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NOTE

Synthesis of 2-Azetidinones Bearing Benzothiazole Moiety as Possible Antibacterials

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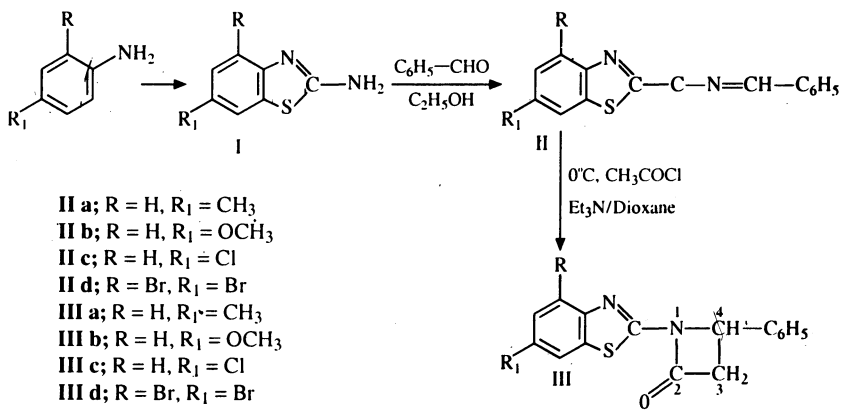
Benzothiazolyl derivatives of 2-azetidinones (**III**) were synthesised by the condensation of aromatic aldehydes with various substituted 2-aminobenzothiazoles (**I**). The condensed products (**II**) were treated with acetyl chloride in presence of triethylamine in dioxane to get title compounds (**III**). These compounds were screened for their antibacterial activities against *S. aureus, Pseudomonas klebsiella, Proteus mirabilis* and *E. coli.*

Benzothiazole derivatives are associated with diversified biological properties, such as antitumour[1, 2], antibacterial[3], anti-inflammatory, antiallergic and immunosuppressants[4, 5]. 2-Azetidinones (β-lactams) are also known to possess various biological activities[6, 7]

Taking this into consideration, the preparation of 2-azetidinones of type **III** using well known acid chloride imine reaction have been undertaken by condensation of benzalaminothiazole (**II**) and acetyl chloride in the presence of triethylamine in order to furnish azetidinones (**III**).

The constitution of the synthesized products have been characterized using elemental analysis, infrared spectroscopy. The compounds were tested for antibacterial activity against *E. coli, S. aureus, Pseudomonas klebsiella* and *Proteus mirabilis* using filter paper disc method[8]

SCHEME



II a; R = H, $R_1 = CH_3$
II b; R = H, $R_1 = OCH_3$
II c; R = H, R_1 = Cl
II d; R = Br, R_1 = Br
III a; R = H, $R_1 = CH_3$
III b; R = H, $R_1 = OCH_3$
III c; R = H, R_1 = Cl
III d; R = Br, R_1 = Br

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All melting points were determined in open capillary and are uncorrected. The purity of compounds was checked by TLC on silica gel. IR spectra (KBr) were recorded on a Perkin-Elmer 781 spectrophotometer.

Synthesis of substituted 2-aminobenzothiazole (I)

These were prepared by using methods reported earlier[9, 10]

Synthesis of 2-benzalamino-4,6-substituted benzothiazoles (II)

A mixture of benzothiazole (0.01 mole) ethanol (95%; 30 mL) and benzaldehyde (0.02 m) were refluxed on a water bath with a temperature maintained at 90°C for 6 h.

The resulting solid was crystallised from dimethyl formanide.

Synthesis of substituted 1-benzothiazole-4-aryl 2-azetidinones (III)

A mixture of above synthesised **(II)** (0.01 moles) and triethyl amine (0.01 mole) was dissolved in dioxane (40 mL) and kept in an ice bath. To it cold solution of acetyl chloride (0.01 mole) was added slowly at 0°C, stirred for 10–12 h and left overnight. The precipitated triethylammonium chloride was filtered off and dioxane was removed by distillsation. Residue was poured into water, resulting solid dried and crystallised from benzene.

Antimicrobial Screening

Compound **II (a–d)** and **III (a–d)** were screened for antimicrobial activity by employing filter paper disc method and tested against *S. aureus, Pseudomonas klebsiella, Proteus mirabilis* and *E. coli.*

Compound **II a** and **III a** were found to be active against all the five bacteria. Compound **II (d–c)**, **III (b–c)** showed activity towards *E. coli*, staphylococcus aureus and *Proteus mirabilis*, whereas, compound **II b** and **III d** showed strong antibacterial activity for only *Proteus mirabilis.*

TABLE-1
PHYSICAL AND SPECTRAL DATA OF SYNTHESISED COMPOUNDS

Compound No.	yield (%)	m.p. (°C)	m.f.	$\nu_{max}(cm^{-1})$			
				ν(C=N)	ν(C—O—C) str.	ν(C—Br)/ ν(C—Cl) str.	ν(C=O)
II							
a.	59	220	$C_{15}H_{12}N_2S$	1593	–	–	–
b.	52	140	$C_{15}H_{12}N_2OS$	1590	1030	–	–
c.	54	145	$C_{14}H_9N_2SCl$	1612	–	725	–
d.	62	240	$C_{14}H_8N_2SBr_2$	1600	–	580	–
III							
a.	60	190	$C_{17}H_{14}N_2OS$	1585	–	–	1710
b.	56	162	$C_{17}H_{14}N_2O_2S$	1590	1035	–	1630
c.	59	150	$C_{16}H_{11}N_2QSCl$	1600	–	710	1680
d.	64	230	$C_{16}H_{10}N_2OSBr_2$	1617	–	575	1675

All the compounds gave satisfactory C,H,N and S analysis.

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