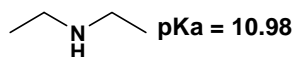


More S character of the lone pair which results in lower basicity and reduced π -donor ability. Upon ring opening approx. 25 kcal/mol is released.

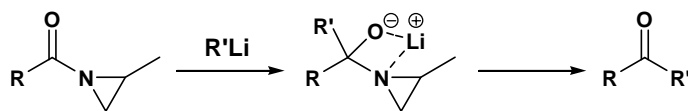
Activated aziridines
R = CO₂R, SO₂R and COR



Non-activated aziridines
R = H, Alkyl and Aryl

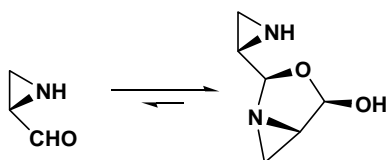


The pyramidal inversion of nitrogen in the aziridines (8-12 kcal/mol) are higher in energy than for open chained amines. Separate NMR spectra can be observed. Certain N-chloro- and N-alkoxyaziridines are chiral.

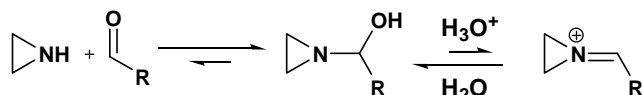


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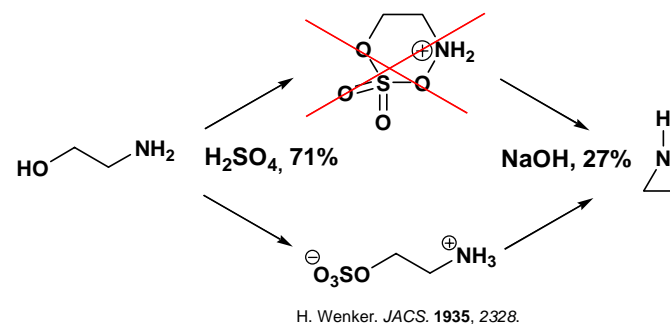
Unprotected amino aldehydes



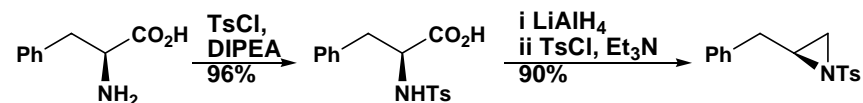
R. Hili and K. Yudin. *JACS.* 2006, 14772.



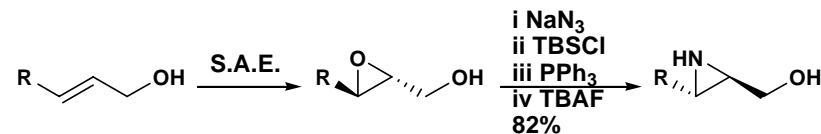
Aziridines can be synthesized in numerous ways including S_N2 displacement, 1-4 addition, addition of carbenes, electrophiles, nitrenes and reduction



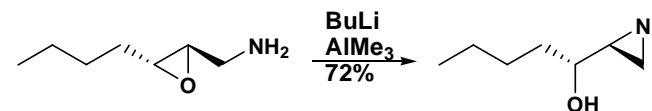
There has since been developed a waste arsenal of synthetic methods to make chiral aziridines, from chiral starting materials over chiral auxiliaries to asymmetric catalysis.



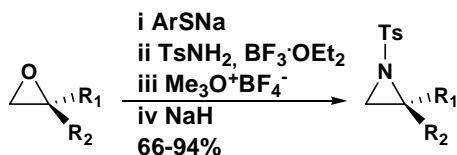
M. B. Berry and D. Craig. *Synlett.* 1992, 41.



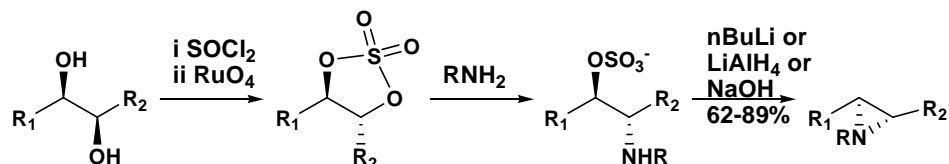
D. Tanner and P. Somjai. *Tet. lett.* 1987, 1211.



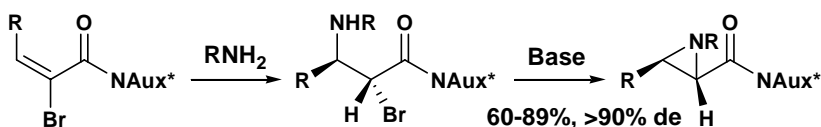
R. Najime, S. Pilard and M. Vaultier. *Tet. lett.* 1992, 5351.



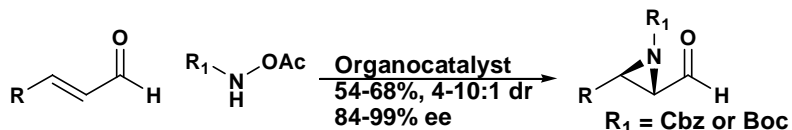
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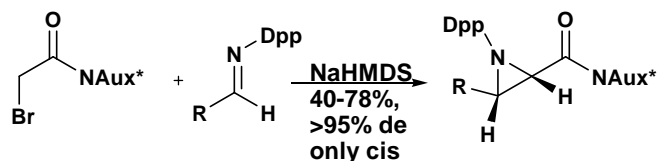
B. B. Lohray, Y. Gao and K. B. Sharpless. *Tet. Lett.* **1989**, 2623.



