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Synthesis and characterization of 4-{4-[2-phenyl-4-(4-hydroxybenzylidene)-5-oxo-imidazol-1-yl]phenyl}-6-(substituted phenyl)- 5,6-dihydropyrimidin-2-one and study of their antimicrobial activities

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ABSTRACT

4-{4-[2-phenyl-4-(4-hydroxybenzylidene)-5-oxo-imidazol-1-yl]phenyl}-6-(substitutedphenyl)- 5,6-dihydropyrimidin-2-one have been prepared by the refluxation for 3 hours of 4-(4-hydroxybenzylidene)-1-{4-[3-(substitutedphenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one with urea and potassium hydroxide in presence of ethanol . The intermediate 4-(4-hydroxybenzylidene)-1-{4-[3-(substitutedphenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one synthesized by the condensation of 1-(4-acetylphenyl)-4-(4-hydroxybenzylidene)-2-phenyl-imidazol-5-one with various aldehydes.

Key Words : Synthesis, substitutedchalcones, dihydropyrimidin.

INTRODUCTION

Literature survey reveals that most of the compounds having pyrimidine nucleus possess pharmacological action.[1-3] A wide spectrum of biological activities like anti-inflammatory[4], antibacterial[5], antifungal[6], antitubercular[7], analgesic and hypothermic [8] are found to be associated with compounds having pyrimidine moiety.

MATERIALS AND METHODS

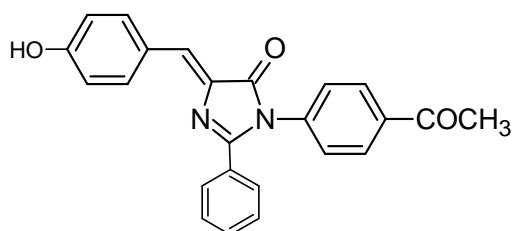
Melting points were taken in open capillary tube and were uncorrected. IR spectra (KBr) were recorded on I.R. Spectrophotometer of Buck scientific Model No. 500 and instrument used for NMR Spectroscopy was DUL 13C-1, 300 MHz and tetramethyl silane used as internal standard. Solvent used were CDCl_3 and DMSO. Purity of the compounds were checked by tlc on silica- G plates. Anti microbial activities were tested by Cup-Borer method. Standard drugs like Penicillin, Kanamycine, Baycor 25 w.p and Amphotericine were used for the comparison purpose (Table-2)

Preparation of 4-(4-hydroxybenzylidene)-1-{4-[3-(substitutedphenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one (A1).

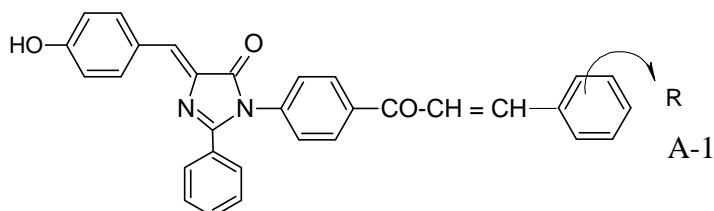
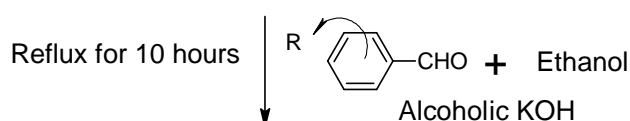
To the solution of 1-(4-acetylphenyl)-4-(4-hydroxybenzylidene)-2-phenyl-imidazol-5-one (0.01M) in absolute ethanol (50 ml), substitutedbenzaldehyde (0.01M) and 2% NaOH (10 ml) were added and refluxed for 10 hours. After refluxing the reaction mixture was concentrated, cooled, filtered and neutralized with dil. HCl. The solid residue thus obtained was crystallized by absolute ethanol. IR (KBr); **A-1e** (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 ; **A-1c** : δ

3.490, singlate (6H)(-OCH₃), δ 7.631, singlate (1H) (=CH-vinylic), δ 6.660-7.902, multiplate (18H) (Ar-H, -CH=CH-)

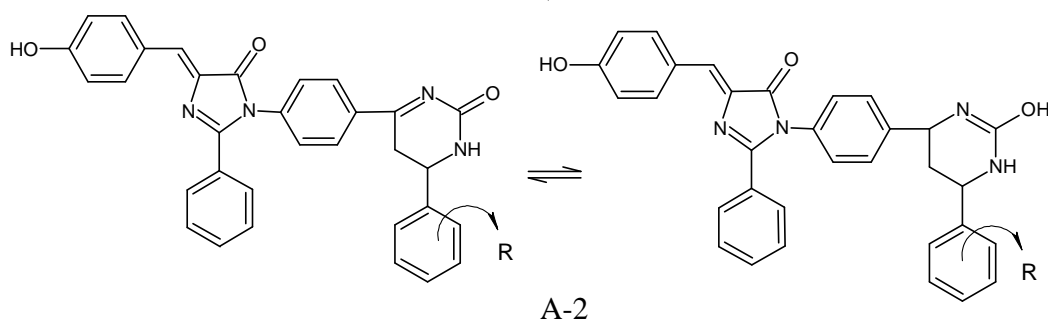
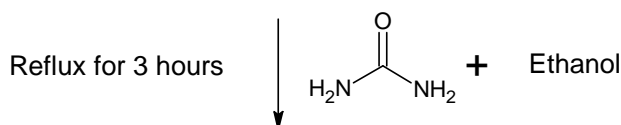
Reaction Scheme



