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Original research paper

Synthesis of some oxazolinones and imidazolinones and their antimicrobial screening

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A few imidazolinones [1-aminoethyl/phenyl-2-methyl/phenyl-4-acetylidene/benzylidene-imidazolin-5[4*H*]-ones] were newly synthesized from respetive acetylidene/benzylidene oxazolinones. Schiff's bases were synthesized by the reaction between imidazolinones and benzaldehyde. The antimicrobial screening of almost all compounds showed moderate to significant activities against *B. subtilis* ATCC 6633 and *K. pneumoniae* ATCC 25063. Compounds **10** [1--aminophenyl-2-phenyl-4-acetylidene-imidazolin-5[4*H*]-one] and **12** [1-aminophenyl-2-phenyl-4-benzylidene-imidazolin-5[4*H*]-one] showed even better activity than amphotericin B against *C. albicans* ATCC 29738.

Keywords: imidazolin-5[4*H*]-ones, oxazolinones, Schiff's bases, antimicrobial activity

Antimicrobial activities, especially antifungal activities, of various imidazole derivatives were reported by some researchers (1–5). In view of these observations and in continuation of our research (6), we report here the synthesis of some new imidazolinones and oxazolinones along with their antimicrobial activities.

EXPERIMENTAL

All melting points were determined in open capillaries and are uncorrected. The IR spectra (cm^{-1}) in KBr pellets were recorded on Perkin Elmer Infra Red-283 (USA) and Bomen DA-8 FTIR (Germany), NMR and MS spectra were recorded on Jeol FX – 100 FTNMR (Japan), Bruker DRX – 300 FTNMR (Germany) and Jeol SX (Japan) mass spectrophotometers, respectively. The ^1H NMR (D_2O) in δ ppm was recorded under magnetic field 300 MHz and TMS was used as internal standard. The MS peak at *m*/*z* was observed on application of argon/xenon (6 kV, 10 mA) as the FAB gas, where accelerating voltage was 10 kV at room temperature and *m*-nitrobenzyl alcohol was used as the matrix.

Benzylidene oxazolinones **1–4** were synthesized according to the standard procedure (7).

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*Synthesis of 1-aminoethyl-2-methyl/phenyl-4-acetylidene/benzylidene-imidazolin-5[4H]--ones (**5**–**8**)*

Procedure A. – A mixture of appropriate oxazolin-5[4*H*]-one (**1**–**4**, 0.01 mol) and ethylenediamine (0.02 mol) in 60 mL of 1,4-dioxane (for **5** and **7**) or pyridine (for **6** and **8**) was refluxed for 6 h. The excess solvent was distilled off and the resulting residue was poured onto crushed ice and the obtained solid was filtered and washed with small portions of cold ethanol and further recrystallized from the acetone water mixture (1:1, *V*/*V*).

Procedure B. – A mixture of appropriate oxazolin-5[4*H*]-one (**1**–**4**, 0.01 mol) and ethylenediamine (0.02 mol) in 60 mL pyridine was refluxed for 6 h. The excess solvent was distilled off and the crude product was then diluted with acidified water (0.1 mol L^{-1} HCl), allowed to stand for 4 h at room temperature, filtered and washed with small portions of cold ethanol and further recrystallized from the acetone/water mixture (1:1, *V*/*V*).

Procedure C. – A mixture of appropriate oxazolin-5[4*H*]-one (**1**–**4**, 0.01 mol) and ethylenediamine (0.02 mol) in 60 mL of 1,4-dioxane (for **5** and **7**) or dry pyridine (for **6** and **8**) was refluxed for 6 h with addition of $POCl_3$. The excess solvent was distilled off and the residue was kept overnight at 5 °C with addition of ethanol. Then the solid was filtered, washed with a small portion of cold ethanol and further recrystallized from the acetone/water mixture (1:1, *V*/*V*).

