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Synthesis and Antimicrobial Studies of Some 3-Coumaryl-5-aryl Pyrazolines and Pyrazoles

N.R. THAKARE* and V.S. JAMODE†
Department of Chemistry, Shri Shivaji Science College, Amravati-444 603, India
E-mail: nileshthakare19@rediffmail.com

Some 3-coumaryl-5-aryl pyrazolines (**7a-f**), (**8a-f**), (**9a-f**) and pyrazoles (**10a-f**) were synthesized and tested for antimicrobial activities against *S. aureus*, *E. coli*, *Pr. mirabilis*, *Ps. aeruginosa*. All these compounds were found effective against almost all micro-organisms.

Key Words: Synthesis, Antimicrobial, 3-Coumaryl-5-aryl pyrazolines, Pyrazoles.

INTRODUCTION

Phenyl pyrazole derivatives are reported as effective antidiabetics[1]. Pyrazolines are known to have bactericidal, fungicidal properties[2]. Some substituted pyrazolines are found effective on killing houseflies on contact[3]. Pyrazolines also possess insecticidal properties[4]. Alkyl pyrazole derivatives have also been reported as hypolidermic agents[5]. Antimicrobial activity of hydroxy aryl pyrazoles obtained from hydroxy aryl pyrazolines are reported[6]. Antimicrobial activities of coumarino pyrazole have been reported earlier[7]. Antimicrobial activities of some new pyrazolines and phenyl pyrazolines have also been reported[8]. In view of our interest in heterocyclic compounds we are reporting antimicrobial study of new 3-coumaryl-5-aryl pyrazolines and pyrazoles.

EXPERIMENTAL

Preparation of 3-coumaryl-4-aroyl-5-aryl pyrazolines (7a-f), (8a-f), (9a-f): 2-Aryl-3-[4-methoxybenzoyl]-5,6-[4-methyl-7,8-coumaryl] flavanones (0.01 mol) was refluxed with isoniazid/hydrazine/semicarbazide (0.02 mol) for about 8 h in pyridine solvent. The reaction mixture was decomposed by acidified water. The product obtained was filtered, washed with water and crystallized from acetic acid. The structures of these compounds have been established on the basis of elemental analysis and spectral analysis[9].

†Department of Chemistry, Sant Gadge Baba Amravati University, Amravati-444 602, India.

Preparation of 3-(8-coumarinyl)-5-aryl pyrazoles (10a-f): 1-Coumaryl-3-arylpropane-1,3-dione (0.01 mol) was refluxed with hydrazine/isoniazid/semicarbazide (0.02 mol) for *ca.* 4 h in pyridine solvent. The reaction mixture was then decomposed by acidified water. The product obtained was filtered, washed with water and crystallized from acetic acid. The structures of these compounds have been established on the basis of elemental analysis and spectral analysis[10].

Antimicrobial activity: The present compounds were screened for their antimicrobial activities against pathogenic bacteria. The bacterial organism used in the present investigation were isolated from human beings with characteristic infections and diseases. The pathogens used include *S. aureus, E. coli, P. mirabilis, P. aeruginosa*. The paper disc method[11] was used at a concentration of 25 µg/mL in DMF solvent. After 24 h of inhibition at 37°C, the zones of inhibition were measured in mm.

RESULTS AND DISCUSSION

The antimicrobial activities were recorded in Tables 1-4. From the activity data it was found that, compounds **7a** and **7c** (Table -1) showed strong activity against *P. aeruginosa* and *S. aureus*. Compounds **8c** and **8f** (Table-2) showed strong activity against *S. aureus*. Compounds **9a**, **9b** and

TABLE-1
ANTIMICROBIAL ACTIVITIES OF 1-PYRIDOYL-3-(4-METHYL-7-HYDROXY-8-COUMARINYL)-4-AROYL-5-ARYLPYRAZOLINES (**7a-f**)

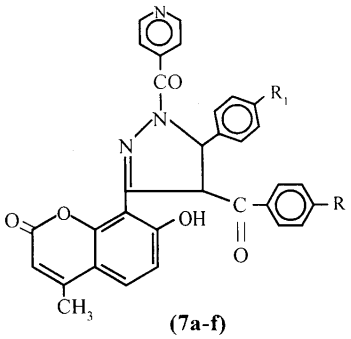
Organisms	**7a**	**7b**	**7c**	**7d**	**7e**	**7f**
S. aureus	++	++	++++	+	++	+++
E. coli	+++	++	+++	++	+++	+++
Pr. mirabilis	+	+++	+++	+++	+	+
Ps. aeruginosa	++++	+	++	+++	+++	+

