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Synthesis, Characterization and Biological Activity of 5-Arylidene-3-(6,7-dicloro-1,3-benzothiazol-2-yl)-phenyl-3,5-dihydro-4*H*-imidazol-4-ones

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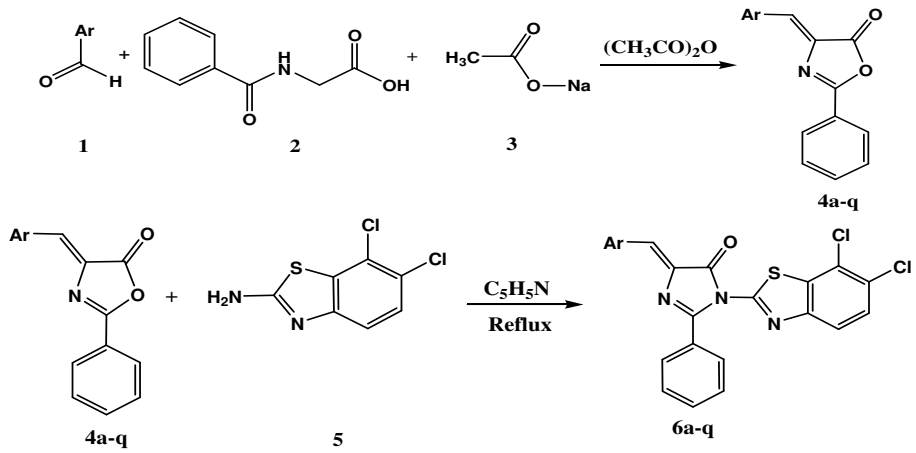
Abstract: Some novel 5-arylidene-3-(6,7-dichloro-1,3-benzothiazol-2-yl)-2-phenyl-3,5-dihydro-4*H*-imidazol-4-ones (**6a-q)** have been synthesized and characterized by elemental analyses, IR, NMR, and mass spectra. The products have been evaluated for antibacterial and antifungal activities against different strains of bacteria and fungi.

Keywords: Imidazole-4-one, Antibacterial activity, Antifungal activity.

Introduction

The imidazolinones[1-6] have been associated with a wide range of therapeutic activities[7-8] such as anticonvulsant, sedative and hypnotic, potent CNS depressant, antihistamine, anti filarial, bactericidal, fungicidal, anti-inflammatory, antiparkinsonian, antihypertensive and anthelmintic. Recently new imidazoline derivatives were reported for their anti-inflammatory, herbicidal and hypertensive activities[9-10]. The medicinal utilities of compounds described in this section inspired us to synthesize some new imidazolones. It is our ongoing project to synthesize new bioactive molecules[11-12], we have modified the 3[rd] position of imidazoline derivatives for potential and bioactive heterocyclic compounds.

Erlenmeyer *et al*[13] believed this synthesis to be a special type of Perkin condensation in which reaction between aldehydes and acyl glycine proceeds first followed by ring closer. In view of these observations we have synthesized imidazol-4-ones, **6a-q** (Scheme 1, Table 1) by the condensation of 6,7-dichloro-1,3-benzothiazol-2-amine **(5)** afforded the title compounds with various oxazolinones **4a-q** respectively (Scheme 1), the series of compounds were characterization by IR, NMR, MS and elemental analysis.



