ISSN: 0974 - 7516 Volume 8 Issue 9

Trade Science Inc.

Organic CHEMISTRY

An Indian Journal

Short Communication

OCAIJ, 8(9), 2012 [323-325]

Synthesis and biological screening of 4-arylidene-1-(indan-1'-yl)-2-phenyl-5-oxo-imidazolines

V.P.Gohel, V.N.Patolia*

Kamani Science College, Chemistry Department, Amreli, Gujarat, (INDIA)

Received: 13th December, 2011 ; Accepted: 30th December, 2011

ABSTRACT

4-arylidene-1-(indan-1'-yl)-2-phenyl-5-oxo-imidazolines (2a-2l) have been synthesized. The products have been assayed for their biological screening against Gram +ve bacteria, Gram -ve bacteria and fungi. The products have been characterised by IR,1H NMR, Mass spectral studies, elemental analysis and TLC method.

KEYWORD

Imidazolines

INTRODUCTION

Imidazolines are better therapeutic agents like antihistaminic[1], antineoplastic[2], antipyretic and analgesic[3], anti-inflammatory[4] etc. With an aim to get better therapeutic agents, 4- arylidene-1-(indan-1'-yl)-2-phenyl-5-oxo-imidazolines (2a-2l) have been synthesised by the condensation of 1-aminoindan with different oxazolones. The oxazolones have been synthesised by Erlenmeyer reaction[5]

The products (2a-2l) were assigned by IR, 1H NMR, Mass spectral data, TLC and elemental analysis. The physical data and biological screening with MIC are represented in TABLE 1 and comparable activity of synthesised products compare with known standard drugs are presented in TABLE 2 & TABLE 3.

BIOLOGICAL SCREENING

The biological screening was determined by broth dilution method[6,7] using DMSO as a solvent. The activity was taken by Gram positive bacteria *S.aureus*, *S.pyogenes*, Gram negative bacteria *E.coli*., *P.aeruginosa* and antifungal activity against *Candida albicans*. The minimum inhibition concentration (MIC) was measured in µg/ml which is represented in TABLE 1. The products were compared with known standard drugs viz. Ampicillin, Chloramphenicol, Ciprofloxacin and Griseofulvin which is represented in TABLE 2 & TABLE 3.

EXPERIMENTAL

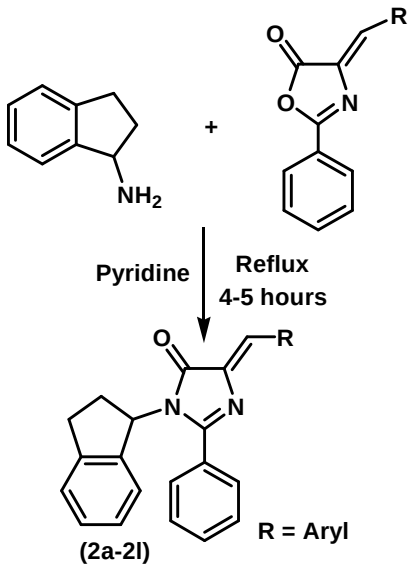
All the melting point were measured by open glass capillary method and are uncorrected. IR absorption spectra (in cm^{-1}) were recorded on a Shimadzu IR spectrophotometer using KBr pellet method, ^1H NMR spectra on Bruker (500MHz)spectrometer using DMSO-d6 solvent, TMS as internal standard (chemical shift in δppm) and mass spectra on Joel 300eV. The purity of the compounds was routinely checked by TLC using silica gel-G.

Synthesis of 4-(4"-methoxy benzylidine)-1-(indan-1'-yl)-2-phenyl-5-oxo-imidazoline (2d)

A mixture of 1-aminoindan (1.33g, 0.01M) and 4-

Short Communication

REACTION SCHEME



(4"-methoxy benzylidene)-2-phenyl-5-oxazolone (2.78g,0.01M) in pyridine (10ml) was refluxed for 4 hrs at 120° in oil bath. The reaction mixture was poured into crushed ice, filtered, dried and crystallised from ethanol. Yield: 65.74%, mp:164°C (Found: C:79.00; H:5.54; N:7.06; $C_{26}H_{22}O_2N_2$ Cald. C:79.18; H:5.58; N:7.10%) IR (KBr)(cm^{-1}): 2970(C-H str.asym), 2850(C-H str.sym), 1473(C-H def.asym), 3063(C-H str.aromatic),1510,1533(C=C str), 1373(C-N str), 1641(>C=Ostr), 1251(C-O-C str.), ^{1}H NMR (δppm): 3.7(S,3H,-OCH_3), 1.9-2.9(m,5H), 7.1-7.9(m,15H and =CH) m/z: 57, 77, 91, 105, 117, 132, 147, 174, 193, 237, 279, 394.

