

PECULIARITIES OF THE ACIDIC CLEAVAGE OF AZOLE BETAINES.

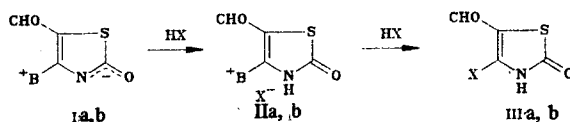
NEW SYNTHESIS OF 4-HALO-5-FORMYLTHIAZOLIN-2-ONES

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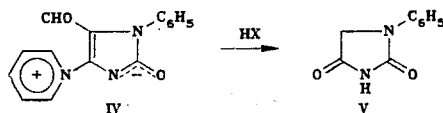
The cleavage of the interannular bond in ylids by nucleophilic anions of acids was described in [1, 2], but similar data are not available for betaines.

We have observed that the reaction of formylthiazole betaines Ia, b, which contain a pyridinium [3, 4] or isoquinolinium [4] cation, with hydrobromic or hydroiodic acid leads to the elimination of the cation with replacement by a halogen atom to give the corresponding 4-halo-5-formylthiazolin-2-ones [4-bromo-5-formylthiazolin-2-one (IIIa):  $C_4H_2BrNO_2$ , 58% yield, mp 223-225°C (dec., from acetic acid); 4-iodo-5-formylthiazolin-2-one (IIIb):  $C_4H_2INO_2$ , 64% yield, mp 236-238°C (dec., from dioxane)]. The structures of IIIa and IIIb were established from the results of elementary analysis and data from the PMR spectra [IIIa: 10.17 (1H, s, NH), 9.58 ppm (1H, s, CHO); IIIb: 9.62 (1H, s, NH), 9.38 ppm (1H, s, CHO)]. The reaction intermediates are betaine salts II, which were isolated.



I, II a B=pyridine, b B=isoquinoline, II, III a X=Br, b X=I

Betaine IV [1-phenyl-5-formyl-4-(1-pyridinia)imidazole 2-oxide] [3] reacts with the indicated acids in a different manner to give 1-phenylhydantoin (V), which is identical to the previously described compound [5].



LITERATURE CITED

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