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Synthesis and biological screening of 1-N-2'-(3'-methylbutanoicacid)-2-phenyl-4-arylidine-5-oxo-imidazolines

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ABSTRACT

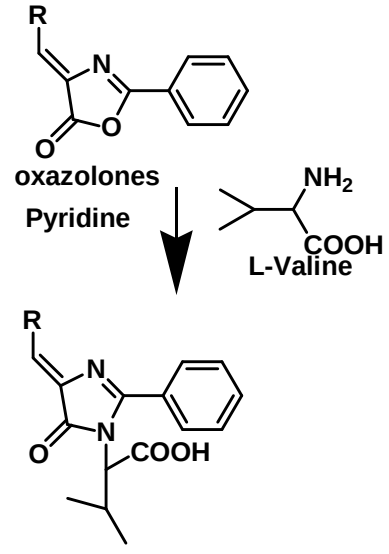
1-N-2'-(3'-Methylbutanoicacid)-2-phenyl-4-arylidine-5-oxo-imidazolines **(3a-j)** have been synthesized by the condensation of L-valine with different oxazolones. The products have been assayed for their antimicrobial scrrening against Gram+ve and Gram-ve bacteria. Some of the products showed moderate activity compared with known standard drug viz. penicillin at same concentration 50µg/ml. The structure of the products have been elucidated by ^{1}H NMR, IR, Mass spectral data.

INTRODUCTION

L-Valine derivatives play a vital role largely due to the wide ranging of biological activities. L-Valine is known to exhibit wide spectrum of biodynamic activity. Taking into consideration diverse biodynamic activities like analgesics[1], antibacterial[2], antidiabatic[3], antifungal[4], antiulcer[5,6], antihistamine[7], anthelmitic[8], anti-inflammatory[9] etc. In the fact of these interesting biological activities, in view of getting to synthesized some new imidazoline[10-13] derivatives bearing L-Valine. 5-Oxo-imidazoline derivatives have been synthesized by the condensation of L-valine with different oxazolones. All the products **(3a-j)** were assigned the IR, HNMR, Mass spectra, and TLC. The physical data and antimicrobial activities are represented in TABLE 1.

ANTIMICROBIAL ACTIVITY

All the products **(3a-j)** were tested for their antimicrobial activity by cup-plate method[14] against the Gram positive bacteria Bacillus subtillis, Gram negative bacteria Escherichia coli at a concentration of 50µg/ml, using DMSO as a solvent. After 24hrs of incubation at 37°C, the zone of inhibition were measured in mm. The activity was compared with known standard drug viz. penicillin at the same concentration 50µg/ml. Which is represented in TABLE 1. All the synthesized compounds **(3a-j)** showed moderate to good and remarkable ac-



5-oxo-imidazolines(3a-j)

TABLE 1

Comp.	R	Molecular formula	M.P. °C	% Yield	Antibacterial	
					B.Subtillis	E.coli
3a	C_6H_5-	$C_{21}H_{20}O_3N_2$	145	65.32	16	14
3b	C_6H_5-CH=CH-	$C_{23}H_{21}O_3N_2$	106	71.13	15	15
3c	4-OH-C_6H_4-	$C_{21}H_{20}O_4N_2$	115	60.65	15	16
3d	4-OH,3-OCH_3-C_6H_3	$C_{22}H_{22}O_5N_2$	132	69.15	18	16
3e	3,4,5- $(OCH_3)_3$-C_6H_2	$C_{24}H_{26}O_6N_2$	108	62.54	16	17
3f	2-NO_2-C_6H_4-	$C_{21}H_{19}O_5N_3$	123	68.26	17	15
3g	3-NO_2-C_6H_4-	$C_{21}H_{19}O_5N_3$	116	58.64	16	18
3h	4-Br-C_6H_4-	$C_{21}H_{19}O_3N_2Br$	135	53.12	15	18
3i	3,4-$(OCH_3)_2$-C_6H_3-	$C_{23}H_{26}O_5N_2$	140	64.35	17	16
3j	2-Cl-C_6H_4-	$C_{21}H_{19}O_3N_2Cl$	112	70.29	16	16
Standard drug : Penicillin					16	17

tivities with known standard drugs at same concentration, which is represented in TABLE 1.

Synthesis of 1-N-2'-(3'-methylbutanoicacid)-2-phenyl-4-(4'-bromobenzylidine)-5-oxo-imidazolines(h)

A mixture of 2-Phenyl—(4'-Bromobenzylidine)-5-oxo-azalactone (0.01M) and L-valine (0.01) in 10 ml pyridine was refluxed on oil bath for 6 hrs. Resulting mass was poured into crushed ice and neutralized with dil. HCl, filtered and the product was recrystallized from 1, 4 dioxane. Yield 53%, M.P. 135.; ^{1}H NMR 1.01(6H, d, CH_3), 1.6 (1H, m, -CH) 2.78(1H, d,-CH), 7.19-7.3(3H, t, Ar-H), 7.3-7.5(2H, d, Ar-H), 7.5-7.6(4H, d, Ar-H),10.0(1H, s, -COOH).; IR (KBr) : 2930 (C-H str.asym), 2857 (C-H str.sym), 3046(C-H str.aromatic), 1563(C=C str.aromatic), 1226(C-O-C str.), 1097(C-N str.), 1624(C=N str.), 1727(C=O str.).; (M/Z) at 428, 408, 393, 384, 364, 339, 326, 309, 297, 247, 169, 155, 105, 90, 57, 43.

RESULTS

1-N-2'-(3'-Methylbutanoicacid)-2-phenyl-4-arylidine-5-oxo-imidazolines **(3a-j)** were synthesized and compounds **(3a)**, **(3d)**, **(3e)**, **(3f)**, **(3g)**, **(3i)**, **(3j)**, **(3h)** ; showed good remarkable antibacterial activity with compare to known standard drug penicillin at same concentration 50µg/ml.

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