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Studies on Synthesis of Some Novel Heterocyclic Azlactone Derivatives and Imidazolinone Derivatives and their Antimicrobial Activity

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Abstract: *p* - Methyl benzoic acid on reaction with phosphorus pentachloride gives p - methyl benzoyl chloride derivative which on condensation with glycine gives p - methyl benzoyl glycine derivative. Now, this p - methyl benzoyl glycine derivative on condensation with various substituted aldehydes gives corresponding substituted 4 - [aryl methylidine] - 2 - [p - methyl phenyl] - oxazole - 5 - one derivatives **[1(a-j)]**. Further, these derivatives [1(a-j)] on condensation with 4 , 4' - diamino diphenyl sulphone gives corresponding substituted imidazolinone - dibenzsulphone derivatives **[2(a-j)]**, on condensation with 4 , 4' - diamino diphenyl methane gives corresponding substituted imidazolinone - dibenzmethane derivatives **[3(a-j)]**, on condensation with 4,4'- diamino benzanilide gives corresponding substituted imidazolinone - benzanilide derivatives **[4(a-j)]** and on condensation with 2 - amino pyridine gives corresponding substituted imidazolinone - pyridine derivatives **[5(a-j)]** respectively. Structure elucidation of synthesised compounds has been made on the basis of elemental analysis, I.R. spectral studies and ^{1}H N.M.R. spectral studies. The antimicrobial activity of the synthesised compounds has been studied against the cultures *"Staphylococcus aureus"*, *"Escherichia coli"* and *"Candela albicans"*.

Key words: Heterocyclic substituted oxazolone derivatives, imidazolinone - dibenzsulphone derivatives, imidazolinone - dibenzmethane derivatives, imidazolinone - benzanilide derivatives, imidazolinone - pyridine derivatives, antimicrobial activity.

Introduction

The study incorporates the topic "AZLACTONE" because it provides a basic skeleton structure and which is also a part of a great importance for its drug Characteristics. The basic nucleus imidazole emerges from the drug intermediate azlactone. The azlactones possess oxazolone moiety. The azlactones are known to exhibit antifungal[1], antibacterial[2] and anti-inflammatory activities. They are also of great importance to produce penicillin type of drug intermediates[3] and they are also useful to produce synthetic hormonal compounds[4 - 5].

Imidazole is a planer five-membered heterocyclic ring system with three carbon and two nitrogen atoms in 1 and 3 positions. Imidazolones are keto dihydro imidazoles. Imidazolone that is known as oxoimidazoline is a five- membered heterocyclic ring system having nitrogen atoms in 1 and 3 positions and carbonyl group in 5 position. Oxoimidazoline, which is also known as imidazolinone is reported to exhibit a wide variety of therapeutic activities such as sedative, hypnotic, CNS depressant[6] etc. Imidazolinone derivatives have also been reported to possess antihistaminic[7], antihypertensive[8] and antiparkinsonian[9] activities.

All these observations and the essential role of heterocyclic azlactone derivatives and imidazolinone derivatives, in certain biological reactions prompted us to synthesise all these heterocyclic derivatives **[1(a-j)** to **5(a-j)]**.

Experimental

Preparation of p - Methyl Benzoyl Chloride Derivative

A mixture of *p* - methyl benzoic acid (0.10 mol) and phosphorus pentachloride (0.12 mol) was placed in a round - bottomed flask and the reaction mixture was refluxed in an oil bath at 120-130^{o}c gently for about 2-3 hours. The reaction mixture was then allowed to cool and the phosphorus oxychloride was removed by distillation. The temperature of an oil bath was raised again up to 110^{o}c and the residual *p* - methyl benzoyl chloride was solidified on cooling, which was recrystallised from carbon tetrachloride. M. P. 108^{o} C., Yield 85%.