*Synthesis of 1-amino-phenyl-2-methyl/phenyl-4-acetylidene/benzylidene-imidazolin--5[4H]-ones (**9**–**12**)*

General procedure. – A mixture of appropriate oxazolin-5[4*H*]-one (**5**–**8**, 0.01 mol) and *p*-phenylenediamine (0.02 mol) in 60 mL dry pyridine was refluxed for 4 h with addition of $POCl_3$. The excess solvent was distilled off and the residue was kept overnight at 5 °C with addition of ethanol. The solid was filtered, washed with a small portion of cold ethanol and further recrystallized from methanol.

*Synthesis of 1-phenylidene-amino-ethyl/phenyl-2-methyl/phenyl-4-acetylidene/ benzylidene-imidazolin-5[4H]-ones (**13**–**16** from **5**–**8** and **17**–**20** from **9**–**12**)*

General procedure. – An equimolar mixture of substituted amino-imidazolin-5[4*H*]-one (**5**–**8** and **9**–**12**) and benzaldehyde (0.01 mol) in 50 mL ethanol was refluxed for 4 h. The reaction mixture was cooled and poured onto crushed ice while stirring continuously. The resultant solid was filtered, washed thoroughly with cold water, dried and purified by recrystallization from acetone.

Antimicrobial screening. – Antimicrobial screening of synthesized compounds was done by the paper disc agar diffusion method (8–10) against *Bacillus subtilis* ATCC 6633 (Gram positive bacteria), *Klebsiella pneumoniae* ATCC 25063 (Gram negative bacteria) and *Candida albicans* ATCC 29738 (fungus) and zones of inhibition were compared with the standard drugs, ampicillin (antibacterial) and amphotericin B (antifungal) (Table I).

The test organisms were sub-cultured using an agar medium. The tubes containing sterilized medium were inoculated with respective bacterial or fungal strains. After incubation at 37 ± 1 °C for 24 h (for bacteria) and 20–24 °C for 48 h (for fungus), they were stored in refrigerator as stock cultures. Later, bacterial and fungal inocula were prepared from stock cultures, followed by incubation at 37 ± 1 °C for 24 h (for bacteria) and 20–24 °C for 48 h (for fungus) before the experimentation.

The nutrient agar medium (for bacteria) and Sabouraud-dextrose agar medium (for fungus) was sterilized by autoclaving at 121 °C (110.6 kPa) for 15 minutes. The Petri dishes, tubes and flasks plugged with cotton were sterilized in a hot air oven at 160 °C for an hour. Into each sterilized Petri plate (10 cm diameter), about 30 mL of molten agar medium inoculated with the respective strain of bacteria or fungus (6 mL of inoculum to 300 mL of nutrient agar medium) was transferred aseptically. The plates were left at room temperature to allow solidification. In each plate, a paper disc of 6 mm diameter soaked with the compound solution or solvent was placed aseptically. Each plate contained three paper discs, of the compound tested, standard drug and solvent (DMF). The plates were kept undisturbed for at least 2 h at room temperature. After incubation of the plates at 37 ± 1 °C for 24 h (for bacteria) and 20 °C for 48 h (for fungus), the diameter of the inhibition zone was measured. All the experiments were carried out in triplicate and the average value was reported.

Minimal inhibitory concentration (*MIC*, in μg mL^{-1}) of all synthesized compounds was determined against all the above microorganisms by following a standard procedure (11) (Table I).

Twofold serial dilutions of the compounds were prepared in an enriched agar broth medium. The tubes were then inoculated with a standardized concentration of the test organism; after incubation spectrophotometric readings (600 nm) showed the presence or absence of growth in the cultures. The culture showing no growth in the presence of the lowest concentration of compound represents the *MIC* of this compound against a specific organism.

