Journal Years in Review: Organic Letters 2000

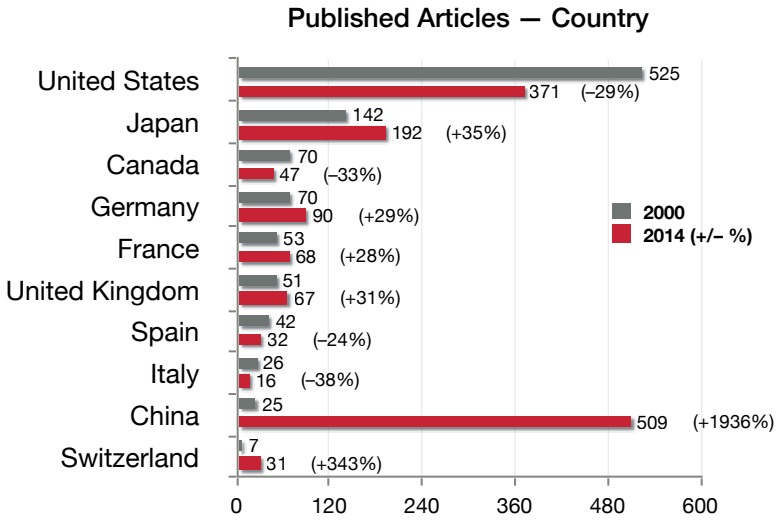
Gaich-Group Seminar

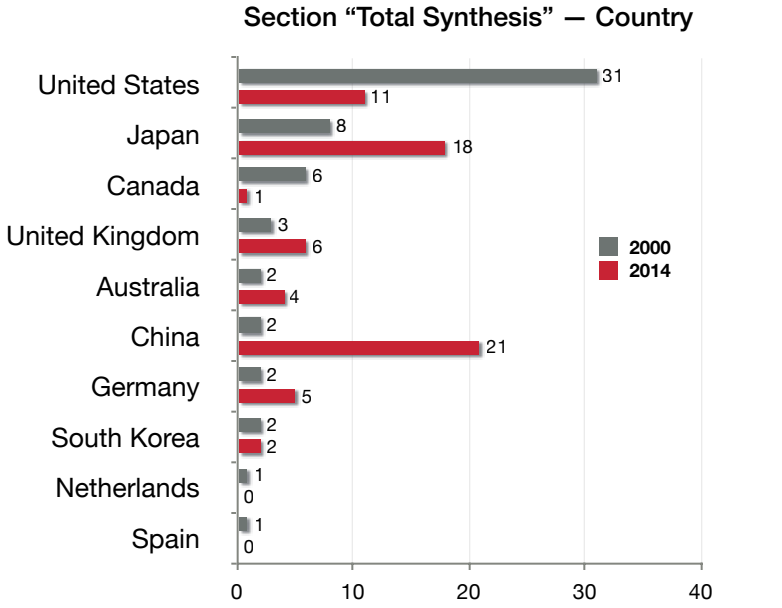
Erik Stempel

26.03.2015

Introduction / Analysis

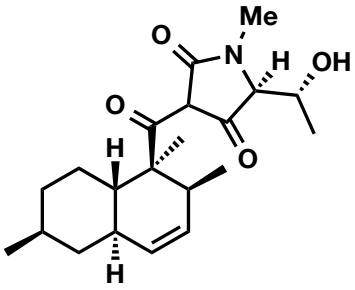
- OL facts 2000 (2014 in parentheses):
  - Impact Factor: 3.367 (6.324, +88%)
  - 4 269 pages (6 516, +53%)
  - 1 079 published articles (1 707, +58%)
  - 58 “Total Syntheses” as topic (86, +48%)
- Most prolific authors of 1999:
  - J. F. Stoddart (10)
  - E. J. Corey, (8)
    L. A. Paquette (8)
  - M. T. Crimmins, (6)
    M. Lautens, (6)
    K. Ogasawara (6)
  - P. Deslongcahmps, (5)
    A. B. Smith, (5)
    D. J. Williams, (5)
    S. J. Danishefsky (5)



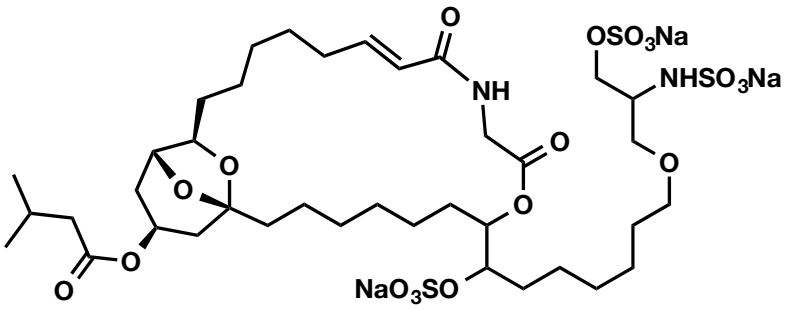


- Scopus

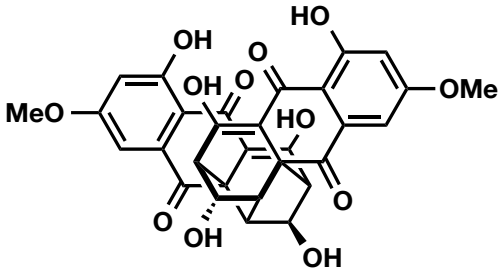
Isolated Natural Products



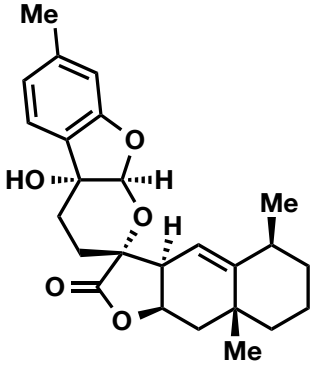
Cryptocin (**1**)



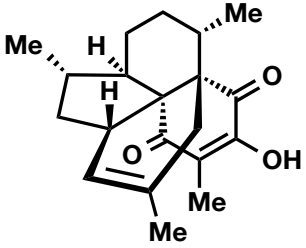
Cyclodidemniserinol Trisulfate (**2**)



Cytoskyrin A (**3**)



Macrophyllol A (**4**)



Colombiasin A (**5**)

- (i) J. Clardy *Org. Lett.* **2000,** *2*, 767–770. (ii) D. J. Faulkner *Org. Lett.* **2000,** *2*, 1605–1607. (iii) J. Clardy *Org. Lett.* **2000,** *2*, 4047–4049. (iv) O. Ashurmetov *Org. Lett.* **2000,** *2*, 493–496. (v) A. D. Rodríguez *Org. Lett.* **2000,** *2*, 507–510.

Selected Detailed Syntheses

(ordered by date)

(–)-TAN1251A, (+)-TAN1251C — B. B. Snider

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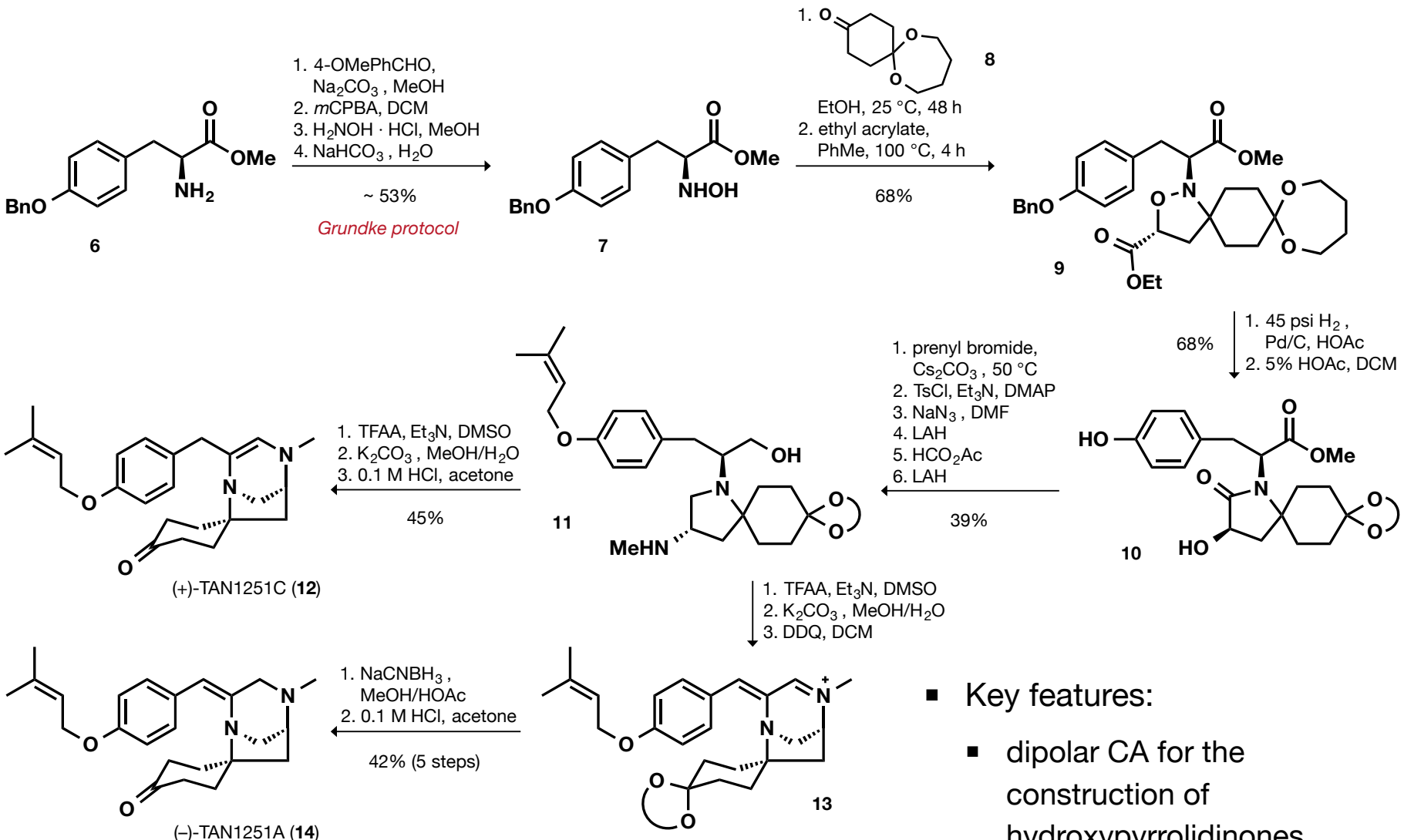

- Key features:
  - dipolar CA for the construction of hydroxypyrrolidinones
  - hydroxylamine synthesis

- B. B. Snider *Org. Lett.* **2000,** *2*, 643–646.
- G. Grundke *Synth.* **1987**, 1115–1116.

