Biological studies of pyrazole derivatives obtained from 4-bromo-naphthalen-1-ol

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Abstract: Pyrazole refers to a simple, fragrant compound heterocyclic diazole series characterized by a 5-component ring composed of three carbon atoms and two nitrogen atoms in the vicinity of a parent structure. Since they are so structured that they have an effect on humans, they are classified as alkaloids, although they are rare in nature Primarily, they exhibit antimicrobial, anti-inflammatory, analgesic, anti-depressant activities, central nervous system stimulant, and immunosuppressive, antimicrobial, and antimicrobial activities. Pyrazoline derivatives were dehydrogenated using Iodine in DMSO solvent to obtain a pyrazole derivative. Synthesized compounds were identified by basic analysis, 1H NMR, IR Spectroscopy. Biological research such as antibiotics and antifungal is done using a paper disk method and most of the synthesized compounds work and found to be most active.

Index Terms: **pyrazole derivatives, biological study , antimicrobial, antifungal activity, antioxidant activity**

I. Introduction:

Pyrazoles are heterocyclic compounds with five member ring, having two nitrogen atoms in adjacent position and are also called as Azoles. A good number of pyrazoles have been reported to have interesting biological functions, viz. antioxidant [1], antipyretic [2] ,, lower blood pressure [3] antiinvasive [4], anti-inflammatory[5] antiprotozoal[6] activities. Several pyrazole findings have been found to have important functions such as 5-α-red-uctase inhibitor[7], antiproliferative[8], herbicides[9] which render them valuable active ingredients of medicine and plant protecting agents. The most of the derivatives possesses useful biological properties [10-13] . 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- bromo-2-(1-substituted-5-aryl-pyrazolin-3-yl)-naphthalen-1-ols.

Synthesis charecterization and biological testing of pyrazole derivatives has become a field of interest for many researchers. Literature research shows that the biological study against some microbes is not studied hence it was therefore thought to study antimicrobial and antifungal activities of these compounds against *B. Subtilis, P. Vulgaris, S. Typhi , Candida albicans* and *Aspergillus niger*..

II. 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. The medium used throughout the experiment was HI-media (Indian Make) nutrient agar. For sterilization Autoclave is used. The size of zones of inhibition were measured by antibiotic zone reader (Metzer Make)

Method and Discussion of results:

Synthesis of pyrazoline derivatives :

1-(4-bromo-1-hydroxynaphthalen-2-yl)-2-aryl-prop-2-en-1-one semicarbazide / thiosemicarbazide 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.

Synthesis of pyrazole derivatives from pyrazoline derivatives : 4-bromo-2-(1-phenyl-5-(substituted)-pyrazolin-3-yl)-naphthalen-1-ol were suspended in DMSO and crystal of iodine was added to it. The mixture was refluxed 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 3-(4-bromo-1-hydroxy naphthalene-2-yl)-5-(substituted)-1-substituted pyrazole

Antimicrobial and Antifungal activity

Antimicrobial activity : Synthesized pyrazole derivatives have been studied for their antimicrobial activity against B. Subtilis, P. Vulgaris, S. Typhi . The culture of each species was incubated at 37° C and the zone of inhibition was measured after 24 hr. Most of these compounds were found active. Activities of titled compounds are summarized in table 1

Antifungal activity: The compounds were taken for screening of antifungal activity against Candida albicans and Aspergillus niger grown on the potato-dextrose- agar medium using disc diffusion method. The procedure followed for the preparation of test sample was same as that for antimicrobial evaluation. Activities of titled compounds are summarized in table 1

Table 1:

Sr. No	Name of compound	M. Pt.	Antimicrobial activity			Antifungal activity	
			B. Subtilis	*P. Vulgaris*	*S. Typhi*	*C. albicans*	*A. Niger*
01	3-(4-Bromo-1-hydroxynaphthalen-2-yl)-5-(4-methoxyphenyl)-1-carbonamido pyrazole, Yield 41%	302 ºC	12	15	14	18	11
02	3-(4-Bromo-1-hydroxynaphthalen-2-yl)-5-(3-hydroxyphenyl)-1-carbonamido pyrazole, Yield 47%	288 ºC	11	17	14	15	16
03	3-(4-Bromo-1-hydroxynaphthalen-2-yl)-5-(3,4-dimethoxyphenyl)-1-carbonamido pyrazole, Yield 45%	293ºC	18	09	15	11	15
04	3-(4-Bromo-1-hydroxynaphthalen-2-yl)-5-(4-methoxyphenyl)-1-thiocarbonamido pyrazole, Yield 42%	222 ºC	16	17	08	14	18
05	3-(4-Bromo-1-hydroxynaphthalen-2-yl)-5-(3,4-dimethoxyphenyl)-1-thiocarbonamido pyrazole, Yield 39%	205 ºC	18	11	12	14	09
06	3-(4-Bromo-1-hydroxynaphthalen-2-yl)-5-(3-hydroxyphenyl)-1-thiocarbonamido pyrazole, Yield 42%	204 ºC,	15	17	15	13	15

