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Synthesis and antibacterial activity of some new 5-arylidene derivatives of 2,3-diaryl-4-thiazolidinones

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ABSTRACT

A series of 2-(phenyl/substituted phenyl/2"-furanyl/2"-thienyl)-3-(2',3'-dimethyl-1'-phenyl-3'-pyrazolin-5'-one-4'-yl)-5-[(4-methoxy) benzylidene]-4-thiazolidinones (3a-t) have been synthesized by the reaction between 2,3-diaryl-4-thiazolidinones (2a-t) and 4-methoxy benzaldehyde (1) in alcohol in presence of sodium ethoxide. All the synthesized compounds have been screened for their antibacterial activity. The structures of the syntheiszed compounds have been established on the basis of their elemental analysis and spectral data.

Key words: Antibacterial activity, 5-arylidene derivatives of 2,3-diaryl-4-thiazolidinones.

INTRODUCTION

Earlier, we reported the synthesis and biological activity of 2-(phenyl/substituted phenyl/2"-furanyl/2"-thienyl)-3-(2',3'-dimethyl-1'-phenyl-3'-pyrazolin-5'-one-4'-yl)-4-thiazolidinones[1-2]. In continuation of our work on various 5-arylidene derivatives[3-6] of 4-thiazolidinones, herein we report the reaction of active methylene group in 2-(phenyl/substituted phenyl/2"-furanyl/2"-thienyl)-3-(2',3'-dimethyl-1'-phenyl-3'-pyrazolin-5'-one-4'-yl)-5-4-thiazolidinones(2) with 4-methoxy benzaldehyde (1) uder the usual conditions of the knovenagal reaction (Scheme 1). The structure of the newly synthesized compounds have been identified on the basis of their elemental analysis, IR spectra, ^{1}H NMR spectra and MASS spectra.

EXPERIMENTAL

All the melting points were taken in an open capillary and are uncorrected. The IR spectra were recorded on Perkin-Elmer 237 spectrophotometer. ^{1}H NMR spectra on a Bruker Avance DPX 400 MHz spectrometer with $CDCl_3$ as a solvent and TMS as internal reference. TLC was performed on precoated Merck Silica Gel 60 F_{254} Aluminiuum foil.

Preparation of 2-phenyl-3-(2',3'-dimethyl-1'-phenyl-3'-pyrazolin-5'-one-4'-yl)-5-[(4-methoxy) benzylidene]-4-thiazolidinone (3a)

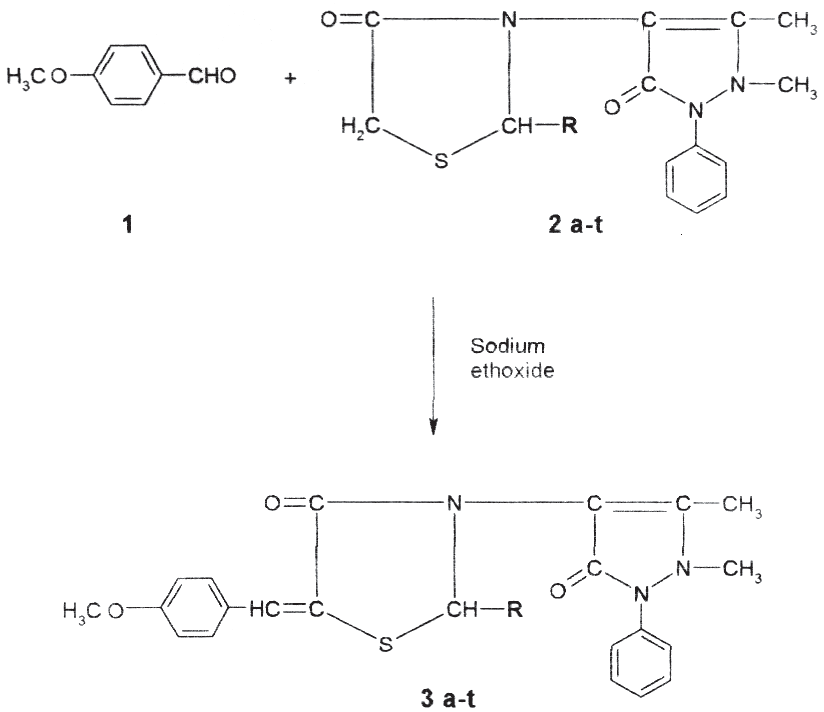
2-phenyl-3-(2',3'-dimethyl-1'-phenyl-3'-pyrazolin-5'-one-4'-yl)-4-thiazolidinone (0.01 mole, 3.65gm) was dissolved in 40ml alcohol in R.B.F. Then freshly prepared sodium ethoxide (0.01molem 0.68gm) and 4-methoxybenzaldehyde (0.01 mole, 1.36gm) were added in it. The reaction mixture was then refluxed for 6hrs. Finally the reaction mixture was cooled and the product separated out was filtered, washed with water, dried and recrystallised from ethanol, m.p.203°C, yield (90%). IR (KBr): cm^{-1} 1682 (C=O), 637(C-S-C), 2937 (C-H), 1253(C-O-C asym.) and 1253 (C-O-C sym).

