Synthesis and Antibacterial Activity of 3-(4-Chlorophenyl, 4-Dimethylaminophenyl and 2-Hydroxy-3-Methoxyphenyl)-5-Nitro-7-Chloro-[3,4-b]-Triazolo Benzothiazole

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Abstract
The present study describes the synthesis and evaluation of a series of novel substituted triazolobenzothiazole derivatives, namely 3-(4-chlorophenyl), 3-(4-dimethylaminophenyl), and 3-(2-hydroxy-3-methoxyphenyl)-5-nitro-7-chloro[3,4-b]triazolobenzothiazoles.2-amino-4-nitro-6-chlorobenzothiazole, conversion to 2-hydrazino-4-nitro-6-chlorobenzothiazole, condensation with aromatic aldehydes, and oxidative cyclization of the resulting hydrazones using active manganese dioxide in benzene. Products obtained from the reactions were screen for their antibacterial activity
Keywords : *Benzothiazole, hydrazone, Triazolobenzothiazole, antibacterial activity*

Introduction

Benzothiazole is a bicyclic heteroaromatic system containing both sulfur and nitrogen atoms. The benzothiazole nucleus is frequently encountered in biologically active molecules and has been associated with antimicrobial, antifungal, anti-inflammatory, anticancer, antioxidant, and other pharmacological properties. Modification of the benzothiazole ring with different functional groups and heterocyclic moieties can substantially alter its physicochemical properties and biological activity.

Triazoles are another important class of nitrogen-containing heterocycles with considerable pharmaceutical significance. Various triazole-containing compounds have demonstrated antibacterial, antifungal, antiviral, anti-inflammatory, and anticancer activities. The combination of a triazole ring with another biologically active heterocyclic system may produce compounds with enhanced or complementary biological properties.

Experimental

Melting points were determined in open capillaries and are uncorrected. Infrared spectra were recorded in Nujol / potassium bromide pellets on Bomen MB 104FT infrared spectrophotometer. 1HNMR spectra were obtained on a Gemini 200 Mz specrtometer with TMS as an internal standard and mass spectra on FT VG-7070H mass spectrometer using the GI technique at 70 ev. Elemental analysis was carried out on aHeraeus CHN-O Rapid analyser. Purity of the compound was checked by TLC and elemental analysis

The synthetic strategy to prepare triazolo benzothiazole is through a functionalized benzothiazole intermediate. 2-amino-4-nitro-6-chlorobenzothiazole is first prepared by thiocynation of 2-nitro-4-chloro aniline followed by conversion to the 2-hydrazino-4-nitro-6-chlorobenzothiazole by trating with 80% hydrazine hydrate. The hydrazino compound is then condensed with aromatic aldehydes like 4-dimethyl amino benzaldehyde, 4-chloro benzalde and 2-hydroxy-3-methoxy benzaldehyde to form corresponding hydrazones. Oxidative cyclization with active manganese dioxide is subsequently used to obtain 3-substituted-5-nitro-7-chloro1,2,4- triazolo-[3,4-b]-benzothiazole (5a-5c). These newly synthesized compounds were screened for their antibacterial activity.

Antibacterial Activity

The compounds were tested for their antimicrobial activity by cup plate agar diffusion method against Escherichia coli (Gram -ve), Bacillus subtilis (Gram +ve), Erwinia carotovora, and Xanthomonas citri using ampicillin, streptomycin, and penicillin as a standard for comparison. The antibacterial screening data of the compounds are presented in Table 1. DMSO was used as a control solvent.

Table 1: Evaluation of antimicrobial screening 3-substituted 5-Nitro-7-Chloro-[3,4-b]-Triazolo Benzothiazole

Sr. No.	Comp	Antimicrobial activity(zone of inhibition in mm)			
		E. Coli	Erwinia Cartowara	Bacillus subtilis	Xanthomonas citri
1		06	08	06	10
2		08	06	08	12
3		12	10	10	14
Ampicillin		16	18	17	15
Streptomycin		18	20	22	18
Penicillin		16	18	20	16
Control		00	00	00	00

. **Result and Discusion**

The IR spectrum of 3-substituted-5-nitro-7-chloro-1,2,4-triazolo[3,4-b]benzothiazole is shows the absence of absorption signal at 3400 cm^{-1} due to N-H stretching indicate the formation of cyclised product. 5a compound shows the absorption signal at 2930 cm^{-1} is due C-H stretching in alkane while 5c compound shows broad absorption signal at 3540 cm^{-1} is due phenolic –O-H stretching while NMR signal shows singlet at 3.4 due to $–OCH_3$ and singlet at 10.5 due to phenolic O-H. from these data it is confirmed the formation of cyclised triazolo benzothiazole product.

From the results antibacterial activity, it is clear that the compounds tested showed variable toxicity against different bacteria. This variation in toxicity can be attributed to different structures and functional groups attached to the basic nucleus. It is also clear from the results presented in table-1 that phenolic –OH and aryl substituted –OCH3 groups in the basic nucleus, the antibacterial activity was increased. This was observed with bacteria that the subsequent addition of phenolic (-OH) and aryl substituted –OCH3 groups antibacterial activity was enhanced.

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