International Journal for Pharmaceutical Research Scholars (IJPRS)
ISSN No: 2277-7873
RESEARCH ARTICLE
V-2, I-1, 2013

Synthesis, Spectral Studies and Biological Activities of 1-Acetyl-5-(substitutedphenyl)-{3-[4-(2-phenyl-4-p-hydroxybenzylidene-5-oxo-imidazol-1-yl)] phenyl}-4,5-dihydropyrazol derivatives

Patel AB, Patel PS*

* [1]*Department of Chemistry, Sheth L.H. Science College, MANSA.-382845, India.*

Manuscript No: IJPRS/V2/I1/00047, Received On: 01/03/2013, Accepted On: 20/03/2013

ABSTRACT

1-acetyl-5-(substitutedphenyl)-{3-[4-(2-phenyl-4-*p*-hydroxybenzylidene-5-oxo-imidazol-1-yl)]phenyl}-4,5-dihydropyrazol have been prepared by the refluxation for three hours of 5-(substitutedphenyl)-[3-(4-{2-phenyl-4-(4-hydroxybenzylidene)-5-oxo-imidazol-1-yl})phenyl]-4,5-dihydropyrazol and Gly. aceticacid the intermediate *A3* have been prepared by the refluxation for three hours of 4-(4-hydroxybenzylidene)-1-{4-[3-(substitutedphenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one with hydrazine hydrate in presence of ethanol the intermediate *A2* synthesized by the condensation of 1-(4-acetylphenyl)-4-(4-hydroxybenzylidene)-2-phenyl-3,5-dihydro-imidazol-5-one with various aldehydes.

KEYWORDS

Pyrazolines, Hydrazinehydrate, Benzaldehyde, Oxazolone, Pyrazol

INTRODUCTION

The chemistry of heterocycles lies at the heart of drug discovery. The chemistry and pharmacology of quinazolinone have been of great interest to medicinal chemistry. In recent years there has been an increasing interest in the chemistry of 4(3*H*)-quinazolinones because of their biological significance[1,2] Many of them show antibacterial[3,4], antifungal[5], anti-inflammatory[6], analgesic and anti-inflammatory[7], antitubercular[8].

Pyrazolines are the reduced form of pyrazoles and are well known nitrogen containing heterocyclic compounds. Literature review reveals that pyrazoline derivatives possess of new anticonvulsant[9], antibacterial[10], antimicrobial, antitubercular, antihypertensive and antidiabetic agents and it is justified because more organisms being resistance to the present available drugs in the market.

***Address for Correspondence:**
Dr. Pankaj S. Patel
Department of Chemistry,
Sheth L. H. Science College, Mansa,Gujarat,India.
E-Mail Id: pspatel_mansa@yahoo.co.in

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 CDCl3 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 5-(substitutedphenyl)-[3-(4-{2-phenyl-4-(4-hydroxybenzylidene)-5-oxo-imidazol-1-yl})phenyl]-4,5-dihydropyrazol. (A1)

A mixture of 4-(substitutedbenzylidene)-1-{4-[3-(4-chlorophenyl)prop-2-enoyl]phenyl}-2-phenyl-imidazol-5-one (0.01M) and 99% hydrazine hydrate (0.015M) in ethanol (50ml) was refluxed gently for 3 hours. Then the mixture was concentrated and allowed to cool.

The resulting solid was filtered, washed with ethanol and recrystallized from ethanol.

IR (KBr);A-1f: (cm^{-1})**:**3380 (>N-H), 3240 (-OH), 3090 (= CH-) , 2910 (-CH),1720 (>C=O imidazolone), 1600 (>C=N-), 1510(>C=C<), 1460 (>CH_2 pyrazoline), 1240 (-C-O), 1200 (N-N), 1110 (C-N).

Preparation of 1-acetyl-5-(substitutedphenyl) -{3-[4-(2-phenyl-4-p-hydroxybenzylidene-5-oxo-imidazol-1-yl)]phenyl}-4,5-dihydro pyrazol (A2)

A mixture of 5-(substitutedphenyl)-[3-(4-{2-phenyl-4-(4-hydroxybenzylidene)-5-oxo-imidazol-1-yl})phenyl]-4,5-dihydropyrazol (0.001M) and acetic acid (10ml) was refluxed for 3 hours. The solution was then concentrated, on cooling, the resulting solid was filtered, washed with water and recrystallised from ethanol.

IR (KBr); A-2i: (cm^{-1})**:** 3350 (-OH), 3050 (=CH-), 2920 (-CH), 1750(>C=O imidazolone), 1650 (>C=N-), 1600(>C = C<), 1450 (>CH_2 pyrazoline), 1375 (-CH_3,bend), 1250 (C-O), 1220 (N-N), 1140 (C-N).

