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Synthesis, Characterization and Biological Evaluation of Some Novel Heterocycles Based on Chalcones Derived from 5-aryl-2-furaldehyde

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ABSTRACT

Chalcones were prepared by condensation of acetophenone (1) with 5-aryl-2-furaldehyde (2a-e) (Claisen-Scimdth condensation). These chalcones were post heterocyclised by their reactions respectively with hydroxyl amine, urea and phenyl hydrazine. The so called obtained derivatives respectively isooxazoles (3a-e), pyrimidones (4a-e) and dihydro pyrazoles (5a-e) characterized by IR, NMR and LC-MS spectroscopies and elemental analyses. All the produced compounds were evaluated for their antimicrobial activities.

Keywords : Claisen-Scimdth condensation, Chalcone, Isoxazole, pyrimidones, dihydro pyrazoles, Spectral studies and Antibacterial activity.

I. INTRODUCTION

Heterocyclic chemistry is a branch which inseparable from mankind because human are totally dependent on the drugs mostly contain heterocyclic moieties. Hetrocyclic compounds obtained naturally as well as synthized possess wide range of biological activities.[1] Chalcones constitute an impartment group of natural product and some of them possess of broad spectrum of biological activities and also chalcones are valuable intermediates in organic synthesis.

Chalcones are naturally occurring compounds and are α,β- unsaturated ketones (i.e.1,3-diaryl-2-propen-1-one). They are belongs to natural flavenoid family. They have wide range of pharmaceutical activities like antimicrobial, anti T.B., anticancer, antihypertensive and many others [2-16]. Such unsaturated functionality makes chalcone susceptible for nucleophilic reaction to afford 5 to 7 membered heterocyclic compounds. The isoxazole, pyrazolo and pyrimido derivatives based on chalcones are well known for their biological interest[17-22]. One such approach in which the chalcones made from ketone or aldehydes of furan ring receive attention due to their excellent biological properties [23-26]. The simple chalcones based on 5-aryl-2-furaldehyde are reported [27] but their post reactions are not reported so far. Thus it was thought interesting to explore the field of post heterocyclization of chalcones based on 5-aryl-2-furaldehydes. So the present work comprises formation and study of Isoxazole, pyrimidones and dihydropyrazoles derivatives from 5-aryl-2-furaldehydes based chalcones. The synthetic route is shown in Scheme-1.

II. EXPERIMENTAL

Materials

5-aryl-2-furaldehydes (2a-e) were prepared by method reported in literature [27,28]. All other chemicals used were of pure grade.

Measurements

Elemental analysis was determined by Thermofinigen C,H,N analyser(Italy). Halogen was determined by carius method. Melting points were determined in open capillary tubes and were uncorrected. The IR spectra were recorded by a Perkin-Elmer 237 spectrophotometer and ^{1}H NMR spectra were recorded in DMSO with TMS as internal standard on a Bruker AM 400 instrument (at 400 MHz). LC-MS spectra of selected samples were recorded on M S route JMS 600-H. Antimicrobial activity of all compounds were evaluated by agar cup method[29].

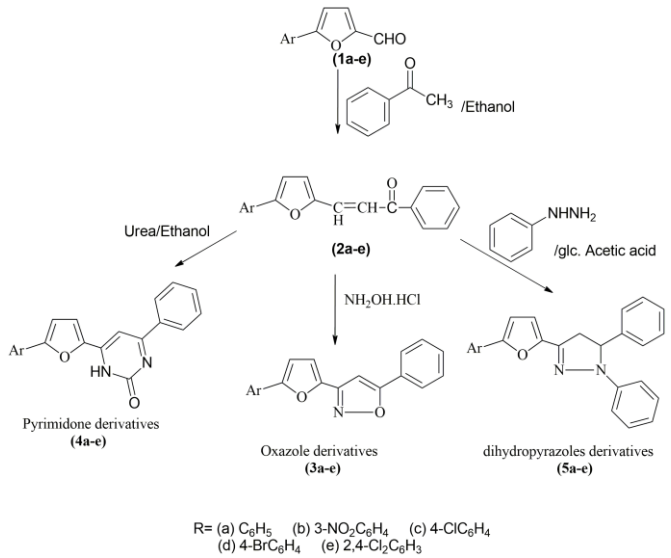

Fig1. Scheme-1 synthetic route

Synthesis of 3-(5-substituted furan-2-yl)-1-phenylprop-2-en-1-one (2a-e):

