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STUDY OF SOME BIOLOGICAL ACTIVE COMPOUNDS AND SYNTHESIS OF 1-{[(-1-AZA-2-ARYL VINYL)AMINO] THIOXOMETHYL} - 4 - [(4-CHLORO PHENYL) METHYLENE] -2-PHENYL-2-IMIDAZOLIN -5-ONES

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Abstract

4-[(4-chloro phenyl) methylene]-2-phenyl-1,3-oxazolin-5-one and thiosemicarbazide were mixed in a round bottom flask. Pyrindine was added to the mixture and refluxed on heating mental for 3 hours. Content colled, then poured over crushed ice and recrystalised from alchohol. Product (II) obtained.

1-(hydrazinothioxomethyl)-4-[(4-chloro phenyl) methylene]-2-phenyle-2-imidazolin-5-one and benzaldehyde were refuxed in ethanol containing a few drops of gl. Acetic acid for 3 hours in water bath. Then excess of solvent was distilled off and crude product was washed with water, filled, dried and further washed with petroleium ether. Recrystallied product(III) from R.F.Spirit. The other 15 compounds were prepared by following the above procedure.

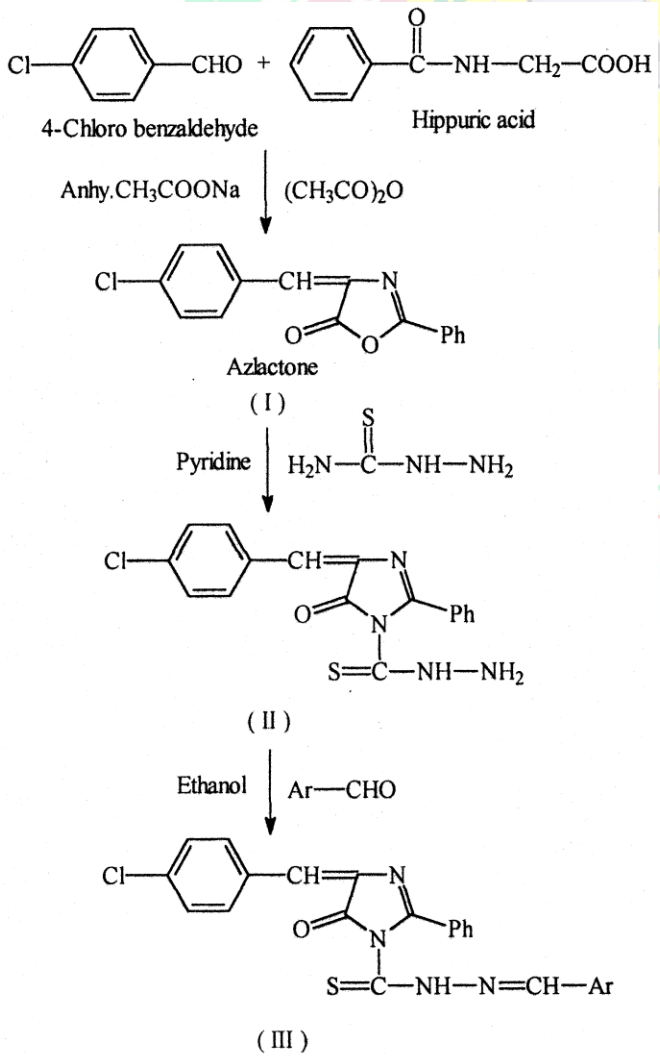
The structure of these compounds were established on the basis of analytical data, 1HNMR, IR and mass spectral studies. All the newly synthesized compounds were evaluated for their anti-micribial activities.

INTRODUCTION:

Imidazolinones have diverse physiological and pharmacological activities such as anticancer and anti-HIV activitiy[1,2,3], antibacterial activity[4], antitubercular activity[5], anti-inflammatory activity[6,7]. 5-oxo-imidazolin play a vital role in pharmaceutical science.

Moreover 5-oxo- imidazolin moiety has shown antitubercular activity anti convulsant activity[8]. These interesting biological activities have attracted our attention to the chemistry of nitrogen containing heterocycles. Hence it was throught of interest that 5-oxo-imidazolin, the resulting compounds may possess significant biological potency.

