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Synthesis, characterization and antimicrobial activities of 4-Benzylidene-1-{4-[3-(substituted phenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one

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Abstract

Some 4-benzylidene-1-{4-[3-(substituted phenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one were synthesized by the condensation of 1-(4-acetylphenyl)-4-benzylidene-2- phenyl- imidazol-5-one with various aldehydes. The intermediate 1-(4-acetylphenyl)-4-benzylidene-2- phenyl- imidazol-5-one was synthesized by the condensation of 4-benzylidene-2- phenyl -1,3-oxazol-5-one with 1-(4-aminophenyl) ethanone in presence of pyridine. The products were characterized by spectral and analytical data. Most of the tested compounds showed promising antibacterial and antifungal activity.

Key word : Synthesis, substitutedChalcones, substitutedphenyl, Oxoimidazoline

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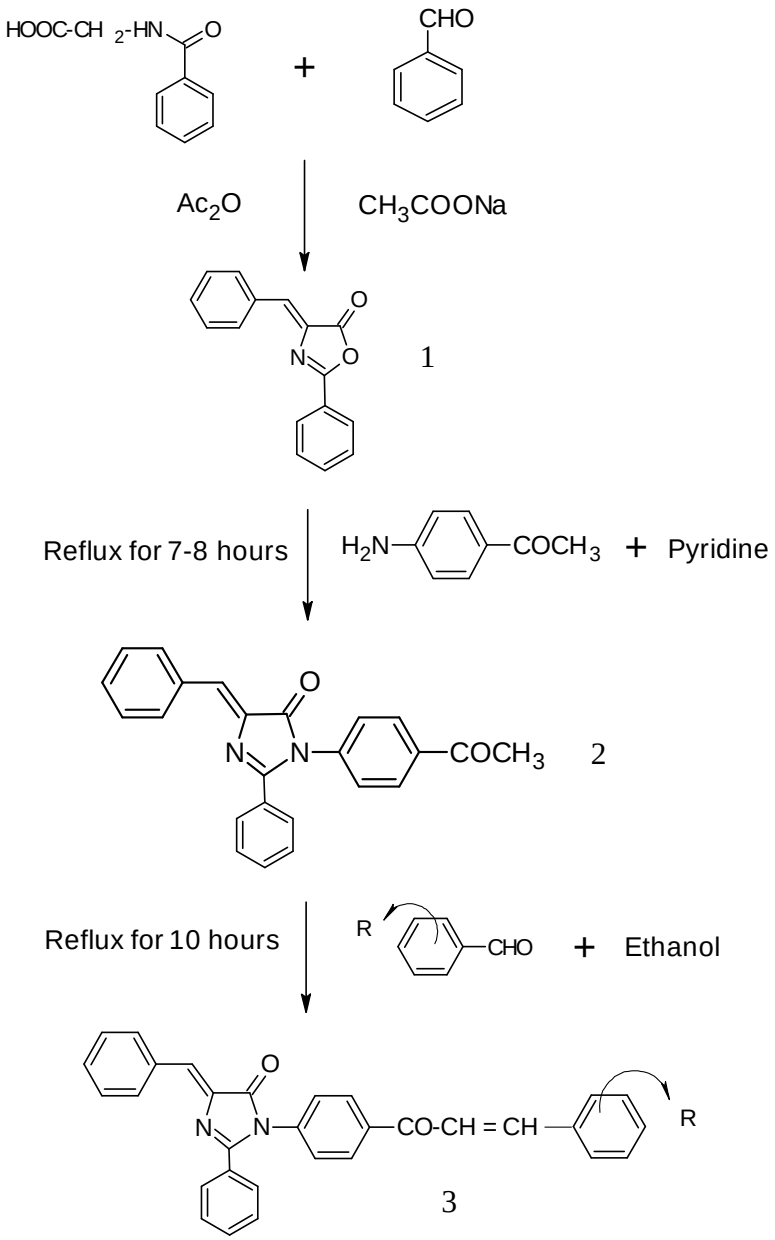
Introduction

The chemistry of heterocycles lies at the heart of drug discovery[1]. Oxoimidazoline also known as imidazolines. Imidazolines have been found to be associated with several pharmacological activities[2-7]. During the past decade a large number of imidazoline containing compounds have been in the market with diverse pharmacological properties e.g. clonidine, phentolamine for the treatment of hypertension, cimentidine as antiulcer, dacardazine as anticancer ketoconazole, econazole as antifungal agents. Encouraged by these observations, we have synthesized various new imidazol-5-one moiety and tested them for antimicrobial activity. The condensation of substituted aromatic aldehydes with acetyl glycine in presence of sodium acetate and acetic anhydride as reported in literature[8].

Experimental

Melting points were taken in open capillary tube and were uncorrected. IR spectra (KBr) were recorded on I.R. Spectrophotometer of Buck scientific Model No. 500 and instrument used for NMR Spectroscopy was DUL 13C-1, 300 MHz and Tetramethyl silane used as internal standard. Solvent used were $CDCl_3$ and DMSO. Purity of the compounds were checked by TLC on silica- G plates. Anti microbial activities were tested by Cup-Borer method.

Reaction Scheme



Preparation of 4-benzylidene-2-phenyl-1,3-oxazol-5-one (1).