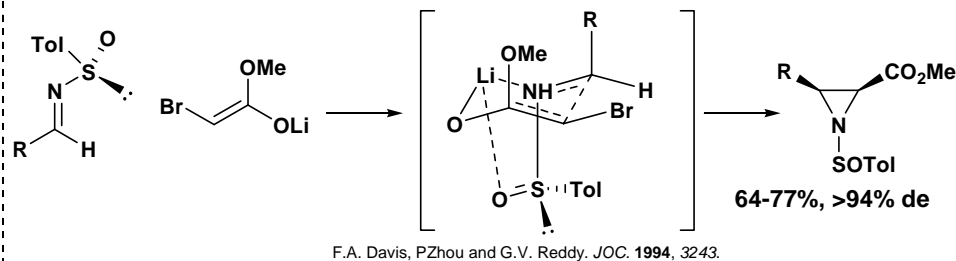
P. Garner, O. Dogan and S. Pillai. *Tet. Lett.* **1994**, 1653.
 G. Cardillo, S. Casolari, L. Gentiluca and C. Tomasini. *Angew. Chem. Int. Ed. Engl.* **1996**, 1848.



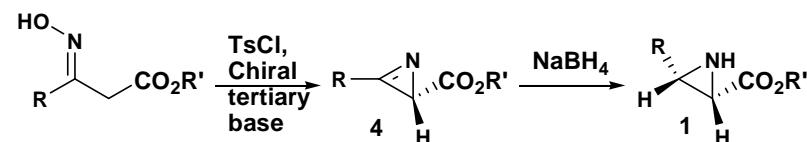
J. Vesely, I. Ibrahim, G.-L. Zhao, R. Rios and A. Córdova. *Angew. Chem. Int. Ed. Engl.* **2006**, Early view.



J. B. Sweeney, A. A. Cantrill, A. B. McLaren and S. Thobhani. *Tet.* **2006**, 3681.

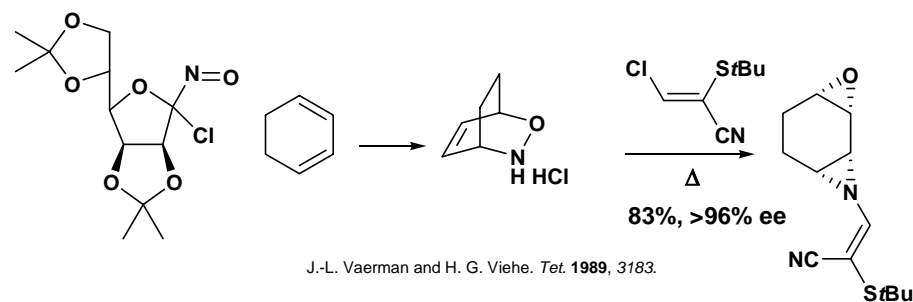


F.A. Davis, P.Zhou and G.V. Reddy. *JOC.* **1994**, 3243.

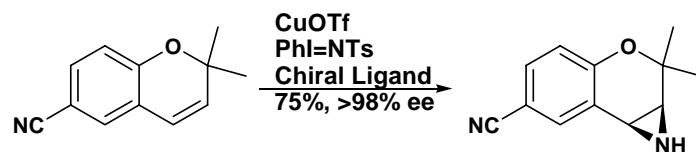


	R	R'	base	yield 4 (%)	yield 1 (%)	ee ²¹ (%)
a	Me	Me	quinidine	79	40	81 (R)
b	Me	Et	quinidine	72	43	82 (R)
			quinine		38	55 (S)
c	Me	<i>t</i> Bu	quinidine	92	29	44 (R)
d	<i>n</i> Pr	Et	quinidine	60	72	80 (R)
e	Bz	Et	quinidine	54	85	80 (R)
			quinine		58	57 (S)

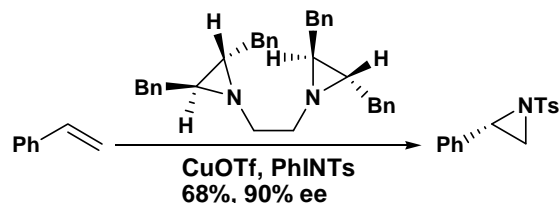
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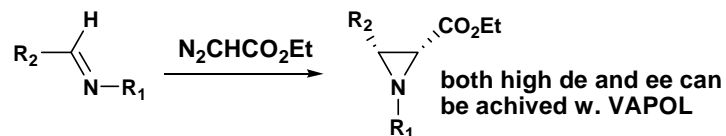
J.-L. Vaerman and H. G. Viehe. *Tet.* **1989**, 3183.



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

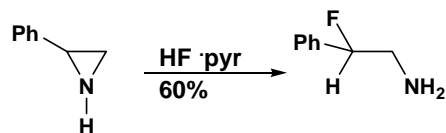


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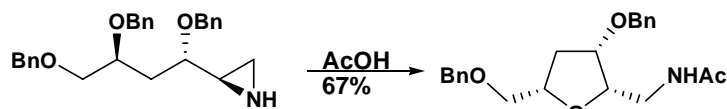


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J. C. Antilla, W. D. Wulff. *JACS* **1999**, 5099.