Scheme 1

Table 1. Physical constant of the compounds **(6a-q).**

Compd.	-Ar	Mol. Formula	m.p. ºC	Yield, %	C %		N %	
					Calc.	Found	Calc.	Found
6a	$-C_6H_5$	$C_{23}H_{13}Cl_2N_3OS$	215	80	61.31	61.35	9.33	9.20
6b	$-2-OH-C_6H_4$	$C_{23}H_{13}Cl_2N_3O_2S$	175	72	59.24	59.20	9.01	9.00
6c	$-3-OH-C_6H_5$	$C_{23}H_{13}Cl_2N_3O_2S$	235	75	59.24	59.20	9.01	9.00
6d	$-4-OH-C_6H_2$	$C_{24}H_{14}BrCl_2N_3OS$	240	70	59.24	59.20	9.01	9.00
6e	$-3-OCH_3-C_6H_4$	$C_{24}H_{15}Cl_2N_3O_2S$	260	75	60.01	60.00	8.75	8.60
6f	$-4-OCH_3-C_6H_4$	$C_{24}H_{15}Cl_2N_3O_2S$	245	76	60.01	60.00	8.75	8.60
6g	$-3-OC_6H_5-C_6H_4$	$C_{29}H_{17}Cl_2N_3O_2S$	240	78	64.21	64.20	7.78	7.70
6h	$-2-Cl-C_6H_4$	$C_{23}H_{12}Cl_3N_3OS$	245	78	56.98	56.90	8.67	8.60
6i	$-3-Cl-C_6H_4$	$C_{23}H_{12}Cl_3N_3OS$	210	78	56.98	56.90	8.67	8.60
6j	$-4-Cl-C_6H_4$	$C_{23}H_{12}Cl_3N_3OS$	220	70	56.98	56.90	8.67	8.60
6k	$-2-NO_2-C_6H_4$	$C_{23}H_{12}Cl_2N_4O_3S$	215	78	55.77	55.70	11.31	11.20
6l	$-3-NO_2-C_6H_4$	$C_{23}H_{12}Cl_2N_4O_3S$	210	75	55.77	55.70	11.31	11.20
6m	$-3-OCH_3-4-OH-C_6H_3$	$C_{24}H_{15}Cl_2N_3O_3S$	235	65	58.07	58.00	8.47	8.40
6n	$-2,4,5-(OCH_3)_3-C_6H_2$	$C_{26}H_{19}Cl_2N_3O_4S$	195	68	57.78	57.70	7.78	7.71
6o	$-3,4,5-(OCH_3)_3-C_6H_2$	$C_{26}H_{19}Cl_2N_3O_4S$	180	66	57.78	57.70	7.78	7.71
6p	$-5-Br-3-OCH_3-4-OH-C_6H_4$	$C_{23}H_{13}Cl_2N_3OS$	250	65	50.11	50.00	7.30	7.20
6q	$-2-OH-4-N(C_2H_5)_2-C_6H_3$	$C_{27}H_{22}Cl_2N_4O_2S$	230	70	60.34	60.30	10.42	10.40

Experimental

Melting points were taken in open capillaries using paraffin bath and are uncorrected. IR spectra were recorded on FTIR-Perkin-Elmer spectrometer (υ_{max} in cm^{-1}); ^1H NMR spectra were recorded on BRUKER AVANCE 300 FT-NMR spectrometer using $CDCl_3$ as a solvent and Mass spectra carried out on JEOL SX 102/DA-600 mass spectrometer respectively. All the compounds were analyzed for carbon, hydrogen and nitrogen and the result were within±0.4% of theoretical values.

*5-Benzylidene-3-(6,7-dichloro-1,3-benzothiazol-2-yl)-2-phenyl-3,5-dihydro-4H-imidazol-4-one (**6a**)*

A mixture of 6, 7-dichloro-1, 3-benzothiazol-2-amine[15] **(5)** (0.01 mole) and 4-benzylidene-2-phenyl-1, 3-oxazol-5(4*H*)-one **(4a)** (0.01 mole) was placed in a round bottom flask, 10 mL of pyridine was added and mixture was refluxed on a sand bath for 5-6 h. The mixture was poured onto ice-cold water and then required amount of con. HCl was added to neutralize it. The solid obtained was left overnight, filtered, dried and than recrystallised from ethanol (99%). m.p.215 ^{0}C, Yield 80%, anal. found: C, 61.35; N, 9.20; calc for $C_{23}H_{13}Cl_2N_3OS$**:** C, 61.31; N, 9.33%. IR (KBr): 3001 cm^{-1} (-C-H str., aromatic), 1652 cm^{-1} (>C=O str., cyclic ring),1335 cm^{-1} (>C=N str., imidazol ring), 1309 cm^{-1} (-C-S-C, str., thiazol ring), 1278 cm^{-1} (-C-N, tertiary amine), 963 cm^{-1} (-C-Cl str., aromatic),746 cm^{-1} (>C=CH, medium), 688,613 cm^{-1} (disubstituted aromatic). ^{1}H NMR($CDCl_3$): δ ppm 7.2 δ (s, 1H , -CH), 7.26-8.54 δ (m, 11H, Ar-H,-C=CHAr). MS: *m/z* 451.01 with 69% relative intensity [M^+]. The compounds (**6b-q**) similarly prepared, their m.p.s and yields are given in Table 1.

Biological activity

Antibacterial activity

Antibacterial activity was carried out by broth dilution method[14] (Table 2). The strains used for the activity were procured from Institute of Microbial Technology, Chandigarh.