RESULTS AND DISCUSSION

The synthesis of the compounds is outlined in Scheme 1. Benzylidene oxazolinones (**3** and **4**, ref. 7) and acetylidene oxazolinones (**1** and **2**) were synthesized first by taking benzaldehyde or acetaldehyde reacting with either the acetyl or benzoyl glycine according to ref. 7. Afterwards, oxazolinones **1**–**4** were subjected to reaction with ethylenediamine or *p*-phenylenediamine to yield the aminoethyl/phenyl-acetylidene/benzylidene-imidazolinones (**5**–**12**). Subsequently, the latter reacted with benzaldehyde to give the respective Schiff's bases (**13**–**20**). The physical and analytical data of all compounds are given in Table I and spectral data are given in Table II. For instance, compound **20** was characterized as 1-phenylidene-aminophenyl-2-phenyl-4-benzylidene-imidazolin-5[4H]-one. Its IR spectrum exhibited characteristic absorption bands at 1689.18 $cm^{-1}$for C=O stretching of imidazolinone, C=O stretching at 1790.17, 1706.49 cm^{-1}, C=N stretching of imidazo-

Table I. Physico-chemical data and antimicrobial activity of synthesized compounds

Compd. No.	R^1	R^2	R^3	Molecular formula (M_r)	Colour	M.p. (°C)	Yield (%)	Nitrogen content (%) Found (calcd.)	Zone of inhibition (cm)[a] (*MIC*, μg mL^{-1})		
									B. subtilis ATCC 6633	*K. pneumoniae* ATCC 25063	*C. albicans* ATCC 29738
1	CH_3	CH_3	–	$C_6H_7NO_2$ (125.17)	White	184–185	59	–	1.10 (750)	0.70 (900)	–
2	CH_3	C_6H_5	–	$C_{11}H_9NO_2$ (187.24)	Brown	109–110	66	–	NA (1000)	0.80 (900)	–
3	C_6H_5	CH_3	–	$C_{11}H_9NO_2$ (187.24)	Bright yellow	150–151	75	–	0.90 (1000)	NA	–
4	C_6H_5	C_6H_5	–	$C_{16}H_{11}NO_2$ (249.31)	Light pale yellow	168–168.5	65	–	NA (1000)	NA	–
5	CH_3	CH_3	CH_2CH_2	$C_8H_{13}N_3O$ (167.21)	Light yellow	180–181	A: 54 B: 52 C: 80	25.16 (25.13)	2.33 (250)	1.25 (400)	1.23 (125)
6	CH_3	C_6H_5	CH_2CH_2	$C_{13}H_{15}N_3O$ (229.28)	Light pale yellow shiny	174–175	A: 38 B: 39 C: 65	18.37 (18.33)	3.28 (100)	1.58 (400)	1.47 (125)
7	C_6H_5	CH_3	CH_2CH_2	$C_{13}H_{15}N_3O$ (229.28)	Cream	184–186	A: 48 B: 46 C: 78	18.28 (18.33)	1.85 (400)	1.30 (400)	0.73 (250)
8	C_6H_5	C_6H_5	CH_2CH_2	$C_{18}H_{17}N_3O$ (291.36)	Greenish yellow	178–179	A: 42 B: 47 C: 70	14.40 (14.42)	2.80 (250)	2.18 (300)	1.17 (125)
9	CH_3	CH_3	C_6H_4	$C_{12}H_{13}N_3O$ (216.26)	Pale brown	192–192.5	68	19.39 (19.43)	0.90 (500)	NA (1000)	0.83 (250)
10	CH_3	C_6H_5	C_6H_4	$C_{17}H_{15}N_3O$ (278.33)	Shiny white	200–201	65	15.10 (15.10)	0.95 (500)	0.75 (500)	1.90 (50)
11	C_6H_5	CH_3	C_6H_4	$C_{17}H_{15}N_3O$ (278.33)	Brownish white	175–176	60	15.17 (15.10)	0.75 (500)	0.50 (500)	1.10 (125)