Preparation of p - Methyl Benzoyl Glycine Derivative-

A glycine (0.10 mol) was dissolved in a 100 ml of 10% sodium hydroxide solution and to it, p - methyl benzoyl chloride (0.12 mol) was added portion-wise and the reaction mixture was shaked vigorously after each addition until all the chloride has been reacted. The reaction mixture was then poured over crushed ice and acidified with concentrated HCl with constant stirring until the reaction mixture was acidic to Congo red paper. The resulting precipitate of p - methyl benzoyl glycine so obtained was filtered, washed several times with cold distilled water, dried and crystallised from carbon tetrachloride. M. P. 184^{o} C., Yield 76%.

Preparation of 4 - [Phenyl Methylidine] - 2 - [p - Methyl Phenyl] - Oxazole - 5 - One Derivative [1(a)]

A mixture of benzaldehyde (0.01 mol), p - methyl benzoyl glycine (0.01 mol), acetic anhydride (0.03 mol) and anhydrous sodium acetate (0.01 mol) was taken in a 500 ml. conical flask and the reaction mixture was heated on an electric hotplate with constant shaking. As soon as the reaction mixture has been liquefied completely, the conical flask was transfered to a water bath and heated for about 2-3 hours. Then ethanol (50 ml) was added slowly to the contents of the conical flask and the reaction mixture was allowed to stand overnight. The resulting precipitate so obtained was filtered, washed with ice-cold ethanol (25 ml) and then with boiling water, dried and crystallised from benzene. M. P. 166^{o} C., Yield 65%.

Similarly, the remaining substituted oxazolone derivatives **[1(b-j)]** were prepared by the same procedure as discussed above.

Physical and Analytical data of compounds [1(a-j)] are presented in **Table-1** and Antimicrobial data of compounds [1(a-j)] are presented in **Table-6**.

Preparation of 4 , 4' - Bis - [2" - (p - Methyl Phenyl) - 4" - (Phenyl Methylidine) - Imidazole - 5" - One] - 1 , 1' - Dibenzsulphone Derivative [2(a)]

A mixture of 4 - [phenyl methylidine] - 2 - [p - methyl phenyl] - oxazole - 5 - one **[1(a)]** (0.02 mol) and 4 , 4' - diamino diphenyl sulphone (0.01 mol) was dissolved in a dry pyridine (25 ml) and the reaction mixture was refluxed in an oil bath at 110-120^{o}c gently for about 5-6 hours. After the completion of reaction, the reaction mixture was poured over crushed ice and neutralised with dilute HCl. The resulting solid so obtained was filtered, washed several times with distilled water, dried and crystallised from DMSO. M. P. 187^{o} C., Yield 78%.

Similarly, the remaining substituted imidazolinone - dibenzsulphone derivatives **[2(b-j)]** were prepared by the same procedure as discussed above.

Physical and Analytical data of compounds [2(a-j)] are presented in **Table-2** and Antimicrobial data of compounds [2(a-j)] are presented in **Table -7**.

Preparation Of 4 , 4' - Bis - [2" - (p - Methyl Phenyl) - 4" - (Phenyl Methylidine) - Imidazole - 5" - One] - 1 , 1' - Dibenzmethane Derivative [3(a)]

A mixture of 4 - [phenyl methylidine] - 2 - [p - methyl phenyl] - oxazole - 5 - one **[1(a)]** (0.02 mol) and 4 , 4' - diamino diphenyl methane (0.01 mol) was dissolved in a dry pyridine (25 ml) and the reaction mixture was refluxed in an oil bath at 110-120^{o}c gently for about 5-6 hours. After the completion of reaction, the reaction mixture was poured over crushed ice and neutralised with dilute HCl. The resulting solid so obtained was filtered, washed several times with distilled water, dried and crystallised from DMSO. M. P. 182^{o} C., Yield 73%.

Similarly, the remaining substituted imidazolinone - dibenzmethane derivatives **[3(b-j)]** were prepared by the same procedure as discussed above.

Physical and Analytical data of compounds [3(a-j)] are presented in **Table -3** and Antimicrobial data of compounds [3(a-j)] are presented in **Table -8**.