Strongly Active range 15-18, Weakly Active range 7-10

Moderately Active range 11-14, Inactive ' –'

IV. Conclusion :

Thus from above results it was observed that pyrazole derivatives were found effective against *B. Subtilis, P. Vulgaris, S. Typhi* , *Candida albicans* and *Aspergillus niger*. So those compounds can be easily be used for the treatment of diseases caused by test pathogens, only when they does not have toxic and other side effects.

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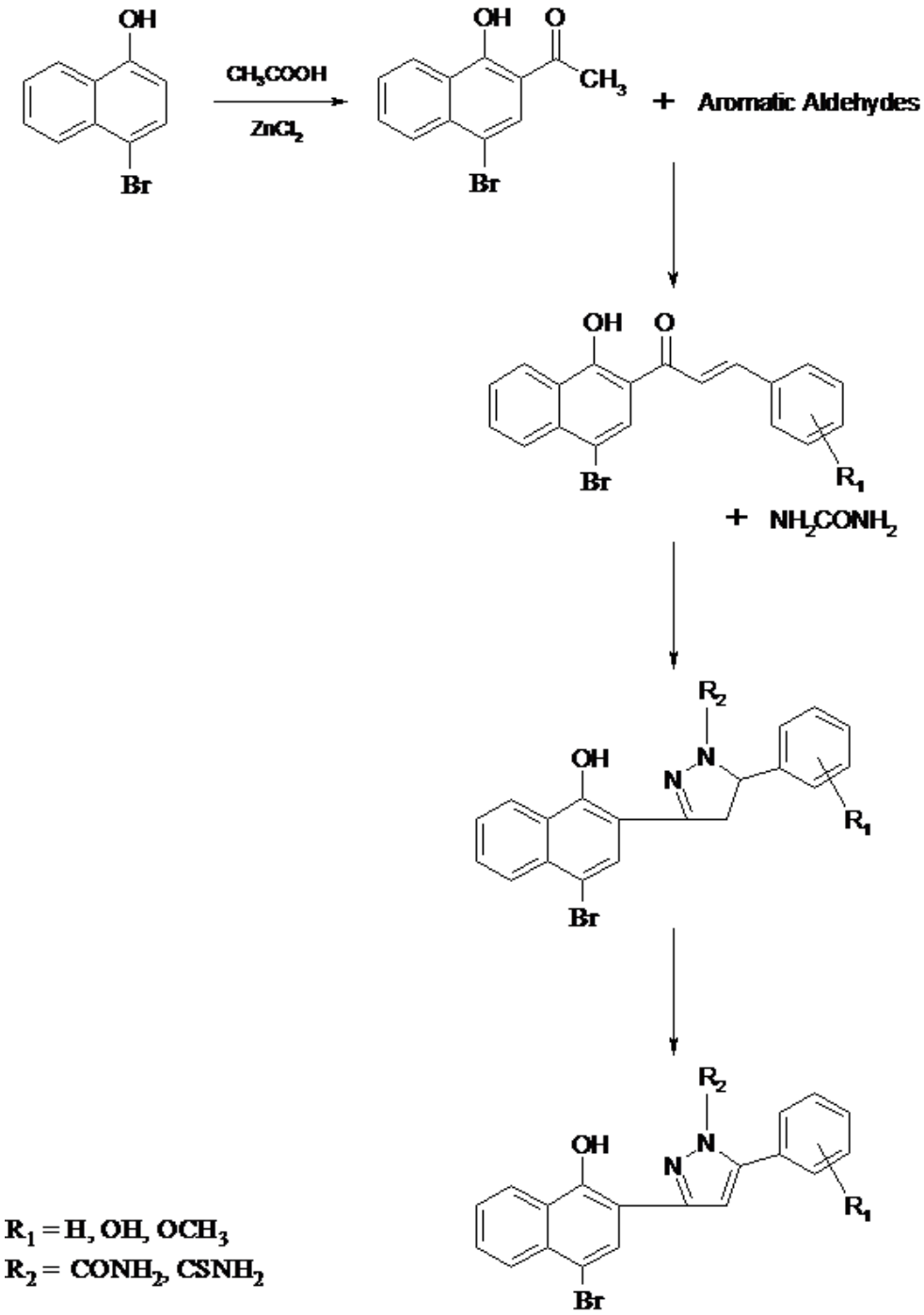

$R_1 = H, OH, OCH_3$

$R_2 = CONH_2, CSNH_2$

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