SYNTHESIS OF THIAZOLINE, THIOPHENE, AND 1,3-DITHIOLANE USING ETHYL 4-HALOACETOACETATE

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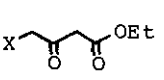
Synthesis of heterocycles using ethyl 4-bromo(and 4-chloro)acetoacetate (1 and 2) is described. Thus, the esters 1 and 2 reacted with *N*-substituted dithiocarbamates prepared from carbon disulfide and amines to give the corresponding 3-substituted 2-thioxo-4-thiazoline (3a - 3e).

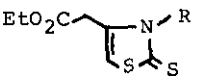
Reaction of 1 (and 2) with the thioacetamides prepared from phenyl isothiocyanate and active methylene compounds gave 2-substituted thiazolidines (4a - 4c) and the thiophenes (5a and 5b).

Compound 1 was allowed to react with the 2-cyanoethene-1,1-dithiol to give 2-substituted 4-hydroxy-1,3-dithiolane-4-acetates (6a and 6b).

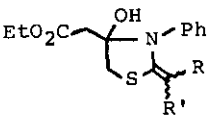
Reaction of 1 with the 2-cyano-1-methylthioethene-1-thiol yielded the 5-(methylthio)thiophenes (7a and 7b).



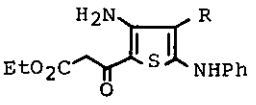
1: X = Br
2: X = Cl



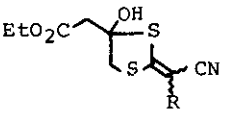
3a: R = CH_2Ph
3b: R = Me
3c: R = Et
3d: R = iso-Pr
3e: R = Ph



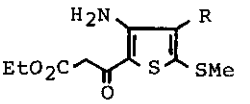
4a: R = CN, R' = CO_2Et
4b: R = R' = CN
4c: R = R' = CO_2Et



5a: R = CN
5b: R = $CONH_2$



6a: R = CN
6b: R = CO_2Et



7a: R = CN
7b: R = CO_2Et