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SYNTHESIS AND ANTIMICROBIAL ACTIVITIES OF 2-FURYLIDENE-5-CHLORO-7- SUBSTITUTED COUMARAN-3-ONE FROM 2, 3, 5 SUBSTITUTED-B-(2' FURYL) ACRYLOPHENONE

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ABSTRACT

2 hydroxy substituted calcone (I a-d) was dissolved in DMSO and mercuric acetate was added to it. The reaction mixture was refluxed for 2-3 hours and then diluted with water. The solid separated was crystallized from rectified sprit to give coumarone 3-one i.e. aurone (II a-d).The structural elucidation of compound were done on the basis of analytical and spectral data.

Keywords: synthesis, furylidene coumarone 3-one, mercuric acetate, acrylophenone, antimicrobial activity.

INTRODUCTION

Presence of 6 position in chalcone gives aurones in AFQ reaction instated of flavonol[1].Chalcone dibromide on alkali treatement gives flavone[2].However if chalcone dibromide is kept in cold ethanol for 24 hours & then treated with alkali only aurones are obtained [3,4].We come across on intresting reaction of mercuric acetate in DMSO on chalcone. The cyclization of chalcones have been reported by earily workers[5].Mercuric acetate has been used in various synthesis specially in dehydrogenation of ergosterol and vitamin D, acetoxylation of olefins and ketones, oxidation of tertiary amine to enamines, as catalyst in vinyl ester interchange and bromination, formation of mercurated aromatic compounds and acylation[6].While DMSO as a well know solvent for elimination reaction [7,8].Flavones react with bromine in acetic acid in presence of mercuric acetate as a catalyst to give 3-bromo

flavones[9].2-hydroxy chalcone in acetic acid react with mercuric acetate gave aurone[10].Mercuric acetate-DMSO has been used for the synthesis of aurones[11-13].

Hence it was interesting to prepare aurones from 2, 3, 5 substituted-β-(2' furyl) acrylophenone. Aurones have been studied for their utilization in the synthesis of different heterocyclic compounds by O sullivan[14].Chalcone was prepared by known method[15].

EXPERIMENTAL

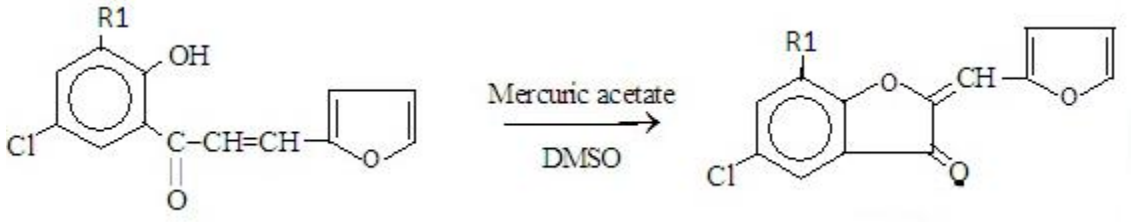
Materials and methods

Melting points were determined in an open capillary tube and are uncorrected. IR spectra were recorded on Perkin-Elmer 557 spectrophotometer.PMR spectra were recorded in $CDCl_3$ on a Bruker AC 300F spectrophotometer at 300MHz using TMS as an internal reference. Purity of the compounds was checked on silica gel-G coated plates.

Synthesis of 2-furylidene-5-chloro-7-nitro -coumaran-3-one:

2-Hydroxy-3-nitro-5-chloro-β-(2'-furyl) acrylophenone (Ia) (0.01 mole) was dissolved in DMSO solution (20 ml), mercuric acetate (0.01 mole) was added, shake the reaction mixture well and refluxed for 3 hours, and allowed to cooled.

It was then diluted with ice-cold water, to obtain the crude product, washed several time with water so that smell of DMSO get disappeared, filtered, dried and crystallized from ethanol to get olive green color crystalline solid (IIa), m.p. 58°C, yield 69%.



