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NOTE

Synthesis, Characterization and Antimicrobial Activity of 3-Indolyl Chalcones

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A series of new 3-indolyl chalcones have been prepared from the respective indole by treating with acetyl chloride in presence of ethanol to give 3-acetyl indol (**I**) then compound **I** react with aromatic aldehyde in presence of sodium hydroxide (2 %) in ethanol to give 3-indolyl chalcones.

Key Words: Synthesis, Indole derivatives, Antimicrobial activity.

Substituted indoles are associated with psychotropic[1], antiinflammatory[2-5] CNS depressant, anticonvulsant and antimicrobial activities. Indomethacin and tenicap are indole derivatives which were found to possess antiinflammatory activity. Various indole derivatives were found to possess potent pharmacodynamic properties *i.e.*, bactericidal anticonvulsant and cordiovascular, *etc.*

Melting points were taken in open capilaries and are uncorrected IR spectra were recorded on Shimadzu FTIR-instrument. ^{1}H NMR spectra were recorded on Bruker spectrophotometer using TMS as an internal standard. The purity of synthesized compounds were routinely chekced by TLC.

Synthesis of 3-acetyl indole (I): To a solution of indole (0.01 mol) in chloroform (dry 100 mL) acetyl chloride (0.02 mol) was added dropwise at 0.5 ºC with constant stirring. The reaction mixture was stirred for 2 h on magnetic stirrer. Excess of the solvent was distilled off and the separate mass was poured into ice water, the resulting solid was filtered and washed with water then recrystallized from methanol. The purity was established by single spot on TLC plates.

Synthesis of 3-indolyl chalcones (II): To a solution of compound **I** (0.01 mol) in methanol (950 mL) various aromatic aldehydes (0.01 mol) was added in the presence of 2 % NaOH solution (5 mL) at 0.5 ºC. The reaction mixture was stirred for 6 h on magnetic stirrer at room temperature and poured into ice water the resulting solid was wahsed several times with water and recrystallized.

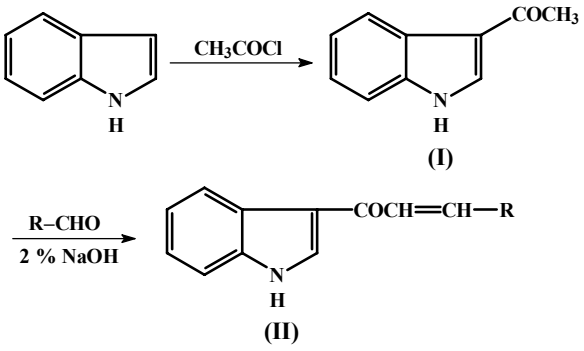
IR spectra of **IIa** (KBr, ν_{max}, cm^{-1}) 3055 (Ar-H *str.*), 3414 (N-H *str.*), 1456 (C-N *str.*), 1662 (C=O *str.*), 1575 (C=C *str.*), 1276 (C-O *str.*). ^{1}H NMR (δ ppm) 2.3 (d, 1H, COH=CH-), 2.8 (d, 1H, COCH=CH), 6.9 (S, 1H, OH), 5.8 (S, 1H, NH), 5.1 (S, 1H, indole), 6.2 (m, 4H, indole), 6.9 (m, 4H, C_6H_4OH).

Similarly, other members of **II(a-i)** were prepared and their physical and analytical data are presented in Table-1.

TABLE-1
PHYSICAL AND ANALYTICAL DATA OF COMPOUNDS (**IIa-i**)

Compd. No. (R)	m.f. (m.w.)	m.p. (ºC) / Yield (%)	Elemental analysis %: Found (Calcd.)		
			C	H	N
IIa	$C_{17}H_{13}NO_2$	215	77.56	4.94	5.32
(2-Hydroxy phenyl)	(263.0)	(70)	(77.57)	(4.85)	(5.34)
IIb	$C_{17}H_{13}NOCl$	210	72.46	4.26	4.97
(4-Chloro phenyl)	(281.5)	(72)	(72.47)	(4.28)	(4.98)
IIc	$C_{17}H_{13}NOCl$	212	72.46	4.26	4.97
(2-Chloro phenyl)	(281.5)	(69)	(72.47)	(4.28)	(4.99)
IId	$C_{17}H_{13}NO_2$	216	77.56	4.94	3.52
(4-Hydroxy phenyl)	(263.0)	(74)	(77.57)	(4.93)	(5.34)
IIe	$C_{17}H_{13}NO$	160	76.40	3.54	5.24
(Phenyl)	(267.0)	(65)	(76.42)	(3.55)	(5.26)
IIf	$C_{18}H_{15}NO_2$	140	77.97	5.41	5.05
(4-Methoxy phenyl)	(277.0)	(68)	(77.99)	(5.43)	(5.07)
IIg	$C_{17}H_{13}N_2O_3$	230	73.38	4.32	10.07
(2-Nitrophenyl)	(278.0)	(62)	(73.39)	(4.32)	(10.09)
IIh	$C_{18}H_{14}NO_3$	200	76.97	4.99	4.79
(4-Hydroxy-3-methoy phenyl)	(292.0)	(75)	(76.98)	(4.80)	(4.78)
IIi	$C_{17}H_{12}NOCl$	214	72.46	4.26	4.97
(3-Chloro phenyl)	(281.5)	(70)	(72.47)	(4.28)	(4.98)

Reaction sequence:



All the compounds were screened *in vitro* for their antimicrobial activity against bacteria *Bacillus subtillis*. *Escherichia coli*, *Shigella dysenteriae* and fungi *Aspergillus* species *Candida albicans*, *Trichoderma viridae* using DMF as solvent by agar-cup plate method at 100 µg/mL, concentration. After 24 h of incubation at 37 ºC, the zones of inhibition were measured in mm.

The maximum activity was found in **IIb**, **IIc**, **IIg**, **IIh**, **IIi** for *B. subtillis*, **IIa**, **IIb**, **IIc**, **IIf**, **IIi** for *E. coli* and **IIa**, **IIc**, **IId**, **IIf**, **IIg**, **IIh**, **IIi** were found active against *S. dysenteriae*. Most of the compounds were found moderately active against *S. dysenteride*. Antimicrobial data have been listed in Table-2.

TABLE-2
ANTIMICROBIAL ACTIVITY DATA OF COMPOUNDS (**IIa-i**)

Compd. No.	Antibacterial activity (mm)					
	Bacillus subtillis		*Escherichia coli*		*Shigella dysenteriae*	
	4 %	2 %	4 %	2 %	4 %	2 %
IIa	16	10	21	6	23	16
IIb	17	3	17	7	16	8
IIc	19	8	18	9	22	14
IId	14	11	14	4	20	11
IIe	16	7	12	9	18	9
IIf	15	7	16	7	19	7
IIg	19	9	12	5	21	10
IIh	20	12	10	8	19	7
IIi	24	18	19	10	24	19
Streptomycin (Std.)	18	12	26	20	25	15
Compd. No.	Antifungal activity (mm)					
	Trichoderma viridae		*Aspergillus*		*Candida albicans*	
	4 %	2 %	4 %	2 %	4 %	2 %
IIa	24	18	18	9	16	11
IIb	25	18	19	9	19	10
IIc	23	8	17	7	11	4
IId	21	11	21	12	23	12
IIe	23	12	20	10	18	9
IIf	27	16	14	7	21	14
IIg	24	13	16	9	23	17
IIh	12	6	19	6	19	8
IIi	29	18	29	18	24	19
Griseofulvin (Std.)	22	12	24	18	27	21

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