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Synthesis and biological evaluation of 3-(4-chloro-1-hydroxynaphthalen-2-yl)-5-aryl-1-substituted-pyrazoles

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ABSTRACT

Pyrazoles are heterocyclic compounds with five member ring, with two nitrogen atoms in adjacent position. They shows excellent biological activities such as antimicrobial, anti-inflammatory, anticancer, analgesic, anticonvulsant, anthelmintic, antioxidant and herbicidal. So it was thought to synthesized these compounds and study their antimicrobial and antifungal activities. 4-Chloro-2-(1-substituted-5-aryl-pyrazolin-3-yl)-naphthalen-1-ols were suspended in DMSO in 250 ml R.B.flask fitted with condenser and then crystal of Iodine was added to it. The reaction mixture was stirred and refluxed for 1 hour 30 Min. The contents were cooled and diluted with water . The product obtained was filtered and washed with 10% aqueous sodium thiosulphate and crystallized from ethanol acetic acid mixture to obtained 3-(4-chloro-1-hydroxynaphthalen-2-yl)-5-aryl-1-substituted-pyrazoles. The synthesized compounds were characterized by elemental analysis, 1H NMR, IR Spectroscopy. All Newly synthesized compound were scanned for their biological activities specially antimicrobial and antifungal activities and all newly synthesized compounds shows an excellent antimicrobial and antifungal activities.

Key words: Synthesis, biological activities , antifungal activities, antimicrobial activities, Pyrazole derivatives.

INTRODUCTION

Pyrazoles are heterocyclic compounds with five member ring, having two nitrogen atoms in adjacent position and are also called as Azoles[1] From the literature survey pyrazole derivatives have attracted considerable interest because of their therapeutic and pharmacological properties. A good number of pyrazoles have been reported to have interesting biological activities , viz. antipyretic[2] , antioxidant[3] , antiinvasive[4], blood pressure lowering[5] , antidepressant[6] , anti-inflammatory[7] antiprotozoal[8-9] activities.

Several pyrazole derivatives have been found to possess significant activities such as 5-α-red-uctase inhibitor[10], antiparasitic[11] antiproliferative[12], herbicides[13] which render them valuable active ingredients of medicine and plant protecting agents. The large number of derivatives possesses useful biological properties [14-18]

Synthesis characterization and biological evaluation of pyrazole derivatives becomes favourite field for many investigator their efforts are quite significant in literature.Hence, a series of novel pyrazole derivatives from 4-Chloro-2-(1-substituted-5-aryl-pyrazolin-3-yl)-naphthalen-1-ols has been synthesized.

MATERIALS AND METHODS

Experimental:
The melting points (ºC) were recorded by open capillary method and are uncorrected. IR spectra (υ max in cm-1) were recorded on a Shimadzu FTIR 8300 spectrophotometer using KBr pellets. The 1H NMR spectra were recorded on aDRX-300 (300 MHZ) instrument using $CDCl_3$ as solvent (chemical shift in δppm), and TMS as internal standard. Thin Layer Chromatography on silica gel-G, was used to check the purity of the compounds.

Method and Discussion of result:
4-Chloro-2-(1-substituted-5-aryl-pyrazolin-3yl)-naphthalen-1-ols were suspended in DMSO in 250ml R.B.flask fitted with condenser and then crystal of Iodine was added to it. The reaction mixture was stirred and refluxed for 1 hour 30 Min. The contents were cooled and diluted with water . The product obtained was filtered and washed with 10% aqueous sodium thiosulphate and crystallized from ethanol acetic acid mixture to obtained **3-(4-chloro-1-hydroxynaphthalen-2-yl)-5-aryl-1-substituted-pyrazoles.**