Table I. continued

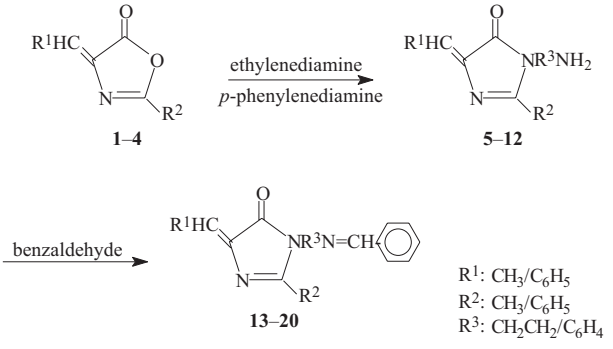
Compd. No.	R^1	R^2	R^3	Molecular formula (M_r)	Colour	M.p. (°C)	Yield (%)	Nitrogen content (%) Found (calcd.)	Zone of inhibition (cm)[a] (*MIC*, μg mL^{-1})		
									B. subtilis ATCC 6633	*K. pneumoniae* ATCC 25063	*C. albicans* ATCC 29738
12	C_6H_5	C_6H_5	C_6H_4	$C_{22}H_{17}N_3O$ (340.41)	Dark brown	105–107	62	12. 35 (12.34)	0.73 (500)	NA (1000)	2.10 (40)
13	CH_3	CH_3	CH_2CH_2	$C_{15}H_{17}N_3O$ (255.32)	Pale yellow	170–171	77	16.46 (16.46)	1.38 (400)	1.28 (400)	0.77 (250)
14	CH_3	C_6H_5	CH_2CH_2	$C_{20}H_{19}N_3O$ (317.39)	Light pale yellow	185–185.5	65	13.23 (13.24)	1.48 (400)	1.83 (400)	0.73 (250)
15	C_6H_5	CH_3	CH_2CH_2	$C_{20}H_{19}N_3O$ (317.39)	Yellowish white	220–220.5	67	13.17 (13.24)	NA (1000)	1.40 (400)	1.23 (125)
16	C_6H_5	C_6H_5	CH_2CH_2	$C_{25}H_{21}N_3O$ (379.47)	White	188–189	52	11.06 (11.07)	1.60 (400)	1.55 (400)	0.63 (250)
17	CH_3	CH_3	C_6H_4	$C_{19}H_{17}N_3O$ (304.37)	Pale brown	170–171	85	13.83 (13.81)	1.05 (400)	1.05 (400)	0.87 (200)
18	CH_3	C_6H_5	C_6H_4	$C_{24}H_{19}N_3O$ (366.45)	Shiny yellowish white	190–191	81	11.47 (11.47)	0.80 (500)	1.28 (400)	1.00 (125)
19	C_6H_5	CH_3	C_6H_4	$C_{24}H_{19}N_3O$ (366.45)	Light brown	215–215.5	69	11.42 (11.47)	NA (1000)	1.08 (400)	0.70 (250)
20	C_6H_5	C_6H_5	C_6H_4	$C_{29}H_{21}N_3O$ (428.52)	Brown	176–177	70	09.83 (09.81)	0.60 (500)	NA (1000)	0.80 (200)
Ampicillin (antibacterial)									3.37 (25)	2.34 (35)	–
Amphotericin-B (antifungal)									–	–	1.59 (30)

[a] Compounds **1–20** and reference substances dissolved in DMF: 100 μg mL^{-1}.
NA – not active

linone at 1622.56 cm^{-1}, C-H stretching of aromatic ring at 1572.03 cm^{-1}, CH=C stretching at 1520.81 cm^{-1}, C=N–C stretching at 1452.12 cm^{-1}, N=C–N at 1387.1 cm^{-1}, –N = *i.e.* tertiary aromatic amine at 1325.55 cm^{-1}, C–H deformation of C_6H_5 attached as Schiff's base at 1188, 1187 cm^{-1} and due to transethylenic group at 964.24, 907.29 cm^{-1}. The ^1H NMR (D_2O) spectrum of **20** showed characteristic proton signals (δ ppm) at 7.70–7.64 (d, 1 *H*, N=CH, interchangeable), 7.35–7.30 and 7.23–7.18 (m, 15 *H*, Ar–H of =C–Ar), 6.84 (s, 4 *H*, Ar–H of N–Ar–N) and 4.63 (s, 1 *H*, C=CH, transethylenic H exchangeable with D_2O as HOD). Further, the mass spectrum of compound **20** exhibited the molecular ion peak at *m*/*z* 429 (6%), M+1 at 430 (6%) and the 100% abundance characteristic fragment peak at 157.

