



ANTIMICROBIAL STUDY OF 1,1-BIS- {2-HYDROXY-3-(1'-PHENYL-5'-ARYL-PYRAZOLIN 3'-YL)-5 METHYL PHENYL} METHANE

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ABSTRACT

Titled bis-pyrazolines have been synthesized by condensation of phenylhydrazine hydrochloride with bis-chalcones in pyridine medium. All compounds have been evaluated for their *in vitro* growth of inhibitory activity against *Staphylococcus aureus*, *Escherichia coli*, *Proteus mirabilis* and *Salmonella Typhi* using paper disc-method. The culture medium was nutrient agar medium. Most of the titled bis-pyrazolines were more or less effective against these microorganisms.

Key words: Bis-pyrazolines, Antimicrobial activity.

INTRODUCTION

Pyrazolines have diverse chemical reactivity with broad spectrum of biological activity¹, variety of applications and an important role in medicinal chemistry. Substituted pyrazolines were found to possess antimicrobial activity.²⁻⁵ In addition, they show anti-inflammatory⁶, antipyretic activity⁷, fungicidal activity^{8,9} and insecticidal activity¹⁰ also.

It was thought of interest to study the antimicrobial activity of bis-pyrazolines against gram + ve and gram –ve microorganisms. All compounds have been evaluated for their *in vitro* inhibitory activity against different microorganisms like *Staphylococcus aureus*, *Escherichia coli*, *Proteus mirabilis* and *Salmonella typhi*.

The following bis-pyrazolines were selected for antimicrobial activity studies

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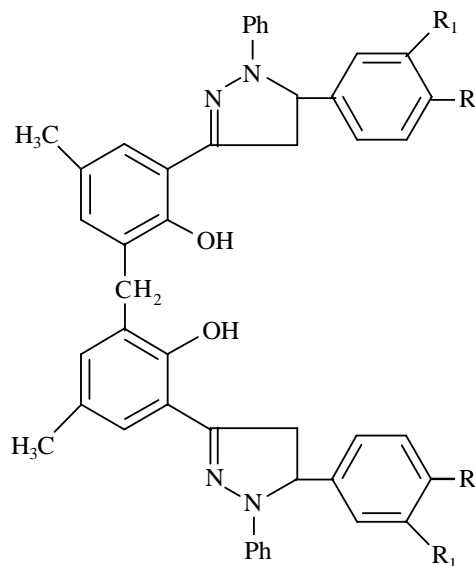


Fig. 1: Bis-pyrazolines (1a-h)

EXPERIMENTAL

Bis-pyrazolines were synthesized by the condensation of phenylhydrazine hydrochloride with bis-chalcones in pyridine medium.

The structures of synthesized compounds were characterized by spectral study and elemental analysis¹¹ (UV, IR & NMR).

All compounds were screened for antimicrobial activity. These compounds were tested against *Staphylococcus aureus*, *Escherichia coli*, *Proteus mirabilis* and *Salmonella typhi* four pathogenic microbes for their antibacterial activity using paper disc method at the concentration of 50 µg/mL using DMF as a solvent. The culture medium used was nutrient agar medium. Zones of inhibitions were measured in mm and recorded in Table 2.

Table 1: Physical data of 1,1-bis {2-hydroxy-3-[1'-phenyl-5'-aryl pyrazolin-3'-yl]-5-methyl phenyl} methanes (1a-h)

Comp.	R	R ₁	M.P. (°C)	Yield (%)	M.F.	N%	
						Found	Calc.
1a	H	H	228-231	75	C ₄₅ H ₄₀ N ₄ O ₂	8.16	8.38

Cont...

Comp.	R	R ₁	M.P. (°C)	Yield (%)	M.F.	N%	
						Found	Calc.
1b	OCH ₃	H	218-222	74	C ₄₇ H ₄₄ N ₄ O ₄	7.53	7.69
1c	OH	OCH ₃	265-267	72	C ₄₇ H ₄₄ N ₄ O ₆	7.12	7.36
1d	OH	H	268	78	C ₄₅ H ₄₀ N ₄ O ₄	9.62	8.00
1e	NO ₂	H	278	80	C ₄₅ H ₃₈ N ₆ O ₆	12.47	11.08
1f	N(CH ₃) ₂	H	201	85	C ₄₉ H ₅₀ N ₆ O ₂	10.35	11.14
1g	H	OCH ₃	191-201	70	C ₄₇ H ₄₄ N ₄ O ₄	7.27	7.69
1h	OCH ₃	OCH ₃	235-238	72	C ₄₉ H ₄₈ N ₄ O ₂	7.03	7.10

Table 2: Antimicrobial activities of 1,1-Bis {2-hydroxy-3-[1'-phenyl-5'-aryl pyrazolin-3'-yl]-5-methyl phenyl} methanes (1a-h)

Compounds	<i>S. aureus</i>	<i>E. coli</i>	<i>Pr. mirabilis</i>	<i>S. typhi</i>
1a	-	+	+	-
1b	-	-	+	-
1c	++	-	-	-
1d	+	+	+	-
1e	++	-	-	++
1f	-	-	-	+++
1g	-	++	++	-
1h	-	++	+	+

N.B. : Zone of inhibition: - : Inactive (Resistance); + : Weakly active; ++ : Moderately active; +++ : Strongly active

RESULTS AND DISCUSSION

The titled compounds were screened for their antimicrobial activities using microorganisms; *S. aureus*, *E. coli*, *Pr. mirabilis*, and *S. typhi* are as follows.

From Table 2, compound (**1a**) showed weak activity towards *E. coli* and *Pr. mirabilis* and was inactive towards *S. aureus* and *S. typhi*.

The compound (**1b**) showed weak activity towards *Pr. mirabilis*, but was inactive towards *S. aureus*, *E. coli* and *S. typhi*.

The compound (**1c**) showed moderate activity against *S. aureus* but against others, it was inactive.

The compound (**1d**) showed weak activity against *S. aureus*, *E. coli*, and *Pr. Mirabilis*, except *S. Typhi* is inactive.

The compound (**1e**) showed moderate activity against *S. auresus* and *S. typhi* but it was inactive against *E. coli* and *Pr. mirabilis*.

The compound (**1f**) showed strong activity against *S. typhi* and was inactive towards all other *S. aureus*, *E. coli* and *Pr. mirabilis*.

The compound (**1g**) showed moderate activity against *E. coli* and *Pr. mirabilis* and inactive towards *S. aureus* and *S. typhi*.

The compound (**1h**) showed moderate activity against *E. coli*; weakly active against *Pr. mirabilis*, *S. typhi* and inactive against *S. aureus*.

It has been also found that the antimicrobial activities of the test compounds increases with increase in its structure complexity.

Hence from screening results, it was found that most of the bis-pyrazolines are found to be more or less effective against these microorganisms and can be used for treatment of diseases only if they do not have any toxic and other side effects.

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