Keeping in view of these varied pharmacological activities, we have planned to synthesize new 1-{[(1-aza-2-aryl vinyl) amino] thioxomethyl}-4-[(4-methyl phenyl) methylene]-2-phenyl-2-imidazolin-5-ones. The constitution of all the products has been characterized using elemental analyses, IR, 1 H NMR and mass spectral study. All the compounds were screened for their in vitro antimicrobial activity against different strains of bacteria.



Scheme

TABLE – 1 :

Physical constants of the compounds

Sr. No.	Ar	Molecular Formula	M.W. gm	Yield %	M. P. C	Nitrogen %	
						Required	Found
1	– C_6H_5	$C_{24}H_{17}N_4OSCl$	444.5	62	123	12.59	12.51
2	2 (OH) C_6H_4 –	$C_{24}H_{17}N_4O_2SCl$	460.5	57	136	12.16	12.07
3	3 (OH) C_6H_4 –	$C_{24}H_{17}N_4O_2SCl$	460.5	58	190	12.16	12.07
4	4 (OH) C_6H_4 –	$C_{24}H_{17}N_4O_2SCl$	460.5	60	201	12.16	12.07
5	2 (Cl) C_6H_4 –	$C_{24}H_{16}N_4OSCl_2$	478	64	110	11.70	11.63
6	4 (Cl) C_6H_4 –	$C_{24}H_{16}N_4OSCl_2$	478	65	183	11.70	11.63
7	4 (CH_3) C_6H_4 –	$C_{25}H_{19}N_4OSCl$	458.5	74	166	12.21	12.15
8	3 (OCH_3),4(OH) C_6H_3 –	$C_{25}H_{19}N_4O_3SCl$	490.5	67	140	11.41	11.32
9	2 (OH), 5 (Br) C_6H_3 –	$C_{24}H_{16}N_4O_2SBr$	539.5	69	121	10.37	10.28
10	3 (NO_2) C_6H_4 –	$C_{24}H_{16}N_5O_3SCl$	489.5	63	109	14.30	14.23
11	4 (NO_2) C_6H_4 –	$C_{24}H_{16}N_5O_3SCl$	489.5	62	167	14.30	14.23
12	3,4 –O– CH_2 –O– C_6H_3 –	$C_{25}H_{17}N_4O_3SCl$	488.5	72	193	11.46	11.41
13	4 (OCH_3) C_6H_4 –	$C_{25}H_{19}N_4O_2SCl$	474.5	68	139	11.80	11.70
14	3,4,5 (OCH_3)$_3$ C_6H_2 –	$C_{27}H_{23}N_4O_4SCl$	534.5	72	145	10.47	10.41
15	– CH = CH – C_6H_5	$C_{26}H_{19}N_4OSCl$	470.5	60	163	11.90	11.79

TABLE – 2

Antimicrobial activity of the compounds

Sr. No.	Ar	Antibacterial activity zones of inhibition in m.m.		
		E. Coli	S. aureus	S. typhosa
1	- C_6H_5	16	17	13
2	2 (OH) C_6H_4 -	14	16	14
3	3 (OH) C_6H_4 -	17	13	16
4	4 (OH) C_6H_4 -	15	15	12
5	2 (Cl) C_6H_4 -	18	**20**	16
6	4 (Cl) C_6H_4 -	**20**	19	19
7	4 (CH_3) C_6H_4 -	14	16	13
8	3 (OCH_3),4(OH) C_6H_3 -	18	13	12
9	2 (OH), 5 (Br) C_6H_3 -	16	15	14
10	3 (NO_2) C_6H_4 -	19	**20**	19
11	4 (NO_2) C_6H_4 -	**21**	19	**22**
12	3,4 - O - CH_2 - O - C_6H_3 -	18	13	12
13	4 (OCH_3) C_6H_4 -	17	15	16
14	3,4,5 (OCH_3)$_3$ C_6H_2 -	19	**22**	**20**
15	- CH = CH – C_6H_5	18	14	18

EXPERIMENTAL

All the melting points are determined in open capillary tubes and are uncorrected. Thin layer chromatography was used for monitoring the reaction and to check purity. IR spectra recorded on Bio-Rad FTS-40 spectrophotometer on KBr disc. ^{1}H NMR spectra were recorded on a model DPX-200 Brucker FT-NMR in-strument using TMS as an internal standard, FAB mass spectra were recorded on JEOL SX 102/DA 6000 spectrophotometer. All the compounds gave satisfacetory elements analysis.