Similarly other compounds (2a-2l) were synthesised and their physical data are represented in TABLE 1.

TABLE 1 : The physical data and biological screening of compounds (2a-2l)

Compd.	R	mp°C	Antimicrobial Activity (MIC in µg/ml)				Antifungal Activity (MIC in µg/ml)	% of Nitrogen	
			Gram+ve bacteria		Gram-ve bacteria				
			S.aurius	S.pyogenes	E.coli	P.aeruginosa	C.albicans	Cald.	Found
2a	C_6H_5-	134	200	200	200	250	500	7.69	7.64
2b	2-OH-C_6H_4-	82	250	200	250	250	500	7.36	7.33
2c	4-OH-C_6H_4-	77	125	125	500	250	1000	7.36	7.34
2d	4-OCH_3-C_6H_4-	164	200	200	200	250	1000	7.10	7.06
2e	2-Cl-C_6H_4-	71	250	250	500	500	>1000	7.02	7.00
2f	4-Cl- C_6H_4-	190	200	250	200	250	500	7.02	6.09
2g	2-NO_2- C_6H_4-	128	125	125	125	100	500	10.26	10.23
2h	3-NO_2- C_6H_4-	78	200	250	200	250	250	10.26	10.24
2i	4-NO_2- C_6H_4-	81	500	500	100	100	1000	10.26	10.23
2j	3-OCH_3,4-OH-C_6H_3	88	250	250	200	200	1000	6.82	6.78
2k	4-N-$(CH_3)_2$- C_6H_4-	97	100	100	500	500	500	10.31	10.27
2l	C_4H_3O-(furfural)	78	250	250	250	200	1000	7.90	7.86

TABLE 2 : Compound showing comparable activity with known standard drugs:

Compound	S.aureus	S.pyogenes	E.coli	P.aeruginosa	C.albicans
(2a-2l)	2c, 2d, 2f, 2g, 2h, 2k	2c, 2g, 2k	2g, 2i	2g, 2i	2a, 2b, 2f, 2g, 2h,2k

TABLE 3 : Activity of standard drugs (MIC in µg/ml)

Sr.No.	Drugs	S.aureus	S.pyogenes	E.coli	P.aeruginosa	C.albicans
1	Ampicillin	250	100	100	100	-
2	Chloramphenicol	50	50	50	50	-
3	Ciprofloxacin	50	50	25	25	-
4	Griseofulvin	-	-	-	-	500

CONCLUSION

4-arylidene-1-(indan-1'-yl)-2-phenyl-5-oxo-imidazolines (2a-2l) have been synthesised. Compounds 2c, 2g, 2h, 2i, 2k shows good remarkable biological activity compared with known standard drugs.

AKNOWLEDGEMENT

The authors are thankful to principal, Kamani science college, Amreli for providing research facilities.

REFERENCES

[1] R.R.Granshaw, G.M.Luke; Can.C.A., **1**, 190,306; Chem.Abstr., **98**, 1694 **(1983)**.
[2] Sumitemo Chemican Co.Ltd.; Jpn.Kokai Tbfcfcyo Koho JP, **57**, 120, 576; Chem.Abstr., **98**, 16683j **(1983)**.
[3] C.R.Sarrna, D.R.Sharidhar; Indian Pat.IM., **154**, 314 **(1984)**; Chem.Abst., **105**, 133, 738 **(1986)**.
[4] S.Swamp, V.K.Saxena, S.R.Chaudhary; Indian J.Pharma.Sci., **51(4)**, 124-27 **(1989)**.
[5] A.F.M.Fahmy, M.O.A.Okabi; Indian J.Chem., **10**, 961-964 **(1972)**.
[6] Clinical Microbiology Procedure Handbook, Chapter-5, Henry d.Isenberg, 2nd Edition, **2**, 501.
[7] Indian Journal of Chemistry, Section-b, **46b**, 550-553, March **(2007)**.