Synthesis and Antimicrobial Evaluation of Substituted Pyrazolines obtained from 1-(4-Chloro-1-Hydroxynaphthalen-2-Yl)-3-Aryl-Prop-2-EN-1-Ones

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Abstract

Chemistry of heterocyclic is a challenging field of study because of its importance in biological systems, chemical reactivity and molecular recognition. The literature survey reveals that pyrazoline derivatives have been studied extensively because of their ready accessibility, diverse chemical reactivity, broad spectrum of biological activity and variety of Industrial applications. Substituted pyrazolines shows cerebroprotective, antidepressant activity, anti-implantation activity, hypoglycemic activity. Due to this vital biological roll of pyrazoline derivatives it was thought to synthesizedsubstituted pyrazolines. 1-(4-chloro-1-hydroxynaphthalen-2-yl)ethanone is prepared by Modified Nenchi's method which on treatment with aromatic aldehyde and KOH gives 1-(4-chloro-1-hydroxynaphthalen-2-yl)-3-aryl-prop-2-en-1-ones in excellent yield. These chalcones when subjected to phenyl hydrazine / semicarbazide / thiosemi carbazide in DMF solvent gives 4-chloro-2-(1-substituted-5-aryl-pyrazolin-3-yl) naphthalen-1-ol in 44-49% yield. Thin Layer Chromatography on silica gel-G, was used to check the purity of the compounds. The synthesized compounds were characterized by elemental analysis, 1H NMR, IR Spectroscopy. All Newly synthesized compound were scanned for their antimicrobial activity and all newly synthesized compounds show an excellent antimicrobial activities.

Keywords: *Synthesis, Characterization, Pyrazolines, Antimicrobial evaluation.*

Introduction

Substituted pyrazolines are well known important nitrogen containing five member heterocyclic compounds. Pyrazoline derivatives have attracted attention of medicinal chemists for both with regard to heterocyclic chemistry and the pharmacological activities associated with them. Pyrazoline systems are known to be biologically active and are important constituents of many pharmaceutical and agrochemical products[1]. One of the most promising and noTable applications of pyrazoline isthe use of pyrazolines as a fluorescent brightening agent[2]

The increasing evidence suggests that pyrazoline derivatives possess a wide range of medicinal applications such as antitubercular[3] , anti HIV[4] , antimalarial[5], and anticancer[6], analgesic[7], antimyco-bacterial[8], anti-inflammatory[9], antidepressant activities[11], bioactive heterocycles[12-15], central nervous system stimulant and immuno suppressive[16]. Synthesis characterization and biological evaluation of substituted pyrazolines becomes favorate field for many investigator their efforts are quite significant in

literature. Hence, a series of novel substituted pyrazolines from 1-(4-chloro-1-hydroxynaphthalen-2-yl)-3-aryl-prop-2-en-1-ones.

Experiemental:

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:

Synthesis of 1-(4-chloro-1-hydroxynaphthalen-2-yl)-ethanone (2)

1-(4-chloro-1-hydroxynaphthalen-2-yl)-ethanone was prepared by refluxing 4-chloro-naphthalen-1-ol with glacial acetic acid in presence of fused $ZnCl_2$.

Synthesis of 1-(4-chloro-1-hydroxy-naphthalen-2-yl)-3-aryl-prop-2-en-1-one (3-6)

1-(4-chloro-1-hydroxynaphthalen-2yl)-2-aryl-prop-2-en-1-one were synthesized from 1-(4-chloro-1-hydroxynaphthalen-2-yl)-ethanone by condensing it with aromatic aldehydes.

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	3	$-OCH_3$	-H	--		128^0C	60%	--	--	--
2	4	$-OCH_3$	$-OCH_3$	--		125^0C	58%	--	--	--
3	5	-H	-OH	--		143^0C	62%	--	--	--
4	6	-OH	-H	--		150^0C	57%	--	--	--
5	7	$-OCH_3$	-H	C_6H_5	C26H21ClN2O2	203^0C	44%	6.53	6.51	0.57
6	8	$-OCH_3$	$-OCH_3$	C_6H_5	C27H23ClN2O3	209^0C	48%	6.11	6.09	0.66
7	9	-H	-OH	C_6H_5	C25H19ClN2O2	213^0C	47%	6.76	6.72	0.57
8	10	-OH	-H	C_6H_5	C25H19ClN2O2	217^0C	44%	6.76	6.73	0.58
9	11	$-OCH_3$	-H	$-CONH_2$	C21H18ClN3O3	277^0C	49%	10.62	10.63	0.62
10	12	$-OCH_3$	$-OCH_3$	$-CONH_2$	C22H20ClN3O4	287^0C	47%	9.87	9.86	0.63
11	13	-H	-OH	$-CONH_2$	C20H16ClN3O3	273^0C	46%	11.01	10.98	0.54
12	14	-OH	-H	$-CONH_2$	C20H16ClN3O3	267^0C	47%	11.01	10.99	0.59
13	15	$-OCH_3$	-H	$-CSNH_2$	C21H18ClN3O2S	210^0C	45%	10.21	10.17	0.61
`4	16	$-OCH_3$	$-OCH_3$	$-CSNH_2$	C22H20ClN3O3S	173^0C	48%	9.51	9.49	0.64
15	17	-H	-OH	$-CSNH_2$	C20H16ClN3O2S	173^0C	46%	10.57	10.52	0.68
16	18	-OH	-H	$-CSNH_2$	C20H16ClN3O2S	181^0C	48%	10.57	10.55	0.61