^{1}J NMR ($CDCl_3$): δ ppm, 2.01 (S, 3H, N-CH_3), 3.02 (S,3H, C-CH_3), 3.83 (S, 3H, p-OCH_3), 6.63 (S, 1H, CH-Ar), 6.93-7.53(M, 15H, Ar-H + Ar-CH=) MASS spectra M^{+1}: m/z 484

Table 1: Physical data of compound 3a-t

Comp.	R	m.p. (°C)	Yiled (%)
3a	Phenyl	203	90
3b	2-Chlorophenyl	103	85
3c	3-Chlorophenyl	190	83
3d	4-Chlorophenyl	98	80
3e	2-Nitrophenyl	89	77
3f	3-Nitrophenyl	103	71
3g	4-Nitrophenyl	62	75
3h	2-Methoxyphenyl	103	87
3i	3-Methoxyphenyl	100	82
3j	4-Methoxyphenyl	181	86
3k	3-Bromophenyl	204	84
3l	4-Flurophenyl	131	88
3m	4-Methylphenyl	190	80
3n	3-Phenoxyphenyl	141	79
3o	3-Phenoxyphenyl	76	86
3p	3-,4-Dimethoxylphenyl	205	82
3q	4-N, N-Dimethyla minophenyl	205	72
3r	4-N, N-Dimethyla minophenyl	192	76
3s	2-Fluranyl	201	79
3t	2-Thienyl	181	75

All compounds gave satisfactory %C and %N analysis



Scheme 1:

Similarly, remaining compounds (3b-t) were prepared by the above procedure and their physical and analytical data are given in Table 1.

RESULTS AND DISCUSSION

Antibacterial acitivity

All the synthesised compounds were screened for their antibacterial activity against *S. aureus* (MTCC 96), *B. subtilis* (MTCC 441) (Gram-positive) and *E. coli* (MTCC 443) *S. paratyphi* B. (MTCC 733) (Gram-negative) bacteria. The activity was carried out by using Agar-diffusion method[7]. The compounds were tested at 100 µg/ml concentration. DMF was used as solvent. The zone of inhibition was measured in m.m. Under similar conditions controlled experiment was carried out by using Ciprofloxacin as a standard drug for comparison (Table 2).

Table 2: Antibacterial activity data of compound (3a-t)

S.No.	R	Diameter of zone of inhibition (in mm)			
		S. aureus **MTCC 96**	***B. subtilis*** **MTCC 441**	***E.coli.*** **MTCC 443**	***S.parathyphi-B*** **MTCC 773**
3a	Phenyl	-	16	15	16
3b	2-Chlorophenyl	-	12	14	18
3c	3-Chlorophenyl	-	10	14	20
3d	4-Chlorophenyl	11	15	16	14
3e	2-Nitrophenyl	12	13	18	21
3f	3-Nitrophenyl	10	10	16	20
3g	4-Nitrophenyl	-	10	14	17
3h	2-Methoxyphenyl	12	11	14	16
3i	3-Methoxyphenyl	11	11	15	16
3j	4-Methoxyphenyl	11	-	14	22
3k	3-Bromophenyl	-	-	14	12
3l	4-Flurophenyl	12	11	19	18
3m	3-Phenoxyphenyl	-	11	14	-
3n	4-Methyl	-	17	15	22
3o	3-,4-Dimethoxylphenyl	13	12	15	19
3p	3-,4,5-Trimethoxylphenyl	10	-	12	14
3q	4-N, N-Dimethylaminophenyl	14	-	14	15
3r	4-N, N-Dimethylaminophenyl	15	10	18	17
3s	2-Fluranyl	-	11	14	-
3t	2-Thienyl	-	11	17	20
Standard Drug	Ciprofloxacin	22	20	24	25

From the experiment data is has been observed that the compound (3n) found to be active against *B. subtilis* (MTCC 441). Compound (3l) found to be moderately active against *E. coli.* (MTCC 443). Compounds (3e) and (3j) were found to be active against *S. paratyphi* B (MTCC 733).

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REFERENCES

1. Solankee Anjani, Kapadia Kishor, Upadhyay Kekti, Patel Jayesh and Thakor Indrajit., *Orient J. Chem.,* **18**(2): 343 (2002). *Chem. Abstr.,* **138**: 255153n (2003).
2. Solankee Anjani, *Acta Ciencia Indica,* **28**(2): 85 (2002), *Chem. Abstr.,* **142**: 1979544 (2005).
3. Solankee Anjani, Mistry Pankaj and Patel, V.M., *Orient J. Chem.,* **13**(3): 289 (1997), *Chem Abstr.,* **128**: 192584z (1998).
4. Solankee Anjani, Kapadia Kishor, Mistry Pankaj and Patel Jayesh., *Asian. J. Chem.,* **4**: 840 (1998).
5. Solankee Anjani, Kapadia Kishor, Mistry Pankaj and Patel Jayesh., *Orient J. Chem.,* **15**(2): 319 (1998), *Chem. Abstr.,* **132**: 78497f (2000).
6. Solankee Anjani, Kapadia Kishor, Thakor Indrajit, Prajapati Yogesh and Patel, Hiral, *"Chemistry" An Indian J.,* **1**(11): 718 (2005), *Chem. Abstr.,* **144**: 432722u (2006).
7. Barry Arthur L, *The Antimicrobic suspectibiilty test, Principles and practies,* Illus Lea and Febiger, *Philadelphia, Pa.,* USA 180 (1976), *Bio Abstr.,* **64**(5): 25183 (1977), *Chem, Abstr.,* **142**: 197954u (2005).