SELECTIVE OZONATION OF N-SUBSTITUTED AZIRIDINES

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The mechnism of ozonation of N-aryl- and N-alkylaziridines was studied by product isolation and low temperature nmr measurement etc.. And we found that ozone attacks selectively on the N-substituent but not on the aziridine ring.

Ozonation of N-n-butyl-cis-2,3-diphenylaziridine 1a in methylene chloride at -78°C followed by the sodium borohydride reduction of the ozonized mixture gave cis-2,3-diphenylaziridine 4 (37%) and N-butyrylaziridine 3 (33%). When the ozonized mixture was warmed up to room temperature, butyraldehyde (20%), benzaldehyde (15%), 1,1',2,2'-tetraphnyldiethyleneurea 2 (14%) and 3 (8%) were obtained. Except benzaldehyde the formation of all the products can be rationalized in terms of α-oxidation of butyl side-chain.

In the ozonation of cis-1,2,3-triphenylaziridine 1b in methylene chloride at 65°C, we detected thermally unstable intermediate ------nmr (CD_2Cl_2)δ 3.8(2H,NCH), 5.7(5H,OCHO), 7.4(10H, Ph).------ these peaks disappered within a few miniutes at 0°C with the appearance of many unidentified peaks. When we treated this ozonized mixture with sodium borohydride suspended in methanol at -78°C, it afforded cis-2,3-diphenylaziridine in quantitative yield and ethylene glycol (18%). And the intermediates were found to react with three equivalents of trimethyl phosphite or dimethyl sulfide to give the corresponding oxide indicating that they have three peroxidic bonds in each aziridine unit. We can so formulate the intermediates as triozonides or polymeric ozonides.