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DEAMINATION OF 2-BENZOYLAZIRIDINES BY FERROUS IODIDE

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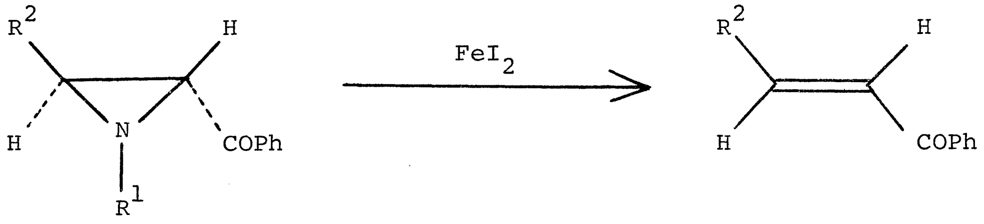
2-Benzoylaziridines (Ia-c and IIa,b) were deaminated to the corresponding trans-benzalacetophenones in high yields at room temperature by ferrous iodide.

During the course of our investigation on the reactivities of ferrous iodide, it was found that trans-1-cyclohexyl-2-benzoyl-3-phenylaziridine (Ia) was quite smoothly converted into trans-benzalacetophenone by the action of ferrous iodide under mild conditions.

A typical experiment is as follows; a mixture of iodine (1.90 g, 7.5 mmol), iron powder (0.84 g, 15 mmol) and 15 ml of THF-MeOH(1:1) was refluxed with stirring for 5 min under argon and then cooled to room temperature. Into the ferrous iodide solution resulted, Ia (1.527 g, 5 mmol) was added with stirring. The color of the solution changed from pale yellow to dark brown, and precipitates appeared within a few min. After stirring for 10 min under argon, the usual work-up of the reaction mixture afforded trans-benzalacetophenone in 94% yield.[1)]



Ia : R^1= ⟨H⟩–, R^2=Ph
Ib : R^1= ⟨H⟩–, R^2=p-NO_2Ph
Ic : R^1=$PhCH_2$, R^2=Ph

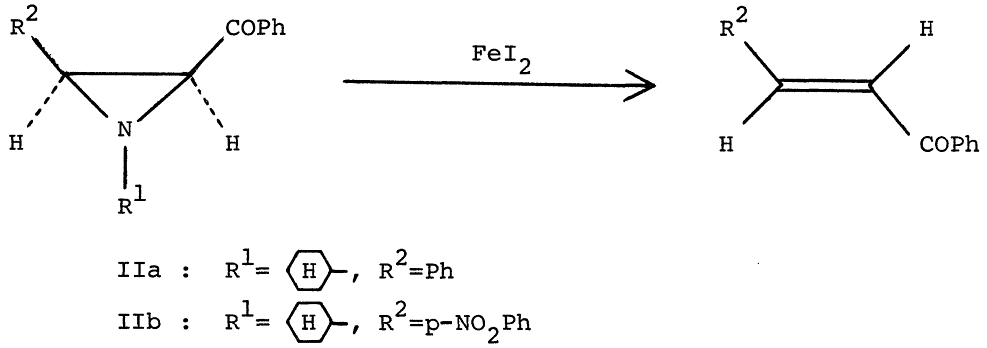
In a similar manner, trans-1-cyclohexyl-2-benzoyl-3-(p-nitrophenyl)aziridine (Ib) and trans-1-benzyl-2-benzoyl-3-phenylaziridine (Ic) were deaminated to the

Table 1 Deamination of 2-benzoylaziridines by FeI_2

Aziridine	Time (hr)	Yield (%) [Recovered aziridine (%)]
Ia	0.2	94
Ib	0.2	93
Ic	0.2	96
IIa	24	89 [5]
IIb	24	65 [26]

corresponding trans-benzalacetophenones in high yields. The results are summarized in Table 1.

On the other hand, cis-1-cyclohexyl-2-benzoyl-3-phenylaziridine (IIa) and cis-1-cyclohexyl-2-benzoyl-3-(p-nitrophenyl)aziridine (IIb) were allowed to react with ferrous iodide under similar conditions. The deamination of these cis-isomers was very slow and required long reaction time to afford benzalacetophenones in satisfactory yields. The benzalacetophenones obtained were identified as trans-isomers. The absence of cis-benzalacetophenones in the products from either cis- or trans-2-benzoylaziridines suggests that the deamination does not proceed through a concerted pathway.[2,3]



NOTES AND REFERENCE

1) Ia was not deaminated by iodine or ferrous bromide.

2) cis-Benzalacetophenone, prepared by the method of Lutz and Jordan,[4] did not isomerize to trans-isomer under these reaction conditions.

3) The deamination was followed by thin-layer chromatography. No cis-benzalacetophenone was detected at any stage of the reaction, in both the cases of cis- and trans-1-cyclohexyl-2-benzoyl-3-phenylaziridines.

4) R. E. Lutz and R. H. Jordan, J. Amer. Chem. Soc., 72, 4090 (1950).

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