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Synthesis and antimicrobial activity of some new chalcones and flavones containing substituted naphthalene moiety

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Abstract

Seven new chalcones and flavones containing substitued naphthalene nucleus in their structure were synthesized and the structures of these compounds were confirmed by spectral data. The newly synthesized compounds were screened for antibacterial activity against *Escherichia coli* and *Stahylococcus aureus* .

Keywords: Halohydroxy substituted acetophenones, substituted naphthaldehydes, 2'-hydroxychalcones, flavones, antibacterial activity.

Introduction

The flavoniods have been reported to possess a wide range of biological activites such as antimicrobial [1-4], anticancer [5], antioxidant [6], antinocicepative [7], anti-inflammatory [8,9], antihypertensive[10] and antifeedant[11]. In view of these observations and in continuation of our work on biologically active chalcones and their heterocycles [12], we have been planned to synthesize the some new flavones (IIa-h) from chalcones (Ia-h) and also studied their antibacterial activity against *Escherichia coli* (E. coli) and *Stahylococcus aureus* (S. aureus) using Tetracycline as a standard drug.

Materials and Methods

Melting points were determined in open glass capillaries and were found uncorrected. The purity of the compounds was checked by TLC. The IR spectra of all compounds were recorded on perkin-Elmer-1420 spectrometer and ^{1}NMR spectra ($CDCl_3$) on a varian 300 MH_Z spectrometer using TMS as internal standard (δ ppm).

Synthesis of 1-(2'-hydroxy-5'-chlorophenyl)-3-(4-bromo naphtha-1-yl)-2-propen-1-one **(Id).**
2-hydroxy-5-chloroacetophenone (1.70gm: 0.01mol) and 4-bromo-naphthalene-1-carbaldehyde (2.35gm: 0.01mol) were dissolved in ethanol (25ml), under stirring aqueous KOH solution (10%, 10ml) was added dropwise. The reaction mixture was stirred at room temperature and kept at 55 ^oC for 14 hr. It was then diluted with water and acidified with Conc. HCl. The solid obtained was filtered, washed with cold water and crystllised from glacial acetic acid.
IR νmax (KBr): 3200 (-OH), 1625 (C=O), 1590, 1486 (Ring C=C), 1055 (C-O) cm^{-1}.; ^{1}H NMR (300 MHz, $CDCl_3$): δ 6.89 (d, 1H =CHα), δ 7.65 (d, 1H =$CH_β$), δ 7.13-8.68 (m, 9H, Ar-H), 12.20 (s, 1H, OH).

Similarly other compounds of the series were prepared by same method. Physical constant and analytical data of compounds (Ia-h) are recorded in table-1.

Table:-1 Physical, analytical and antibacterial activity of chalcones and flavones

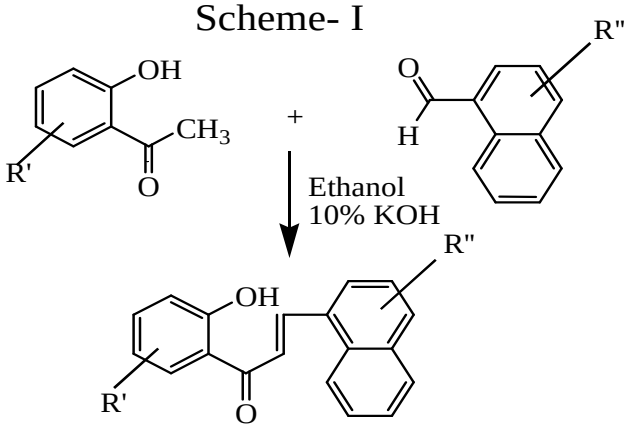
Compound No.	R'	R''	M.P. (^oC)	Molecular Formula	Halogen analysis % found (required)		Antimicrobial activity Zone of Inhibition in mm	
							E. coli	*S. aureus*
Ia)	2-OH	4-Br	160	$C_{19}H_{13}O_2Br$	02.26	(02.40)	04	09
Ib)	5-Br	4-Br	122	$C_{19}H_{12}O_2Br$	37.13	(37.00)	--	10
Ic)	3, 5-Cl	4-Br	179	$C_{19}H_{11}O_2BrCl_2$	35.78	(35.50)	18	22
Id)	5-Cl	4-Br	151	$C_{19}H_{12}O_2BrCl$	29.80	(29.71)	17	21
Ie)	3-I, 5-CH_3	2-OCH_3	102	$C_{21}H_{17}O_3Cl$	10.27	(10.00)	09	17
If)	3-I, 5-Cl	2-OCH_3	112	$C_{20}H_{14}O_3ClI$	34.22	(35.00)	14	18
Ig)	3-I, 4-CH_3, 5-Cl	2-OCH_3	142	$C_{21}H_{16}O_3ClI$	33.34	(34.00)	14	09
Ih)	3-Br, 5-CH_3	2-OCH_3	137	$C_{21}H_{17}O_3Br$	02.15	(02.02)	02	--
IIa)	----------	4-Br	210	$C_{19}H_{11}O_2Br$	02.10	(02.21)	08	03
IIb)	6-Br	4-Br	245	$C_{19}H_{10}O_2Br_2$	37.83	(38.00)	04	--
IIc)	6,8-Cl	4-Br	190	$C_{19}H_9O_2Cl_2Br$	34.36	(34.01)	14	19
IId)	6-Cl	4-Br	255	$C_{19}H_{10}O_2BrCl$	28.20	(28.21)	11	03
IIe)	8-I, 6-CH_3	2-OCH_3	205	$C_{21}H_{15}O_3I$	28.35	(28.69)	04	07
IIf)	8-I, 6-Cl	2-OCH_3	201	$C_{20}H_{12}O_3ICl$	35.40	(35.10)	18	29
IIg)	8-I, 7-CH_3 , 6-Cl	2-OCH_3	195	$C_{21}H_{14}O_3ClI$	33.88	(34.09)	14	10
IIh)	8-Br, 6-CH_3	2-OCH_3	222	$C_{21}H_{15}O_3Br$	1.87	(02.01)	09	00
	Tetracycline	----------	----	----------	-------	--------	13	21