5-aryl-2-furfuraldehyde (1a-e) (0.01 mol) and acetophenone (0.01 mol) were taken in (50:50 v/v) ethanol: water mixture (50mL). 10 mL of 60% aqueous sodium hydroxide solution added drop wise. Resulting mixture was kept in ice bath (0°C) and was stirred for 2 hrs, then poured into crushed ice and acidified with dilute HCl. The precipitate obtained was filtered and washed twice with cold water. The resulting solid was allowed to air dry and recrystallized from ethanol. The yields, melting points and other characterization data of these compounds designated as (2a-e) are given in Table -1.

<<Table:-1 Analytical Data and Elemental Analysis of Compounds 2(a-e) >>

Synthesis of 5-phenyl-3-(5-aryl furan-2-yl)isoxazole 3(a-e):

The reaction mixture of 3-(5-substituted furan-2-yl)-1-phenylprop-2-en-1-one 2(a-e) (0.01mol) and hydroxylamine hydro chloride (0.01mol) and sodium acetate (0.01 mol) in ethanol (50 ml) was refluxed for 3 hrs. The completion of the reaction observed by TLC using hexane/ethyl acetate. The reaction mixture was cooled to room temperature and poured into ice-cold water. The obtained solid was filtered, washed with water and recrystallyzed from ethanol. The yields, melting points and other characterization data of these compounds are given in Table-2.

<<Table:-2 Analytical Data and Elemental Analysis of Compounds 3(a-e)>>

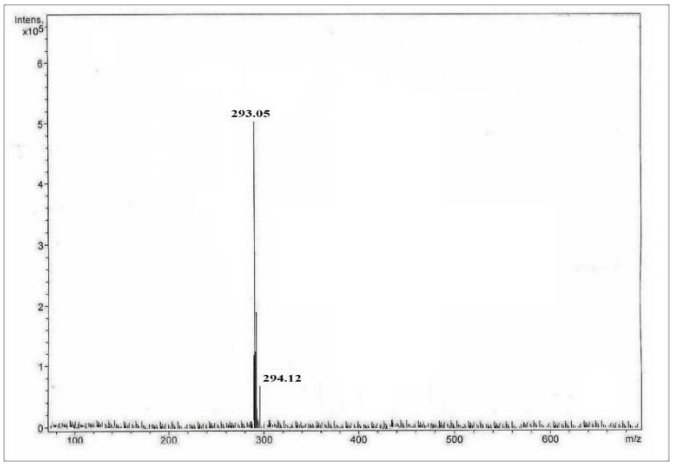

Fig.2 LS-MS spectrum of 3a

Synthesis of 6-(5-aryl furan-2-yl)-4-phenylpyrimidin-2(1H)-one (4a-e)

A mixture of Chalcone (2a-e) (0.01mol) and a solution of phenyl hydrazine (0.01mol) in 30% HCl (15ml)

was refluxed for about 5hr. The reaction mixture was neutralized by aq. alkali and concentrated. The separated solid was filtered off, washed and air-dried. Finally recrystallised from ethanol. The details are given in Table-3.

<<Table:-3 Analytical Data and Elemental Analysis of Compounds 4(a-e)>>

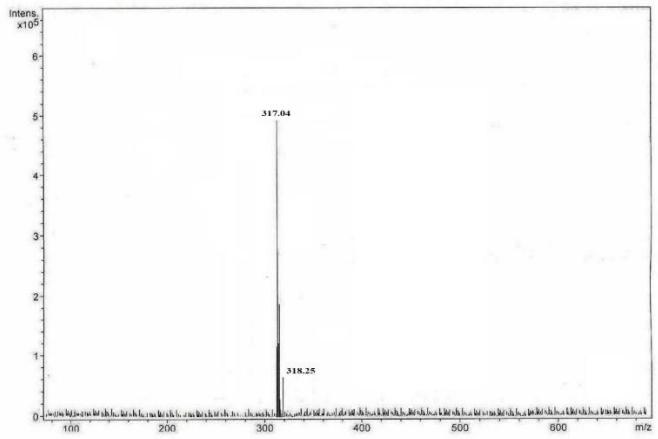

Fig.3 LS-MS spectrum of 4a

Synthesis of 3-(5-arylfuran-2-yl)-1,5-diphenyl-4,5-dihydro-1H-pyrazole (5a-e)