Preparation of 4 , 4' - Bis - [2" - (p - Methyl Phenyl) - 4" - (Phenyl Methylidine) - Imidazole - 5" - One] - 1 , 1' - Benzanilide Derivative [4(a)]

A mixture of 4 - [phenyl methylidine] - 2 - [p - methyl phenyl] - oxazole - 5 - one **[1(a)]** (0.02 mol) and 4 , 4' - diamino benzanilide (0.01 mol) was dissolved in a dry pyridine (25 ml) and the reaction mixture was refluxed in an oil bath at 110-120^{o}c gently for about 5-6 hours. After the completion of reaction, the reaction mixture was poured over crushed ice and neutralised with dilute HCl. The resulting solid so obtained was filtered, washed several times with distilled water, dried and crystallised from DMSO. M. P. 173^{o} C., Yield 70%.

Similarly, the remaining substituted imidazolinone - benzanilide derivatives **[4(b-j)]** were prepared by the same procedure as discussed above.

Physical and Analytical data of compounds [4(a-j)] are presented in **Table -4** and Antimicrobial data of compounds [4(a-j)] are presented in **Table -9**.

Preparation of 1 - Pyridine - 2 - [p - Methyl Phenyl] - 4 - [Phenyl Methylidine] - Imidazole - 5 - One Derivative [5(a)]

A mixture of 4 - [phenyl methylidine] - 2 - [p - methyl phenyl] - oxazole - 5 - one **[1(a)]** (0.01 mol) and 2 - amino pyridine (0.01 mol) was dissolved in a dry pyridine (25 ml) and the reaction mixture was refluxed in an oil bath at 110- 120^{o}c gently for about 5-6 hours. After the completion of reaction, the reaction mixture was poured over crushed ice and neutralised with dilute HCl. The resulting solid so obtained was filtered, washed several times with distilled water, dried and crystallised from DMSO. M. P. 192^{o} C., Yield 77%.

Similarly, the remaining substituted imidazolinone - pyridine derivatives **[5(b-j)]** were prepared by the same procedure as discussed above.

Physical and Analytical data of compounds [5(a-j)] are presented in **Table -5** and Antimicrobial data of compounds [5(a-j)] are presented in **Table -10**.

Table -1. PHYSICAL AND ANALYTICAL DATA OF COMPOUNDS [1(a-j)]

Compd. No.	R	M. F. [M. W. (g/m)]	M. P. (°C)	Yield (%)	% Analysis Found (Calcd.)		
					C %	**H %**	**N %**
1 a.	-H	$C_{17}H_{13}NO_2$ (263.0)	166	65	77.54 (77.57)	4.92 (4.94)	5.30 (5.32)
1 b.	2-0H	$C_{17}H_{13}NO_3$ (279.0)	174	68	73.10 (73.12)	4.63 (4.66)	5.00 (5.02)
1 c.	2-NO_2	$C_{17}H_{12}N_2O_4$ (308.0)	168	64	66.20 (66.23)	3.86 (3.90)	9.06 (9.09)
1 d.	2-CI	$C_{17}H_{12}NO_2$ CI (297.5)	155	70	68. 55 (68.57)	4.00 (4.03)	4.67 (4.70)
1 e.	3-Br	$C_{17}H_{12}NO_2$ Br (342.0)	158	66	59.64 (59.65)	3.49 (3.51)	4.08 (4.09)
1 f.	4-CI	$C_{17}H_{12}NO_2$ CI (297.5)	150	72	68.53 (68.57)	4.00 (4.03)	4.67 (4.70)
1 g.	2-OCH_3	$C_{18}H_{15}NO_3$ (293.0)	170	75	73.70 (73.72)	5.09 (5.12)	4.76 (4.78)
1 h.	3, 4 - $(OCH_3)_2$	$C_{19}H_{17}NO_4$ (323.0)	152	68	70.55 (70.59)	5.25 (5.26)	4.29 (4.33)
1 i.	4-OCH_3	$C_{18}H_{15}NO_3$ (293.0)	167	70	73.69 (73.72)	5.10 (5.12)	4.75 (4.78)
1 j.	3, 4, 5 - $(OCH_3)_3$	$C_{20}H_{19}NO_5$ (353.0)	173	66	67.97 (67.99)	5.35 (5.38)	3.95 (3.97)