(+)-Cannabisativine — D. L. Comins

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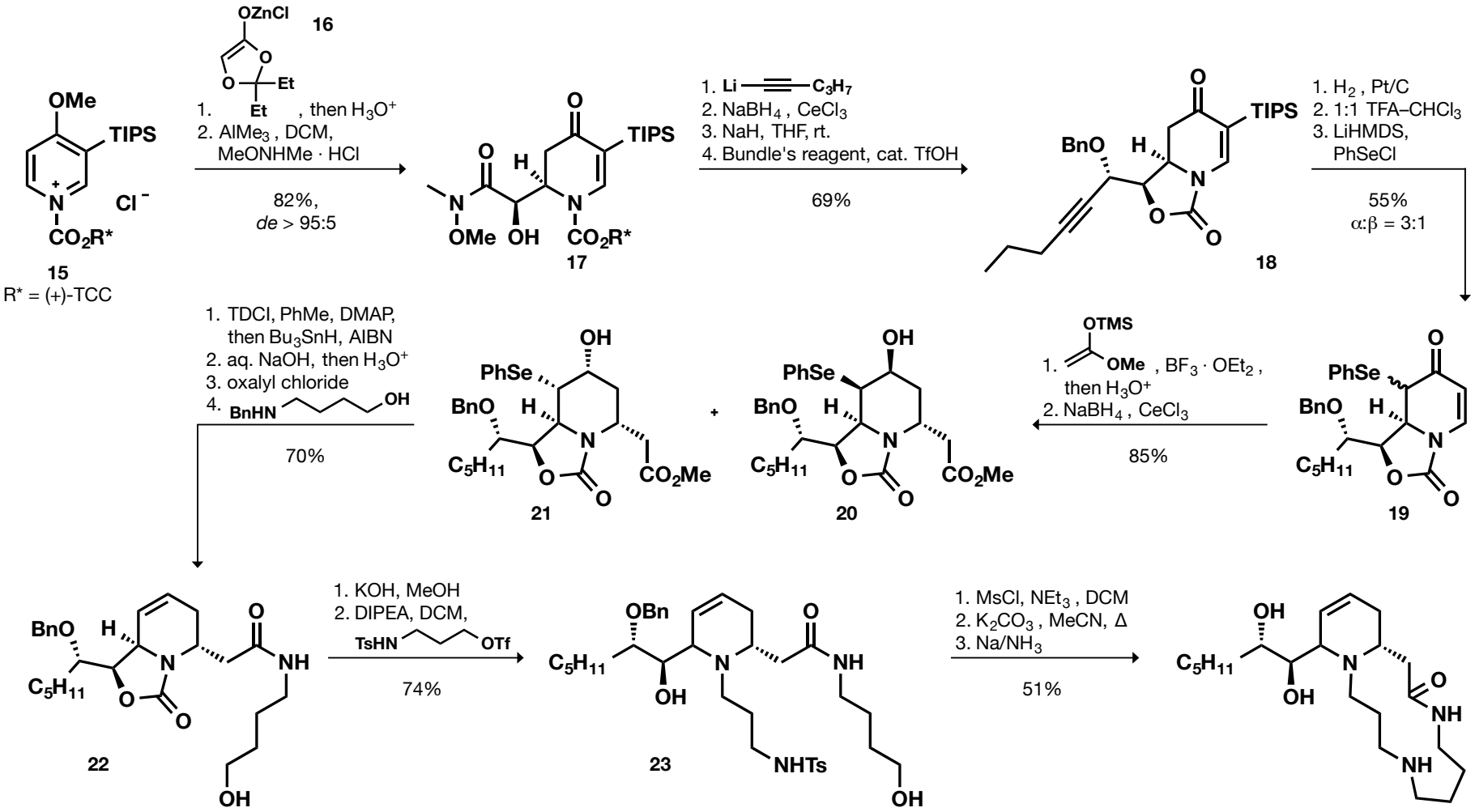

- Key features:
  - Comins' chiral acylpyridinium methodology (a number of other alkaloids has been synthesized using this methodology)

- D.L. Comins *Org. Lett.* **2000,** *2*, 855–857.

(+)-Aspidospermidine — J. Aubé

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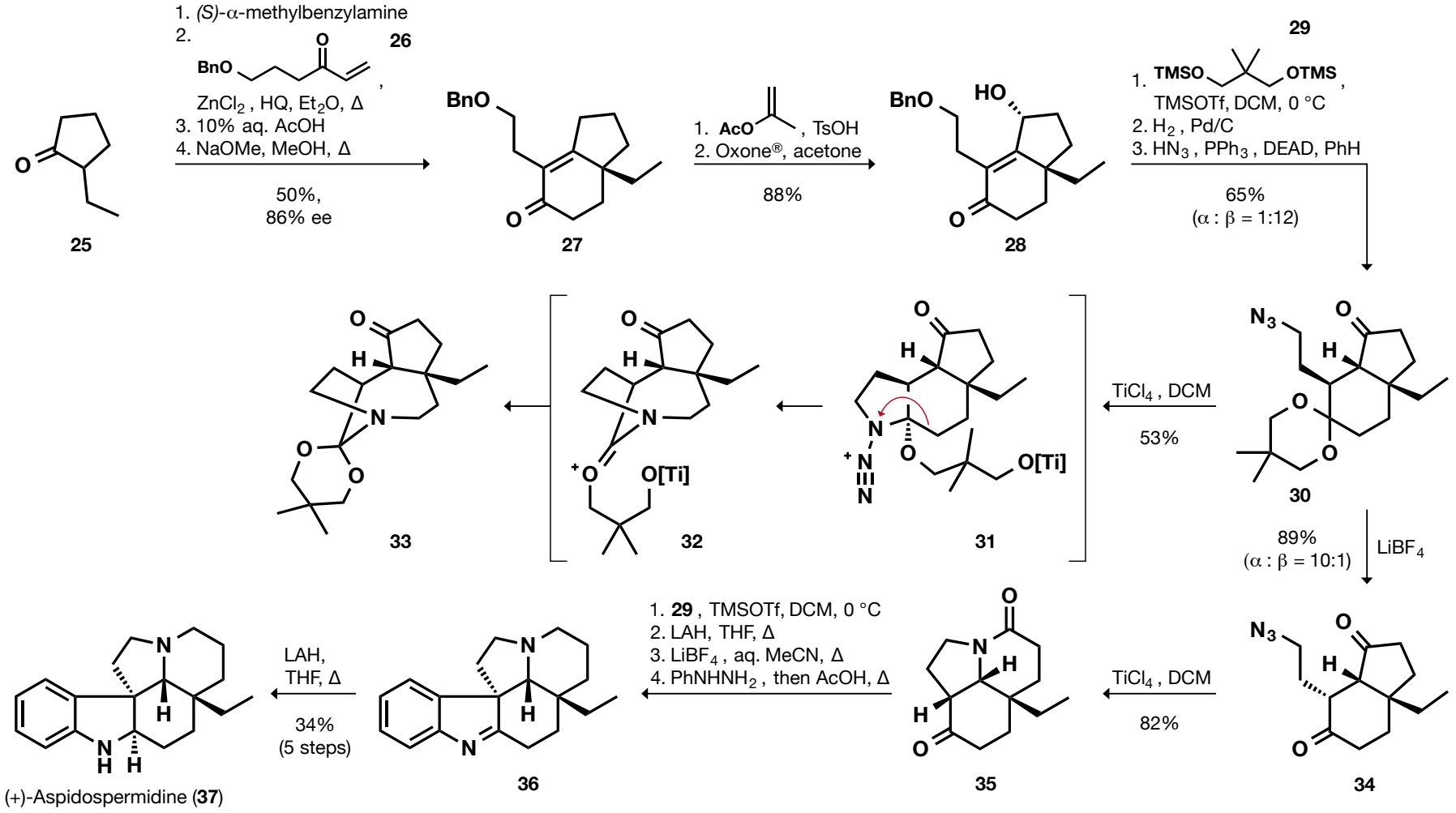

- Key features:
  - deracemizing imine alkylation (*d'Angelo protocol*), ɣ-oxidation of enones, Schmidt rxn.

- J. Aubé *Org. Lett.* **2000,** *2*, 1625–1627.
- J. d'Angelo *Tetrahedron: Asymmetry* **1992**, *3,* 459–505.