In a 500 ml conical flask equipped with a reflux condenser a mixture of benzaldehyde (27g, 0.25M), hippuricacid (45g, 0.25 M), acetic anhydride (77g, 0.75M) and anhydrous sodium acetate (20.5g, 0.25 M) was placed and heated on an electric hot plate with constant shaking. As soon as the mixture has liquefied completely, transfer the flask to a water bath and heat for 2 hours. Then add 100 ml of ethanol slowly to the contents of the flask, allow the mixture to stand overnight, filter the crystalline product with solution, wash with 25 ml of ice-cold alcohol and then finally wash with 25 ml of boiling water, dry at 100 ^{0}C. The yield of almost pure oxazolone was 64 % , m.p. 165 ^{0}C. Found: C(77.08%) H(4.42%) N(5.60%) , Calcd. for $C_{16}H_{11}NO_2$: C(77.10%) H(4.45%) N(5.62%)

Preparation of 1-(4-acetylphenyl)-4-benzylidene-2-phenyl-imidazol-5-one(2).
In a 250 ml conical flask equipped with a reflux condenser a mixture of 4-benzylidene-2-phenyl-1,3-oxazol-5-one(24.92g, 0.1M), 1-(4-aminophenyl) ethanone (13.51g, 0.1M), 25 ml pyridine and about one pellet of KOH was placed and was heated on sand bath for 7-8 hours. Then the mixture was poured in ice. The precipitates were collected, washed with 10% HCl and re-crystallized from ethanol. The yield of the product was 75 % and the product melts at 138 ^{0}C.

Found: C(78.65%) H(4.92%) N(7.62%) , Calcd. for $C_{24}H_{18}N_2O_2$: C(78.67%) H(4.95%) N(7.65%); IR (KBr); (cm^{-1}): 3080(= CH-), 3050(-CH Stretch),1720(>C=Oimidazolone),1650 (>C=N-), 1605(>C = C<),1250(C-N).

Preparation of 4-benzylidene-1-{4-[3-(substituted phenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one (3).
The solution of 1-(4-acetylphenyl)-4-benzylidene-2-phenyl-imidazol-5-one(3.66g, 0.01M) in absolute ethanol (50 ml),substituted benzaldehyde (0.01M) and 2% NaOH (10 ml) were added and refluxed for 10 hours. After refluxing the reaction mixture was concen trated , cooled, filtered and neutralized with dil. HCl. The solid residue thus obtained was recrystallized with suitable solvent.

IR (KBr); 3g: (cm^{-1})**:** 3400(-OH), 3100(=CH-), 2950(-CH Stretch), 1720(>C=O imidazolone) , 1650(>C=N-), 1600(>C = C<),1200 (C-N); **NMR; 3f :** 3.490, singlate (3H)(-OCH_3), 5.631, singlate (1H) (=CH-vinylic), 6.660-7.902 , multiplate (19H) (Ar-H, –CH=CH-) 8.262, singlate(1H) (-OH)

Table : 1Physical constant of 4-benzylidene-1-{4-[3-(substituted phenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one

No.	Sub. No.	R	Molecular Formula	Mol. Wt. (g/m)	Yield (%)	M. P. ^{0}C	Carbon (%)		Hydrogen (%)		Nitrogen (%)	
							Found	required	Found	required	Found	required
1	3a	-4-Cl	$C_{31}H_{21}ClN_2O_2$	488.963	58	143	76.12	76.15	4.30	4.33	5.70	5.73
2	3b	-2-Cl	$C_{31}H_{21}ClN_2O_2$	488.963	65	215	76.11	76.15	4.31	4.33	5.71	5.73
3	3c	-3-OCH_3,-4-OCH_3	$C_{33}H_{26}N_2O_4$	514.570	62	146	77.01	77.03	5.05	5.09	5.41	5.44
4	3d	-2-NO_2	$C_{31}H_{21}N_3O_4$	499.516	68	158	74.51	74.54	4.20	4.24	8.37	8.41
5	3e	-2-OH	$C_{31}H_{22}N_2O_3$	470.517	71	168	79.11	79.13	4.70	4.71	5.91	5.95
6	3f	-3-OCH_3,-4-OH	$C_{32}H_{24}N_2O_4$	500.543	66	198	76.75	76.78	4.81	4.83	5.56	5.60
7	3g	-4-OH	$C_{31}H_{22}N_2O_3$	470.517	58	168	79.12	79.13	4.68	4.71	5.93	5.95
8	3h	-4-$N(CH_3)_2$	$C_{33}H_{27}N_3O_2$	497.586	62	180	79.61	79.66	5.44	5.47	8.41	8.44
9	3i	-4-OCH_3	$C_{32}H_{24}N_2O_3$	484.544	64	166	79.30	79.32	4.93	4.99	5.76	5.78
10	3j	-3-OCH_3,-4-OCH_3,-5-OCH_3	$C_{34}H_{28}N_2O_5$	544.596	68	178	74.94	74.98	5.14	5.18	5.12	5.14

Table : 2 Antimicrobial activities of 4-benzylidene-1-{4-[3-(substituted phenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one

Sr. No.	Comp. No.	R	Zone of inhibitions in mm		
			E.coli	S.aureus	C.albicans
1	3a	- 4-Cl	17	15	17
2	3b	- 2-Cl	14	12	14
3	3c	- 3-OCH_3, -4-OCH_3	14	18	NA
4	3d	- 2-NO_2	16	16	15
5	3e	- 2-OH	NA	14	17
6	3f	- 3-OCH_3, -4-OH	12	17	13
7	3g	- 4-OH	13	16	15
8	3h	- 4-$N(CH_3)_2$	11	NA	14
9	3i	- 4-OCH_3	12	13	NA
10	3j	- 3-OCH_3, -4-OCH_3, -5-OCH_3	13	17	14
11	**Penicillin**	-	18	20	-
12	**Kanamycine**	-	19	24	-
13	**Baycor 25 w.p.**	-	-	-	24
14	**Amphotericine**	-	-	-	21

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