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Synthesis and Antibacterial Screening of 2-(*p*-Acetamidophenoxy)-1-aryl-3-phenyl-3-oxo-prop-1-ene(substituted)pyrazoles

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A new series of substituted pyrazoles as 2-(*p*-acetamidophenoxy)-1-aryl-3-phenyl-3-oxo-prop-1-ene pyrazoles was synthesized (**4a-e**). The compounds were evaluated for antibacterial activity using *B. substilis, S. aureus, P. vulgareus* and *E. coli*. All the compounds exhibited moderate antibacterial activity.

Key Words: Pyrazoles as 2-(*p*-acetamidophenoxy)-1-aryl-3-phenyl-3-oxo-prop-1-ene pyrazoles, Antibacterial activity.

INTRODUCTION

The chemistry of pyrazoles and its derivatives has known over 90 years. The development of pyrazolidine chemistry parallel to that of pyrazoles. Recently, pyrazole compounds have attracted maximum attraction due their varied physiological and pharmacological properties[1-3]. Some of these are found to exhibit antipyretic, antiarthritic, analgesic, antirheumatic, antibacterial and antiinflammatory activities. In the present study, 2-(*p*-acetamidophenoxy)-1-aryl-3-phenyl-3-oxo-prop-1-ene(substituted)pyrazoles were synthesized and their antibacterial activities were evaluated.

EXPERIMENTAL

To a solution of acetophenone (100 mL) in acetic acid (150 mL) was added bromine (30 mL) in acetic acid. After complete addition of bromine the contents were shaken for 10-15 min and allowed to stand for 20-30 min. the mixture is decomposed in crushed ice, the solid separated was filtered and washed with little absolute alcohol (m.p. 60 °C, yield 80 %).

The solution of 4-acetamidophenol **2** (0.132 mol) in ethanolic KOH (0.4 mol) was added phenacyl bromide **1** (0.4 mol) and mixture was refluxed for 3 h. The solution was filtered; the solid that separated out on cooling was collected by filteration, dried and crystallized from ethanol to give **3** as colourless flakes (m.p. 180 °C, yield 82 %).

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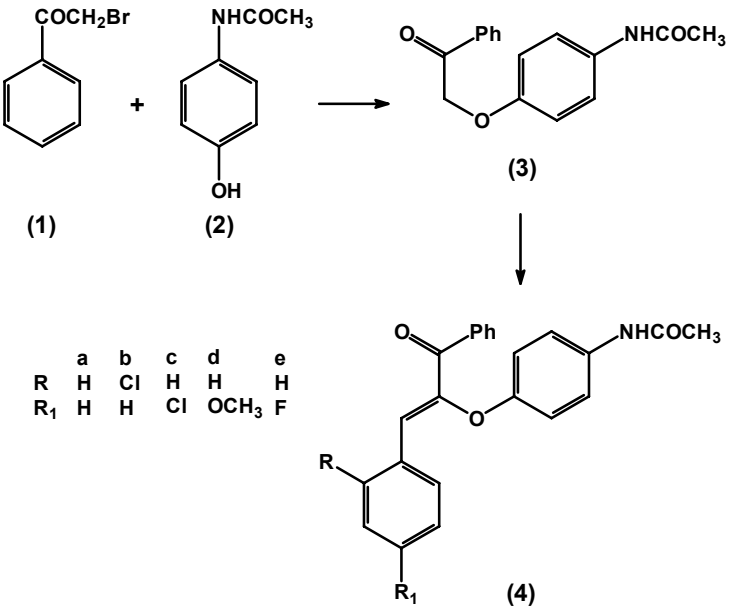

Scheme-I

A mixture of **3** (0.01 mol) and approximately substituted benzaldehyde (0.01 mol) in 50 mL was added 20 % KOH (10 mL) with constant stirring. The reaction mixture was stirred at room temperature for 16 h. The separated solid colleted by filteration and crystallized from suitable solvent (**4a-e**, Table-1).

TABLE-1
2-(*p*-ACETAMIDOPHENOXY)-1-ARYL-3-PHENYL-3-OXO-PROP-1-ENE (**4a-e**)

Compd.	Substituents		m.p. (ºC)	Yield (%)	Solvent	m.f.
	R	R_1				
4a	H	H	156-158	82	Benzene	$C_{23}H_{19}NO_3$
4b	Cl	H	162-164	86	Benzene	$C_{23}H_{18}NO_3Cl$
4c	H	Cl	158-160	65	Benzene	$C_{23}H_{18}NO_3Cl$
4d	H	OCH_3	181-182	71	Benzene	$C_{24}H_{21}NO_4$
4e	H	F	170-172	76	Benzene	$C_{23}H_{18}NO_3F$

Obtained substitutes subjected antimicrobial activity[4] by using *B. substilis, S. aureus, P. vulgareus* and *E. coli*.