Preparation of 1 – {[(– 1 – aza – 2 – phenyl vinyl) amino] thioxomethyl} – 4 – [(4 – chloro phenyl) methylene] – 2 – phenyl – 2 – imidazoline – 5 – one

Preparation of 1-(hydrazinothioxomethy) - 4 - [(4-chloro phenyl) methylene] – 2 – phenyl – 2 – imidazolin – 5 – one (II) :

4 – [(4- chloro phenyl) methylene] – 2 – pheny – 1,3-oxazolin – 5 – one (28.3 gm, 0.1 M) and thiosemicarbazide (9.1 gm, 0.1 M) were mixed in a round botton flask (500 ml). Pyridine (100 ml) was added to the mixture and refluxed on heating mental for 3 hours. Contents of the flask were cooled to room temperature. Then poured over crushed ice, acidified the contents with dilute HC*l* (10% 50 ml) to remove excess of pyridine. The solid obtained was filtered, washed successively with cold water and dried. Recrystallised from ethanol (95%).

Yield : 25 gm (71.42%)

M.P : 109^{o} C

Preparation of 1 – {[(– 1 – aza – 2 – phenyl vinyl) amino] thioxomethyl} – 4 – [(4 – chloro phenyl) methylene] – 2 – phenyl – 2 – imidazolin – 5 – one (III):

1 – (hydrazinothioxomethyl) – 4 – [(4 – methyl phenyl) methylene] – 2 – phenyl – 2 – imidazolin – 5 – one (3.56 gm, 0.01 M) and benzaldehyde (1.06 gm, 0.01 M) were refluxed in ethanol (35 ml, 95%) containing a few drops of glacial acetic acid for 3 hours in water bath. The excess of solvent was distilled off and the crude product was washed with water, filered, dried and further washed with petroleum ether. Recrystallised from rectified spirit.

Yield : 2.75 gm (62%)

M.P : 123^{o} C

The other compounds of Table no. 1 were prepared by following the above procedure.

RESULTS AND DISCUSSION

Compounds were screened for their in vitro anti bacterial activity using cup-plate agar diffusion method[9] at a concentration of 40 μg/ml E.coli, S.typhosa and S.aureus. Known antibiotics like ampicillin, amoxicillin, norfloxacin, penicillin and greseofulvin were used for comparison purpose.

From the experimental data cited in Table No.2, it has been observed that compounds bearing 4-chloro phenyl and 3-nitro phenyl groups, show maximum antibacterial activity as compared to remaining compounds against E.coli, while compounds bearing 4-nitro phenyl and 3, 4, 5-trimethoxy phenyl groups exhibit maximum activity against S.typhosa. In case of gram positive bacteria, compounds with the groups 2-chloro phenyl, 3-nitro phenyl and 3, 4, 5-trimethoxy phenyl are responsible for maximum activity against S. aureus.

The details are cited in Table No. 2

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REFERENCES

1. Yoon, sung, June ; Chaung, Young Ho; Lee Moon, Sun; Choi, Chung Ha; Jung sang Hun; Chem. Abstr. 128(17), 204888p, (1998)
2. Korotkikh. N; Losev, G; Khim – From. Zh. 27(1), Sl, (Russ) 1993, Chem. Abstr. 81223 n, (1995)
3. V.S. Jolly and Manish Pathak J.Ind. Chem. Sco., 68(11), 624, (1991).
4. Joshi Nilesh, Bapodara Atul and Parekh Hansa; Ind. J.Chem., 33B, 662, (1994).
5. Bhatt. K.N.; Ph.D. Thesis, Bhavnagar. Univ (1987).
6. Neidballa U. and Boettcher J., Ger. Offen. 2,856, 909, Chem. Abstr. 94, 15732 e, (1981).
7. Joel G. Whitney; US. 4, 348, 404 (1982); Chem. Abstr., 98 16691k, (1983).
8. Upodhayay R.; Pandya A. and Parekh H. : J. Ind. chem.. soc, 68(5), 296, (1991). Chem. Abstn., 116, 1576477, (1992).
9. A.L. Barry; 'The Antimiorobial susceptibility test; Principle and practice, Edited by Illus. Lea, Febiger; (Philadelphia pel USA) 180, Bio. Abstr. 64, 25183 (1977).