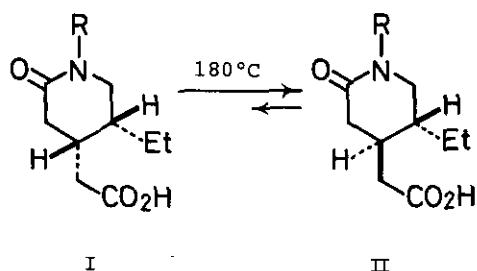


THERMAL CIS-TRANS ISOMERIZATION OF 5-ETHYL-2-OXO-4-PIPERIDINEACETIC ACID AND RELATED COMPOUNDS

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Thermal cis-trans isomerization of 1-(2-arylethyl)-5-ethyl-2-oxo-4-piperidineacetic acids (type I \rightarrow II) has been an important process in our chiral syntheses of the Alangium alkaloids such as emetine, ankorine, alangicine, alangimarckine, desmethylpsychotrine, etc. In order to investigate the effect of the N-substituent on this reaction, (\pm)-Ia-d, (-)-Ie-i, (\pm)-IIa-d, and (+)-IIe-i were prepared and the progress of each of their cis-trans isomerizations at 180°C was followed by determining the isomer ratio in the reaction mixture according to the previously reported ^{13}C NMR spectroscopic method. It has been found that in all cases the reaction comes to equilibrium, within 8-130 min, where the cis and trans isomers exist in a ratio of 1:2. A higher and/or bulkier N-substituent tends to decrease the rate of attainment of equilibrium between both isomers.



a: R = H

b: R = Me

c: R = Et

d: R = PhCH₂

e: R =

f: R =

g: R =

h: R =

i: R =