Table – 2 PHYSICAL AND ANALYTICAL DATA OF COMPOUNDS [2(a-j)]

Compd. No.	R	M. F. [M. W. (g/m)]	M. P. (°C)	Yield (%)	% Analysis Found (Calcd.)		
					C %	**H %**	**N %**
2 a.	-H	$C_{46}H_{34}N_4O_4S$ (738.0)	188	74	74.77 (74.80)	4.59 (4.61)	7.56 (7.59)
2 b.	2-0H	$C_{46}H_{34}N_4O_6S$ (770.0)	183	68	71.67 (71.69)	4.37 (4.41)	7.25 (7.27)
2 c.	2-NO_2	$C_{46}H_{32}N_6O_8S$ (828.0)	170	70	66.64 (66.67)	3.85 (3.86)	10.11 (10.14)
2 d.	2-CI	$C_{46}H_{32}N_4O_4SCI_2$ (807.0)	198	75	68.36 (68.40)	3.93 (3.96)	6.91 (6.94)
2 e.	3-Br	$C_{46}H_{32}N_4O_4SBr_2$ (896.0)	193	68	61.60 (61.61)	3.55 (3.57)	6.21 (6.25)
2 f.	4-CI	$C_{46}H_{32}N_4O_4SCI_2$ (807.0)	203	73	68.37 (68.40)	3.93 (3.96)	6.91 (6.94)
2 g.	2-OCH_3	$C_{48}H_{38}N_4O_6S$ (798.0)	168	72	72.16 (72.18)	4.74 (4.76)	7.00 (7.02)
2 h.	3, 4 - $(OCH_3)_2$	$C_{50}H_{42}N_4O_8S$ (858.0)	185	66	69.90 (69.93)	4.85 (4.89)	6.52 (6.53)
2 i.	4-OCH_3	$C_{48}H_{38}N_4O_6S$ (798.0)	176	76	72.15 (72.18)	4.74 (4.76)	6.99 (7.02)
2 j.	3, 4, 5 - $(OCH_3)_3$	$C_{52}H_{46}N_4O_{10}S$ (918.0)	208	70	67.94 (67.97)	4.98 (5.01)	6.08 (6.10)

Table – 3 PHYSICAL AND ANALYTICAL DATA OF COMPOUNDS [3(a-j)]

Compd. No.	R	M. F. [M. W. (g/m)]	M. P. (°C)	Yield (%)	% Analysis Found (Calcd.)		
					C %	H %	N %
3 a.	-H	$C_{47}H_{36}N_4O_2$ (688.0)	180	76	81.96 (81.98)	5.20 (5.23)	8.11 (8.14)
3 b.	2-0H	$C_{47}H_{36}N_4O_4$ (720.0)	187	67	78.30 (78.33)	4.99 (5.00)	7.76 (7.78)
3 c.	2-NO_2	$C_{47}H_{34}N_6O_6$ (778.0)	166	72	72.45 (72.49)	4.35 (4.37)	10.77 (10.80)
3 d.	2-CI	$C_{47}H_{34}N_4O_2CI_2$ (757.0)	195	70	74.47 (74.50)	4.45 (4.49)	7.38 (7.40)
3 e.	3-Br	$C_{47}H_{34}N_4O_2Br_2$ (846.0)	190	68	66.66 (66.67)	4.00 (4.02)	6.58 (6.62)
3 f.	4-CI	$C_{47}H_{34}N_4O_2CI_2$ (757.0)	205	74	74.47 (74.50)	4.46 (4.49)	7.38 (7.40)
3 g.	2-OCH_3	$C_{49}H_{40}N_4O_4$ (748.0)	176	66	78.59 (78.61)	5.32 (5.35)	7.48 (7.49)
3 h.	3, 4 - $(OCH_3)_2$	$C_{51}H_{44}N_4O_6$ (808.0)	187	76	75.73 (75.74)	5.43 (5.44)	6.90 (6.93)
3 i.	4-OCH_3	$C_{49}H_{40}N_4O_4$ (748.0)	174	65	78.58 (78.61)	5.32 (5.35)	7.47 (7.49)
3 j.	3, 4, 5 - $(OCH_3)_3$	$C_{53}H_{48}N_4O_8$ (868.0)	214	68	73.24 (73.27)	5.50 (5.53)	6.43 (6.45)