(±)-Mesembrine — J. H. Rigby

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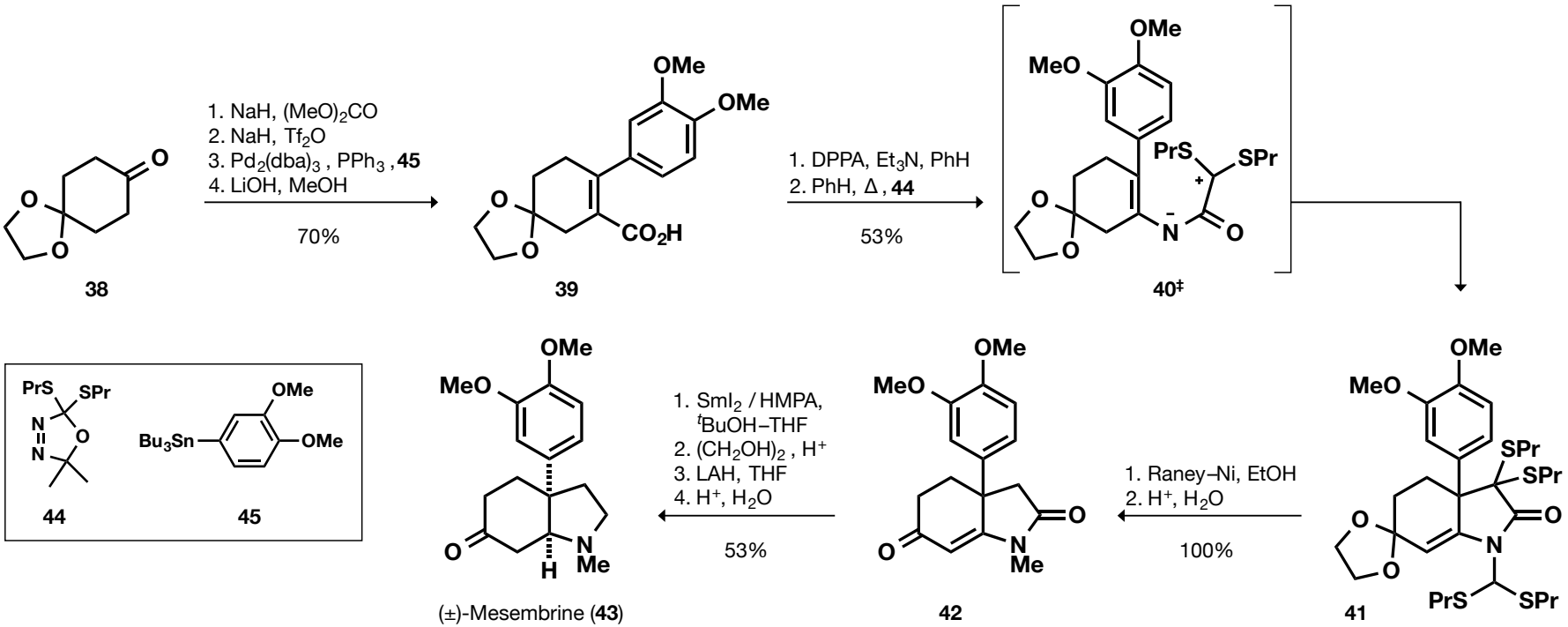
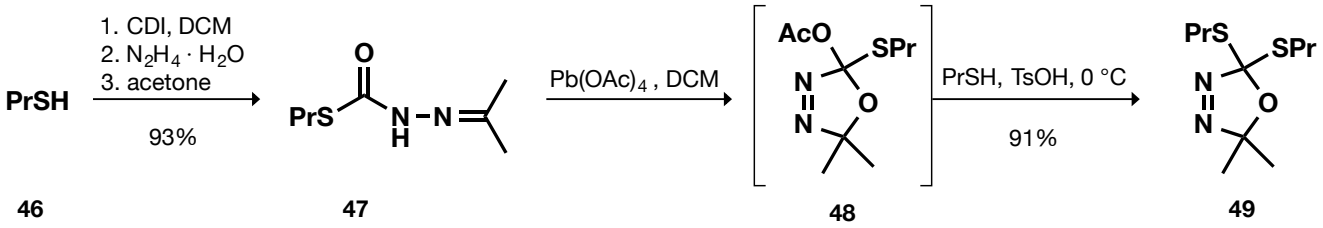


- Key features:
  - bis(alkylthio)carbenes as novel reagents for organic synthesis
  - synthesis of bis(alkylthio)carbenes:



- J. H. Rigby *Org. Lett.* **2000,** *2*, 1673–1675.

(+)-Vellosimine — J. Cook

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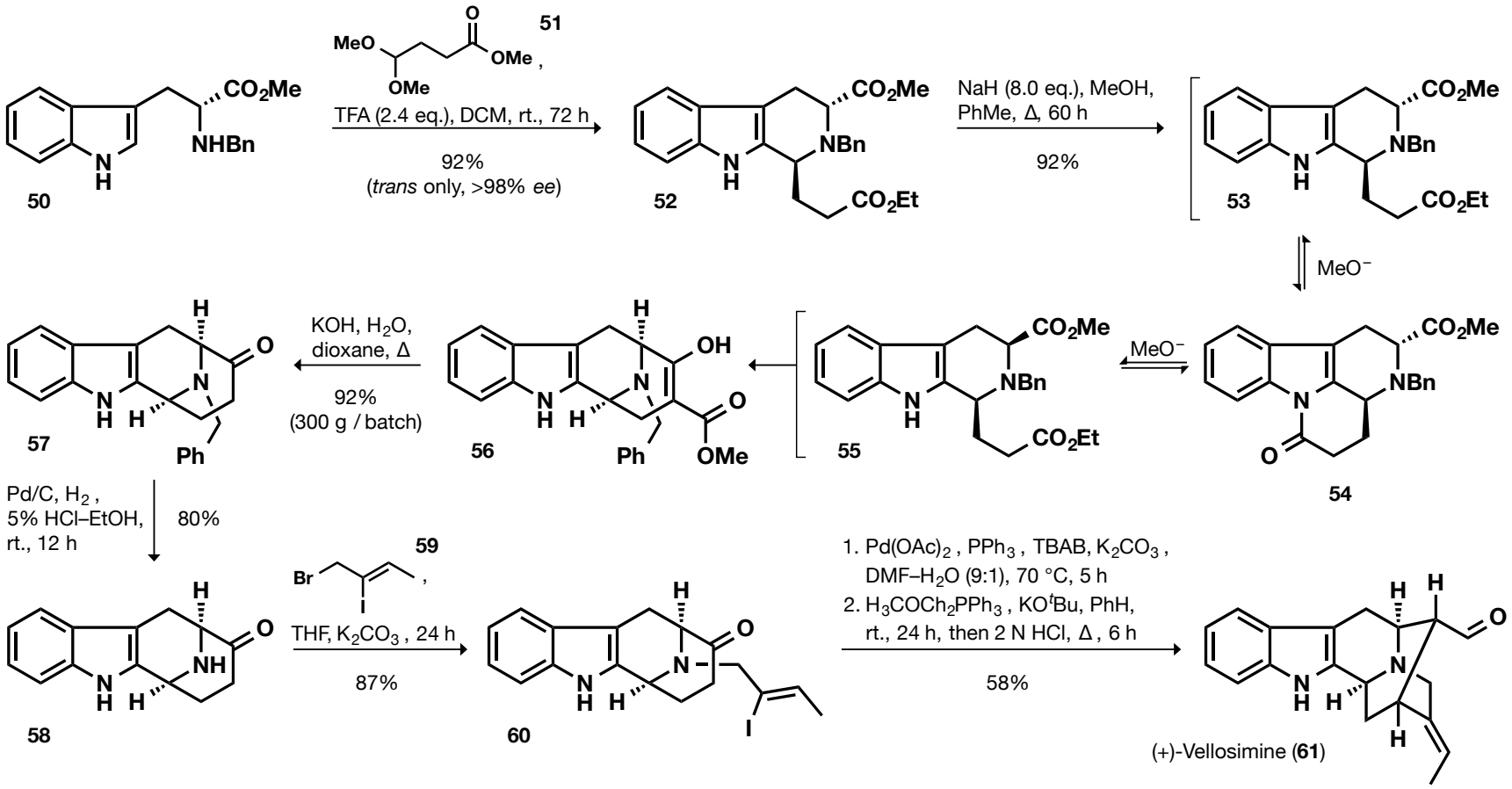

- Key features:
  - synthesis of ketone **57** in multi-hundred gram scale *via* the *trans* transfer of chirality in the asymmetric Pictet-Spengler reaction
  - intramolecular palladium enolate-mediated coupling

- J. Cook *Org. Lett.* **2000,** *2*, 2057–2059.
- J. Cook *Tet. Lett.* **1997**, *38*, 6819–6822.

(±)-Strychnine — K. P. C. Vollhardt

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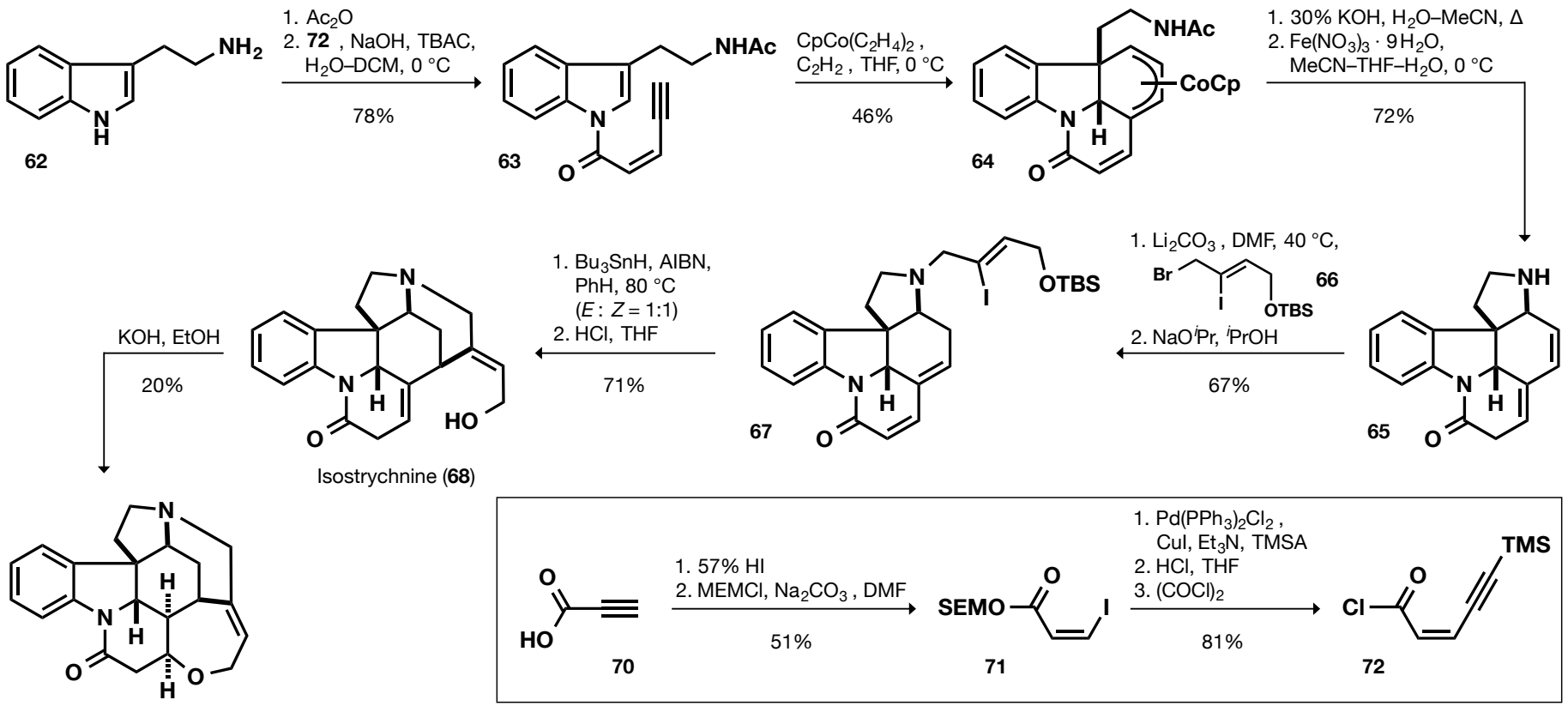

- Key features:
  - [2 + 2 + 2] – cycloaddition
  - diene protection

- K.P.C. Vollhardt *Org. Lett.* **2000,** *2*, 2479–2481.