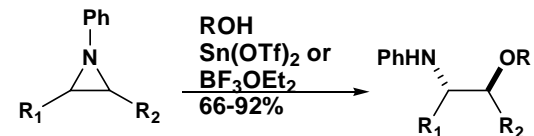
For nonactivated aziridines ring opening is borderline between $\text{S}_{\text{N}}1$ and $\text{S}_{\text{N}}2$.



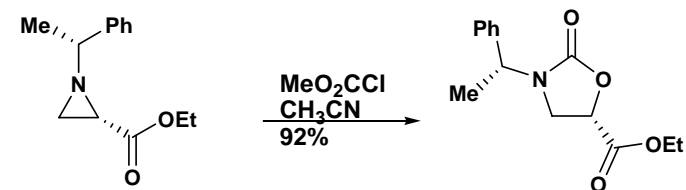
T.N. Wade. *JOC.* **1980**, 5380.



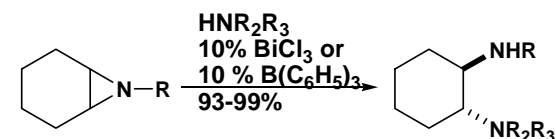
H. Dehmlow, J. Mulzer, C. Seilz, A. R. Strecker and R. Kohlmann. *Tet. lett.* **1992**, 3607.



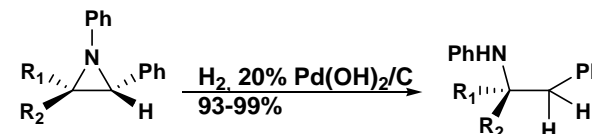
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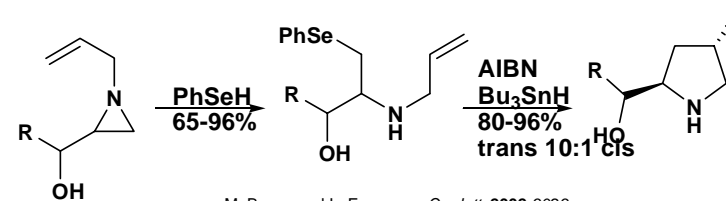
T. B. Sim, S. H. Kang, K. S. Lee and W. K. Lee. *JOC.* **2003**, 104.



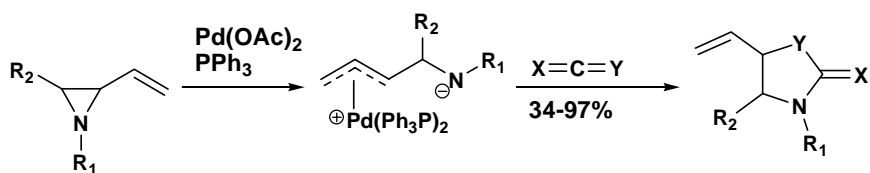
N. R. Swamy and Y. Venkateswarlu. *Synth. Commun.* **2003**, 547.
I. D. G. Watson, Ak. K. Yudin. *JOC.* **2003**, 5160.



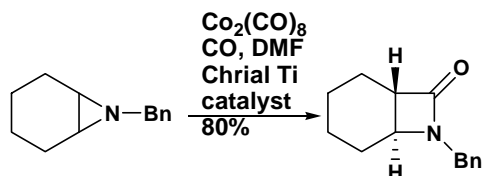
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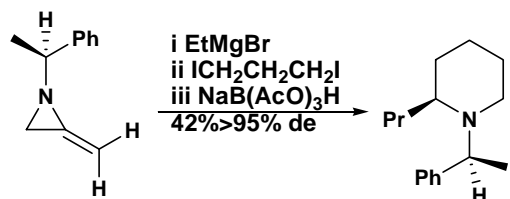
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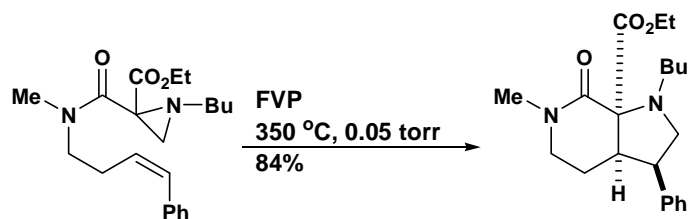
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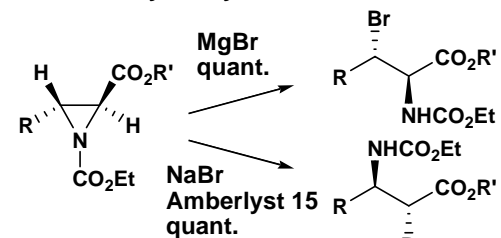


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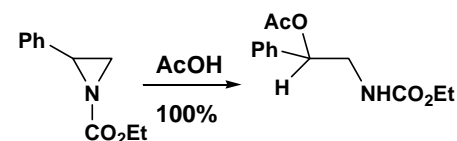


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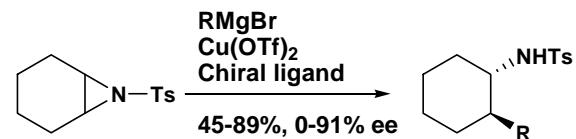
For activated aziridins ring opening is normally through $\text{S}_{\text{N}}2$ at the least hindered ring-carbon and for fused bicyclic systems via Fürst-Plattner diaxial opening