Chalcones (2a-e) were refluxed with urea at stoichiometric ratio in ethanol (50 ml) and Conc. HCl (10ml) for 6hrs. The reaction was monitored by TLC. After completion of reaction diluted with ice water. The obtained precipitates filtered, washed and air dried. Recrystallised from ethanol. The details are presented in Table-4.

<<Table:-4 Analytical Data and Elemental Analysis of Compounds 5(a-e)>>

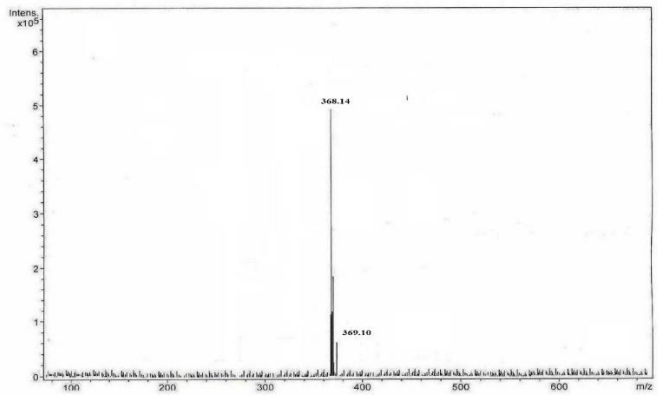

Fig.4 LS-MS spectrum of 5a

III. BIOLOGICAL SCREENING

Antibacterial activities

The antibacterial activities of all the compounds were studied against gram-positive bacteria (*Staphylococcus aureus and Bacillus subtilis*) and gram-negative bacteria (*E.coli, and klebsiella promioe*) at a concentration of 50µg/ML by agar cup plate method. A methanol system was used as control in this method. Similar conditions using tetracycline as a control was used standard for comparison. The area of inhibition of zone was measured in mm. The data are shown in Tables -5.

<<Table:-5 Antibacterial Activity of Compounds 3(a-e), 4(a-e) and 5(a-e)>>

Antifungal Activities

The fungicidal activity of all the compounds was studied at 1000 ppm concentration in vitro. Plant pathogenic organisms used were *Aspergillus niger, Botrydepladia thiobromine, Nigrospora Sp, and Fusarium oxyporium*. The antifungal activities of all the compounds were measured on each of these plant pathogenic strains on a potato dextrose agar (PDA) medium. Such a PDA medium contained potato 200g, dextrose 20g, agar 20g and water 1c. Five days old cultures were employed. The compounds to be tested were suspended (1000ppm) in a PDA medium and autoclaved at 120° C for 15 min. at 15atm. pressure. These media were poured into sterile Petri plates and the organisms were inoculated after cooling the Petri plates. The percentage inhibition for fungi was calculated after five days using the formula given below:

Percentage of inhibition = 100(X-Y) / X

Where, X = Area of colony in control plate

Y = Area of colony in test plate

The fungicidal activities displayed by all three series are shown in Tables-6.

<<Table:-6 Antifungal Activity of Compounds 3(a-e), 4(a-e) and 5(a-e)>>

IV. RESULTS AND DISCUSSION

It was observed that various substituted furfural, on condensation with acetophenone, yields 3-(5-substituted furan-2-yl)-1-phenylprop-2-en-1-one (2a-e). The structures of 2(a-e) were confirmed by elemental analysis and IR spectra showing an absorption band at 3030-3080 cm^{-1}(C-H, of Ar.),1665-1650 cm^{-1}(α,β-unsaturated ketones), 1600-1548 cm^{-1}(conjugated C=C),1120(C-O-C),1350(NO_2), 735 (C-Cl),590 (C-Br).^{1}H NMR:(2a)7.40–8.12(10H,m,Ar-H),7.43-7.54(2H,m,CH of furan) and 6.94, 7.64 (2H,d,CH=CH), (2b) 7.62–8.34(9H,m,Ar-H),7.43-7.54(2H,m,CH of furan) and 6.94,7.64 (2H, d, CH=CH), (2c) 7.55–7.90(9H,m,Ar-H),7.42-7.54(2H,m,CH of furan) and 6.94,7.64 (2H, d, CH=CH), (2d) 7.66–7.90(9H,m,Ar-H),7.43-7.54 (2H,m, CH of furan) and 6.94,7.64 (2H,d,CH=CH) and (2e) 7.48–7.98(8H,m,Ar-H),7.42-7.54(2H,m,CH of furan) and 6.94,7.64 (2H, d, CH=CH).All these are agreed with the structure expected. The C, H, N analysis data of all compounds are presented in Table -1.