Table 2. Antibacterial activity of synthesized compounds.

	Antimicrobial activity is expressed in the form of Minimum Bactericidal Concentration (MBC)				Antifungal activity is expressed in the form of Minimal Fungicidal Concentration		
Compd	*E. coli* MTCC 443	*P. aeruginosa* MTCC 1688	*S. aureus* MTCC 96	*S. pyogenus* MTCC 442	*C. albicans* MTCC 227	*A. niger* MTCC 282	*A. clavatus* MTCC 1323
6a	200	250	1000	1000	1000	1000	1000
6b	100	500	500	1000	500	500	500
6c	250	250	100	200	200	200	200
6d	50	100	500	500	200	200	200
6e	500	500	500	1000	1000	1000	1000
6f	200	250	500	500	500	500	500
6g	200	250	500	500	500	500	500
6h	100	250	50	100	1000	1000	1000
6i	100	200	200	200	500	500	500
6j	50	100	100	250	500	500	500
6k	100	200	50	250	500	500	500
6l	200	250	50	250	500	500	500
6m	200	250	500	500	500	500	500
6n	500	500	50	500	500	500	500
6o	500	500	500	500	500	500	500
6p	200	200	500	500	500	500	500
6q	100	250	500	500	500	500	500

For antibacterial activity, in present protocol 100 µg/mL is considered as moderately active, 50 µg/mL is considered as good activity and 25 µg/mL is considered as active as compared to the standard drug gentamycin. For antifungal activity, 200 µg/mL is considered as moderately active, 100 µg/mL is considered as active as compared to standard drug Nystatin

Antifungal activity

Same compounds were tested for antifungal activity against *C. albicans A. niger and A. clavatus at a* concentrations of 1000, 500, 200, and 100 µg/mL respectively (Table 2).

The standard drug used in the present study was **"**Gentamycin**"** for evaluating antibacterial activity which showed (0.25, 0.05, 0.5 & 1 µg/mL MBC against *S. aureus*, *E. pyogenes* and *P. aeruginosa* respectively. **"**K. Nystatin**"** was used as the standard drug for antifungal activity which showed 100 µg/mL MFC against fungi, used for the antifungal activity.

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References

1 Upadhyay P S, Joshi H D, Baxi A J and Prikh A R, *Indian J Heterocycl Chem.*, 1991, **1**, 71.
2 Harfenist M, Soroko E F and Mekenzie G M, *J Med Chem.*, 1978, **21**, 405.
3 Meenaxi Shrimali, Reena Kalsi, Renu Sah , Dixit K S, Nath C and Barthwal J P, *Indian J Chem.*, 1990, **29B**, 85.
4 Rama Sarma G V S and Reddy V M, *Indian J Heterocycl Chem.*, 1993, **3**, 111.
5 Tripathi and Pradip K, Indian *J Heterocycl Chem.*, 2004, **14(1)**, 77-78.
6 Hirpara K V, Patel S P, Parikh K A, Bhimani A S and Parikh H H, *J Science,* Islamic Republic of Iran., 2004, **15(2)**, 135.
7 Mukherji D, Nautiyi S R and Prasad C R, *Indian Drugs*, 1981, **18**, 125.
8 Upadhyay P S, Joshi S N, Baxi A J and Parikh A R, *J Indian Chem Soc.*, 1991, **68**, 364.
9 Saxena S, Verma M, Saxena A K and Shanker K, *Indian J Heterocycl Chem.*, 1991, **1**, 34.
10 Misra Upma, Pathak A K and Tiwari D C, *Indian Drugs*, 1990, **27**, 697.
11 Desai N C, Shah M D, Keshav K A and Saxena A K, *Indian J Chem.*, 2001, **40B**, 201.
12 Desai N C, Bhatt J J, Patel H H, Undavia N K and Trivedi P B, *Indian J Chem.*, 1995, **34B**, 201.
13 Erlenmeyer E and Stadlin W, *Liebigs Ann.*, 1904, 337, 283.
14 National Committee for Clinical Laboratory Standard. Reference method for broth dilution antifungal susceptibility testing of yeasts Approved standard M27A. 1997, NCCLS, Wayne, PA.
15 Organic synthesis; Collective vol.3; Noland, Wayland E., Editor in-Chief;John Wiley & Sons Inc. New York, 1962, 76.

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