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Research Article

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Synthesis and Characterization of 4-(2-Chlorobenzylidene)-1-{4-[3-(substituted phenyl) prop-2-enoyl] phenyl}-2-phenyl-imidazol-5-one

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ABSTRACT

4-(2-Chlorobenzylidene)-1-{4-[3-(substituted phenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one synthesized by the condensation of 1-(4-acetylphenyl)-4-(2-chlorobenzylidene)-2-phenyl-imidazol-5-one with various aldehydes in presence of ethanol. The intermediate 1-(4-acetylphenyl)-4-(2-chlorobenzylidene)-2-phenyl-imidazol-5-one synthesized by the condensation of 4-(2-chlorobenzylidene)-2-phenyl-1,3-oxazol-5-one with 1-(4-aminophenyl) ethanone in presence of pyridine. The product is characterized by spectral and analytical data.

Key words: Synthesis, substituted chalcones, substitutedphenyl, Oxoimidazoline

INTRODUCTION

The chemistry of heterocycles lies at the heart of drug discovery Oxoimidazoline also known as imidazolines. Imidazolines have been found to be associated with several pharmacological activities.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.

Preparation of 4-(2-chlorobenzylidene)-2-phenyl-1,3-oxazol-5-one (AB-A).

In a 500 ml conical flask equipped with a reflux condenser a mixture of 2-chlorobenzylidene (0.25M), hippuric acid (0.25 M), acetic anhydride (0.75M) and anhydrous sodium acetate (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 finaly wash with 25 ml of boiling water, dry at 100 ^{0}C. The yield of the product was 75% and the product melts at 160^{0}C. Found: C(67.71%) H(3.53%) N(4.90%), Calcd. for $C_{16}H_{10}ClNO_2$: C(67.74%) H(3.55%) N(4.94%)

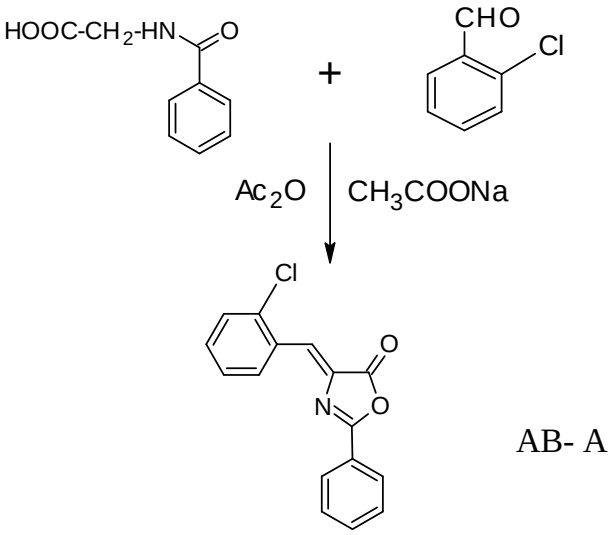
Preparation of 1-(4-acetylphenyl)-4-(2-chlorobenzylidene)-2-phenyl-imidazol-5-one (AB-B).

In a 250 ml conical flask equipped with a reflux condenser a mixture of 4-(2-chlorobenzylidene)-2-phenyl-1,3-oxazol-5-one (0.1M), 1-(4-aminophenyl)ethanone (0.1M), 25 ml pyridine and about one pellet of KOH was placed and was heated on sand bath for 7-8 hours. The mixture was then poured in ice. The precipitates were collected, washed with 10% HCl and re-crystallized from ethanol. The yield of the product was 72% and the product melts at 145^{0}C. Found: C(71.90%) H(4.24%) N(6.96%), Calcd. for $C_{24}H_{17}ClN_2O_2$: C(71.91%) H(4.27%) N(6.99%) **IR (KBr); (cm^{-1}):** 1710(>C=Oimidazolone),1650 (>C=N-), 1600(>C = C<), 1250(C-N).

Preparation of 4-(2-Chlorobenzylidene)-1-{4-[3-(substitutedphenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one (AB-01-10).

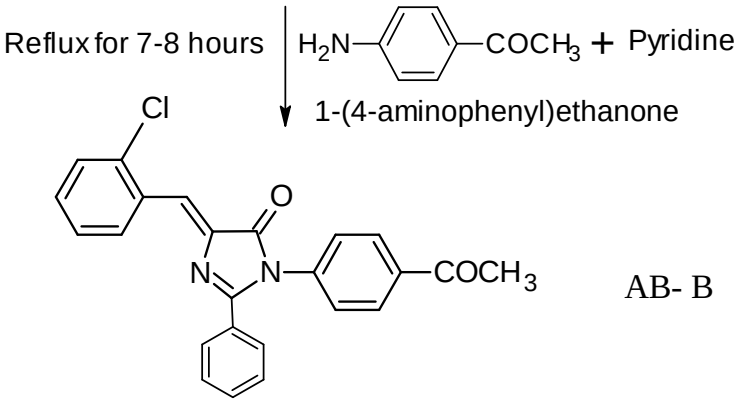
To the solution of 1-(4-acetylphenyl)-4-(2-chlorobenzylidene)-2-phenyl-imidazol-5-one (0.01M) in absolute ethanol (50 ml), substituted benzaldehyde (0.01M) and 2% NaOH (10 ml) were added and refluxed for 10 hours. After refluxing the reaction mixture was concentrated, cooled, filtered and neutralized with dil. HCl.The solid residue thus obtained was crystallized by absolute ethanol. IR (KBr); AB-5 (cm^{1-}): 3400(-OH), 3100(=C-H), 2950(-C-H), 1720(>C=O imidazolone), 1650(>C=N-), 1600(>C = C<), 1200 (C-N), 600 (C-Cl). NMR; AB-3 : 3.490, singlate (6H)(-OCH_3), δ7.631, singlate (1H) (=CH-vinylic), 6.660-7.902 , multiplate (18H) (Ar-H , –CH=CH-)