Table – 4 PHYSICAL AND ANALYTICAL DATA OF COMPOUNDS [4(a-j)]

Compd. No.	R	M. F. [M. W. (g/m)]	M. P. (°C)	Yield (%)	% Analysis Found (Calcd.)		
					C %	H %	N %
4 a.	-H	$C_{47}H_{35}N_5O_3$ (717.0)	178	75	78.63 (78.66)	4.86 (4.88)	9.73 (9.76)
4 b.	2-0H	$C_{47}H_{35}N_5O_5$ (749.0)	184	68	75.28 (75.30)	4.64 (4.67)	9.30 (9.34)
4 c.	2-NO_2	$C_{47}H_{33}N_7O_7$ (807.0)	172	64	69.85 (69.89)	4.07 (4.09)	12.13 (12.14)
4 d.	2-CI	$C_{47}H_{33}N_5O_3CI_2$ (786.0)	198	72	71.72 (71.75)	4.17 (4.20)	8.87 (8.90)
4 e.	3-Br	$C_{47}H_{33}N_5O_3Br_2$ (875.0)	186	70	64.42 (64.46)	3.74 (3.77)	7.97 (8.00)
4 f.	4-CI	$C_{47}H_{33}N_5O_3CI_2$ (786.0)	207	75	71.73 (71.75)	4.17 (4.20)	8.88 (8.90)
4 g.	2-OCH_3	$C_{49}H_{39}N_5O_5$ (777.0)	180	72	75.65 (75.67)	5.00 (5.02)	8.97 (9.00)
4 h.	3, 4 - $(OCH_3)_2$	$C_{51}H_{43}N_5O_7$ (837.0)	188	64	73.11 (73.12)	5.13 (5.14)	8.34 (8.36)
4 i.	4-OCH_3	$C_{49}H_{39}N_5O_5$ (777.0)	173	67	75.65 (75.67)	5.00 (5.02)	8.97 (9.00)
4 j.	3, 4, 5 - $(OCH_3)_3$	$C_{53}H_{47}N_5O_9$ (897.0)	210	65	70.87 (70.90)	5.22 (5.24)	7.78 (7.80)

Table - 5 PHYSICAL AND ANALYTICAL DATA OF COMPOUNDS [5(a-j)]