NMR; A-2i: δ 2.490, singlate (3H)(-$COCH_3$), δ3.386, singlate (3H)(-OCH_3), δ3.711, dublate (2H)(>CH_2), δ3.834, triplate (1H) (>CH-), δ7.585, singlate (1H) (=CH-vinylic), δ6.661-8.557, multiplate (17H) (Ar-H), δ9.756, singlate (1H) (-OH).

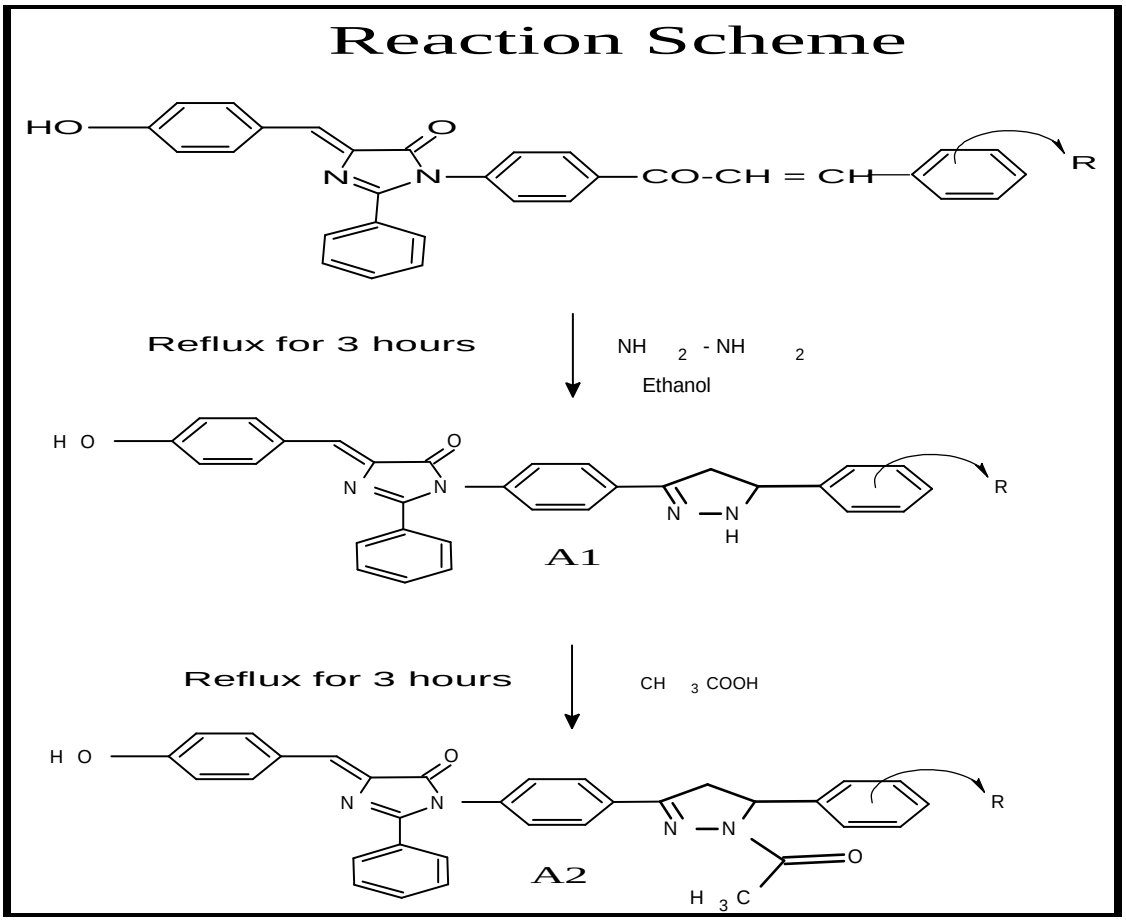

Table 2: Antimicrobial activities of 1-acetyl-5-(substitutedphenyl)-{3-[4-(2-phenyl-4-p-hydroxybenzylidene-5-oxo-imidazol-1-yl)]phenyl}-4,5-dihydropyrazol

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

Table 1: Physical constant of 1-acetyl-5-(substitutedphenyl)-{3-[4-(2-phenyl-4-p-hydroxybenzylidene-5-oxo-imidazol-1-yl)]phenyl}-4,5-dihydropyrazol

