

## NOTE

**Synthesis and Antibacterial Activity of 2,3-Dihydro-4-(2'-Hydroxy-3'-Bromo-5'-Ethylphen-1'-yl)-2-Substitutedphenyl-1,5-Benzothiazepine Derivatives**

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2-Aminothiophenol reacts with 2'-hydroxy chalcones in methanol and acetic acid to give propiophenones which immediately undergo cyclization to give 1,5-benzothiazepine derivatives. The structures of the compounds have been confirmed by elemental analysis and spectral analysis. The antibacterial activity of the compounds have been also screened.

Several workers<sup>1,2</sup> have reported the synthesis of 1,5-benzothiazepine derivatives. In the present investigation, we synthesised some new 1,5-benzothiazepine derivatives from 2'-hydroxy-3'-bromo-5'-ethyl chalcones [1(a-h)] with 2-aminothiophenol in anhydrous methanol and glacial acetic acid gave corresponding 1,5-benzothiazepine derivatives.

Antibacterial screening of synthesised compounds have been carried out by cup-plate method<sup>3</sup> using a species of gram-positive bacteria *S. aureus* and gram-negative bacteria *E. coli*. The testing was carried out using 50 µg of sample in DMF. The results were compared against tetracycline and gentamycine. All compounds showed mild activity.

All melting points were taken in open capillary and are uncorrected. IR spectra were taken on a Perkin-Elmer-377 spectrophotometer. All compounds gave satisfactory elemental analysis.

**Preparation of 2,3-dihydro-4-(2'-hydroxy-3'-bromo-5'-ethylphen-1'-yl)-2-substitutedphenyl-1,5-benzothiazepine derivatives [2(a-h)].**

A mixture of 2'-hydroxy-3'-bromo-5'-ethyl chalcone (0.01 mo.) and 2-aminothiophenol (0.011 mol) in anhydrous methanol (100 mL) and glacial acetic acid (10 mL) was refluxed for 2 h. On cooling, the solid product was separated. It was filtered and crystallised from ethanol (90%).

## REFERENCES

1. K.P. Jadhav and D.B. Ingle, *Indian J. Chem.*, **22-B**, 180 (1983).
2. V.C. Pant, (Mrs.) M. Chugh, S. Pant and M. Modwel, *J. Indian Chem. Soc.*, **68**, 418 (1991); **69**, 342 (1992).
3. F. Kavanagh, *Analytical Microbiology*, Academic Press, New York, p. 125 (1963).

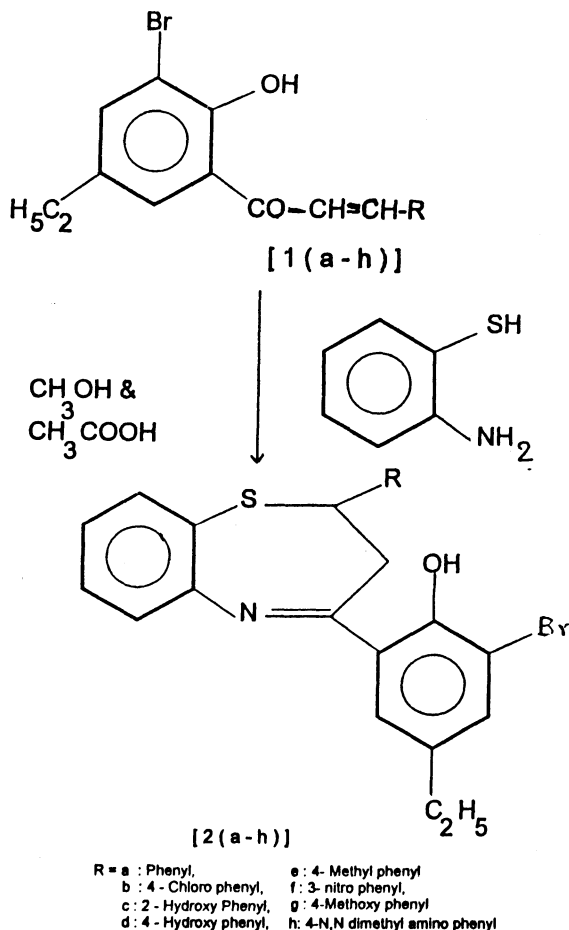


TABLE-1  
 PHYSICAL CHARACTERISTICS OF SYNTHESISED 2,3-DIHYDRO-4-(2'-  
 HYDROXY-3'-BROMO-5'-ETHYLPHEN-1'-YL)-2-SUBSTITUTED  
 PHENYL-1,5-BENZOTHIAZEPINE DERIVATIVES

Compound No.	m.p. (°C)	Mol. formula
2a	98-100	C <sub>23</sub> H <sub>20</sub> OBrNS
b	160	C <sub>23</sub> H <sub>19</sub> OBrClNS
c	65	C <sub>23</sub> H <sub>20</sub> O <sub>2</sub> BrNS
d	109	C <sub>23</sub> H <sub>20</sub> O <sub>2</sub> BrNS
e	150	C <sub>24</sub> H <sub>22</sub> OBrNS
f	128	C <sub>23</sub> H <sub>19</sub> O <sub>2</sub> BrN <sub>2</sub> S
g	141	C <sub>24</sub> H <sub>22</sub> O <sub>2</sub> BrNS
h	135	C <sub>25</sub> H <sub>25</sub> OBrN <sub>2</sub> S

Yield: 60-70%; IR (cm<sup>-1</sup>): 1320-1280 ν(C-N); 1620-1590 ν(C=N); 870 ν(C-S) (thiazepine ring)