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SYNTHESIS, ANTIMICROBIAL AND ANTIFUNGAL STUDY OF 2-(5–ARYL-1-SUBSTITUTED-PYRAZOL-3-YL)-SUBSTITUTED NAPHTHALENE-1-OL BY DEHYDROGENATION METHOD

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ABSTRACT

2-(5-aryl-4,5-dihydro-1-substituted-pyrazol-3-yl)-substituted naphthalene-1-ol were suspended in DMSO and crystal of iodine was added to it. The mixture was refluxed for 1½ hour, cooled and then diluted with water. The solid mass obtained was filtered, washed with 10% aqueous sodium thiosulphate and crystallized from ethanol acetic acid mixture to get 2-(5–aryl-1-substituted-pyrazol-3-yl)-substituted naphthalene-1-ol. The synthesized compounds are characterized by elemental analysis, ^{1}H NMR, IR spectroscopy. Newly synthesized compound shows an excellent antimicrobial and antifungal activities.

Key words: Pyrazoles, Antimicrobial activity, Antifungal activity, Dehydrogenation method.

INTRODUCTION

Due to difficulty of living organisms to construct the N-N bond, very few pyrazoles and their derivatives are found in living things heterocyclic compounds containing nitrogen e.g. alkaloids, amides, nucleotides/nucleosides etc. are widely distributed in nature and play an important role in the metabolism of all living cells. Pyrazoles are a class of 1,2-diazole systems having varied pharmacological activities such as antimicrobial, anti-inflammatory, analgesic, antipyretic antidepressant, antitumor, antitubercular, antirheumatic and selective COX-2 inhibitor activity[1-9].

Pyrazoles also have played a crucial part in the development of heterocyclic chemistry and useful as synthons in organic synthesis[10-12]. Present work deals with the synthesis of 2-(5-aryl-1-substituted-pyrazol-3-yl)-substituted-naphthalene-1-ol and their characterization by spectral analysis (IR, ^{1}H NMR)

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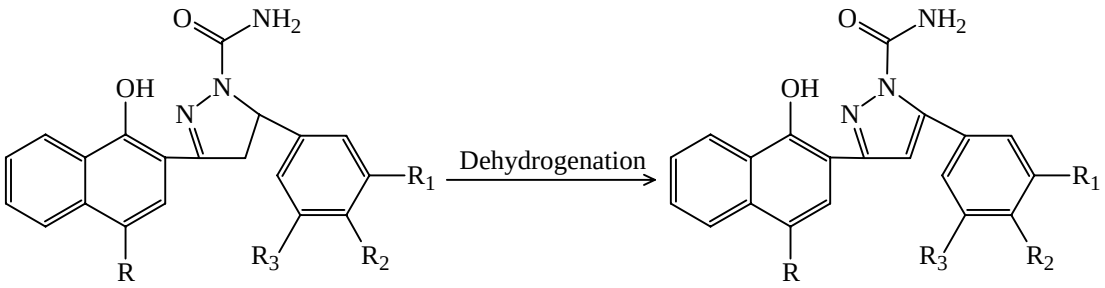
EXPERIMENTAL

All the melting points were taken in silicon oil bath with open capillary tubes and are uncorrected. IR spectra were recorded on a Nicolet-Impact 400 FT-IR spectrometer ^{1}H NMR spectra were recorded on a Brucker AC300 FNMR spectrometer (300 MHz), using TMS as an internal standard. Microanalysis of nitrogen was obtained by Kjeldahal's Method. Thin Layer Chromatography on silica gel-G, was used to check the purity of the compounds.

Synthesis of 2-(5–aryl-1-substituted-pyrazol-3-yl)-substituted-naphthalene-1-ol

2-(5–aryl-4,5–dihydro-1-substituted-pyrazol-3-yl)-substituted naphthalene-1-ol were suspended in DMSO and crystal of Iodine was added to it. The mixture was refluxed for 1½ hour, cooled and then diluted with water. The solid mass obtained was filtered, washed with 10% aqueous sodium thiosulphate and crystallized from ethanol acetic acid mixture to get 2-(5–aryl-1-substituted-pyrazol-3-yl)-substituted-naphthalene-1-ol.



Where R = H, Cl, R_1 = H, Cl, NO, R_2 = H, F, NO_2, R_3 = H, Cl, NO_2

Spectral interpretation of (1)

IR (ν_{max}) (cm^{-1}): 3370 (OH, str), 3078 (NH_2, str), 1581 (C=N, str), 1680 (C=O, str), 1540 (-NO_2).

NMR (δ ppm): 12.52 (s, 1H, OH), 6.95-8.20 (m, 10H, Ar-H), 6.52 (s, 1H, =CH of pyrazole), 8.51 (s, 2H, -NH_2).

Spectral interpretation of (11)

IR (ν_{max}) (cm^{-1}): 3375 (OH, str), 3090 (NH_2, str), 1573 (C=N, str), 1688 (C=O, str).

NMR (δ ppm): 12.60 (s, 1H, OH), 6.83-8.12 (m, 9H, Ar-H), 6.55 (s, 1H, =CH of pyrazole), 8.45 (s, 2H, -NH_2).

Table 1: Physical data of synthesized compounds

Compd.	R	R_1	R_2	R_3	Melting point (^oC)	% Yield	% Nitrogen		R_f Value
							Found	Calculated	
1	H	H	NO_2	H	254	42	14.91	14.97	0.57
2	H	NO_2	H	H	273	39	14.93	14.97	0.54
3	H	H	H	NO_2	248	38	14.96	14.97	0.62
4	H	H	F	H	263	36	12.43	12.46	0.62
5	H	Cl	H	H	270	41	11.51	11.55	0.64
6	H	H	H	Cl	254	35	11.49	11.55	0.52
7	Cl	H	NO_2	H	249	42	13.69	13.71	0.62
8	Cl	NO_2	H	H	255	40	13.63	13.71	0.51
9	Cl	H	H	NO_2	262	45	13.65	13.71	0.57
10	Cl	H	F	H	270	31	11.27	11.31	0.55
11	Cl	Cl	H	H	268	33	10.51	10.55	0.57
12	Cl	H	H	Cl	276	37	10.52	10.55	0.61

Antimicrobial studies

All above pyrazole derivatives 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^{o}C and the zone of inhibition was measured after 24 hr. Most of these compounds were found active

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