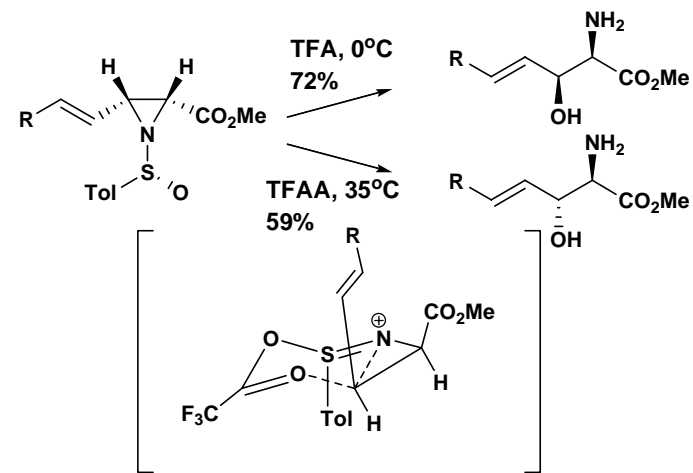
G. Richi, R. D'Achille and C. Bonini. *Tet. lett.* **1996**, 6893.



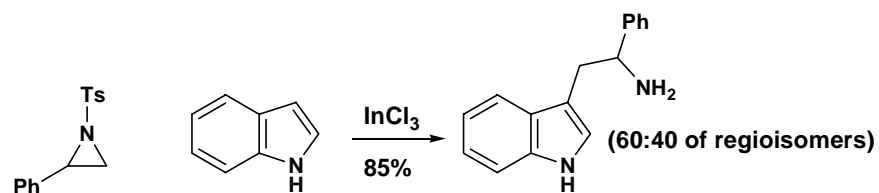
H. Takeuchi and K. Koyama. *J. Chem. Soc. Perkin Trans 2.* **1981**, 121.



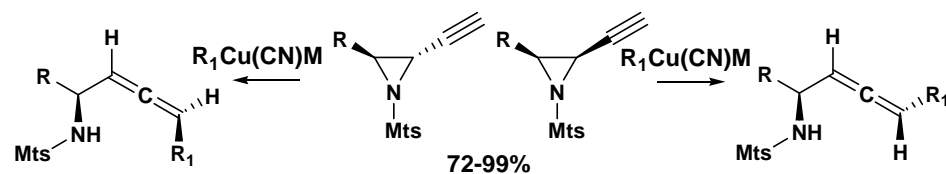
P. Muller and P. Nury. *Helv. Chem. Acta.* **2001**, 662.



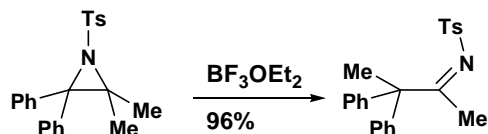
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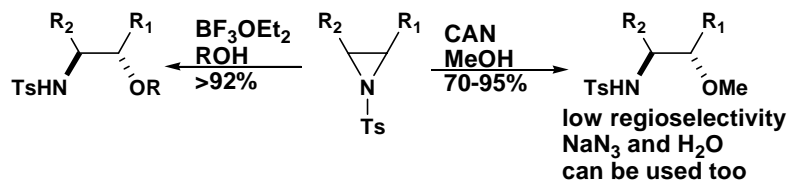
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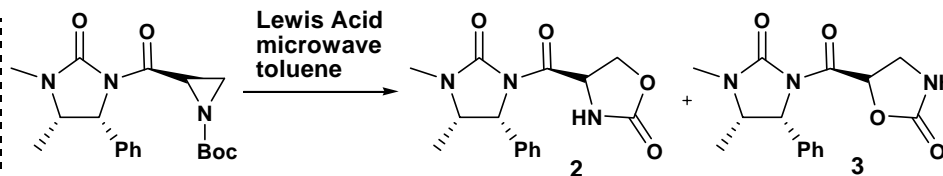
A. Mordini, L. Sbaragli, M. Valacchi, F. Russo and G. Reginato. *Chem Commun.* **2002** 778.



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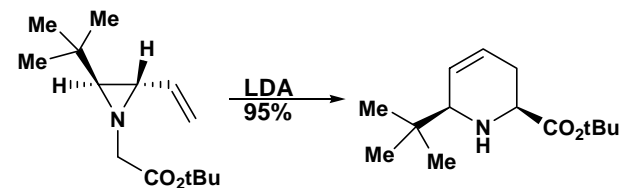


B. A. B. Prasad, G. Sekar and V. K. Singh. *Tet. lett.* **2000**, 4677.
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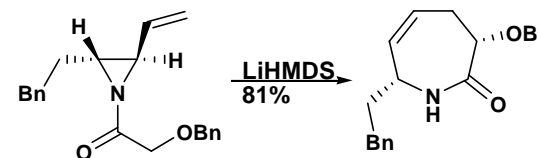


Entry	Lewis Acid (1 equiv.)	Reagents concentration (M)	Yield* 2+3 (%)	Ratio ^b 2:3
1	Cu(OTf) ₂	0.028	>99	64:36
2	Zn(OTf) ₂	0.028	65	>99:1
3	BF ₃ ·Et ₂ O	0.056	>99	72:28
4	BF ₃ ·Et ₂ O	0.028	>99	>99:1
5	MgBr ₂ ·Et ₂ O	0.028	/	/