(–)-Lepadin B — C. Kibayashi

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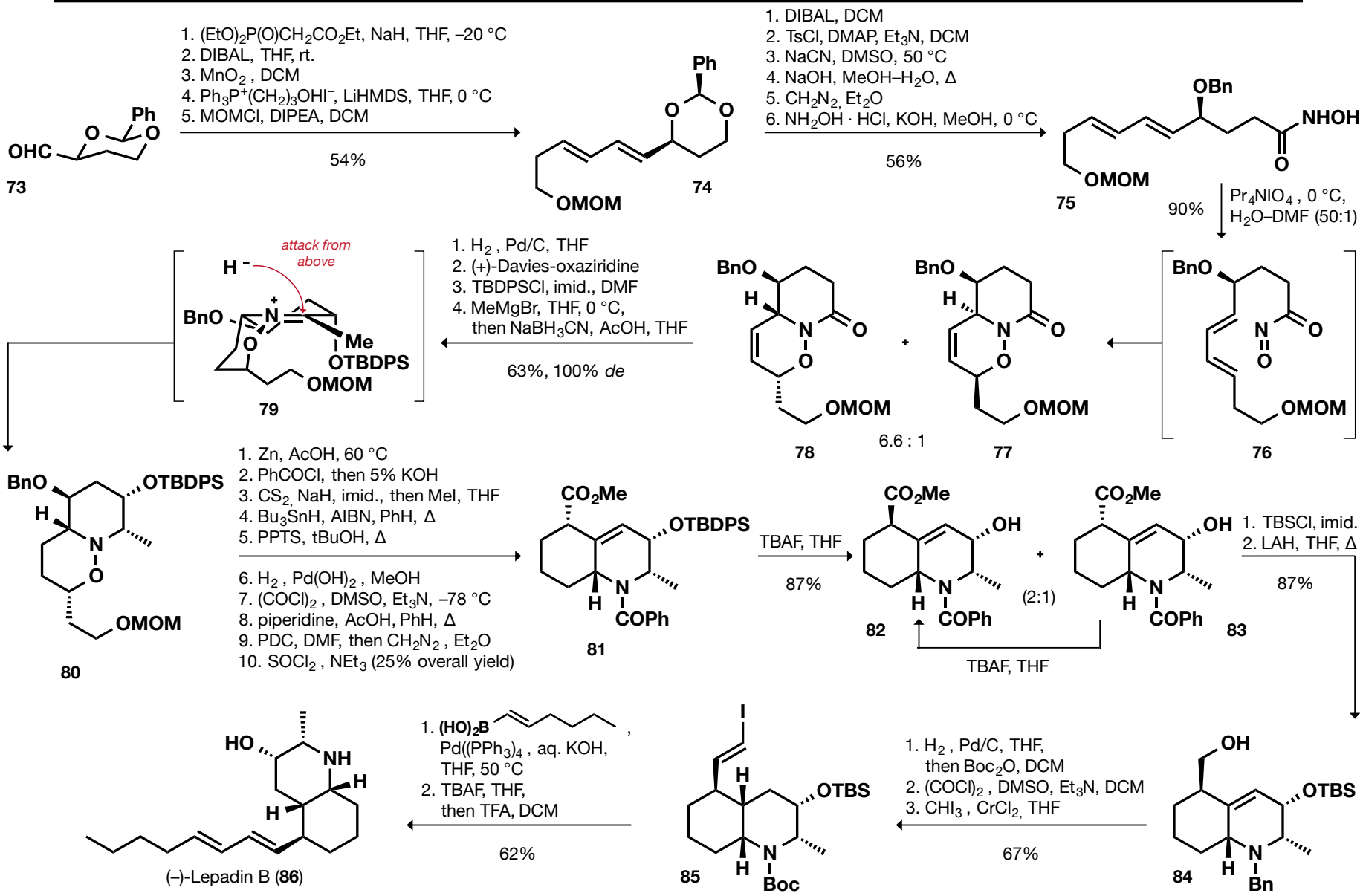

- C. Kibayashi *Org. Lett.* **2000,** *2*, 2955–2958.

(±)-Dragmacidin A — T. Kawasaki

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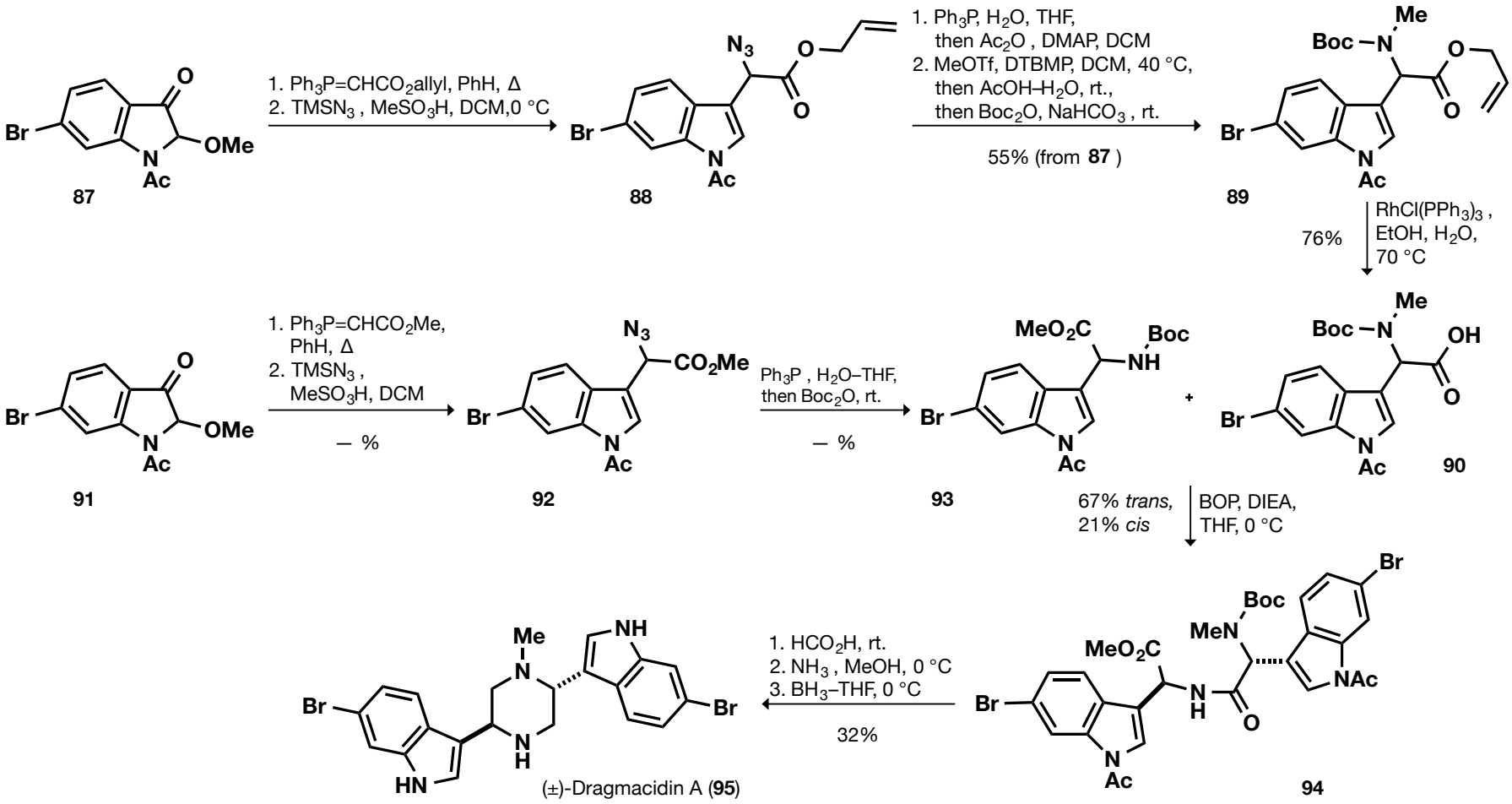

- Key features:
  - synthesis of indolylglycines

- T. Kawasaki *Org. Lett.* **2000,** *2*, 3027–3029.