The structures assigned to 5-phenyl-3-(5-aryl furan-2-yl)isoxazole 3(a-e) were supported by the elemental analysis and IR spectra showing an absorption bands at 1620-1656 (C=N),3030-3080 cm^{-1}(C-H of Ar.),1120 (C-O-C),1350(NO_2), 735 (C-Cl), 590 (C-Br). ^{1}H NMR: (3a) 7.40–8.14(10H,m,Ar-H), 7.08-7.10(2H,m,CH of furan) and 6.72 (1H,s,CH of oxazole ring), (3b) 7.40–8.35(9H,m,Ar-H), 7.08-7.10(2H,m,CH of furan) and 6.72 (1H,s,CH of oxazole ring), (3c) 7.40–8.12(9H,m,Ar-H), 7.08-7.10(2H,m,CH of furan) and 6.72 (1H,s,CH of oxazole ring), (3d) 7.40–8.13(9H,m,Ar-H), 7.07-7.09(2H,m,CH of furan) and 6.74 (1H,s,CH of oxazole ring) and (3e) 7.42–8.12(8H,m,Ar-H), 7.08-7.09(2H,m,CH of furan) and 6.73 (1H,s,CH of oxazole ring). The C, H, N analysis data of all compounds are presented in Table-2.

The 6-(5-aryl furan-2-yl)-4-phenylpyrimidin-2(1H)-one (4a-e) structures were supported by the elemental analysis and IR spectra showing an absorption bands at 3210-3160(NH),1620-1656 (C=N), 3030-3080 cm^{-1}(C-H of Ar.),1650(CO), 1275(C-O),1120 (C-O-C),1350(NO_2),735(C-Cl),590 (C-Br). ^{1}H NMR: (4a) 7.40–8.14(10H,m,Ar-H), 7.08-7.10(2H,m,CH of furan) and 8.60(1H,s,NH of Pyrimidone ring), 5.82(1H,s,CH of pyrimidone ring), (4b) 7.40–8.35(9H,m,Ar-H), 7.08-7.10(2H,m, CH of furan) and and 8.64(1H,s,NH of Pyrimidone ring), 5.82(1H,s,CH of pyrimidone ring), (4c) 7.40–8.12(9H,m,Ar-H), 7.08-7.10(2H,m,CH of furan) and 8.60(1H,s,NH of Pyrimidone ring), 5.82(1H,s,CH of pyrimidone ring), (4d) 7.40–8.13(9H,m,Ar-H), 7.07 -7.09(2H,m,CH of furan) and 8.60(1H,s,NH of Pyrimidone ring), 5.82(1H,s,CH of pyrimidone ring), and (4e) 7.42–8.12(8H,m,Ar-H), 7.08-7.09(2H,m,CH of furan) and 8.62(1H,s,NH of Pyrimidone ring), 5.83(1H,s,CH of pyrimidone ring). The C, H, N analysis data of all compounds are presented in Table-3.