2-(4-Bromonaphthalen-1-yl)-6-chloro-chromen-4-one **(IId):**
1-(2'-hydroxy-5'-chlorophenyl)-3-(4-bromo naphtha-1-yl)-2-propen-1-one (2.67gm: 0.01mol) dissolved in DMSO (10ml), iodine (0.127gm) was added and mixture was refluxed for 1 hr. On

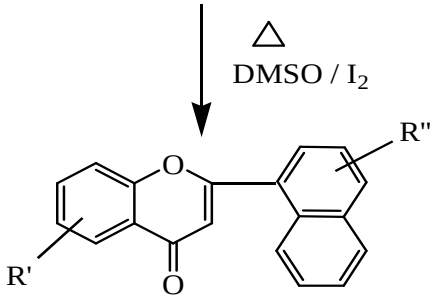
cooling up to 20 ^{o}C; solid separated. Separted solid obtained was filtered, washed with cold water and crystallised from dioxane.

IR νmax (KBr):1642 (C=O), 1580, 1475 (Ring C=C) cm^{-1}.; ^{1}H NMR (300 MHz, $CDCl_3$): δ 6.71 (s, 1H, COCH), δ 7.25-8.73 (m, 9H, Ar-H).; Similarly other compounds of the series were prepared. Physical and analytical data of the compounds (IIa-h) recorded in table-1.

Scheme- I



I (a-h)



II (a-h)

Results and Discussion

In present work chalcones were prepared by Claisen-Schmidt condensation of Subtituted 2-hydroxy acetophenones and substituted naphthaldehyde. The IR spectra of chalcones (Ia-h) showed absorption band in the region of 1625-1645 cm^{-1} (C=O) and 3090-3210 cm^{-1} (2'-OH). The ^{1}H NMR spectra futher supported for their structure and showed doublet at near δ 6.89 and another doublet at δ 7.65 due to -CH=CH- (olefinic protons) and also showed singlet in the region 12.20-13.15 due to ortho hydroxyl group.

Further chalcones (Ia-h) were converted to the corresponding flavones (IIa-h) by oxidative cyclisation of chalcones. All these flavones didn't gave violet colouration with ferric chloride solution and pink colouration with concentrated sulphuric acid. The IR spectra of flavones showed absence of band in the region 3090-3210 cm^{-1} (2'-OH). The ^{1}H NMR spectra showed

singlet at δ 6.89-7.10 due to –COCH proton and absence of singlet in the region 12.20-13.15 due to proton of ortho hydroxyl group.

All the newly synthesized compounds were evaluated for *in vitro* antibacterial activity. The results are showed in Table-1. It has been observed that compounds **Ic, Id, If, IIc** and **IIf** indicated better activity than standard Tetracycline. The remaining compounds were less active than the reference drug.

Antibacterial activity

All these chalcones and flavones were screened for their antibacterial acitvites against *Escherichia coli* and *Stahylococcus aureus* by disc diffusion method [13], using tetracycline antibiotic for comparision of activity. Compounds and tetracycline 100 µg/ml were dissolved in 5 % aqueous DMF and used.

It was found that the compounds with chloro subtituents have shown remarkable inhibition against *E. coli* and *S. aureus.*

Conclusion

In summary, we have synthesized some new 2'-hydroxychalcones by claisen-schmidt condensation and converted them into flavones. The antibacterial study show that compounds **Ic, Id, If, IIc** and **IIf** showed better zone of inhibition than standard antibiotic Tetracycline.

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