RESULTS AND DISCUSSION

The phenoxy acetanilide **3** on condensation with benzaldehyde in presence of ethanolic KOH produced 2-(*p*-acetamidophenoxy)-1,3-diphenyl-3-oxo-prop-1-ene (**4a**) and exhibited peaks at 3340 and 1620 cm^{-1} (Fig. 1) due to NH and CO absorption. The structure of the compound **4a** was further supported by its PMR spectrum (Fig. 2) exhibited singlet at 2.10 δ accounting for 3 protons this may be due to the resonance of methyl protons of acetamido group. The multiplets centered at 6.92 δ (4H), 7.35 δ (8H) and 7.80 δ (4H) accounting for 14 aromatic protons along with one methine protons and H of NH. The absence of peak at 5.40 δ in PMR of **4a** strongly supports the formation of 2-(*p*-acetamidophenoxy)-1-aryl-3-phenyl-3-oxo-prop-1-ene (**4a**). The structure of **4a** further supported by mass spectrum of **4a** exhibited molecular ion peak which is equivalent to the molecular weight of the compound.

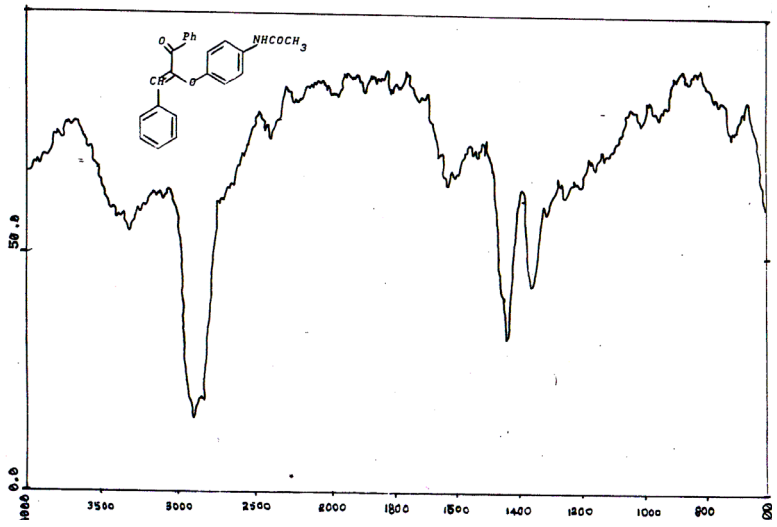

Fig. 1. IR spectra of 2-(*p*-acetamidophenoxy)-1,3-diphenyl-3-oxo-prop-1-ene (**4a**)

All the compounds synthesized (**4a-e**) were subjected to antimicrobial screening against *B. substilis, S. aureus, P. vulgareus* and *E. coli* at 100 mcg/mL concentration. The compounds (**4a-e**) showed moderate antibacterial activity but are not promising when compared with standard drug gentamycin 1000 mcg/mL (Table-2).

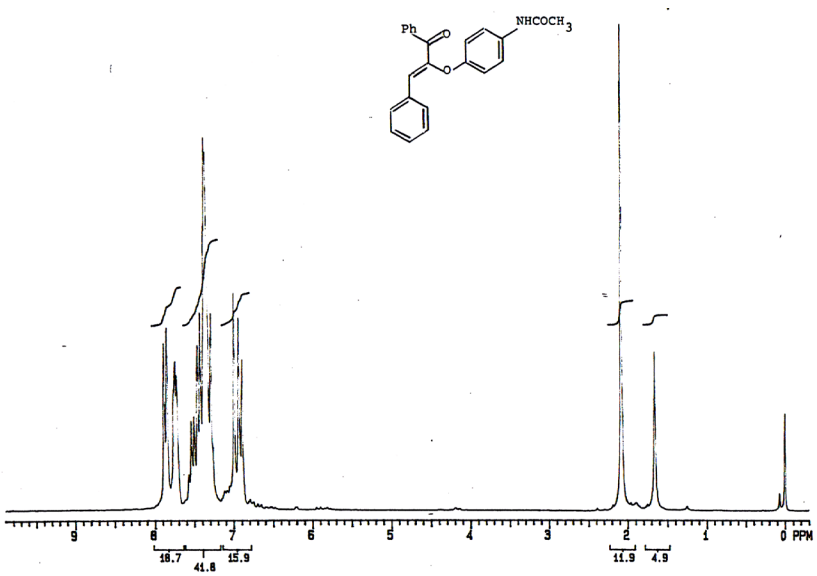

Fig. 2. PMR spectra of 2-(*p*-acetamidophenoxy)-1,3-diphenyl-3-oxo-prop-1-ene (**4a**)

TABLE-2
ANTIBACTERIAL ACTIVITY

Compd.	Substituents		Zone of inhibition (mm)			
	R	R_1	*B. substilis*	*S. aureus*	*P. vulgareus*	*E. coli*
4a	H	H	14	15	13	14
4b	Cl	H	13	15	14	15
4c	H	Cl	14	16	16	17
4d	H	OCH_3	-	16	-	16
4e	H	F	14	-	14	18
Gentamycin	-	-	24	19	24	20

Concentration of the test compound in dimethyl sulfoxide: 1000 mcg/mL
Diaeter of filter paper disc: 6 mm; Standard: Gentamycin (1000 mcg/mL)
Zone of inhibition (mm): 7-12 weakly active, 13-18: moderately active, 19-24: highly active. (-) No zone of inhibition.

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