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



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



4-{4-[2-phenyl-4-(4-hydroxybenzylidene)-5-oxoimidazol-1-yl]phenyl}-6-(substitutedphenyl)-5,6-dihydropyrimidin-2-one

4-{4-[2-phenyl-4-(4-hydroxybenzylidene)-5-oxoimidazol-1-yl]phenyl}-6-(substitutedphenyl)-2-hydroxy-1,4,5,6-tetrahydropyrimidin

Preparation of 4-{4-[2-phenyl-4-(4-hydroxybenzylidene)-5-oxoimidazol-1-yl] phenyl}-6-(substitutedphenyl)-5,6-dihydropyrimidin-2-one(A2).

A mixture of 4-(4-hydroxybenzylidene)-1-{4-[3-(substitutedphenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one(0.01M), urea(0.01M) and 1g. of potassium hydroxide(KOH) in 30ml of ethanol was refluxed for 3 hours. After standing overnight the solid formed was collected and crystallised from acetone. **IR (KBr); A-2f (cm⁻¹):** 3360(>NH), 3320(-OH), 3100(=CH-), 2960(-CH Stretch), 1740 (>C=O), 1610 (>C=N-), 1500(>C=C<), 1485(-CH₂-bend), 1200 (C-O), 1120 (C-N). **NMR ; A-2i:** δ 1.824, doublet (2H)(-CH₂-), δ 3.361, singlate (3H)(-OCH₃), δ

4.917, triplet (1H)(-CH<), δ 7.489, singlate (1H) (=CH-vinylic), δ 7.948, singlate (1H)(-NH-), δ 6.547-7.925, multiplate (17H) (Ar-H), δ 10.094, singlate (1H)(Ar-OH).

Table : 1 Physical constant of 4-[4-[2-phenyl-4-(4-hydroxybenzylidene)-5-oxo-imidazol-1-yl] phenyl]-6-(substitutedphenyl)- 5,6-dihydropyrimidin-2-one

No.	Sub. No.	R	Molecular Formula	Mol. Wt. (g/m)	Yield (%)	M. P. °C	Carbon (%)		Hydrogen (%)		Nitrogen (%)	
							Found	required	Found	required	Found	required
1	A-2a	-4-Cl	C ₃₂ H ₂₃ ClN ₄ O ₃	547.00	71	178	70.22	70.26	4.22	4.24	10.21	10.24
2	A-2b	-2-Cl	C ₃₂ H ₂₃ ClN ₄ O ₃	547.00	69	187	70.23	70.26	4.20	4.24	10.20	10.24
3	A-2c	-3-OCH ₃ , -4-OCH ₃	C ₃₄ H ₂₈ N ₄ O ₅	572.60	69	188	71.28	71.32	4.89	4.93	9.76	9.78
4	A-2d	-2-NO ₂	C ₃₂ H ₂₃ N ₅ O ₅	557.55	72	174	68.91	68.93	4.12	4.16	12.51	12.56
5	A-2e	-2-OH	C ₃₂ H ₂₄ N ₄ O ₄	528.55	74	171	72.68	72.72	4.55	4.58	10.54	10.60
6	A-2f	-3-OCH ₃ , -4-OH	C ₃₃ H ₂₆ N ₄ O ₅	558.58	70	188	70.91	70.96	4.64	4.69	10.00	10.03
7	A-2g	-4-OH	C ₃₂ H ₂₄ N ₄ O ₄	528.55	69	176	72.69	72.72	4.56	4.58	10.56	10.60
8	A-2h	-4-N(CH ₃) ₂	C ₃₄ H ₂₉ N ₅ O ₃	555.62	72	181	73.47	73.50	5.21	5.26	12.57	12.60
9	A-2i	-4-OCH ₃	C ₃₃ H ₂₆ N ₄ O ₄	542.58	70	190	73.01	73.05	8.80	8.83	10.29	10.33
10	A-2j	-3-OCH ₃ , -4-OCH ₃ , -5-OCH ₃	C ₃₅ H ₃₀ N ₄ O ₆	602.63	67	198	69.73	69.76	4.98	5.02	9.28	9.30

Table : 2 Antimicrobial activities of 4-[4-[2-phenyl-4-(4-hydroxybenzylidene)-5-oxo-imidazol-1-yl] phenyl]-6-(substitutedphenyl)- 5,6-dihydropyrimidin-2-one

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

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