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Synthesis and antimicrobial study of some new 1-{[(-1-aza-2-aryl vinyl) amino] thioxomethyl} - 4 - [(4-methyl phenyl) methylene] -2-phenyl-2-imidazolin -5-ones

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INTRODUCTION

Imidazolinones have diverse physiological and pharmacological activities such as anticancer and anti-HIV activitiy[1-3], 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.

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 instrument using TMS as an internal standard, FAB mass spectra were recorded on JEOL SX 102/DA 6000 spectrophotometer. All the compounds gave satisfactory elements analysis.

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

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

4 – [(4- methyl phenyl) methylene] – 2 – pheny – 1,3-oxazolin – 5 – one (26.3 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: 23.52 gm (70%); M.P: 135^o C

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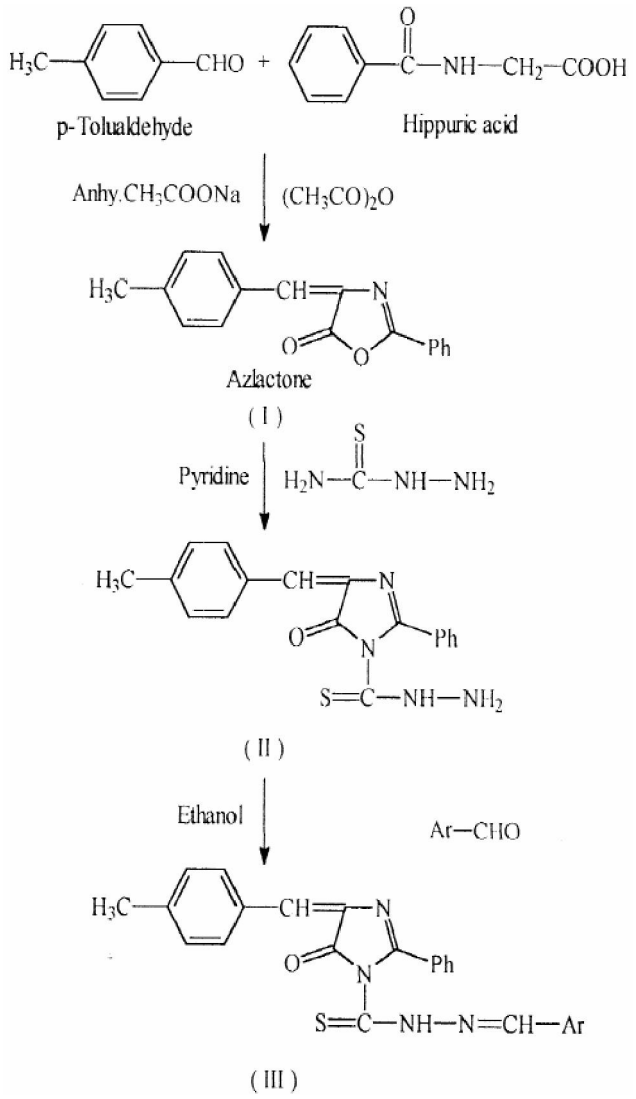

Scheme

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

1 – (hydrazinothioxomethyl) – 4 – [(4 – methyl phenyl) methylene] – 2 – phenyl – 2 – imidazolin – 5 – one (3.36 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: 2.54 gm (60%); M.P: 116° C

The other compounds of TABLE 1 were prepared by following the above procedure.

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	$C_{25}H_{20}N_4OS$	424	60	116	13.20	13.10
2	2 (OH) C_6H_4 –	$C_{25}H_{20}N_4O_2S$	440	72	165	12.72	12.61
3	3 (OH) C_6H_4 –	$C_{25}H_{20}N_4O_2S$	440	69	135	12.72	12.61
4	4 (OH) C_6H_4 –	$C_{25}H_{20}N_4O_2S$	440	68	169	12.72	12.61
5	2 (Cl) C_6H_4 –	$C_{25}H_{19}N_4OSCl$	458.5	67	151	12.22	12.13
6	4 (Cl) C_6H_4 –	$C_{25}H_{19}N_4OSCl$	458.5	69	128	12.22	12.13
7	4 (CH_3) C_6H_4 –	$C_{26}H_{22}N_4OS$	438	73	202	12.78	12.65
8	3 (OCH_3),4(OH) C_6H_3 –	$C_{26}H_{22}N_4O_3S$	470	75	187	11.91	11.79
9	2 (OH), 5 (Br) C_6H_3 –	$C_{25}H_{19}N_4O_2SBr$	519	60	131	10.78	10.70
10	3 (NO_2) C_6H_4 –	$C_{25}H_{19}N_5O_3S$	469	58	195	14.92	14.81
11	4 (NO_2) C_6H_4 –	$C_{25}H_{19}N_5O_3S$	469	60	101	14.92	14.81
12	3,4 –O– CH_2 –O– C_6H_3 –	$C_{26}H_{20}N_4O_2S$	468	64	210	11.96	11.84
13	4 (OCH_3) C_6H_4 –	$C_{26}H_{22}N_4O_2S$	454	65	109	12.33	12.20
14	3,4,5 (OCH_3)$_3$ C_6H_2 –	$C_{28}H_{26}N_4O_4S$	514	75	155	10.86	10.78
15	– CH = CH – C_6H_5	$C_{27}H_{22}N_4OS$	450	67	107	12.44	12.37

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 activity data cited in TABLE 2, it has been observed that compounds bearing 2-chloro phenyl and 4-nitro phenyl groups, show maximum antibacterial activity as compared to remaining compounds against E.coli, while compounds bearing 4-chloro phenyl and 3, 4, 5-trimethoxy phenyl groups exhibit maximum activity against S.typhosa. In case of gram positive bacteria, compounds with the groups 4-chloro phenyl, 4-nitro phenyl and 3, 4, 5-trimethoxy phenyl are responsible for maximum activity against S. aureus.

The details are cited in TABLE 2

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	17	13	17
2	2 (OH) C_6H_4 -	14	17	12
3	3 (OH) C_6H_4 -	19	15	13
4	4 (OH) C_6H_4 -	16	19	15
5	2 (Cl) C_6H_4 -	**22**	14	17
6	4 (Cl) C_6H_4 -	18	**20**	**24**
7	4 (CH_3) C_6H_4 -	15	18	16
8	3 (OCH_3),4(OH) C_6H_3 -	14	13	14
9	2 (OH), 5 (Br) C_6H_3 -	17	12	18
10	3 (NO_2) C_6H_4 -	19	19	14
11	4 (NO_2) C_6H_4 -	**21**	**24**	16
12	3,4,- O - CH_2 - O - C_6H_3 -	16	12	12
13	4 (OCH_3) C_6H_4 -	18	17	18
14	3,4,5 (OCH_3)$_3$ C_6H_2 -	19	**21**	**22**
15	- CH = CH – C_6H_5	19	18	17

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