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Synthesis and Biological study of some new BIS - (Substiuted Aroyl Pyrazolinyl) Methanes Part - I

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1 Research Paper - Chemistry

Pyrazolines are potential bioactive agents 1-4. Due to their wide spectrum of pharmacological activites like antiinflammatory, antiviral and anti HIV. Pyra30line derivative represent most active class of compds having wide spectrum of biological activities, so it was decided to synthesised some new pyrazolines derivative with hope that they may possess better antimicrobial activities. Pyrazoline moieties represent important building blocks for both natural and synthetic bioactive which have been shown to possess diverse therapuatic activities.

The synthesis of bis (4- aroyl,-1benzoyl, 5-aryl, 3-pyrazolinyl, 2-hydroxy, 4- oxyphenyl) methane (III) have been synthesised by refluxing bis (2-aroyl, 3-aryl, 7-oxy, 2,3 di hydrochromonyl) methane with phenyl benzoicacidazide in pyridine medium. Compd (II) is synthesised by condensation of aldehyde with bis (1,3 dionylpropane, 2-hydroxy, 7- oxyphenyl) methane (I). The NMR spectra was recorded in $CDcl_3$. The observed chemical shift are 6.5δ (Ar-H), 5.78 δ (-o-CH_2-o-), 5.10 δ (-c-H) and 3.36 (<CH_2) proton. From the NMR spectral analysis, the compd (IIIa) assigned the structure bis (4-benzoyl, 1-benzoyl, 5- phenyl, 3 - pyrazolinyl, 2-hydroxy 4- oxyphenyl) methane.

Antimicrobial activity :-

The antimicrobial activity was assayed by using the cup-plate agar diffusion method by measuring the zone of inhibition in mm. New synthesised compds were screened in vitro for their antimicrobial activity against variety if bacterial strain such as S. epidermis ATCC 12228. S. aures ATCC 25925. E. coli ATCC 25922. Fungi like A. niger ATCC 9029 at ug/ml concentration, standered druge like Amoxicillin, Benzyl,-penicillin, Erythromycin and Griseofulvein were used for comparism. The results are given Table 2.

Experimental :-

Melting point are uncorrected and recorded on electro thermal apparatus using open capallaries.

Preparation of bis (1,3- dionyl propane 2- hydroxyl, 4- oxyphenyl) methane (I)

Bis (1- acetyl, 2-hydroxy, 4-oxyphenyl) methane was prepared by inter - o - halogenation of rasacetophenone by known procedure. Compd (I) was prepared by esterification of bis (1-acetyl, 2- hydroxyl, 4- oxyphenyl) methane followed by Baker venkatram transformation by known procedure.

Preparation of bis (2- aroyl, 3- aryl, 7- oxy, 2,3 - dihydro chromonyl) methane (II) Compound (I) (.01 mole) and aromatic aldehyde (.02 mole) were refluxed in pyridine medium for 2 hrs. The crude product obtained was crystallized from ethanol.

Preparation of bis (4-aroyl,1-benzoyl, 5-phenyl, 3-pyrzolinyl, 2-hydroxy, 4-oxyphenyl) methane (III)

Compound (II) (.01 mole) and benzoic acid hydrzide (.02 mole) were refluxed in pyridine medium (20ml) for 2 hrs. The reaction mixture was poured in cold water and decomposed by dil HCl The crude obtained was crystallized from ethanol.

Table - 1

S.No.	Compound	Melting point in °c
1)	Bis (2- benzoyl, 3-phenyl, 7- oxy, 2,3 - dihydro Chromonyl) methane IIa	175
2)	Bis - (bis (2 - benzoyl, 3- anisyl, 7- oxy 2,3 - dihydro chromonyl) methane IIb	180
3)	Bis (2 - benzoyl,(31,41- methylendioxyphenyl); 2,3 - dihydro chromonyl) methane IIc	185
4)	Bis (2 - anisoyl, 3- phenyl, 7- oxy, 2,3 - dihydro chromonyl) methane IId	160
5)	Bis (2 - anisoyl, 3- anisyl, 7- oxy, 2,3 - dihydro chromonyl) methane IIIe	170
6)	Bis (2 - anisoyl, 3-(31,41- methylendioxyphenyl , 2,3 - dihydro chromonyl) methane IIIf	181
7)	Bis (2- benzoyl, 1- benzoyl, 5-phenyl, 3 - pyrazolinyl 2- hydroxy, 4- oxyphenyl) methane IIIa	220
8)	Bis (4- benzoyl, 1- benzoyl, 5-anisyl, 3 - pyrazolinyl 2- hydroxyl, 4- oxyphenyl) methane IIIb	230
9)	Bis (4- ben30yl, 1- benzoyl, 5-(31,41- methylendioxyphenyl , 2- hydroxyl, 4- oxyphenyl) methane IIIc	245
10)	Bis (4- anisoyl1- benzoyl, 5-phenyl, 3 - pyrazolinyl 2- hydroxyl, 4- oxyphenyl) methane IIId	250
11)	Bis (4- anisoyl, 1- benzoyl, 5-anisyl, 3 - pyrazolinyl 2- hydroxyl, 4- oxyphenyl) methane IIIe	260
12)	Bis (4- anisoyl, 1- benzoyl,5- (31,41- methylendioxyphenyl), 3 - pyrazolinyl, 2- hydroxyl, 4- oxyphenyl) methane IIIf	250