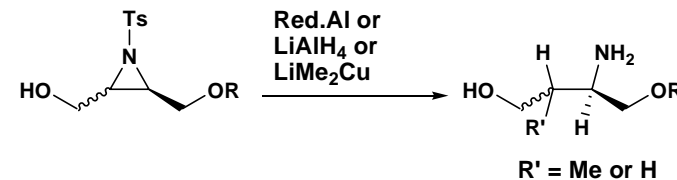
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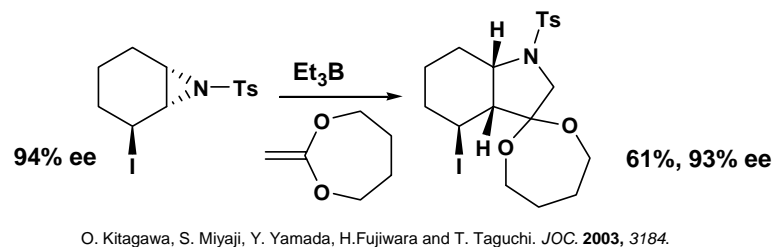
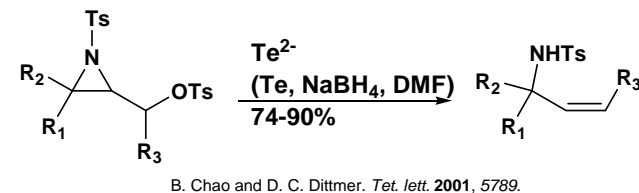
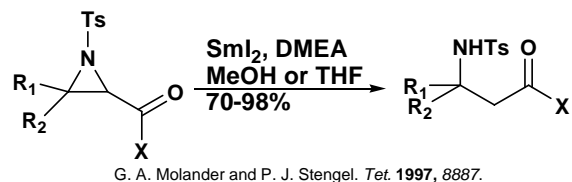
J. Åhman and P. Somfai. *JACS.* **1994**, 9781.



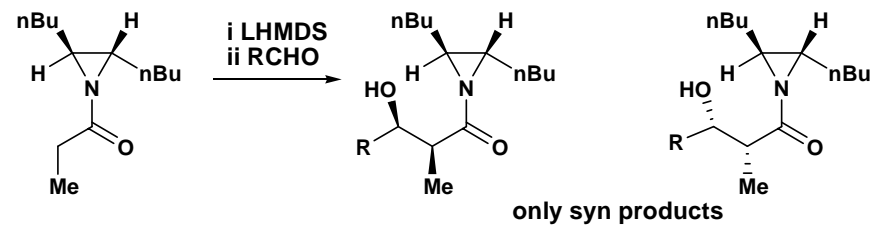
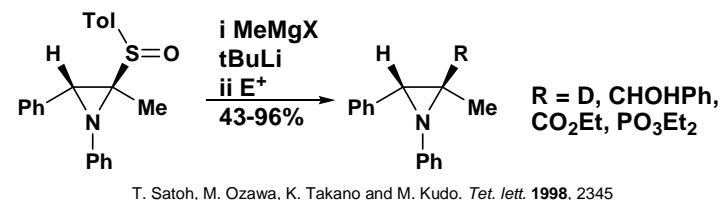
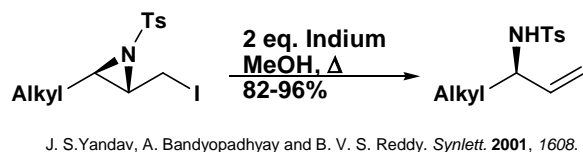
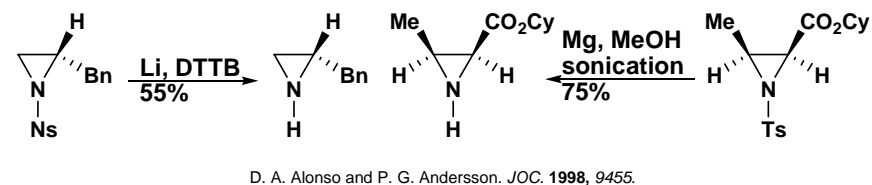
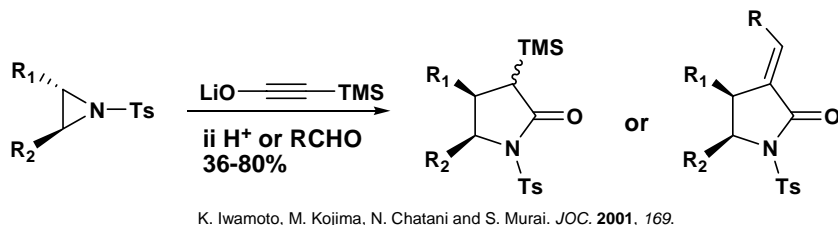
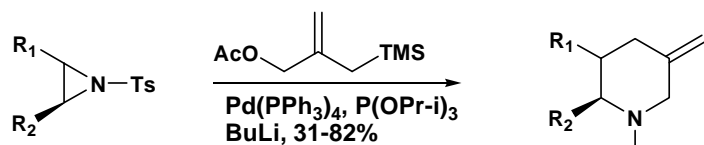
U. M. Lindström and P. Somfai. *JACS.* **1997**, 8385.