(I a-d) (II a-d)

RESULT AND DISCUSSION

The structure of compound (II a) has been supported by chemical data, it is olive green color crystalline solid, m.p. 58^{oc} showing negative ferric chloride test, indicating involvement

of phenolic hydroxyl group in cyclisation. Element analysis (%), found (calculated) C= 48.25 (48.29), H= 3.01 (3.02), N= 4.21 (4.20).

- IR spectra were recorded on Perkin-Elmer 557 spectrophotometer. 1704.5 (C=O stretching in cyclic ketone): 1647 (C=C stretching): 1589.3 (symmetrical aromatic –NO_2): and 1341.9(unsymmetrical aromatic –NO_2): 1262 (stretching aromatic ring); 1205 (C-O-C stretching in five member cyclic ketone); 766.1 cm-1 (C-Cl stretching).
- PMR spectra were recorded in $CDCl_3$ on a Bruker AC 300F spectrophotometer at 300MHz using TMS as an internal standard. 6.9-7.6 δ (m, 6H, aromatic-H); 7.8 δ (s, 1H, =CH).

These chemical, spectral data shows that compound (IIa) is 2-furylidene-5-chloro-7-nitro-coumaran-3-one.

Similarly all the compounds of the series were prepared in the same manner (II a – II d).

Table:-1

Synthesized compounds, M.P.'s and yields.

Comp. No.	R_1	m. p. ^{oc}	% yield
II a	NO_2	58	69
II b	H	158	60
II c	Br	140	65
II d	Cl	163	62

Antimicrobial activities

All the compounds have been screened for both antibacterial and antifungal activity using cup plate agar diffusion method[21] by measuring the inhibition zone in mm. The compounds were taken at a concentration of 1 mg/mL using dimethyl sulphoxide as solvent. Amikacin (100 ug/mL) was used as a standard for antibacterial and *fluconazole* (100 ug/mL) as a standard for antifungal activity. The compounds were screened for antibacterial activity against *Escherichia coli*, *staphylococcus aureus*, *proteus vulgaris* and *salmonellae typphi* in nutrient agar medium and for antifungal activity against *Candida guilliermondii* and *microsporum* potato dextrose agar medium

It has been observed that these compounds exhibited interesting microbial activities. II c and II d exhibited most significant activity against *salmonella* and *E.coli*, while II a, II b inhibited *S.aureus* and *P.vulgaris*.

Amongst the compounds tested for antifungal activity, compounds II a, II c and II d are active against *A. niger* and *C. guilliermondii*. Other compound II b exhibited low to moderate activity.

REFERENCES

1. J.Algar and J.P.Fiynn,Proc.Irish Acad.,42B,1,(1934).

2. T.A.Geirsman and D.K.Funkushma,J.Am.Chem.Soc.,70,1986(1948).

3. T.S.Wheeler,Proc.Ind.Acad.Sci.2,39(1935),J.Chem.Soc.1978 (1937).

4. M.G.Marathey,J.Uni.Poona,2,7 (1952).

5. Christrophey O'Miles and Lyndsay Mash,Perkin Trans.,11,1623 (1989).

6. Fisher and Fisher,Reagents from Organic Synthesis,pp 296-309,644-651 (1967).

7. A.G.Doshi,P.A.Soni and B.J.Ghiya Indian J.Chem.25B,759 (1986).

8. P.D.Lokhade and B.J.Ghiya J. Indian Chem.Soc.,68,412 (1991).

9. S.S.Sonare and A.G.Doshi J. Indian Chem.Soc.,69,879 (1992).

10. Kurosawa kazu, Bull. Chem. Soc.(Japan),42 1456, (1969).

11. A.S.sahastrabuddhe, Ph.D. Thesis, Nagpur Uni. (1992).

12. S.K.Doifode and A.G.Doshi, Oriental J.Chem. 11, 189, (1995).

13. V.B.Kadu and A.G.Doshi Oriental J.Chem. 13, 281, (1997).

14. W.Lov O'sullivan, J. Chem. Soc.Perkin Trans., 1, 115, (1981); 1557 (1989).

15. A.G.Doshi and B.J.Ghiya, Curr.Sci. (Indian), 502 (1989).