Table 1. PHYSICAL DATA OF SYNTHESIZED COMPOUNDS

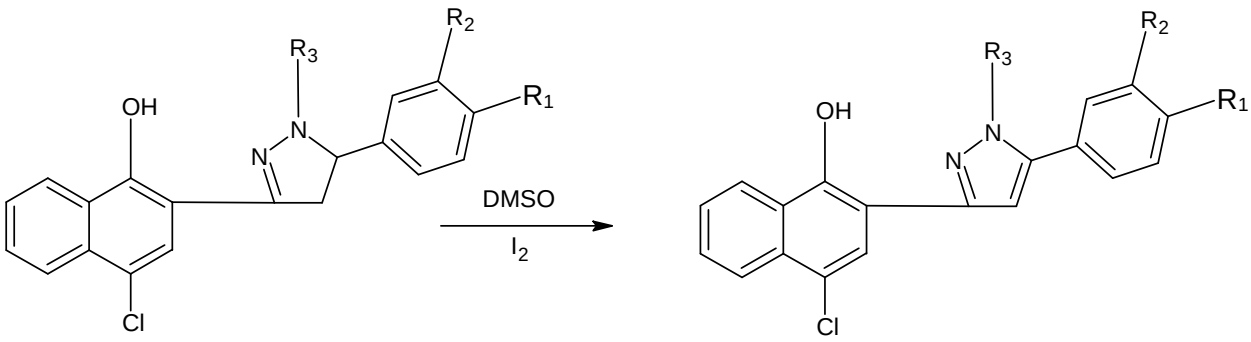
Sr. No.	Compound No	R_1	R_2	R_3	Molecular formula	Melting Point ^{0}C	% Yield	% Nitrogen		R.F. Value
								Found	Calculated	
1	13	$-OCH_3$	-H	C_6H_5	C26H19ClN2O2	253^{0}C	43%	6.55	6.57	0.53
2	14	$-OCH_3$	$-OCH_3$	C_6H_5	C27H21ClN2O3	223^{0}C	45%	6.11	6.13	0.58
3	15	-H	-OH	C_6H_5	C25H17ClN2O2	212^{0}C	48%	6.79	6.79	0.57
4	16	-OH	-H	C_6H_5	C25H17ClN2O2	241^{0}C	44%	6.73	6.79	0.58
5	17	$-OCH_3$	-H	$-CONH_2$	C21H16ClN3O3	322^{0}C	47%	10.63	10.67	0.61
6	18	$-OCH_3$	$-OCH_3$	$-CONH_2$	C22H18ClN3O4	301^{0}C	43%	9.91	9.92	0.62
7	19	-H	-OH	$-CONH_2$	C20H14ClN3O3	293^{0}C	41%	11.06	11.07	0.58
8	20	-OH	-H	$-CONH_2$	C20H14ClN3O3	285^{0}C	42%	11.05	11.07	0.57
9	21	$-OCH_3$	-H	$-CSNH_2$	C21H16ClN3O2S	223^{0}C	45%	10.23	10.26	0.55
10	22	$-OCH_3$	$-OCH_3$	$-CSNH_2$	C22H18ClN3O3S	215^{0}C	44%	9.54	9.56	0.58
11	23	-H	-OH	$-CSNH_2$	C20H14ClN3O2S	212^{0}C	43%	10.61	10.62	0.64
12	24	-OH	-H	$-CSNH_2$	C20H14ClN3O2S	233^{0}C	47%	10.60	10.62	0.57

Spectral interpretation of (13)
IR (ν_{max}) (cm^{-1}) : 3299 (OH, str), 1545 (C=N str, pyrazole), 3017 (CH str in Ar)
NMR (δ ppm): 9.35 (s, 1H, OH), 6.83 (s, 1H,CH of pyrazole) , 6.63- 8.30 (m, 14 Ar-H) , 3.88 (s, 3H, OCH_3).

Spectral interpretation of (17)
IR (ν_{max}) (cm^{-1}): 3359 (OH, str), 3283 (OH , str), 3163 (NH_2 str),1693(C=O str), 1553 (C=N str, pyrazole)
NMR (δ ppm): 9.43 (s, 1H, OH), 3.42 (s, 2H,NH_2), 6.83 (s, 1H,CH of pyrazole) , 6.77- 8.39 (m, 9Ar-H)

Biological Studies :
All above 3-(4-bromo-1-hydroxynaphthalen-2-yl)-5-aryl-1-substituted-pyrazoles have been studied for their antimicrobial and antifungal activities against Escherichia coli, Proteus mirabilis, Staphylococcus aureas, Pseudomonas aeruginosa and A. Niger. The culture of each species was incubated at 37^{0}C and the zone of inhibition was measured after 24 hr. Most of these compounds were found active against these microorganisms and fungi's.

SCHEME



4-cholro-2-(1-substituted-5-aryl-pyrazolin-3-yl)-naphthalen-1-ol

3-(4-cholro-1-hydroxynaphthalen-2-yl)-5-aryl-substituted-pyrazole

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