klayman, Antimicrobial Agents and Chemotherapy, 18, 27 (1980).
- 9. W.H. Wagner and E. Winkelman, Arzneim Forschi, 22, 1713 (1972).
- 10. N.E. Morrison and F.M. Colins, Inst. J. Leprosy, 49, 180 (1981).
- 11. E.W. Koneman, Diagnostic Microbiology, J.B. Lippincott Company, Toronto (1979).
- 12. R. Paul, Bull. Soc. Chim. (France), 163 (1943).