A Novel Synthesis of 1,3,4-Benzothiadiazine Derivatives: the Concept of Transitional Activation

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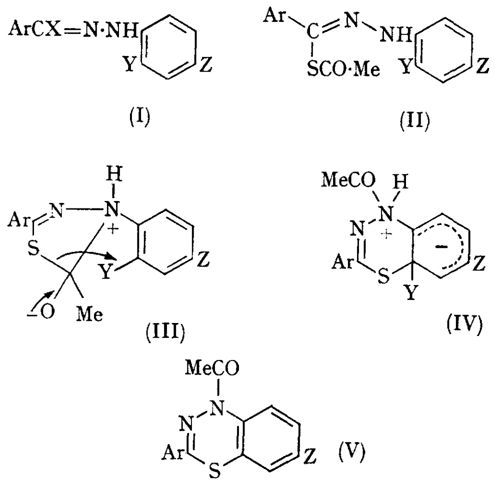
HYDRAZIDIC halides of type (I; X = Cl, Br; Y = F, Br; Z = F, Cl, Br) react with potassium thioacetate in boiling acetonitrile to give 1,3,4-benzothiadiazine derivatives (V), apparently the first authentic examples of this ring system.[1] In the cases examined, yields range from 13—51%, with the corresponding hydrazidic sulphide appearing when the yield of the benzothiadiazine is low. Correct analytical and consistent spectroscopic data have been obtained for all compounds in this series.

We envisage this intriguing reaction in terms of the annexed Scheme in which the character of the transition state for S → N acyl transfer (III) in the intermediate hydrazidic thioacetate (II) in such as to provide for *transient activation* of the halogen atom (Y) (not activated in the original hydrazidic halide) towards intramolecular nucleophilic displacement by the negative or incipiently negative sulphur atom, and leading *via* (IV) to the benzothiadiazine (V).



These and related matters are to be the subject of further communications.

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[1] *Cf.* G. Corsi, *Ann. Chim.* (*Italy*), 1966, **56**, 1203; we too have identified the "2-phenyl-1,3,4-benzothiadiazine" from reaction of *N*-benzoyl-*N*′-phenylhydrazine with sulphur as 2-phenylbenzothiazole.