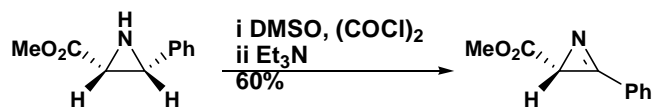


D. Tanner. *Angew. Chem. Int. Ed. Engl.* **1994**, 599. and ref. cited therein

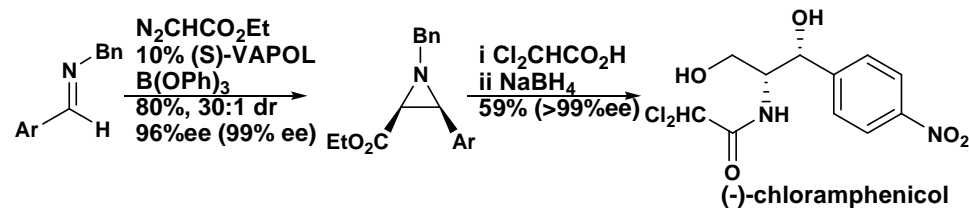
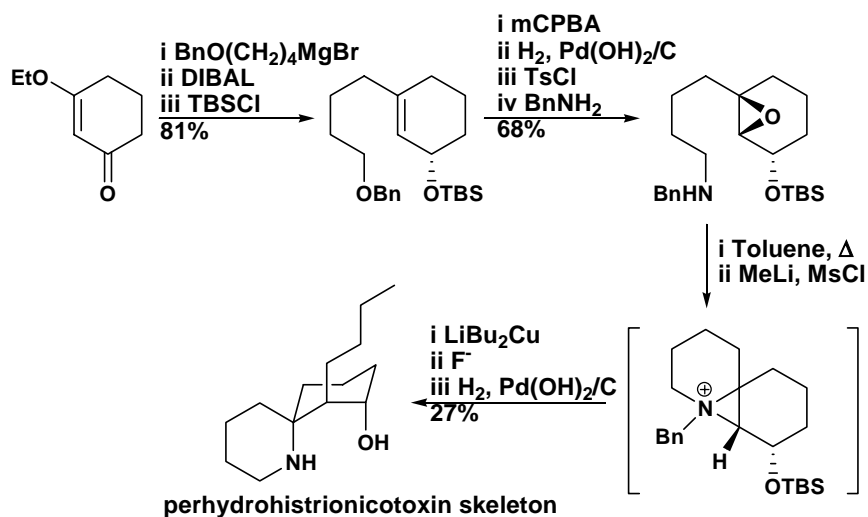
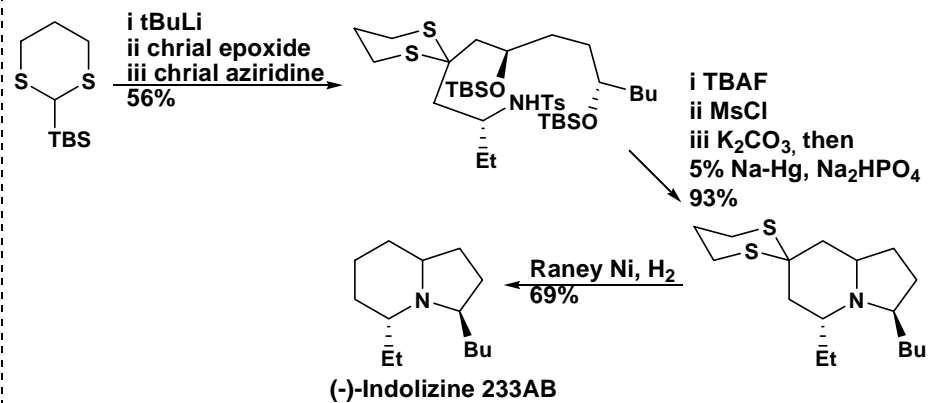
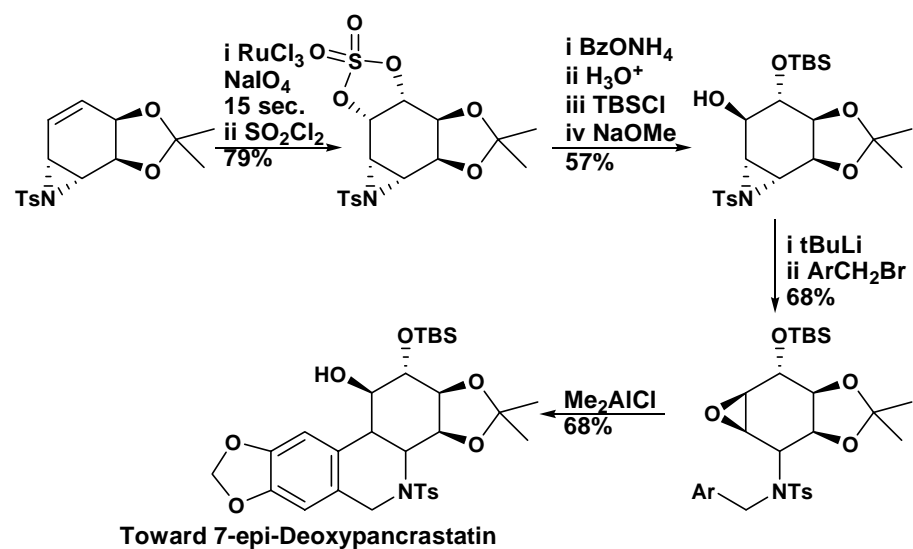


Reactions on aziridines without ring opening.