(–)-Ascochlorin — R. L. Danheiser

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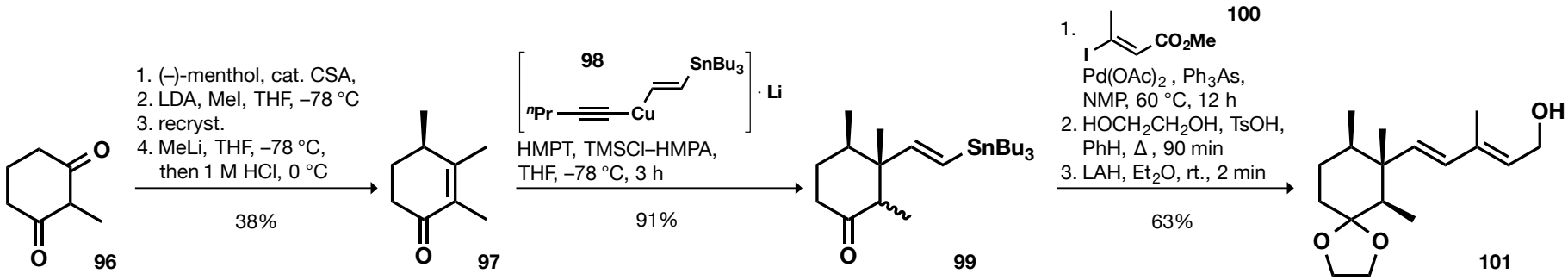

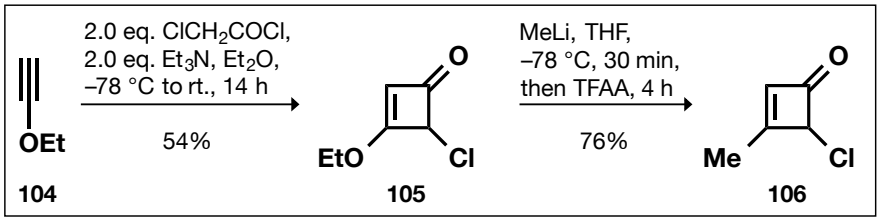

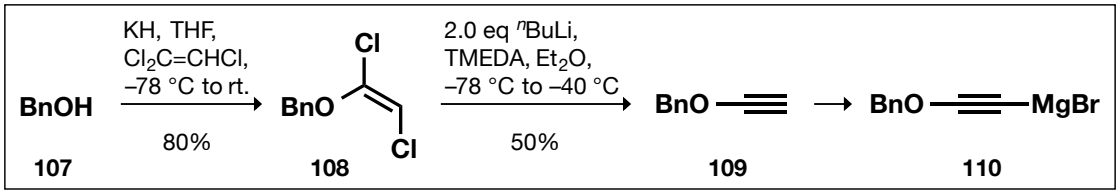

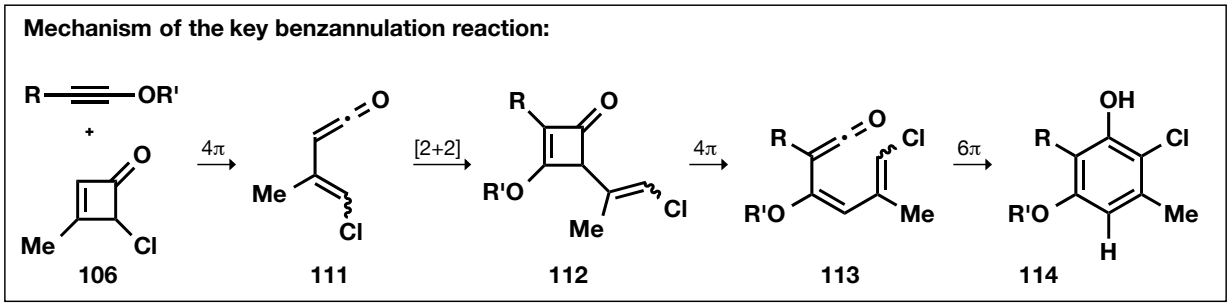

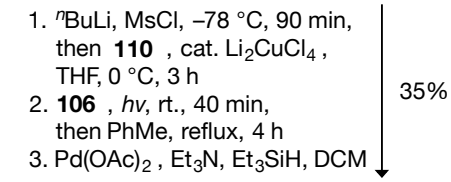

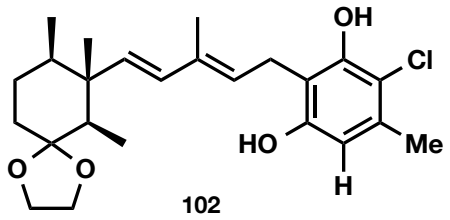

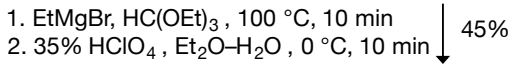

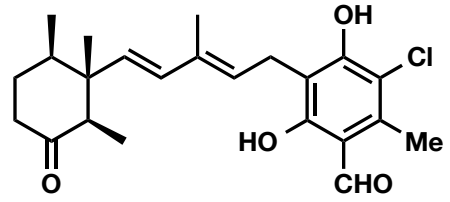

(–)-Ascochlorin (**103**)

▪ R. L. Danheiser *Org. Lett.* **2000,** *2*, 3407–3410.

(±)-Aspidospermidine — J. A. Murphy
(+)-Aphanamol I — P. A. Wender

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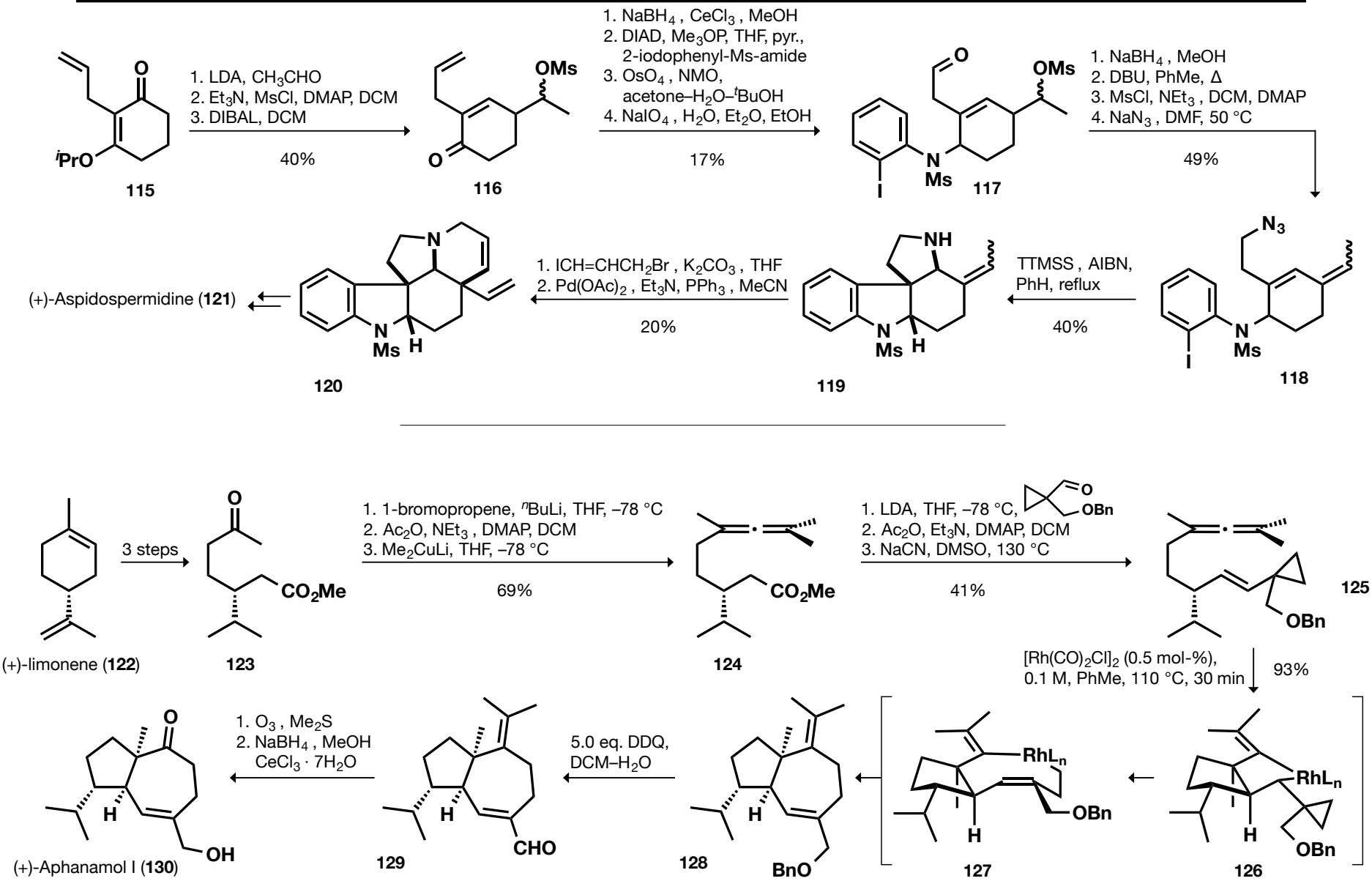

- J. A. Murphy *Org. Lett.* **2000,** *2*, 3599–3601.
- P. A. Wender *Org. Lett.* **2000,** *2*, 2323–2326.

(+)-Preussin — W.-H. Ham
(±)-Peduncularine — K. A. Woerpel

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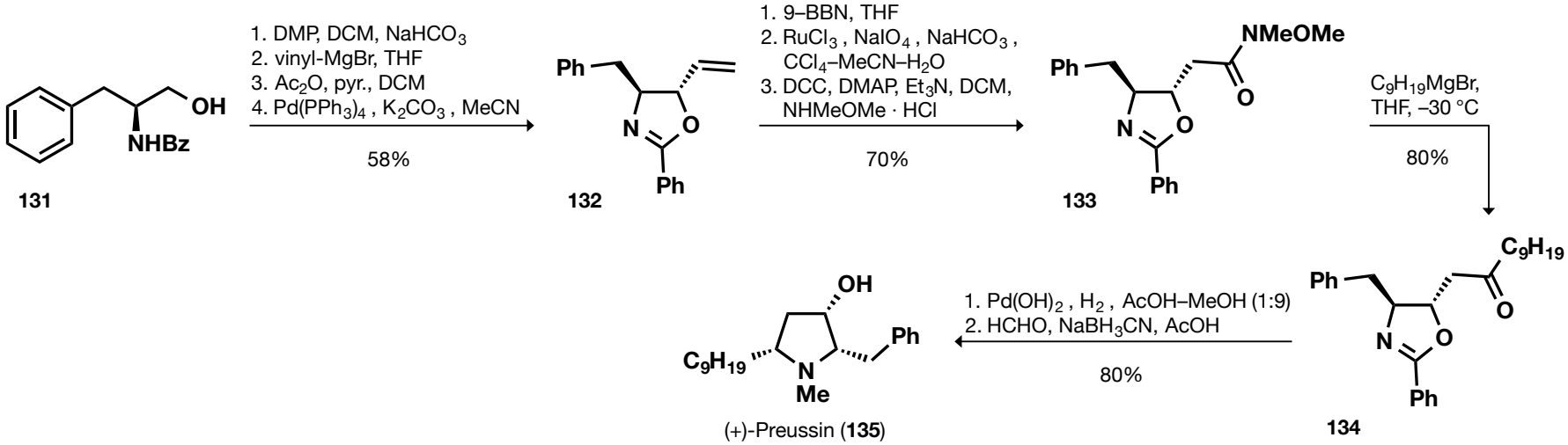