All the synthesized compounds were obtained in good yield. They were found stable since they showed the same melting points after keeping them even for a year at different temperatures (5–50 °C). Compounds **5**–**8** could be synthesized by three procedures and it was observed that procedure C resulted in better yield (*e.g.* for compound **5**: A 54%, B 52%, C 80%).

None of the tested compounds showed better antibacterial activity than ampicillin against *B. subtilis* and *K. pneumoniae*. Significant activities were found for compounds **5**, **6** and **8** against *B. subtilis* and compound **8** against *K. pneumoniae*. The activity of compound **6** against *B. subtilis* was comparable to that of ampicillin. Compounds **5**, **6**, **8**, **11**, **15** and **18** showed significant activity against *C. albicans*, though less than the standard amphotericin B and compounds **10** and **12** showed activity even higher than that of the standard. Interestingly, all the imidazolone derivatives showed antifungal activity against *C. albicans* and a very few of them failed to show antibacterial activity against *B. subtilis* (**15** and **19**) and *K. pneumoniae* (**9**, **12** and **20**). On consideration of *MIC* values it was observed that the activity of compounds **10** and **12** against *C. albicans* was the only remarcable activity compared to amphotericin. A keen observation claims better antimicrobial activities of aminoethyl/phenyl imidazolinones than their Schiff's bases (*e.g.* **6** better than **14**). Compound **6** ($R^1 = CH_3$, $R^2 = C_6H_5$, $R^3 = CH_2CH_2$) showed the highest activity against *B. subtilis*, compound **8** ($R^1 = C_6H_5$, $R^2 = C_6H_5$, $R^3 = CH_2CH_2$) also showed significant activity against *B. subtilis* ATCC 6633, *K. pneumoniae*, *C. albicans.* Compound **12**