Compd. No.	R	M. F. [M. W. (g/m)]	M. P. (°C)	Yield (%)	% Analysis Found (Calcd.)		
					C %	H %	N %
5 a.	-H	$C_{22}H_{17}N_3O$ (339.0)	192	77	77.86 (77.88)	5.00 (5.01)	12.36 (12.39)
5 b.	2-0H	$C_{22}H_{17}N_3O_2$ (355.0)	174	72	74.34 (74.37)	4.76 (4.79)	11.80 (11.83)
5 c.	2-NO_2	$C_{22}H_{16}N_4O_3$ (384.0)	167	74	68.71 (68.75)	4.16 (4.17)	14.56 (14.58)
5 d.	2-CI	$C_{22}H_{16}N_3OCI$ (373.5)	162	68	70.65 (70.68)	4.25 (4.28)	11.20 (11.24)
5 e.	3-Br	$C_{22}H_{16}N_3OBr$ (418.0)	195	70	63.15 (63.16)	3.79 (3.83)	10.02 (10.05)
5 f.	4-CI	$C_{22}H_{16}N_3OCl$ (373.5)	166	66	70.65 (70.68)	4.26 (4.28)	11.21 (11.24)
5 g.	2-OCH_3	$C_{23}H_{19}N_3O_2$ (369.0)	170	75	74.77 (74.80)	5.12 (5.15)	11.37 (11.38)
5 h.	3, 4 - $(OCH_3)_2$	$C_{24}H_{21}N_3O_3$ (399.0)	187	66	72.16 (72.18)	5.22 (5.26)	10.51 (10.53)
5 i.	4-OCH_3	$C_{23}H_{19}N_3O_2$ (369.0)	176	73	74.78 (74.80)	5.12 (5.15)	11.36 (11.38)
5 j.	3, 4, 5 - $(OCH_3)_3$	$C_{25}H_{23}N_3O_4$ (429.0)	198	70	69.90 (69.93)	5.33 (5.36)	9.77 (9.79)

Table – 6 ANTIMICROBIAL DATA OF COMPOUNDS [1(a-j)]

Compd. No.	R	Zone of Inhibition (m.m.)		
		Staphylococcus aureus (Antibacterial)	Escherichia coli (Antibacterial)	Candela albicans (Antifungal)
1 a.	-H	12.0	10.0	8.0
1 b.	2-0H	11.0	10.0	7.0
1 c.	2-NO_2	9.0	9.0	5.0
1 d.	2-CI	8.0	11.0	6.0
1 e.	3-Br	7.0	8.0	4.0
1 f.	4-CI	11.0	12.0	8.0
1 g.	2-OCH_3	11.0	9.0	6.0
1 h.	3, 4 - $(OCH_3)_2$	9.0	10.0	7.0
1 i.	4-OCH_3	10.0	10.0	6.0
1 j.	3, 4, 5 - $(OCH_3)_3$	7.0	9.0	4.0

Table – 7 ANTIMICROBIAL DATA OF COMPOUNDS [2(a-j)]

Compd. No.	R	Zone of Inhibition (m.m.)		
		Staphylococcus aureus (Antibacterial)	Escherichia coli (Antibacterial)	Candela albicans (Antifungal)
2 a.	-H	11.0	12.0	7.0
2 b.	2-0H	9.0	8.0	5.0
2 c.	2-NO_2	11.0	11.0	8.0
2 d.	2-CI	7.0	11.0	5.0
2 e.	3-Br	10.0	8.0	6.0
2 f.	4-CI	12.0	10.0	8.0
2 g.	2-OCH_3	8.0	7.0	4.0
2 h.	3, 4 - $(OCH_3)_2$	11.0	10.0	7.0
2 i.	4-OCH_3	9.0	8.0	5.0
2 j.	3, 4, 5 - $(OCH_3)_3$	12.0	9.0	8.0

Table - 8 ANTIMICROBIAL DATA OF COMPOUNDS [3(a-j)]

Compd. No.	R	Zone of Inhibition (m.m.)		
		Staphylococcus aureus (Antibacterial)	Escherichia coli (Antibacterial)	Candela albicans (Antifungal)
3 a.	-H	7.0	8.0	5.0
3 b.	2-0H	8.0	11.0	7.0
3 c.	2-NO_2	10.0	12.0	8.0
3 d.	2-CI	10.0	10.0	6.0
3 e.	3-Br	11.0	8.0	7.0
3 f.	4-CI	12.0	11.0	8.0
3 g.	2-OCH_3	9.0	7.0	5.0
3 h.	3, 4 - $(OCH_3)_2$	9.0	9.0	6.0
3 i.	4-OCH_3	10.0	12.0	8.0
3 j.	3, 4, 5 - $(OCH_3)_3$	7.0	7.0	4.0

Table – 9 ANTIMICROBIAL DATA OF COMPOUNDS [4(a-j)]