No.	Sub. No.	R	Molecular Formula	Mol. Wt. (g/m)	Yield (%)	M. P. ^0C	Carbon (%)		Hydrogen (%)		Nitrogen (%)	
							Found	required	Found	required	Found	required
1	A-2a	-4-Cl	$C_{33}H_{25}ClN_4O_3$	561.02	66	190	70.62	70.65	4.45	4.49	9.96	9.99
2	A-2b	-2-Cl	$C_{33}H_{25}ClN_4O_3$	561.02	69	192	70.60	70.65	4.43	4.49	9.93	9.99
3	A-2c	-3-OCH_3,-4-OCH_3	$C_{35}H_{30}N_4O_5$	586.63	72	208	71.62	71.66	5.12	5.15	9.51	9.55
4	A-2d	-2-NO_2	$C_{33}H_{25}N_5O_5$	571.58	64	180	69.30	69.34	4.38	4.41	12.23	12.25
5	A-2e	-2-OH	$C_{33}H_{26}N_4O_4$	542.58	71	175	73.01	73.05	4.80	4.83	10.30	10.33
6	A-2f	-3-OCH_3,-4-OH	$C_{34}H_{28}N_4O_5$	572.60	71	181	71.29	71.32	4.91	4.93	9.75	9.78
7	A-2g	-4-OH	$C_{33}H_{26}N_4O_4$	542.58	69	175	73.00	73.05	4.79	4.83	10.29	10.33
8	A-2h	-4-$N(CH_3)_2$	$C_{35}H_{31}N_5O_3$	569.65	66	184	73.73	73.79	5.44	5.49	12.25	12.29
9	A-2i	-4-OCH_3	$C_{34}H_{28}N_4O_4$	556.61	70	165	73.32	73.37	5.02	5.07	10.01	10.07
10	A-2j	-3-OCH_3,-4-OCH_3,-5-OCH_3	$C_{36}H_{32}N_4O_6$	616.66	78	193	70.09	70.12	5.19	5.23	9.04	9.09

ACKNOWLEDGEMENT

The authors are thankful to the Management of Sheth L. H. Science College, Mansa & I. K. Patel College of Pharmaceutical Education & Research, Himmatnagar for providing research Facilities.

ACKNOWLEDGEMENT

The authors are thankful to the Management of Sheth L. H. Science College, Mansa & I. K. Patel College of Pharmaceutical Education & Research, Himmatnagar for providing research Facilities.

REFERENCES

1. Gangawal NA, Narasimhan B, Mourya VK, Dhake AS, "Synthesis and QSAR studies of substituted-4-(1H)-quinazolinones", Indian. J. Heterocycl.Chem., 2003, 12, 201.
2. Padam Kant and Saksena RK, "Synthesis and antimicrobial activity of some new2-phenyl-3-p-(2'-methyl-3'-aryl-4'-oxo-thiazolin -2'-yl)phenylquinazolin-4-ones and 2-phenyl-3-p-(1'-aryl-3-phthlimido-4'-methyllazetidin-2'-one-2'-yl)phenyl-quinazolin-4-ones". Indian J. Heterocycl. Chem., 2003, 12, 315.
3. Udapi FH, Ramesh B, Bhat AK, "Synthesis and Biological activity of some quina zolinones derivatives", Indian. J. Heterocycl. Chem., 1999, 8, 301.
4. Abdel-Hamid SG, "Synthesis of some New Heterocyclic Systems Bearing Phenyl-6-iodo-4-(3H)-quinazolinon-3-yl Moiety as Anti bacterial Agents", J. Ind. Chem. Soc., 1997, 74, 613-618.
5. Bartroli J, Turmo E, Alguero M, Boncompte E, Vericat ML, Coute L, Ramis J, Merlos M, Garcia-Rafanell J & Forn J, "Synthesis and Antifungal Activity of 3-Substituted-4(3H)-quinazolinones", J. Med. Chem., 1998, 41, 1869–82.
6. Rani Preeti, Archana, Srivastav VK, and Kumar A, "Synthesis and anti-inflammatory activity of some new 2,3-disubstituted-6-monosubstituted-quinazolin- 4(3H)-ones", Indian. J. Chem., 2002, 41B, 2642.
7. Srinivasa Reddy P, Venugopala KN, Rao Gopal Krishna and Sanjay Pai PN, "Synthesis of some substituted quinazolinones as analgesic and anti-inflammatory agents", Indian J. Heterocycl. Chem., 2007, 16, 243.
8. Nandy Parijat, Vishlakshi MT and Bhat AR, "Synthesis and antitubercular activity of mannich bases of 2-methyl-3H-quinazolin-4-ones", Indian J. Heterocycl. Chem., 2006, 15, 293.
9. Kumar A, Archana, Srivastava VK, Chandra R,"Synthesis of potential quinazolinonyl pyrazolines and quinazolinyl isoxazolines as anticonvulsant agents", Ind J Chem., 2002, 41B, 2371-2375.
10. Mogilaiah K, Sudhakar GR, "Synthesis of pyrazoline,pyrimidine and 1,5-benzodiazepine derivatives of 1,8-naphthyridine and evalution of antibacterial activity", Ind J Chem, 2003, 42B, 636-640.

