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A Biological Evaluation Of 3-(2-Hydroxy–3,4-Benzophenyl-5-Methoxy)-5-Aryl–1-Substituted Pyrazolines

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ABSTRACT
1-(2-hydroxy-3,4-benzophenyl-5-methoxy)-3aryl-prop-2-ene-1-one & semicarbazide / thiosemicarbazide / phenylhydrazine were added to DMF and the mixture was refluxed for about 2 hours . The reaction mixture was cooled and diluted with water. The semisolid so obtained was triturated with ethanol to get a solid which was recrystallised from ethanol–acetic acid mixture to obtain titled pyrazolines The synthesized compounds were characterized by elemental analysis, 1H NMR, IR Spectroscopy. All Newly synthesized compound were scanned for their antimicrobial and antifungal activity and all newly synthesized compounds shows an excellent antimicrobial and antifungal activities.

Key Words: Pyrazoline, Antimicrobial activities , Antifungal activities.

INTRODUCTION

Most of the heterocyclic compounds containing nitrogen posses good antimicrobial and antifungal activities.[1-4] Heterocyclic compounds containing nitrogen are widely distributed in nature and play an important role in the metabolism of all living cells. Pyrazolines have emerged as a group of compounds possessing a broad spectrum of useful biological activities like antitumor[5], antitubercular[6], , anticonvulsant[7] antidiabetic[8] ,antiacetylcholinesterase[9] antinociceptive[10] antiamoebic[11], antiproliferative[12], cytoxicactivities[13] and anticancer activities [14] Pyrazolines are used extensively as useful synthons in organic synthesis[15-16].

Present work deals with the biological study specially antimicrobial and antifungal study of 3-(2-hydroxy–3,4-benzophenyl-5-methoxy)-5-aryl–1-substituted Pyrazolines.

EXPERIMENTAL

All the melting points were taken in silicon oil bath with open capillary tubes and are uncorrected. The structures of titled compounds were established on the basis of elemental analysis and spectral data. Thin Layer Chromatography on silica gel-G, was used to check the purity of the compounds.

The medium used throughout the experiment was HI-Media (Indian make) nutrient agar. For sterilization autoclave is used. The size of zones of inhibition were measured by antibiotic zone reader (Metzer Make).

METHODOLOGY

Synthesis of 2-Acetyl- 4 methoxy-1-naphthol

2-Acetyl- 4 methoxy-1-naphthol was prepared by refluxing 4-methoxy -1-naphthol with glacial acetic acid in presence of fused $ZnCl_2$.

Synthesis of 1-(2-Hydroxy-3,4-benzophenyl-5-methoxy)-3-aryl-prop-2-ene-1-one

1-(2-Hydroxy-3,4-benzophenyl-5-methoxy)-3-aryl-prop-2-ene-1-one were synthesized from 2-acetyl-4-methoxy-1-naphthol by condensing it with aromatic aldehydes.

Synthesis of 3-(2-hydroxy–3,4-benzophenyl-5-methoxy)-5-aryl–1-substituted Pyrazolines

1-(2-hydroxy-3,4-benzophenyl-5-methoxy)-3aryl-prop-2-ene-1-one & semicarbazide / thiosemicarbazide / phenylhydrazine /isonicotinic acid hydrazide were added to DMF and the mixture was refluxed for about 2 hours . The reaction mixture was cooled and diluted with water. The semisolid so obtained was triturated with ethanol to get a solid which was recrystallised from ethanol–acetic acid mixture to obtain titled pyrazolines

Antimicrobial activity

All above pyrazoline derivatives have been studied for their antimicrobial activity against Escherichia coli, Proteus mirabilis, Staphylococcus aureas, Pseudomonas aeruginosa,. The culture of each species was incubated at 37°C and the zone of inhibition was measured after 24 hr. Most of these compounds were found active Activities of titled compounds are summarized in table 1

Antifungal activity

The compounds were taken for screening of antifungal activity against Candida albicans and Aspergillus niger grown on the potato-dextrose-agar medium using disc diffusion method. The procedure followed for the preparation of test sample was same as that for antimicrobial evaluation. Activities of titled compounds are summarized in table 1

Table 1. PHYSICAL DATA OF SYNTHESIZED COMPOUNDS.

Compound	R	R1	R2	Melting Point °C	% Yield	Antimicrobial activity				Antifungal activity
						B.Subtilis	P. Vulgaris	S. aureus	S. Typhi	C.albicans
1	C_6H_5	H	H	227 °C	42%	12	15	17	16	14
2	C_6H_5	OCH_3	H	250 °C	39%	15	17	16	09	18
3	C_6H_5	OCH_3	OCH_3	260 °C	40%	18	17	12	10	16
4	C_6H_5	OH	H	270 °C	43%	15	13	15	16	13
5	C_6H_5	Cl	H	255 °C	46%	16	15	16	17	11
6	$CONH_2$	H	H	236 °C	42%	13	14	16	13	17
7	$CONH_2$	OCH_3	H	240 °C	38%	17	14	13	15	18
8	$CONH_2$	OCH_3	OCH_3	198 °C	41%	07	15	15	18	13
9	$CONH_2$	OH	H	210 °C	40%	14	15	13	15	14
10	$CONH_2$	Cl	H	220 °C	38%	15	14	16	17	08
11	$CSNH_2$	H	H	225 °C	36%	13	15	16	14	14
12	$CSNH_2$	OCH_3	H	219 °C	38%	15	14	16	13	17
13	$CSNH_2$	OCH_3	OCH_3	196 °C	41%	16	15	17	12	16
14	$CSNH_2$	OH	H	268 °C	42%	15	14	16	14	14
15	$CSNH_2$	Cl	H	270 °C	45%	18	16	14	17	13

Strongly active , range 15-18 Weakly active, range 7-10 mm
Moderately active, range 11-14mm Inactive, -

CONCLUSION

Thus from above results it was observed that most of heterocyclic were found more or less effective against *Escherichia coli, Proteus mirabilis, Pseudomonas aeruginosa, Staphylococcus aureus, Candida albicans* and *Aspergillus niger.* So those compounds can be easily be used for the treatment of diseases caused by test pathogens, only when they does not have toxic and other side effects.

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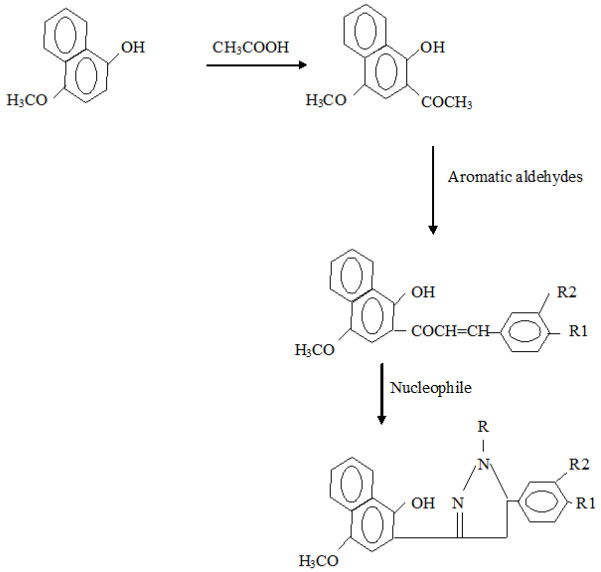

R = C_6H_5, $CONH_2$, $CSNH_2$ R1 = H, OCH_3, Cl & OH R2 = H & OCH_3

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