

## NOTE

**Synthesis, Characterization and Microbial Studies of Arylidene Aceto Hydrazido Benzotriazole Derivatives**

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Reaction of benzotriazole with ethyl chloroacetate under reflux condition gave benzotriazolo acetate which on amination with hydrazine hydrate afforded (acetato hydrazido) benzotriazole. The compound (acetato hydrazido) benzotriazole on condensation with various substituted aromatic aldehydes yielded arylidene acetato hydrazido benzotriazole in the yields varying from 60–75%. Antibacterial and antifungal activities of arylidene acetato hydrazido benzotriazole are also reported.

**Key Words:** Synthesis, Benzotriazole derivatives, Microbial activity.

Benzothiazole derivatives possess varying degree of antibacterial, antifungal and antiparasitic properties<sup>1</sup>. Further, arylidene aceto hydrazide derivatives constitute an important class of compounds possessing pesticides, herbicidal, fungicidal type of pharmacological activities. In addition, recently arylidene acetato hydrazide derivatives have been demonstrated to possess broad spectrum antibacterial and antifungal activities<sup>2</sup>. It is also reported that various benzotriazole derivatives were used as antihistamine agent<sup>3</sup>. Keeping in view these valid observations and in continuation of our interest in research for biologically active heterocycles, in the present communication, some arylidene acetatohydrazido benzotriazole<sup>4</sup> derivatives were synthesized and their antibacterial and antifungal activities were evaluated.

IR-spectra were recorded on Buck Scientific IP (M-500). Melting points were determined in open capillaries and are uncorrected. TLC checked purity and homogeneity of the compounds.

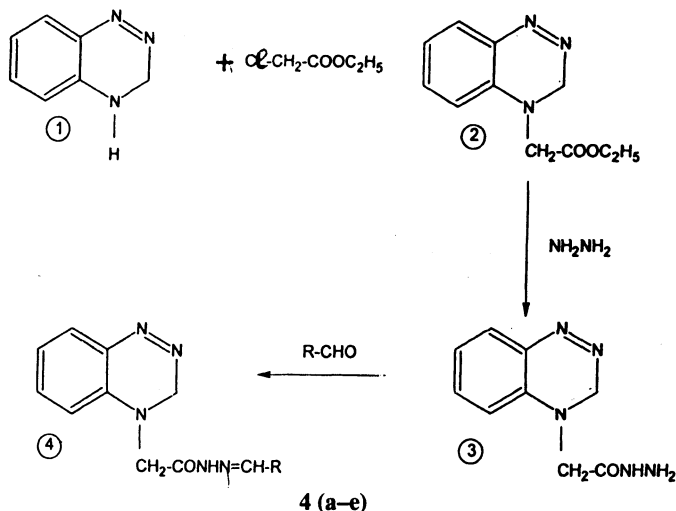
Benzotriazole was prepared according to the reported method<sup>4</sup>.

**Ethyl-N'-benzotriazole acetate (2):** Equimolar solution of benzotriazole (0.1 mol) in acetone and ethyl chloroacetate (0.1 mol) in presence of anhydrous K<sub>2</sub>CO<sub>3</sub> (4 g) was refluxed on a water bath for about 6 h, cooled and the solid obtained was filtered, dried and crystallized from methanol to afford **2**. IR (KBr, cm<sup>-1</sup>): 1760 ν(—COO), 2863, 1463, 1230 ν(—NCH<sub>2</sub>), 845 ν(C—N), 1496 ν(C=C), 1615 ν(N=N).

**N'-Benzotriazole acetyl hydrazine (3):** A mixture of **2** (0.08 mol) and hydrazine hydrate (0.08 mol) in ethanol (50 mL) was refluxed on a water bath for about 5 h, then cooled and the resulting solid was crystallized from chloroform : methanol (5 : 5 v/v) mixture to give **3**. IR (KBr, cm<sup>-1</sup>): 2863, 1463, 1230 ν(—NCH<sub>2</sub>), 845 ν(C—N), 1496 ν(C=C), 1615 ν(N=N), 3225 ν(NHNH<sub>2</sub>), 1662 ν(C=O, amido)

**Arylidene acetohydrazido-N'-Benzotriazole [4 (a–e)]:** A mixture of **3**

(0.05 mol) in chloroform (40 mL), 4-chlorobenzaldehyde (0.05 mol) and 4–5 drops of glacial acetic acid was refluxed on a water bath for about 6 h, cooled and evaporated to get a residue which was crystallized from methanol to give 4. Likewise, other (4b–e) were synthesized. IR (KBr,  $\text{cm}^{-1}$ ): 2863, 1463, 1230  $\nu(-\text{NCH}_2)$ , 845  $\nu(\text{C}-\text{N})$ , 1496  $\nu(\text{C}=\text{C})$ , 1615  $\nu(\text{N}=\text{N})$ , 1662  $\nu(\text{C}=\text{O}, \text{amido})$ , 1630  $\nu(\text{NCH})$ , 3335 and 1340  $\nu(-\text{NH})$ .



### Pharmacological Activity

All the compounds were screened for antibacterial activity by agar cupboarer method<sup>5</sup>. The analytical data are presented in Table-1.

TABLE-1  
PHYSICAL AND ANALYTICAL DATA OF COMPOUNDS

Compd. No.	R	m.f.	m.w.	m.p. (°C)	% N Found (Calcd.)
4a	4-chloro phenyl	$\text{C}_{16}\text{H}_{14}\text{N}_5\text{OCl}$	327.5	156	21.37 (21.39)
4b	3,4-methoxyphenyl	$\text{C}_{18}\text{H}_{19}\text{N}_5\text{O}_3$	353	161	19.83 (19.87)
4c	4-hydroxy 3-methoxy phenyl	$\text{C}_{17}\text{H}_{17}\text{N}_5\text{O}_3$	339	180	20.64 (20.65)
4d	3,4,5-methoxy phenyl	$\text{C}_{19}\text{H}_{22}\text{N}_5\text{O}_4$	384	185	18.22 (18.26)
4e	phenyl	$\text{C}_{16}\text{H}_{15}\text{N}_5\text{O}$	293	181	23.89 (23.91)

The activity was shown against gram positive bacteria *B. mega* and gram negative bacteria *E. coli*. Tetracycline was used as the standard drug. Ethanol was used as a solvent control. The zone for inhibition in mm for compounds tested for antibacterial activity is listed in Table-2. The compounds were also screened

for antifungal activity against *Fusarium moniliforme* and *Aspergillus* by food poisoning technique<sup>7</sup>. The zone for inhibition in mm for compounds tested for antifungal activity is listed in Table-2.

TABLE-2  
ANTIBACTERIAL AND ANTIFUNGAL ACTIVITY OF COMPOUNDS

Compd. No.	Diameter of zone of inhibition (in mm)		% Inhibition in fungal zone	
	<i>E. coli</i>	<i>B. mega</i>	<i>Fussarium moniliforme</i>	<i>Aspergillus</i>
4a	18	11	55	51
4b	17	07	67	46
4c	19	13	48	47
4d	15	12	60	58
4e	13	10	49	42
Tetracycline	22	18	—	—

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