D. Tanner, C. Birgersson, A. Gogoll and K. Luthman. *Tet.* **1994**, 9797.

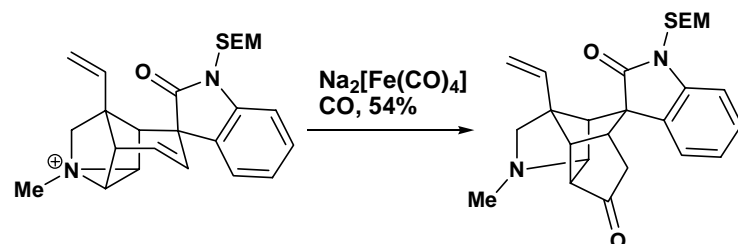
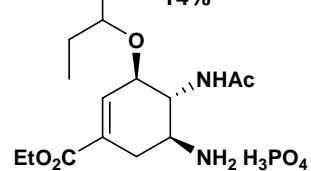
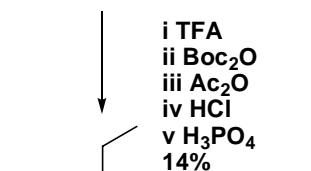
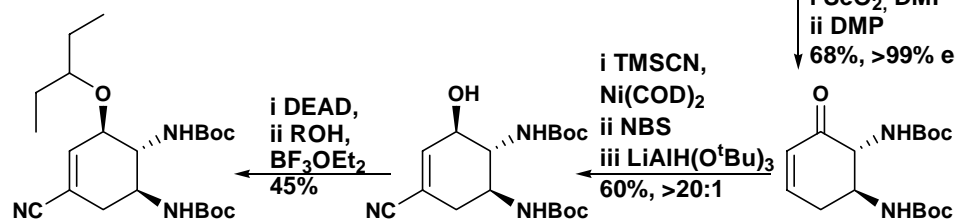
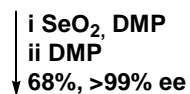
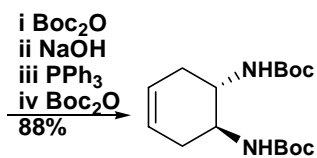
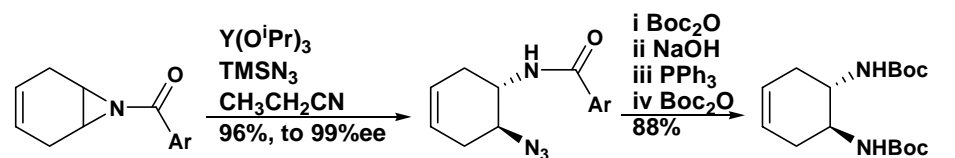
L. Gentilucci, Y. Grijzen, L. Thijs and B. Zwanenburg. *Tet. Lett.* 1995, 4665

Aziridines used in synthesis

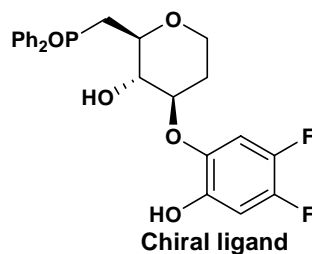
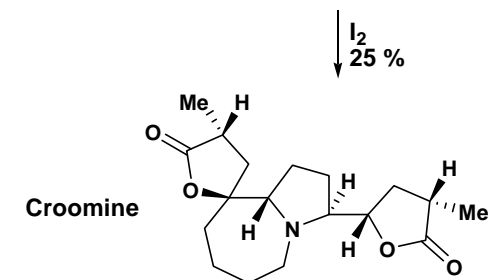
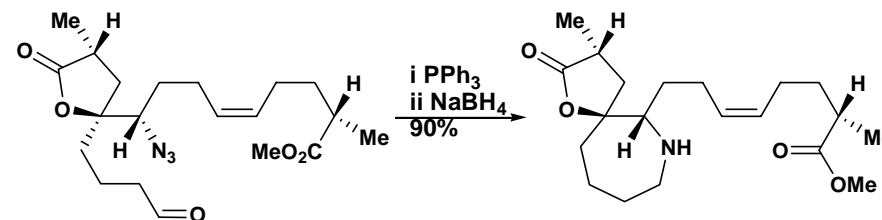
C. Loncanic and W. D. Wulff. *Org. Lett.* 2001, 3675D. Tanner and P. Somfai. *Tet.* 1986, 5657A. B. Smith III and D.-S. Kim. *JOC.* 2006, 2547

Toward 7-epi-Deoxypancrastatin

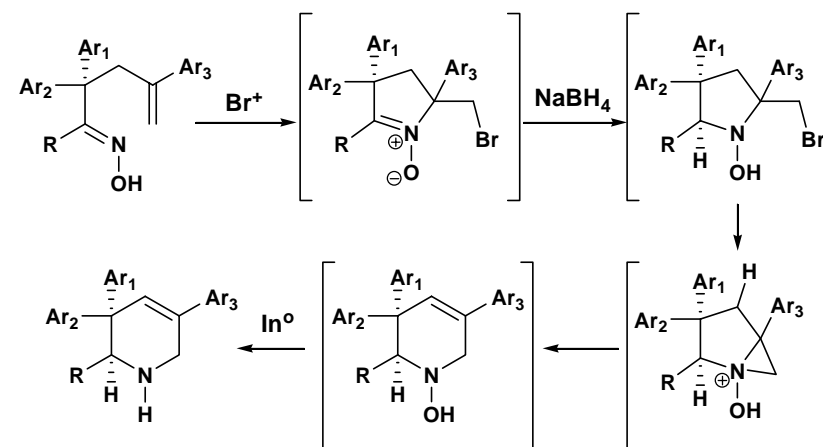
U. Rinner, P. Siengalewicz and T. Hudlicky. *Org. Lett.* 2002, 115