Scheme 1

Table II. Spectral data of synthesized compounds

Compd. No.	IR (KBr, cm^{-1})	^1H NMR (D_2O)(δ, ppm)	MS (*m*/*z*)
1, 2	1585.9, 1607.4 (C=N); 1276.6, 1257.9, (N=C–O); 1045.6, 1079 (C–O in ring); 1722.1, 1746.9 (C=O); 2361.1, 2360.9 (C–H of CH_3 attached with CH=); 995.3, (963.49, 942.5) (transethylenic); 2937.7 [C–H of CH_3 attached with ring (**1**)]; 1699.6 [ArC=C skeletal vibration of C_6H_5 attached with ring (**2**)].		
5, 6, 7, 8	3484, 3477.4, 3471, 3475.8 (N–H of primary aromatic amine); bends at 3000–2850 [C–H of CH_3 (**5, 6, 7**)]; 1748.3, 1715.91, 1710, 1731.71 (C=O); 1638, 1639.5, 1635.8, 1631 (C=N); 1568, 1569.3, 1571.6, 1569.4 (CH=C); 1510.8, 1522.2, 1510.3 [ArC=C (**6, 7, 8**)]; 1475.61, 1479.3 [C–H of CH_3 attached with CH = (**9**, **10**)]; 1395, 1368.1, 1394, 1349.1 (N=C–N); 1297.3, 1312.9, 1296.4, 1313.7 (N–C–C–N of =N–CH_2–CH_2–NH_2); 1204.7, 1203.7 [C–H of C_6H_5 attached with ring (**6**, **8**)]; (966.3, 900.2), (965, 898.3), (964.63, 873.9), (975.61, 896.2) (transethylenic); 826, 824.7, 832, 813.5 (C–N); 785.6, 790.7, 785.3, 782 (–CH_2–CH_2–).	**5:** 4.80 (s, 1H, C=CH, transethylenic H exchangeable with D_2O as HOD), 3.74 (s, 2H, NH_2), 3.31 (s, 4H, CH_2–CH_2), 2.03 (s, 6H, 2 CH_3)	**8:** molecular ion peak 290 (11.8%) and 100% abundance fragment peak at 157
9, 10, 11, 12	3416.83, 3430.11, 3416.83, 3410.44 (N–H of primary aromatic amine); 2870.38, 2890.3, 2870.38 [C–H of CH_3 (**9, 10, 11**)]; 1729.03, 1735.48, 1722.58, 1716.13 (C=O); 1637.35, 1619.99, 1618, 1618.45 (C=N of imidazoline); 1520.75, 1518.62, 1520.65, 1519.37 (CH=C); 1422.61, 1441.77, 1439.91, (1447.59, 1411.77) (Ar C=C); 1376.46, 1383.48, 1376, 1383.11 (N=C–N); 1242.66, 1243.53, 1241.25, 1240.23 (C–N of Ar–N); (961.975, 906.379), (963.508, 906.51), (963.48, 906.561), (963.03, 906.481) (transethylenic); 1487.38 [C–H of CH_3 (**9**)]; 1204.2, 1202.35 [Ar–H of C_6H_5 attached CH=(**11, 12**)]; 826.344, 823.124, 823.989, 823.572 (C–N).	**9:** 6.84 (s, 4H, ArH of N–Ar–N), 4.80(s, 1H, C=CH, transethylenic H exchangeable with D_2O as HOD), 3.75 (s, 2H, NH_2), 2.04 (s, 6H, 2 CH_3).	**11:** molecular ion peak 277(3%), M-1 (due to loss of α*H* to aromatic primary amine) peak 276 (10%) and 100% abundance fragment peak at 154