Compd. No.	R	Zone of Inhibition (m.m.)		
		Staphylococcus aureus (Antibacterial)	Escherichia coli (Antibacterial)	Candela albicans (Antifungal)
4 a.	-H	9.0	8.0	5.0
4 b.	2-0H	10.0	8.0	7.0
4 c.	2-NO_2	12.0	11.0	8.0
4 d.	2-CI	8.0	7.0	4.0
4 e.	3-Br	10.0	12.0	7.0
4 f.	4-CI	7.0	8.0	4.0
4 g.	2-OCH_3	11.0	11.0	8.0
4 h.	3, 4 - $(OCH_3)_2$	9.0	10.0	6.0
4 i.	4-OCH_3	8.0	8.0	5.0
4 j.	3, 4, 5 - $(OCH_3)_3$	12.0	10.0	8.0

Table – 10 ANTIMICROBIAL DATA OF COMPOUNDS [5(a-j)]

Compd. No.	R	Zone of Inhibition (m.m.)		
		Staphylococcus aureus (Antibacterial)	Escherichia coli (Antibacterial)	Candela albicans (Antifungal)
5 a.	-H	12.0	12.0	8.0
5 b.	2-0H	8.0	7.0	4.0
5 c.	2-NO_2	11.0	10.0	7.0
5 d.	2-CI	9.0	8.0	5.0
5 e.	3-Br	10.0	10.0	6.0
5 f.	4-CI	8.0	11.0	5.0
5 g.	2-OCH_3	10.0	12.0	8.0
5 h.	3, 4 - $(OCH_3)_2$	7.0	7.0	4.0
5 i.	4-OCH_3	12.0	9.0	8.0
5 j.	3, 4, 5 - $(OCH_3)_3$	9.0	9.0	7.0

Materials and Methods

All melting points were determined in open capillaries in a liquid paraffin bath and are uncorrected. The I.R. spectra were recorded with KBr pellets on Shimadzu FT-IR 8300 spectrophotometer and ^{1}H N.M.R. spectra were recorded on a Varian Geminy 200 MHz spectrophotometer with $CDCl_3$ / DMSO-d_6 as a solvent using tetramethylsilane (T.M.S.) as an internal standard; the chemical shift values are in δ ppm. The purity of the compounds was checked by thin layer chromatography (T.L.C.) on silica gel coated glass plates. The elemental analysis (i.e. C, H and N analysis) has been done on Perkin - Elmer model 240 B CHN analyzer and the values are within the permissible limits (i.e. ± 0.5) of their calculated values.

Antimicrobial Activity

Antimicrobial activity of newly synthesised compounds was studied against gram-positive bacteria *"Staphylococcus aureus"* and gram-negative bacteria *"Escherichia coli"* (for antibacterial activity) and against the culture *"Candela albicans"* (for antifungal activity). The antimicrobial screening was carried out by cup - plate method[10] at a concentration of 50 µg/mL in solvent D.M.F. The zone of inhibition was measured in mm. The antimicrobial activity of the synthesised compounds was compared with standard drugs Ampicillin, Penicillin and Tetracycline at the same concentration.

Results and Discussion

The antimicrobial activities of newly synthesised compounds were compared with known antibiotics like Ampicillin, Penicillin and Tetracycline and all the compounds show moderate to good activity. Structure elucidation of synthesised compounds has been made on the basis of elemental analysis, I.R. spectral studies and ^{1}H N.M.R. spectral studies and all the compounds gave satisfactory elemental analysis, I.R. and ^{1}H N.M.R. spectral measurements.

I.R. Spectral Studies

- **I.R. (cm^{-1}) (KBr) spectral data of compound [1(j)]**

2834 ν (C-H stretching, Ar-OCH_3 at aryl methylidine ring); 1720 ν (C=O stretching at oxazolone ring); 1598 ν (C=N stretching, oxazolone ring); 1555 ν (C=C stretching at oxazolone ring); 1333 ν (C-H bending, Ar-CH_3 at phenyl ring).

