LETTERS TO THE EDITOR

RECYCLIZATION OF 6(8)-NITRO-3-TRIFLUOROACETYL-2-PHENYLINDOLIZINE WITH FORMATION OF 5(7)-NITRO-3-PHENYLINDOLE-2-CARBOXYLIC ACID

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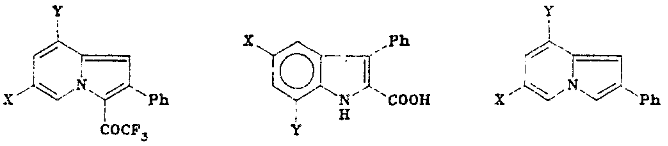
We found that by the action of aqueous-alcoholic alkali (KOH in 50% ethanol, concentration 3 M), at room temperature, indolizines Ia, b readily undergo recyclization, which is accompanied by a haloformic reaction with the formation of nitroindolecarboxylic acids IIa, b. We should note that compound IIa is a key intermediate in the synthesis of tranquilizers nitrazepam [1] and hypnone [2]. Acylindolizine Ic, which does not contain an NO_2 group is deacetylated* under these conditions, forming indolizine IIIc (83%).



I a,c II a,b III a-c

I-III a X=NO_2, Y=H; b X=H, Y=NO_2; c X=Y=H

Compounds Ia-c were obtained from indolizines IIIa-c and trifluoroacetic anhydride. Compound Ia, mp 183-185°C (from a heptane–benzene mixture), yield 96%.

Compound Ib, mp 162-165°C (from heptane–benzene mixture), yield 100%.

Compound Ic, mp 63-64°C (from hexane), yield 34%.

Compound IIa, mp 280-283°C (from alcohol), yield 85% [according to the data in [4], mp 275-295°C (dec.)]. Compound IIb, mp 268°C (from benzene), yield 80%.

The structure of the described compounds was confirmed by IR, UV, PMR and mass spectroscopy and elemental analysis data.

LITERATURE CITED

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*The deacetylation of 3-acetyl-2-phenylindolizine, proceeding by the action of EtONa under rigorous conditions, has been already described in [3].

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