Table II. continued

Compd. No.	IR (KBr, cm^{-1})	^1H NMR (D_2O)(δ, ppm)	MS (*m*/*z*)
13, 14, 15, 16	(1787.27, 1702.08), (1797.39, 1703.86), (1788.39, 1702.83), 1740.53 (C=O); 1686.76, 1686.51, 1687.34, 1652.45 [C=O of imidazolinone (characteristic)]; 2923.7, 2922.16; 2888.12, 2884.51, 2884.08 [C–H of CH_3 (**13, 14, 15**)]; 1619.48, 1618.15, 1620.12, 1642.85 (C=H of imidazolinone); 1584.04, 1584.15, 1584.07, 1568.28 (CH=C); 1495.21, 1496.84, 1497.1, (1470.64, 1469.55) (ArC=C); 1453.83, 1454.08, 1453.83, 1457.55 (C=N–C); 1425.34, 1425.76, 1425.89, 1420.99 (N=C–N); 1326.81, 1327.26, 1327.27, 1314.62 (–N=); 1232.78, 1222.08 [C–H of C_6H_5 attached CH=(**15,16**)]; (1180.3, 1179.23), (1180.4, 1179.6), (1180.77, 1179.08), (1171.89, 1139.14) (C–H of arm. on Schiff's bases); (969.51, 934.796), (963.41, 944.335, 934.858), (961.1, 934.762), (962.986, 949.461) (transethylenic); (809.319, 707.808), (810.526, 707.935), (808.961, 707.804), (790.915, 715.772) (–CH_2–CH_2–).	**16:** 7.69–7.72 (d, 1 H, N=CH, interchangeable), 7.40–7.35 and 7.29–7.24 (m, 15H, Ar–H of =C–Ar), 4.63 (s, 1H, C=CH, transethylenic H exchangeable with D_2O as HOD), 3.13 (s, 4H, CH_2CH_2).	**13:** molecular ion peak 255 (7%), M+1 peak 256 (5%), M+2 peak 257 (6%) and 100% abundance fragment peak at 108
17, 18, 19, 20	(1790.75, 1703.56), (1790.46, 1702.19), (1790.69, 1704.16), (1790.17, 1706.49) (C=O); 1688.77, 1686.51, 1687.83, 1689.18 [C=O of imidazolinone (characteristic)]; 3061.46, 3067.86 [C–H of CH_3 attached with ring (**17, 19**)]; 2931.03, 2905.17, 2922.41 [C–H of CH_3 (**17, 18, 19**)]; 1624.67, 1618.55, 1621.94, 1622.56 (C=N of imidazolinone); 1579.08, 1572.81, 1581.53, 1572.03 (C–H of arm.); 1520.53, 1518.67, 1521.14, 1520.81 (CH=C); 1454.55, 1452.88, 1453.68, 1452.12 (C=N–C); 1423.7, 1425.13, 1426.2, 1424.11 (ArC=C); 1385.48, 1384.6, 1380.64, 1387.1 (N=C–N); 1327.54, 1325.77, 1326.99, 1325.55 (–N=); 1247.41, 1243.46, 1244.35, 1238.99 (C–N of Ar–N); (1180.26, 1179.80), (1187.27, 1186), (1186.7, 1185), (1188, 1187) (C–H of C_6H_5 as Schiff's bases); 750.103, 750.141, 749.498 [C–H of C_6H_5 (**18, 19, 20**)]; (946.251, 907.239), (963.393, 906.744), (964.12, 907.223), (964.238, 907.29)(transethylenic); 820.033, 823.162, 826.595, 824.228 (C–N).	**20:** 7.70–7.64 (d, 1*H*, N=CH, interchangeable), 7.35–7.30 and 7.23–7.18 (m,15*H*, Ar–H of =C–Ar), 6.84 (s, 4*H*, Ar–H of N–Ar–N), 4.63 (s,1*H*, C=CH, transethylenic H exchangeable with D_2O as HOD)	**20:** molecular ion peak 429 (6%), M+1 peak 430 (6%) and 100% abundance fragment peak at 157

($R^1 = C_6H_5$, $R^2 = C_6H_5$, $R^3 = C_6H_4$) showed the highest activity against *C. albicans*, which was even higher than that of amphotericin B. Interestingly, it was observed that the antimicrobial activity, specially antifungal activity, increased upon the phenyl substitution.

CONCLUSIONS

Acetylidine/benzylidene oxazolinones and their aminoethyl/phenyl imidazolin-5[4*H*]-ones were synthesized along with their Schiff's bases. Almost all the imidazolone derivatives had proven their antimicrobial activity, better than their precursors-oxazolinones. The antifungal activity of the synthesized imidazolone derivatives against *C. albicans* were significant and remarkable in some of the cases. Further pharmacological evaluation will be carried out, such as LD_{50}, CNS activity, *etc*., of a few potent compounds.

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S A Ž E T A K

Sinteza i antimikrobno djelovanje derivata oksazolinona i imidazolinona

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Sintetizirano je nekoliko novih derivata imidazolinona [1-aminoetil/fenil-2-metil/fenil-4-acetiliden/benziliden-imidazolin-5[4*H*]-ona] iz odgovarajućeg acetiliden/benziliden oksazolinona. Reakcijom imidazolinona i benzaldehida pripravljene su Schiffove baze. Skoro svi spojevi posjeduju umjereno antimikrobno djelovanje na *B. subtilis* ATCC 6633 i *K. pneumoniae* ATCC 25063. Spojevi **10** [1-aminofenil-2-fenil-4-acetiliden-imidazolin-5[4*H*]--on] i **12** [1-aminofenil-2-fenil-4-benziliden-imidazolin-5[4*H*]-on] su čak aktivniji od amfotericina B na gljivicu *C. albicans* ATCC 29738.

Keywords: imidazolin-5[4*H*]-oni, oksazolinoni, Schiffove baze, antimikrobno djelovanje

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