FACILE ONE-POT SYNTHESIS OF BENZOTHIAZOLONES FROM 2-HALONITRO-BENZENES

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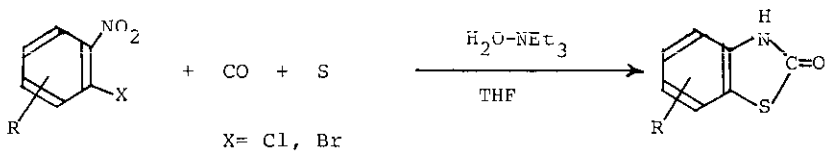
The skeleton of benzothiazolones is widely found as a principle moiety in some useful pesticides, medicines and dyestuffs, and therefore synthesis of those compounds is undoubtedly one of the important targets in organic synthesis and industrial chemistry.

We wish to present herein facile one-pot synthesis of benzothiazolones from the reaction of 2-halonitrobenzenes with carbon monoxide and sulfur in the presence of water and triethylamine, in which three different reactions, namely nucleophilic displacement, reduction and carbon insertion, successively proceeded for a single procedure.



(**1**) X= Cl, Br; R= H, Cl, CH_3, CF_3, CH_3O, $COOCH_3$ (**2**) Y= 53—91%

Treatment of 2-aminothiophenol(**3**) or 2,2'-diaminodiphenyl disulfide(**4**) under the similar conditions gave benzothiazolone in excellent yields, whereas employment of 2-bromoaniline(**5**) as a starting compound in this reaction resulted in the quantitative recovery of **5**. This fact may indicate that nucleophilic displacement of the halogen atom of **1** by a sulfur compound(possibly H_2S, or HSn^-) initially takes place prior to reduction of nitro group by hydrogen sulfide generated in situ in the reaction, Subsequent carbonyl insertion between the nitrogen and the sulfur atoms of **3** or its analogs gives the cyclized product **2**.

From the viewpoint of much availability of starting compounds and reagents, unique reaction pattern, simple procedure, good yield, and considerably wide generality, the present reaction may possess high potentiality for synthesis of a variety of benzothiazolones and application to industry.