Synthesis of pyrazoline derivatives (7-18)

1-(4-chloro-1-hydroxynaphthalen-2yl)-2-aryl-prop-2-en-1-one phenyl hydrazine/semicarbazide /thiosemi carbazide were added to DMF and refluxed for 2 Hours . The cooled reaction mixture was diluted with

water the semisolid so obtained was triturated with ethanol to get a solid which was recrystallised from ethanol–acetic acid mixture to get pyrazoline derivatives.

Spectral interpretation of (7)

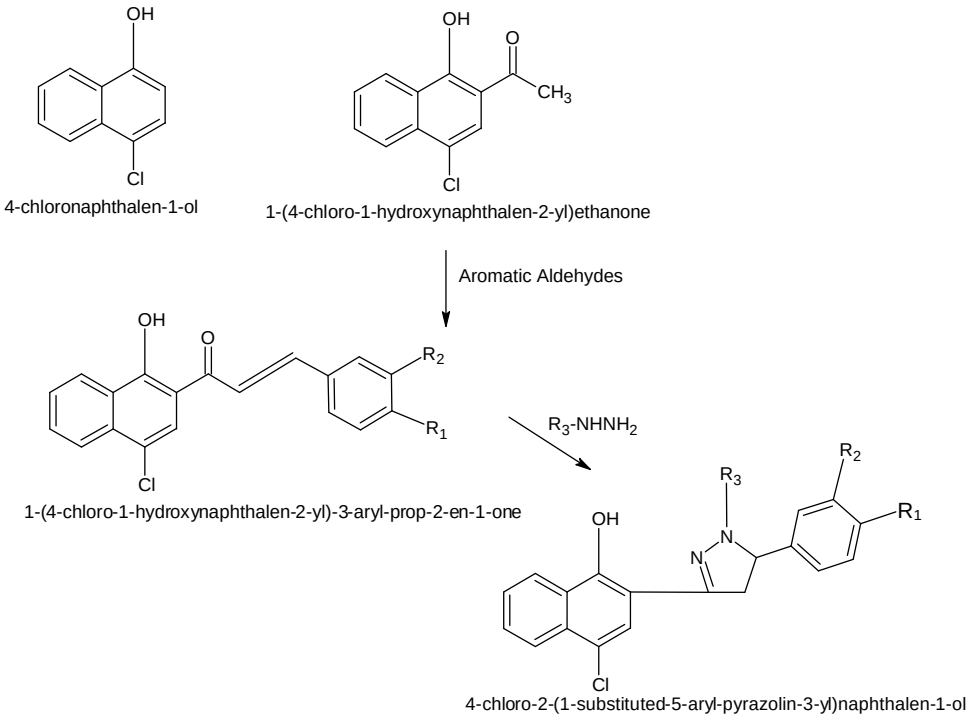
IR ν_{max}) (cm^{-1}) : 3377 (OH, str), 3213 (N-N pyrazoline), 1580 (C=N str), 3011 (CH str in Ar)

NMR (δ ppm): 9.53 (s, 1H, OH), 3.063–3.141 (dd, 1H, H_A), 3.605-3.705 (dd, 1H, H_B) , 5.284-5.239, (dd, 1H, H_X) , 8.10- 8.53 (m, 14Ar-H) , 3.63 (s, 3H, OCH_3).

Antimicrobial Studies :

All above substituted pyrazolines have been studied for their antimicrobial activity against Escherichia coli, Proteus mirabilis, Staphylococcus aureas, Pseudomonas aeruginosa,. The culture of each species was incubated at 37^0C and the zone of inhibition was measured after 24 hr. Most of these compounds were found active.

SCHEME



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