- **I.R. (cm^{-1}) (KBr) spectral data of compound [2(e)]**

1718 ν (C=O stretching at imidazolinone ring); 1592 ν (C=N stretching, imidazolinone ring); 1565 ν (C=C stretching at imidazolinone ring); 1375 ν ($S(=O)_2$ stretching, Ar-SO_2-Ar); 1326 ν (C-H bending, Ar-CH_3 at phenyl ring); 556 ν (C-Br stretching, Ar-Br at aryl methylidine ring).

- **I.R. (cm^{-1}) (KBr) spectral data of compound [3(d)]**-

1718 ν (C=O stretching at imidazolinone ring); 1601 ν (C=N stretching, imidazolinone ring); 1565 ν (C=C stretching at imidazolinone ring); 1466 ν (C-H bending, Ar-CH_2-Ar); 1314 ν (C-H bending, Ar-CH_3 at phenyl ring); 739 ν (C-Cl stretching, Ar-Cl at aryl methylidine ring).

- **I.R. (cm^{-1}) (KBr) spectral data of compound [4(a)]**

1708 ν (C=O stretching at imidazolinone ring); 1604 ν (C=N stretching, imidazolinone ring); 1560 ν (C=O stretching, Ar-CONH-Ar); 1554 ν (C=C stretching at imidazolinone ring); 1326 ν (C-H bending, Ar-CH_3 at phenyl ring).

- **I.R. (cm^{-1}) (KBr) spectral data of compound [5(c)] :**-

1718 ν (C=O stretching at imidazolinone ring); 1602 ν (C=N stretching, imidazolinone ring); 1571 ν (C=C stretching at imidazolinone ring); 1518 ν (N=O stretching, Ar-NO_2 at aryl methylidine ring); 1357 ν (C-N stretching); 1321 ν (C-H bending, Ar-CH_3 at phenyl ring).

^{1}H N.M.R. Spectral Studies

- **^{1}H N.M.R. ($CDCl_3$) spectral data of compound [1(c)]**

2.47 δ ppm (s, 3H, Ar-CH_3 at phenyl ring); 5.64 δ ppm (s, 1H, Ar=CH- at oxazolone ring); 7.13 to 8.22 δ ppm (m, 8H, Ar-H).

- **^{1}H N.M.R. ($CDCl_3$ + DMSO-d_6) spectral data of compound [2(d)]**

2.44 δ ppm (s, 6H, 2×Ar-CH_3 at phenyl ring); 5.62 δ ppm (s, 2H, 2×Ar=CH- at imidazolinone ring); 7.15 to 8.21 δ ppm (m, 24H, Ar-H).

- **^{1}H N.M.R. ($CDCl_3$ + DMSO-d_6) spectral data of compound [3(e)]**

2.49 δ ppm (s, 6H, 2×Ar-CH_3 at phenyl ring); 2.92 δ ppm (s, 2H, Ar-CH_2-Ar); 5.48 δ ppm (s, 2H, 2×Ar=CH- at imidazolinone ring); 7.17 to 8.25 δ ppm (m, 24H, Ar-H).

- **^{1}H N.M.R. ($CDCl_3$) spectral data of compound [4(a)]**

2.51 δ ppm (s, 6H, 2×Ar-CH_3 at phenyl ring); 5.65 δ ppm (s, 2H, 2×Ar=CH- at imidazolinone ring); 7.12 to 8.13 δ ppm (m, 24H, Ar-H); 8.76 δ ppm (s, 1H, Ar-CONH-Ar).

- **^{1}H N.M.R. ($CDCl_3$) spectral data of compound [5(f)]**

2.52 δ ppm (s, 3H, Ar-CH_3 at phenyl ring); 5.63 δ ppm (s, 1H, Ar=CH- at imidazolinone ring); 7.10 to 8.14 δ ppm (m, 12H, Ar-H).

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