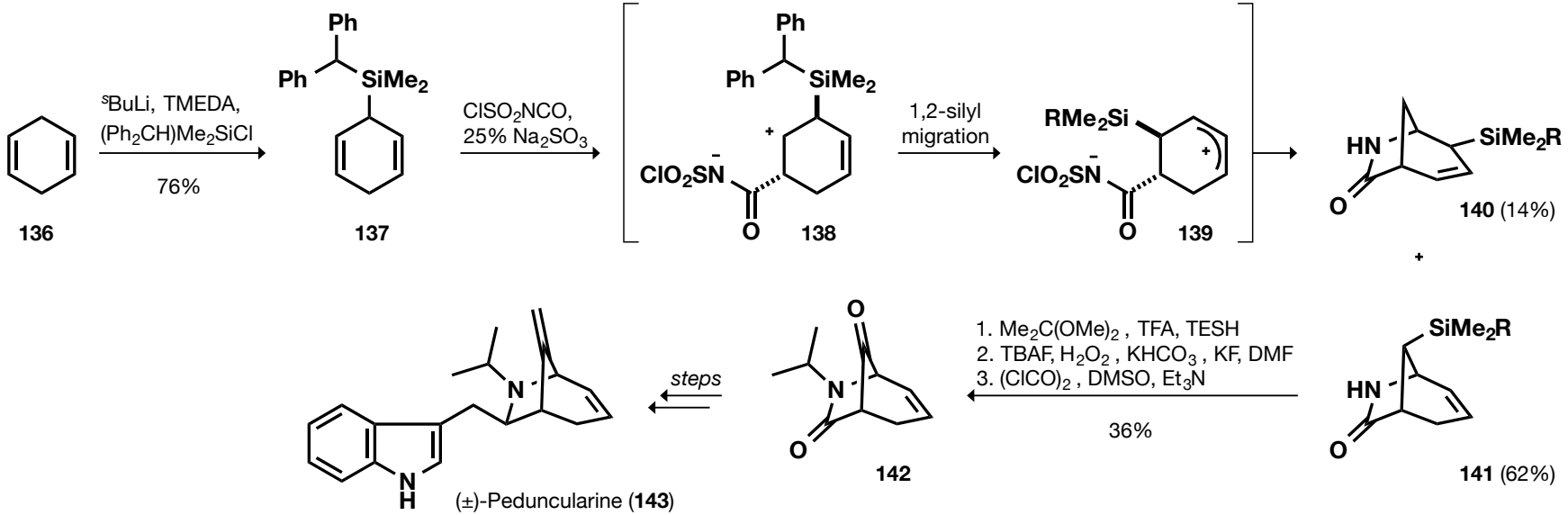

- W.-H. Ham *Org. Lett.* **2000,** *2*, 4041–4042.
- K.A. Woerpel *Org. Lett.* **2000,** *2*, 621–623.

(±)-Cytisine — Pfizer Inc.

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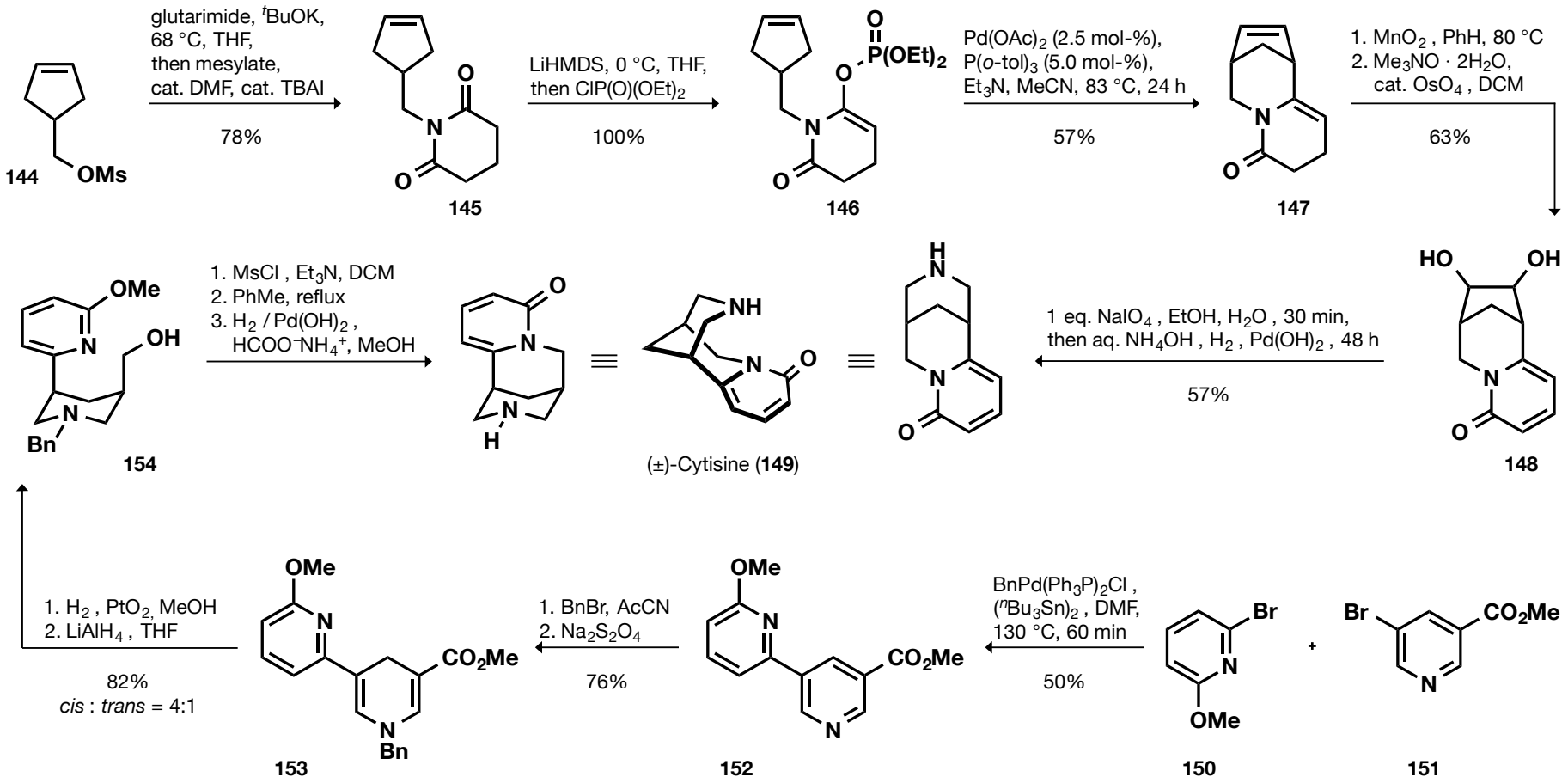

- Key features:
  - activated glutarimide-derived ketene animals, „ring expansion“
  - *in situ* Stille biaryl pyridine coupling, dithionite reduction of pyridinium salts

- B.T. O'Neill *Org. Lett.* **2000,** *2*, 4201–4204.
- J.W. Coe *Org. Lett.* **2000,** *2*, 4205–4208.

Selected Methodologies

Miscellaneous

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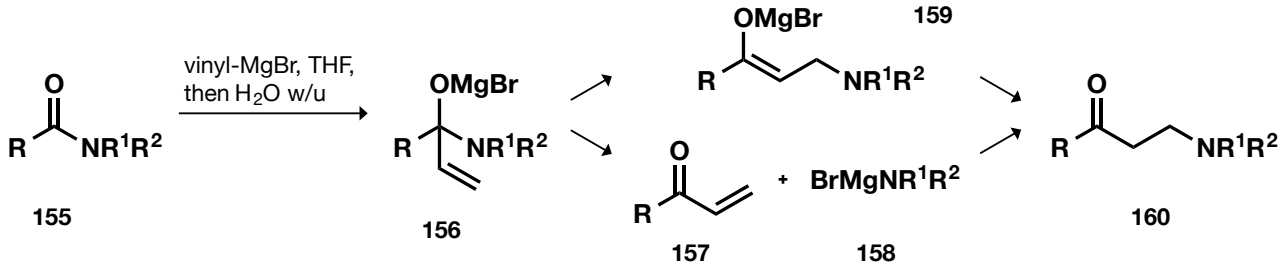
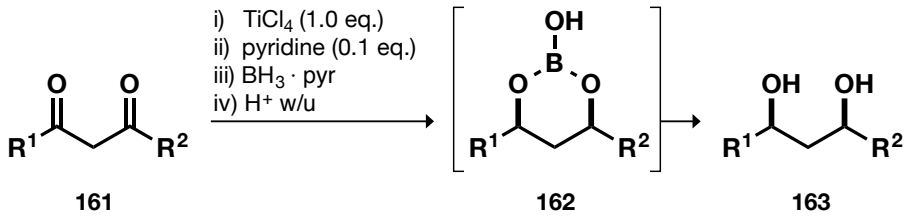
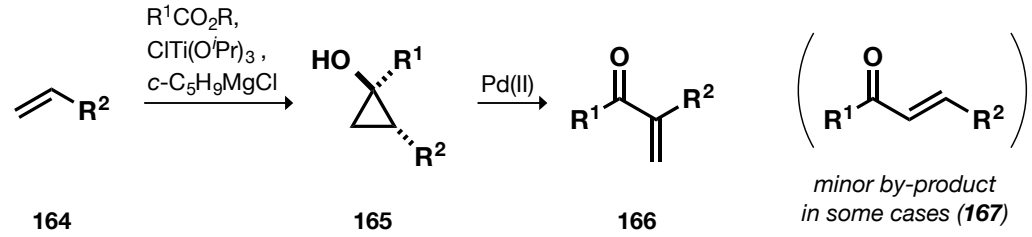
- Direct synthesis of β-aminoketones from amides



- $TiCl_4$-Mediated Reduction of 1,3-diketones with BH_3·pyridine: synth. of *syn*-1,3-diols



- Pd-Mediated ring opening of hydroxycyclopropanes



- (i) A. Gomtsyan *Org. Lett.* **2000,** *2*, 11–13. (ii) G. Bartoli *Org. Lett.* **2000,** *2*, 45–47. (iii) K. Cha *Org. Lett.* **2000,** *2*, 147–149.