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Scheme

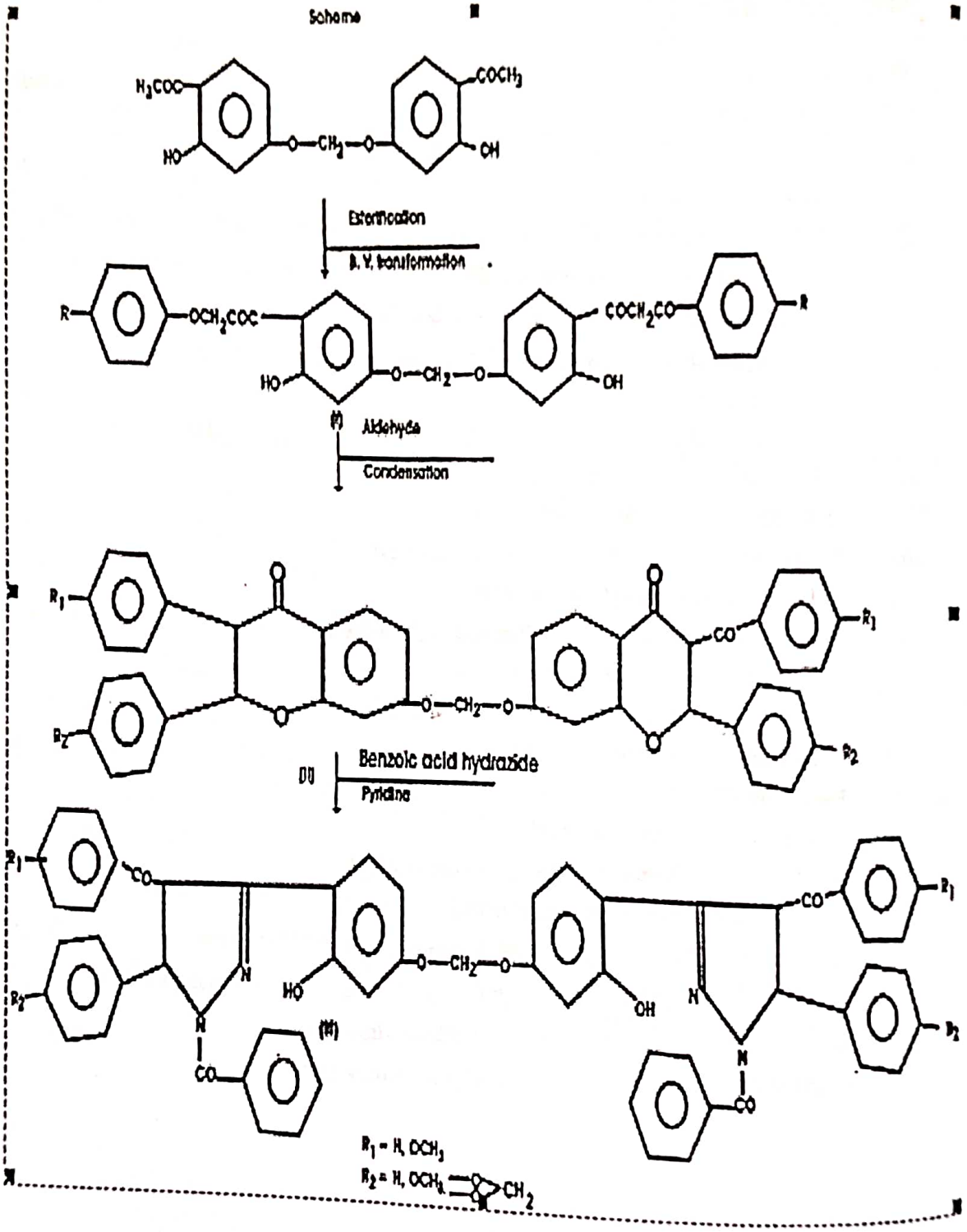

Table -2. Antimicrobial activities screening results

	Zone of inhibition in mm			
	antibacterial activity (%)			Antifungal (%) activity
Compound	S.aureus	S.epidermis	E.coli	A. niger
IIa	60	38	55	65
IIb	65	76	60	68
IIc	50	59	90	55
IId	60	90	73	43
IIe	60	75	40	59
IIf	75	45	41	81
IIIa	65	59	91	76
IIIb	70	64	50	78
IIIc	60	70	71	74
IIId	45	65	77	50
IIIe	52	70	80	60
IIf	65	72	67	56
Amoxillin		100	100	100
Ciproflaxacin	100	100	100	--
Erythromycin	100	100	100	--
Greseofulvin	--	--	--	100

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