++++ = Strongly active range > 12 mm; ++ = Weakly active range < 8 mm; +++ = Moderately active range 8-12 mm; + = Inactive

TABLE-2
ANTIMICROBIAL ACTIVITIES OF 1-H-3-(4-METHYL-7-HYDROXY-8-COUMARINYL)-4-AROYL-5-ARYLPYRAZOLINES (**8a-f**)

Organisms	**8a**	**8b**	**8c**	**8d**	**8e**	**8f**
S. aureus	+++	++	++++	++	+	++++
E. coli	+++	++	+++	+++	++	+++
Pr. mirabilis	++	+++	++	+	+++	++
Ps. aeruginosa	+	++	++	+++	+	+

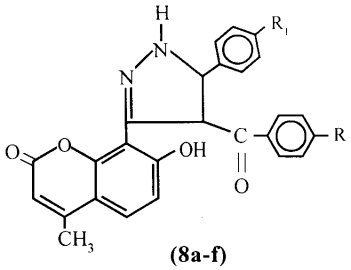
++++ = Strongly active range > 12 mm; ++ = Weakly active range < 8 mm; +++ = Moderately active range 8-12 mm; + = Inactive



(7a-f)

R_1 : H, $-OCH_3$, $-OH$

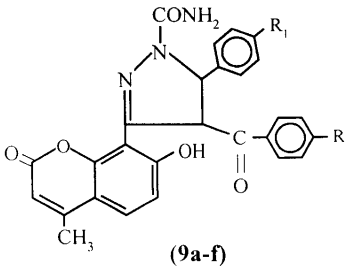
R : H, $-OCH_3$



(8a-f)

R_1 : H, $-OCH_3$, $-OH$

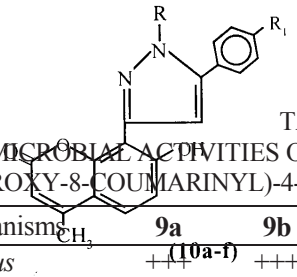
R : H, $-OCH_3$



(9a-f)

R_1 : H, $-OCH_3$, $-OH$

R : H, $-OCH_3$



(10a-f)

R_1 : H, $-OCH_3$

TABLE-3

ANTIMICROBIAL ACTIVITIES OF 1-CARBOXAMIDO-3-(4-METHY
HYDROXY-8-COUMARINYL)-4-AROYL-5-ARYLPYRAZOLINES (**9**

Organisms	**9a**	**9b**	**9c**	**9d**	**9e**	
S. aureus	+++	+++	++	+	++	-
E. coli	++++	+++	+	+++	++	-
Pr. mirabilis	++	++	+++	++	+	
Ps. aeruginosa	++	++++	+++	++++	+++	

++++ = Strongly active range > 12 mm; ++ = Weakly active range < 8 m
+++ = Moderately active range 8-12 mm; + = Inactive

Scheme

TABLE-4
ANTIMICROBIAL ACTIVITIES OF 1-PYRIDOYL/H/CARBOXAMIDO-3-(4-METHYL-7-HYDROXY-8-COUMARINYL)-5-ARYLPYRAZOLES (1**0a-f**)

Organisms	**10a**	**10b**	**10c**	**10d**	**10e**	**10f**
S. aureus	++++	+++	+++	++	+++	+++
E. coli	++	+	++	++	++++	++
Pr. mirabilis	+++	++	+++	+++	+++	+
Ps. aeruginosa	+++	+++	++++	+	++	+++

++++ = Strongly active range > 12 mm; ++ = Weakly active range < 8 mm; +++ = Moderately active range 8-12 mm; + = Inactive

9d (Table-3) showed strong activity against *E. coli* and *P. aeruginosa*. Similarly, compounds **10a**, **10c** and **10e** (Table-4) showed strong activity against *S. aureus, P. aeruginosa* and *E. coli*, while other compounds showed moderate and weak activity against pathogens.

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