Aziridines can be synthesized in numerous ways including S_N2 displacement, 1,4 addition, addition of carbenes, electrophiles, nitrenes and reduction.

Activated aziridines
R = CO_2R, SO_2R and COR

Non-activated aziridines
R = H, Alkyl and Aryl

The pyramidal inversion of nitrogen in the aziridines (8-12 kcal/mol) are higher in energy than for open chained amines. Separate NMR spectra can be observed. Certain N-chloro- and N-alkoxyaziridines are chiral.

Unprotected amino aldehydes

More S character of the lone pair which results in lower basicity and reduced \( \pi \) donor ability. Upon ring opening aprox. 25 kcal/mol is released.

There has since been developed a waste arsenal of synthetic methods to make chiral aziridines, from chiral starting materials over chiral auxilaries to asymmetric catalysis.

M. B. Berry and D. Craig. Synlett. 1992, 41.


Aziridines in Synthesis

M. Jessing

Saziridines in Synthesis

Baran Lab

M. Jessing


Aziridines in Synthesis

Z. Li, K. R. Conser and E. N. Jacobsen. JACS 1993, 5326.


T.N. Wade. JOC. 1980, 5380.


For nonactivated aziridines ring opening is borderline between $S_N1$ and $S_N2$.


Aziridines in Synthesis

M. Jessing

For activated aziridines ring opening is normally through \( S_N2 \) at the least hindered ring-carbon and for fused bicyclic systems via Fürst-Plattner diaxial opening.


Aziridines in Synthesis

M. Jessing

**Lewis Acid microwave toluene**

![](image)

**Entry** | **Lewis Acid (1 equiv.)** | **Reagents concentration (M)** | **Yield** 2+3 (%) | **Ratio** 2:3
---|---|---|---|---
1 | CuOTf | 0.028 | >99 | 64.36
2 | ZnOTf₂ | 0.028 | >99 | >99:1
3 | BF₃·Et₂O | 0.056 | >99 | 72:28
4 | BF₃·Et₂O | 0.028 | >99 | >99:1
5 | MgBr₂·Et₂O | 0.028 | / | /


**J. Åhman and P. Somfai. JACS. 1994, 9781.**

**LiHMDS** 81%


**Red.Al or LiAlH₄ or LiMe₂Cu**


Aziridines in Synthesis

M. Jessing

Reactions on aziridines without ring opening.

<table>
<thead>
<tr>
<th>Reaction</th>
<th>Products</th>
</tr>
</thead>
<tbody>
<tr>
<td>i LHMDS</td>
<td>only syn products</td>
</tr>
<tr>
<td>RCHO</td>
<td></td>
</tr>
</tbody>
</table>


Aziridines in Synthesis

Aziridines used in synthesis


D. Tanner and P. Somfai. Tet. 1986, 5657

Toward 7-epi-Deoxypancrastratin


M. Jessing
Aziridines in Synthesis

M. Jessing


Aziridines in Synthesis

M. Jessing


Aziridine containing natural product


6-Desmethyl-(methylaziridino)mitosene