Miscellaneous

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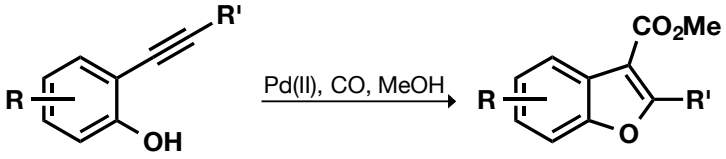
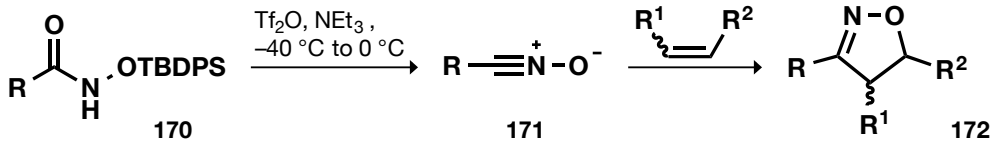
- Synthesis of 2,3-disubstituted benzo[*b*]furans

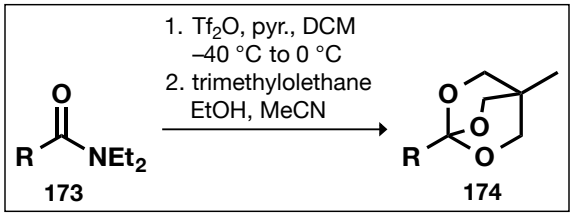


168 169

- A general method for the synthesis of nitrile oxides

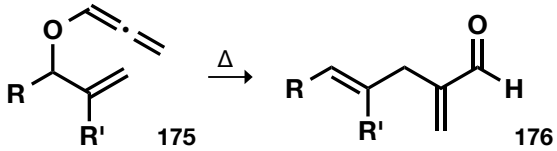


170 171 172



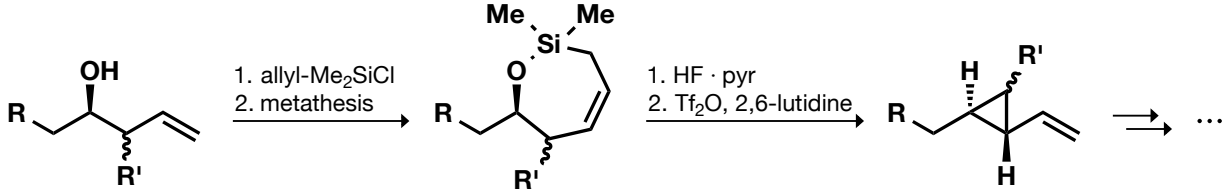
173 174

- A facile route to acyclic substituted α,β-unsaturated aldehydes: allene Claisen rearr.



175 176

- Structural diversity based on cyclopropane scaffolds



177 178 179

- (i) Z. Yang *Org. Lett.* **2000,** *2*, 297–299. (ii) E. M. Carreira *Org. Lett.* **2000,** *2*, 539–541. (iii) P. J. Parsons *Org. Lett.* **2000,** *2*, 571–572. (iv) R. E. Taylor *Org. Lett.* **2000,** *2*, 601–603.

Miscellaneous

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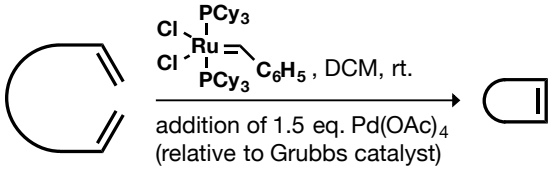
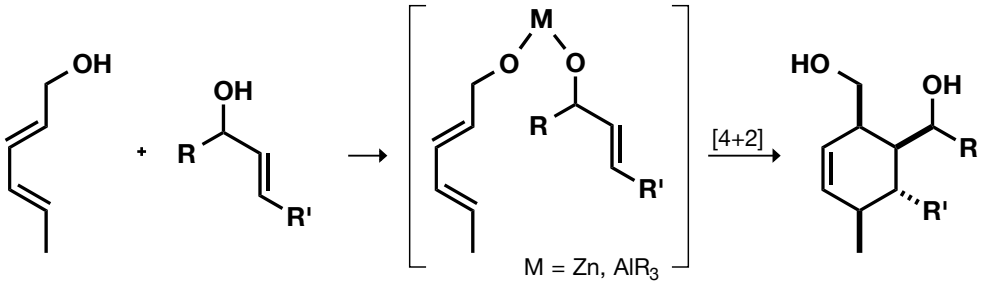
- Addition of a very modest amount of lead tetraacetate (1.50 equiv relative to the amount of Grubbs catalyst) to ring-closing metathesis reaction mixtures effectively removes all colored ruthenium and phosphine impurities to deliver colorless reaction products—often accompanied by higher yield.



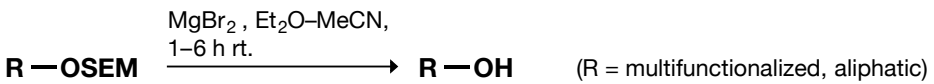
180 181

- Temporary in situ aluminium and zinc tethering in Diels-Alder reactions



182 183 184

- Deprotection of SEM ethers: a very mild and selective method using $MgBr_2$



185 186

- (i) L. A. Paquette *Org. Lett.* **2000,** *2*, 1259–1261. (ii) R. Olsson *Org. Lett.* **2000,** *2*, 1283–1286. (iii) H. M. R. Hoffmann *Org. Lett.* **2000,** *2*, 1447–1450.

Miscellaneous

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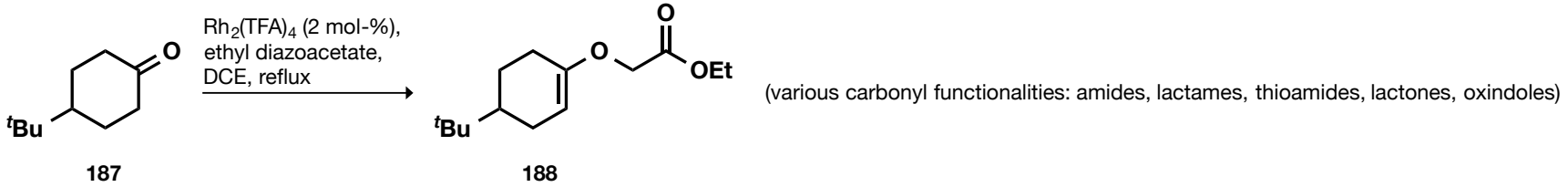
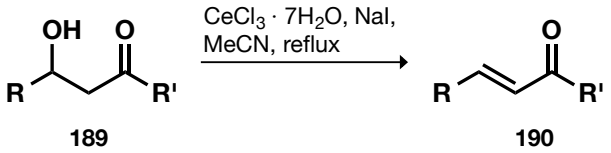
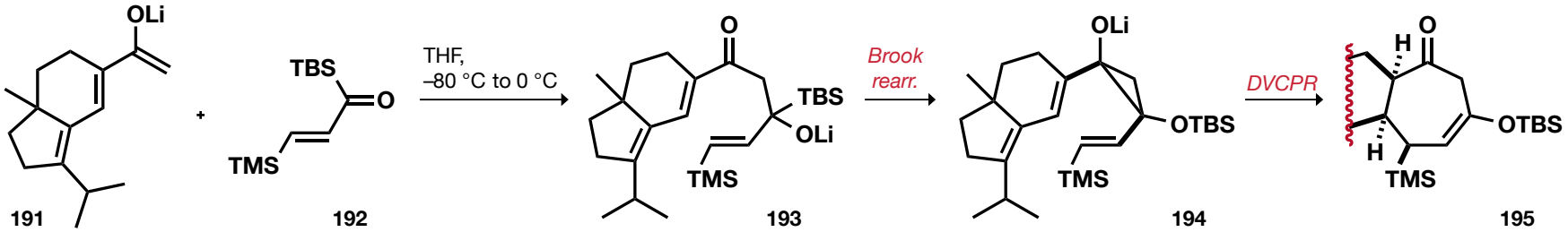
- A Rh(II) catalytic approach to the synthesis of ethers



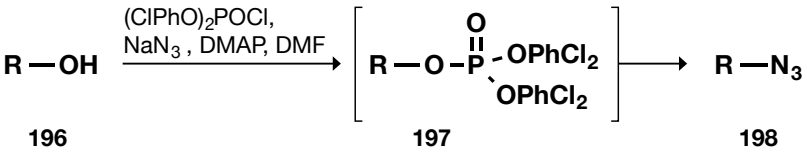
- An efficient procedure for the dehydration of β-hydroxy carbonyl compounds



- Synthesis of the tricyclic skeleton of Cyanthins using Brook rearr. / [3+4] cascade



- A simple one-pot procedure for the direct conversion of alcohols to azides



- (i) E. J. Corey *Org. Lett.* **2000,** *2*, 1641–1643. (ii) G. Bartoli *Org. Lett.* **2000,** *2*, 1791–1793. (iii) K. Takeda *Org. Lett.* **2000,** *2*, 1903–1905. (iv) L. Hu *Org. Lett.* **2000,** *2*, 1959–1961.