The structures assigned to 3-(5-arylfuran-2-yl)-1,5-diphenyl-4,5-dihydro-1H-pyrazole (5a-e) were supported by the elemental analysis and IR spectra showing an absorption bands at 1620-1656 (C=N),3030-3080 cm^{-1}(C-H of Ar.),1120 (C-O-C), 1350 (NO_2), 735 (C-Cl), 590 (C-Br). ^{1}H NMR: (5a) 6.78–8.14(15H,m,Ar-H),7.08-7.10 (2H, m, CH of furan) and 3.62-3.90 (2H,d,CH_2 of Pyrazole ring),5.22(1H,t,CH of Pyrazole ring), (5b) 6.78–8.35(14H,m,Ar-H), 7.08-7.10(2H,m,CH of furan) and 3.62-3.90 (2H, d, CH_2 of Pyrazole ring),5.22(1H,t,CH of Pyrazole ring), (5c) 6.76–7.60 (14H, m, Ar-H), 7.08-7.10(2H,m,CH of furan) and 3.62-3.90(2H,d,CH_2 of Pyrazole ring), 5.22 (1H, t, CH of Pyrazole ring),(5d) 6.78–7.72(14H, m, Ar-H), 7.07-7.09 (2H,m, CH of furan) and 3.62-3.90(2H,d,CH_2 of Pyrazole ring), 5.22 (1H, t, CH of Pyrazole ring), and (5e) 6.78–8.02(13H,m,Ar-H), 7.08-7.09(2H,m, CH of furan) and 3.62- 3.90(2H,d,CH_2 of Pyrazole ring),5.22(1H,t,CH of Pyrazole ring). The C, H, N analysis data of all compounds are presented in Table-4.

The examination of elemental analytical data reveals that the elemental contents are consistences with the predicted structure shown in Scheme-1. The IR data also direct the assignment of the predicted structure. The final structure of all compounds is confirmed by LC-MS peak value of selected compounds. These assigned the molecular weight of compound the assignment of the predicted structure. The final structure of all compounds is confirmed by LC-MS. LC-MS data of selected compounds are presented in Tables-1 to 4.

Antibacterial activity of all series of (3a-e),(4a-e) and (5a-e) compounds are presented in Table-5. The results show that all compounds are more or less toxic for bacteria depending upon the molecular structure of compounds. The results show the trend of activity as : e> c > d> a > b. compounds of each series . It was also observed that e and c series of compounds are more toxic as expected.

Antifungal activity of all series of (3a-e),(4a-e) and (5a-e) compounds are presented in Table-6. The results show that all compounds are more or less toxic for fungi depending upon the molecular structure of compounds. The results show the trend of activity as : e> c > d> a > b. compounds of each series . It was also observed that e and c series of compounds are more toxic as expected.

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Table:-1 Analytical Data and Elemental Analysis of Compounds 2(a-e)

Compd.	Molecular formula (Mol.wt.)	LC-MS Data	Yield %	M.P.* °C [27]	Elemental Analysis					
					%C		% H		% Halogen or % Nitrogen	
					Found	Calcd.	Found	Calcd.	Found	Calcd.
2a	$C_{19}H_{14}O_2$ (274)	276	85	142-144	83.1	83.19	5.1	5.14	-	-
2b	$C_{19}H_{13}NO_4$ (319)	321	79	136-137	71.4	71.47	4.0	4.10	4.3	4.93
2c	$C_{19}H_{13}O_2Cl$ (308)	310	81	126-127	73.9	73.91	4.2	4.24	11.4	11.48
2d	$C_{19}H_{13}O_2Br$ (352)	343	78	140-141	64.6	64.61	3.7	3.71	22.62	22.6
2e	$C_{19}H_{12}N_2O_3$ (342)	357	79	189-190	66.4	66.49	3.5	3.52	20.66	20.6

* Uncorrected

Table:-2 Analytical Data and Elemental Analysis of Compounds 3(a-e)

Compd.	Molecular formula (Mol.wt.)	LC-MS Data	Yield %	M.P.* °C	Elemental Analysis							
					%C		% H		%N		%Halogen	
					Found	Calcd.	Found	Calcd.	Found	Calcd.	Found	Calcd.
3a	$C_{19}H_{13}NO_2$ (289)	293	78	187-188	79.4	79.43	4.5	4.56	4.8	4.88	-	-
3b	$C_{19}H_{12}N_2O_4$ (332)	335	74	190-192	68.6	68.67	3.6	3.6	8.4	8.43	-	-
3c	$C_{19}H_{12}NO_2Cl$ (321)	327	76	187-189	70.9	70.92	3.7	3.76	4.3	4.35	11.0	11.02
3d	$C_{19}H_{12}NO_2Br$ (365)	369	73	196-197	62.3	62.32	3.2	3.30	3.8	3.82	21.8	21.82
3e	$C_{19}H_{11}NO_2Cl_2$ (355)	357	77	202-204	64.0	64.07	3.0	3.11	3.9	3.93	19.9	19.91