Reaction Scheme



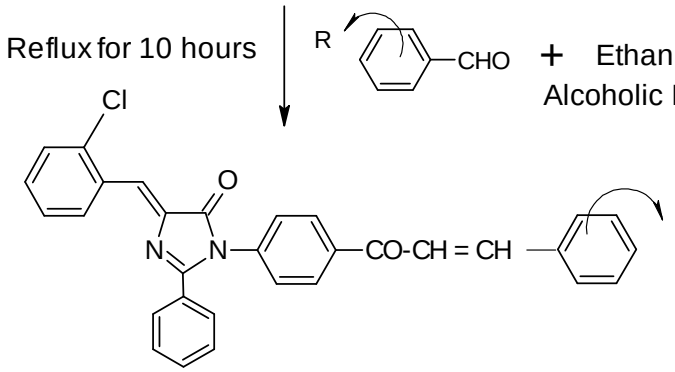
AB- A

4-(2-chlorobenzylidene)-2-phenyl-1,3-oxazol-5-one



AB- B

1-(4-acetylphenyl)-4-(2-chlorobenzylidene)-2-phenyl-imidazol-5-one



AB- 01-10

4-(2-chlorobenzylidene)-1-{4-[3-(substitutedphenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one

Table: 1
Physical constant of 4-(2-Chlorobenzylidene)-1-{4-[3-(substitutedphenyl)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	AB-01	-4-Cl	$C_{31}H_{20}Cl_2N_2O_2$	523.40	67	148	71.11	71.14	3.82	3.85	5.32	5.35
2	AB-02	-2-Cl	$C_{31}H_{20}Cl_2N_2O_2$	523.40	69	230	71.12	71.14	3.81	3.85	5.30	5.35
3	AB-03	-3-OCH_3,-4-OCH_3	$C_{33}H_{25}ClN_2O_4$	549.01	74	150	72.16	72.19	4.55	4.59	5.07	5.10
4	AB-04	-2-NO_2	$C_{31}H_{20}ClN_3O_4$	533.96	66	143	69.72	69.73	3.76	3.78	7.84	7.87
5	AB-05	-2-OH	$C_{31}H_{21}ClN_2O_3$	504.96	71	132	73.71	73.73	4.16	4.19	5.53	5.55
6	AB-06	-3-OCH_3,-4-OH	$C_{32}H_{23}ClN_2O_4$	534.98	70	195	71.81	71.84	4.30	4.33	5.22	5.24
7	AB-07	-4-OH	$C_{31}H_{21}ClN_2O_3$	504.96	60	166	73.72	73.73	4.17	4.19	5.52	5.55
8	AB-08	-4-$N(CH_3)_2$	$C_{33}H_{26}ClN_3O_2$	532.03	65	181	74.47	74.50	4.90	4.93	7.88	7.90
9	AB-09	-4-OCH_3	$C_{32}H_{23}ClN_2O_3$	518.98	67	155	74.02	74.06	4.44	4.47	5.37	5.40
10	AB-10	-3-OCH_3,-4-OCH_3,-5-OCH_3	$C_{34}H_{27}ClN_2O_5$	579.04	77	140	70.50	70.52	4.67	4.70	4.81	4.84

Table : 2
Antimicrobial activities of 4-(2-Chlorobenzylidene)-1-{4-[3-(substitutedphenyl)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	AB-01	-4-Cl	16	14	18
2	AB-02	-2-Cl	15	15	16
3	AB-03	-3-OCH_3, -4-OCH_3	12	12	15
4	AB-04	-2-NO_2	11	13	12
5	AB-05	-2-OH	10	12	NA
6	AB-06	-3-OCH_3, -4-OH	14	12	13
7	AB-07	-4-OH	13	11	13
8	AB-08	-4-$N(CH_3)_2$	12	11	11
9	AB-09	-4-OCH_3	NA	14	13
10	AB-10	-3-OCH_3, -4-OCH_3, -5-OCH_3	17	16	20
11	Penicillin		15	17	
12	Kanamycine		17	19	
13	Baycor 25 w.p				18
14	Amphotericine				20

Acknowledgements

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