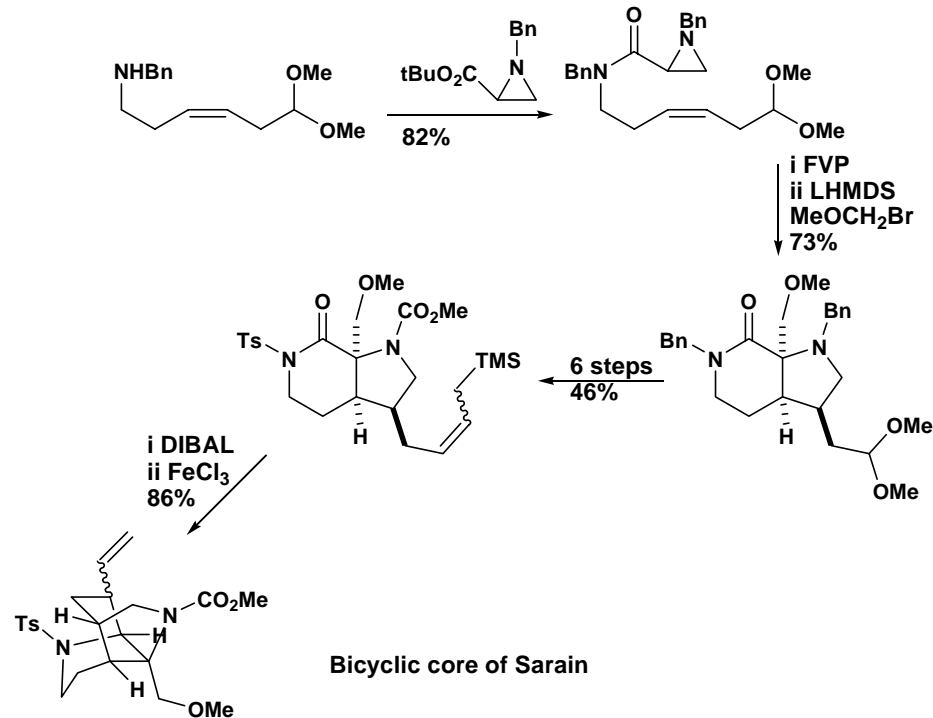
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Tamiflu

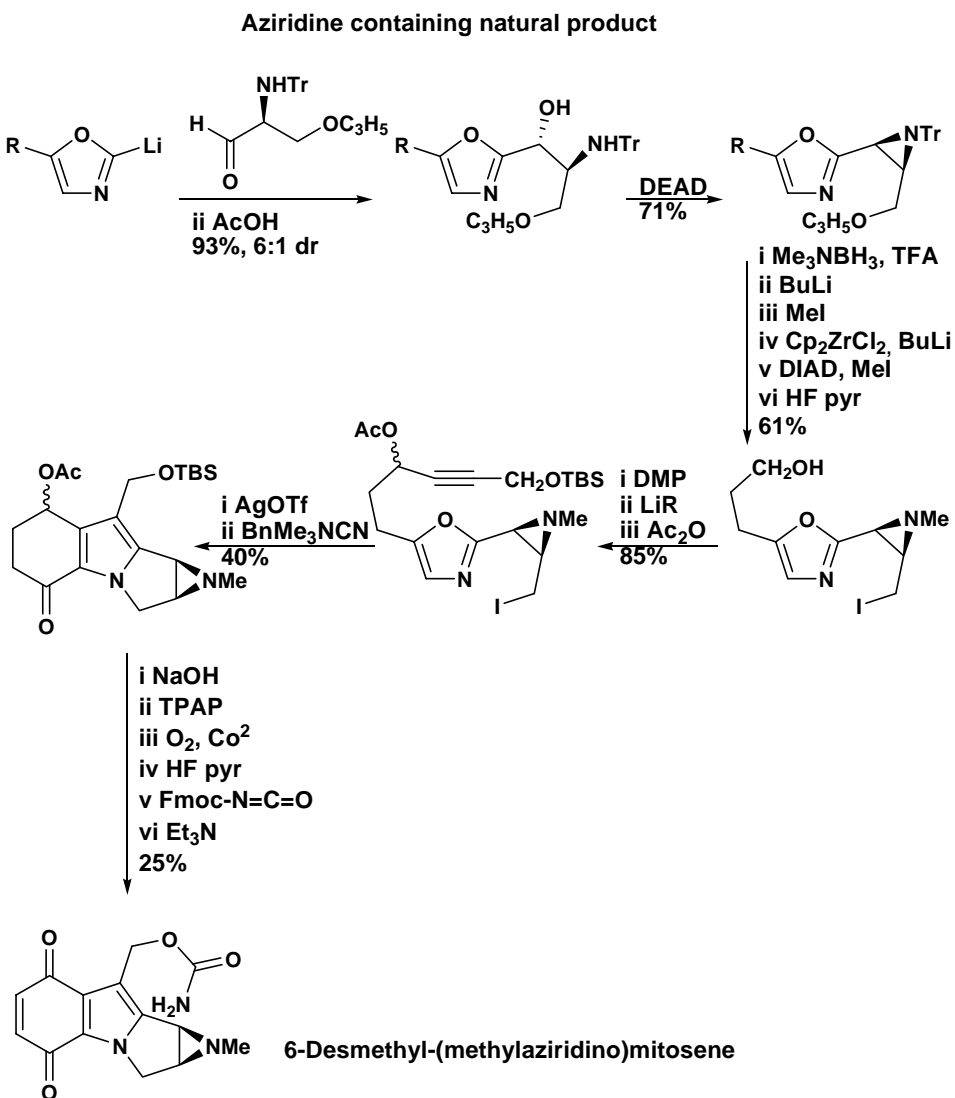
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