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Research Article

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Synthesis of 1-(4-Phenyl Thiazol-2-yl)-3,5-Disubstituted Pyrazolines

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ABSTRACT

The present research has systematic approach to synthesized a series of 1-(4-Phenyl Thiazole-2- yl)-3,5-disubstituted Pyrazolines (3a-h) derivatives by the action of substituted 1,3-diaryl-prop-2-ene-1-one (2a-h) with 4-phenyl-2-hydrazino thiazole. Structures of all the synthesized compounds were confirmed by their IR, 1H-NMR and elemental analysis.

Keywords: Pyrazolines; 1,3-diaryl-prop-2-ene-1-one; 4-phenyl-2-hydrazino thiazole

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INTRODUCTION

Thiazole derivatives have played a crucial role in medicinal chemistry. Thiazoles flaunt a wide range of biological activities like antimicrobial [1-4], analgesic [5-7], anticonvulsant [8,9], antioxidant [10], hypolipidemic [11], anti-HIV-1 [12,13], adenosine receptor antagonist [14,15], osteoporosis inhibitor [16]. On the other hand pyrazolines are important class of heterocyclic compounds with a broad spectrum of biological activities. Pyrazoline derivatives are reported to possess antitumor [17], immunosuppressive [18], antibacterial [19], anti-tubercular [20], anti-inflammatory [21]. The report on the synthesis and pharmacology of pyrazolines revealed that some of these compounds exhibited a wide local anesthetic activity [22].

EXPERIMENTAL SECTION

General Conditions: Melting points are uncorrected and were determined in open capillary tubes in. TLC was performed on silica gel-G and spotting was done using iodine. IR spectra were recorded on Nicolet 5ZDXFT-IR spectrometer in KBr phase and 1HNMR on Brucker WP 200 and 500 SY.

General Procedure for the Preparation of 1-(4-Phenyl Thiazole-2- yl)-3,5- disubstituted Pyrazolines 3(a-h)
A mixture of 1,3-diaryl-prop-2-ene-1-one (2a-h) (0.01 mol) and 4-phenyl-2-hydrazino thiazole (0.01 mol) in glacial acetic acid (20 ml) and fused sodium acetate (0.02 mol) was refluxed for 5 hrs. The completion of reaction was monitored by TLC. The reaction mixture was cooled. The crude product obtained on usual work up was crystallized from glacial acetic acid to obtain pure product (Figure 1).
3a: IR (KBr):3771 cm^{-1} (-OH), 1575 cm-1 (C=N); ^{1}HNMR:δ 2.36 (s,3H, CH_3), 2.76 (*dd*,1H J_{H1H2} =36, J_{H1H3} = 12Hz H_1), 3.20-3.24 (*dd*,1H J_{H2H3} =8, J_{H2H1} = 36Hz, H_2), 5.12- 5.16(*dd*,1H J_{H3H1} =8, J_{H2H3} = 12Hz, H_3), 6.80-7.71 (m, 14H, Ar-H), 7.86 (bs , 1H, OH, exchangeable with D_2O) (Table 1).

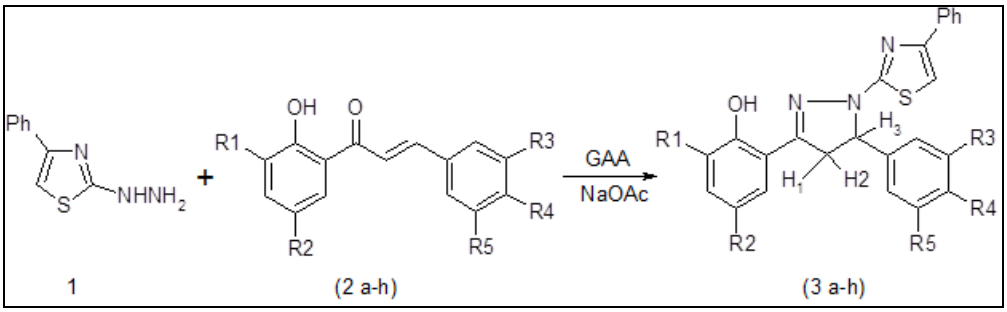

Figure 1: Synthesis of 1-(4-Phenyl Thiazole-2- yl)-3,5- disubstituted Pyrazolines 3(a-h)

Table 1: Physical data of synthesized compounds

S.No.	R1	R2	R3	R4	R5	M.P.	Yield	M.F.	Elemental Analysis	
									Found	Calculated
3a	H	CH_3	H	H	H	136	60	$C_{24}H_{21}N_3OS$	C=72.56	C=72.18
									H=5.75	H=5.26
									N=10.12	N=10.52
3b	H	CH_3	H	Cl	H	140	63	$C_{25}H_{20}N_3OClS$	C=68.00	C=67.41
									H=4.90	H=4.49
									N=10.10	N=9.43
3c	H	CH_3	H	OCH_3	H	127	65	$C_{26}H_{23}N_3O_2S$	C=69.91	C=70.74
									H=4.92	H=5.21
									N=10.12	N=9.52
3d	Br	CH_3	OCH_3	OCH_3	OCH_3	140	63	$C_{28}H_{26}N_3O_4SBr$	C=58.20	C=58.03
									H=5.10	H=4.49
									N=7.92	N=7.25
3e	H	H	H	OCH_3	H	116	62	$C_{25}H_{21}N_3O_2S$	C=70.92	C=70.25
									H=5.50	H=4.91
									N=10.20	N=9.83
3f	H	H	H	H	H	140	60	$C_{24}H_{19}N_3OS$	C=73.20	C=72.54
									H=5.23	H=4.78
									N=11.11	N=10.57
3g	H	H	H	Cl	H	137	62	$C_{24}H_{18}N_3OSCl$	C=57.23	C=66.82
									H=4.92	H=4.17
									N=10.31	N=9.74
3h	Br	H	OCH_3	OCH_3	OCH_3	152	61	$C_{27}H_{24}N_3O_4SBr$	C=57.82	C=57.14
									H=4.91	H=4.23
									N=6.95	N=7.40

RESULTS AND CONCLUSION

Reaction of 1-(4-Phenyl Thiazole-2- yl)-3,5- disubstituted Pyrazolines (3a-h) derivatives by the action of substituted 1,3-diaryl-prop-2-ene-1-one (2a-h)with 4-phenyl-2-hydrazino thiazole. The structures of synthesized compounds were characterized on the basis of its spectral data. Thus, its IR spectrum in KBr,showed a strong peak 3771 cm^{-1} due to –OH group in pyrazoline (3a) and peak at 1575 cm^{-1} due to C=N group. 1HNMR spectrum showed characteristic ^{1}HNMR spectrum showed three characteristic double doublets of (H1, H2, H3) protons of pyrazolines 3(a) at δ 2.76 (*dd*, 1H J_{H1H2} =36, J_{H1H3} = 12Hz H_1), 3.20-3.24 (*dd*, 1H J_{H2H3} =8, J_{H2H1} = 36Hz, H_2), 5.12- 5.16 (*dd*, 1H J_{H3H1} =8, J_{H2H3} = 12Hz, H_3). Aromatic protons shows peak at δ 6.80-7.71 (m, 14H, Ar-H). The broad singlet of OH exchangeable with D_2O at δ 7.86 (bs, 1H, OH, exchangeable with D_2O).

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