* Uncorrected LC-MS peak 3a: 293 and 3e: 357

Table: 3 Analytical Data and Elemental Analysis of Compounds 4(a-e)

Compd.	Molecular formula (Mol.wt.)	LC-MS Data	Yield %	M.P. °C	Elemental Analysis							
					%C		% H		%N		%Halogen	
					Found	Calcd.	Found	Calcd.	Found	Calcd.	Found	Calcd.
4a	$C_{20}H_{14}N_2O_2$ (314)	317	70	200-201	76.4	76.42	4.4	4.49	8.9	8.91	-	-
4b	$C_{20}H_{13}N_3O_4$ (359)	363	68	215-216	66.8	66.85	3.6	3.65	11.6	11.69	-	-
4c	$C_{20}H_{13}N_2O_2Cl$ (348)	352	64	207-208	68.8	68.87	3.7	3.76	8.0	8.03	10.1	10.16
4d	$C_{20}H_{13}N_2O_2Br$ (392)	396	66	212-213	61.0	61.09	3.3	3.33	7.1	7.12	20.3	20.32
4e	$C_{20}H_{12}N_2O_2Cl_2$ (382)	385	62	211-212	62.6	62.68	3.1	3.16	7.3	7.31	18.4	18.50

***** Uncorrected LC-MS peak 4a: 317 and 4e: 385

Table:-4 Analytical Data and Elemental Analysis of Compounds 5(a-e)

Compd.	Molecular formula (Mol.wt.)	LC-MS Data	Yield %	M.P. °C	Elemental Analysis							
					%C		% H		%N		%Halogen	
					Found	Calcd.	Found	Calcd.	Found	Calcd.	Found	Calcd.
5a	$C_{25}H_{20}N_2O$ (364)	368	73	208-209	82.3	82.39	5.5	5.53	7.6	7.69	-	-
5b	$C_{25}H_{19}N_3O_3$ (409)	411	70	205-206	73.3	73.34	4.6	4.68	10.2	10.26	-	-
5c	$C_{25}H_{19}N_2OCl$ (398)	402	67	216-217	75.2	75.28	4.7	4.80	7.0	7.02	8.8	8.89
5d	$C_{25}H_{19}N_2OBr$ (442)	445	64	210-211	67.7	67.73	4.3	4.32	6.3	6.32	18.0	18.02
5e	$C_{25}H_{18}N_2OCl_2$ (433)	436	66	218-219	69.2	69.29	4.1	4.19	6.4	6.46	16.3	16.36

***** Uncorrected LC-MS peak 5a: 368 and 5e: 436

Table:-5 Antibacterial Activity of Compounds 3(a-e), 4(a-e) and 5(a-e)

Compounds	Gram +Ve		Gram –Ve	
	Bacillus subtilis	*Staphylococcus aureus*	*Klebsiella promioe*	*E. coli*
3a	14	16	18	15
3b	13	15	17	14
3c	15	17	19	16
3d	14	16	18	15
3e	16	19	20	18
4a	18	17	14	16
4b	16	17	13	15
4c	18	16	17	15
4d	15	18	16	14
4e	20	17	19	16
5a	15	18	14	17
5b	17	13	16	15
5c	18	16	17	19
5d	15	18	16	15
5e	18	20	16	19
Tetracycline	22	23	23	22

Table:-6 Antifungal Activity of Compounds 3(a-e), 4(a-e) and 5(a-e)

Zone of Inhibition at 1000 ppm (%)				
Fungus → Compounds ↓	*Aspergillus Niger*	*Botrydepladia Thiobromine*	*Nigrospora Sp.*	*Fusarium oxyporium*
3a	88	85	86	87
3b	87	84	85	84
3c	91	87	89	88
3d	90	86	88	89
3e	92	88	90	91
4a	85	86	88	87
4b	86	85	87	84
4c	88	87	91	89
4d	86	88	90	87
4e	89	90	92	88
5a	85	88	86	87
5b	85	87	84	86
5c	88	91	90	87
5d	88	90	86	87
5e	90	92	90	88