Miscellaneous

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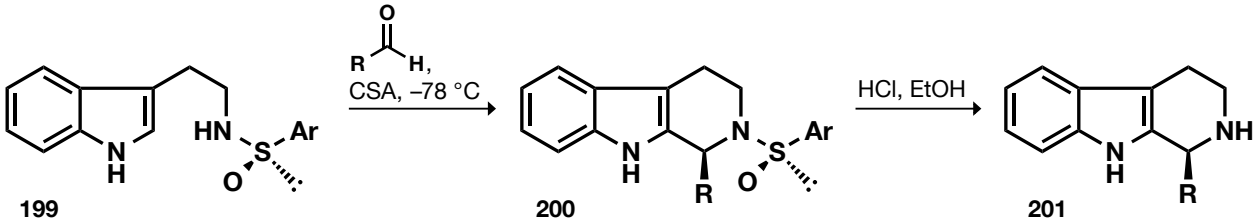
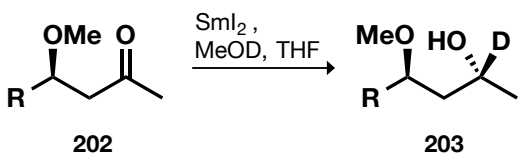
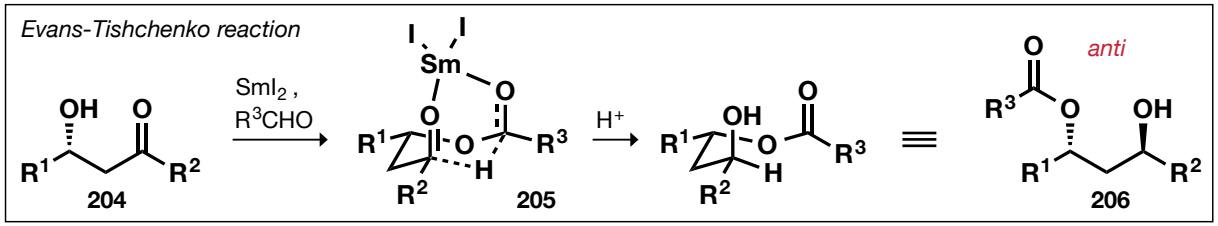
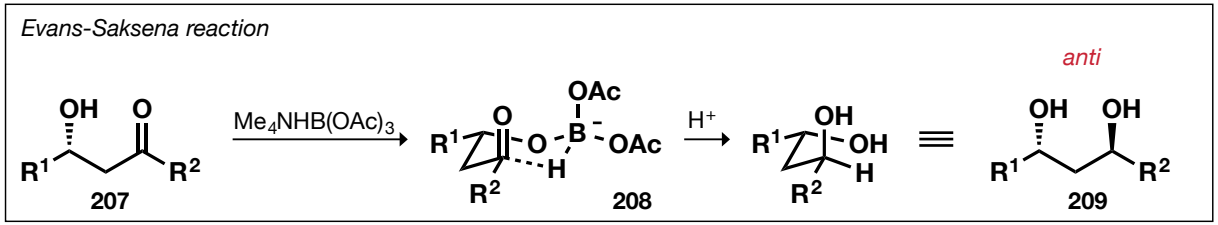
- Enantiopure tetrahydro-β-carbolines *via* asymmetric Pictet-Spengler reaction

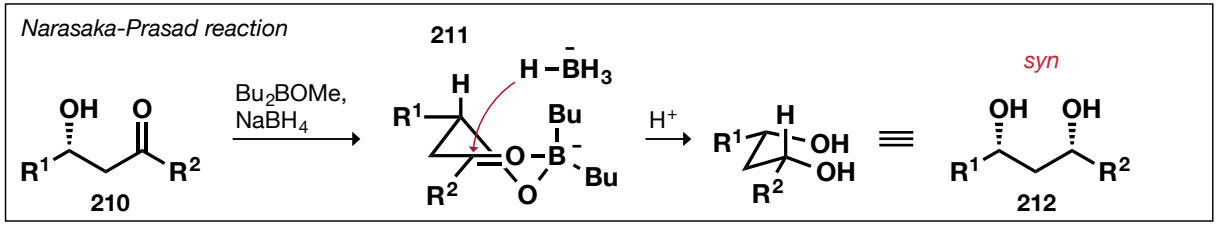


- First directed reduction of β-alkoxy ketones to *anti*-1,3-diol monoethers









- (i) G.-J. Koomen *Org. Lett.* **2000,** *2*, 1955–1958. (ii) G. E. Keck *Org. Lett.* **2000,** *2*, 2307–2309.

Miscellaneous

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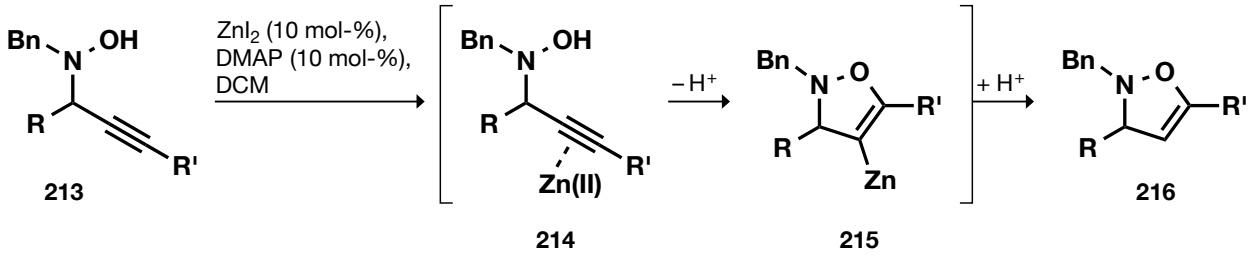
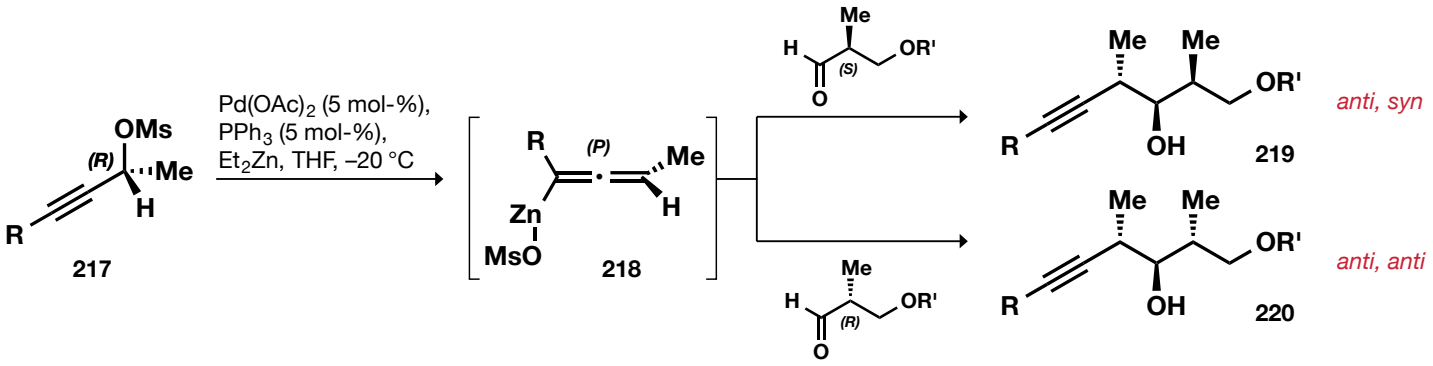
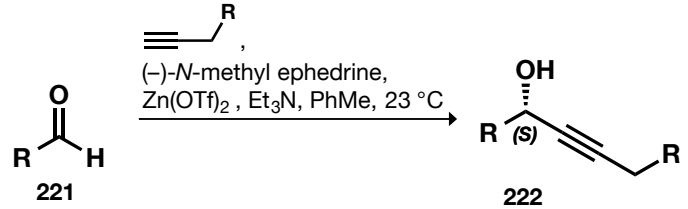
- Synthesis of 2,3-dihydroisoxazoles



- Addition of allenylzinc reagents to aldehydes



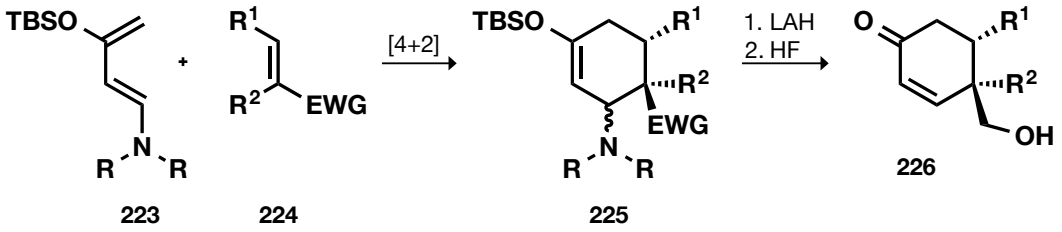
- Enantioselective synthesis of propargylic alcohols by direct addition of terminal alkynes to aldehydes

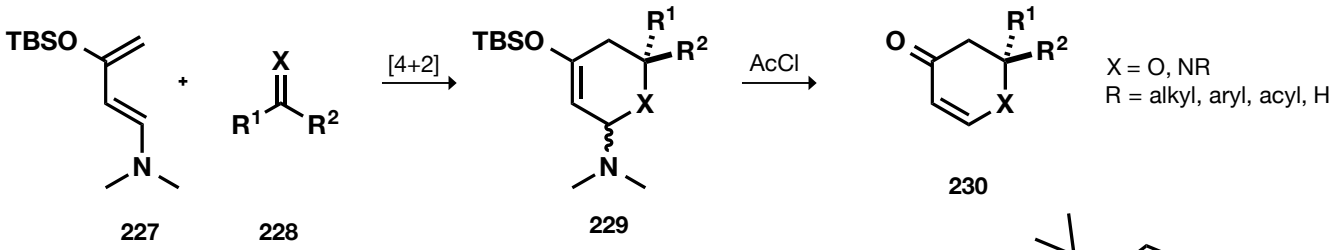


- (i) E. M. Carreira *Org. Lett.* **2000,** *2*, 2331–2333. (ii) J. A. Marshall *Org. Lett.* **2000,** *2*, 2897–2900. (iii) E. M. Carreira *Org. Lett.* **2000,** *2*, 4233–4236. (iv) E. M. Carreira *JACS* **2000,** *122*, 1806–1807.

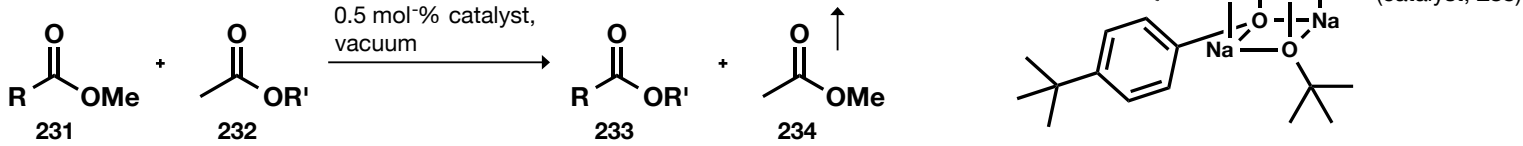
Miscellaneous

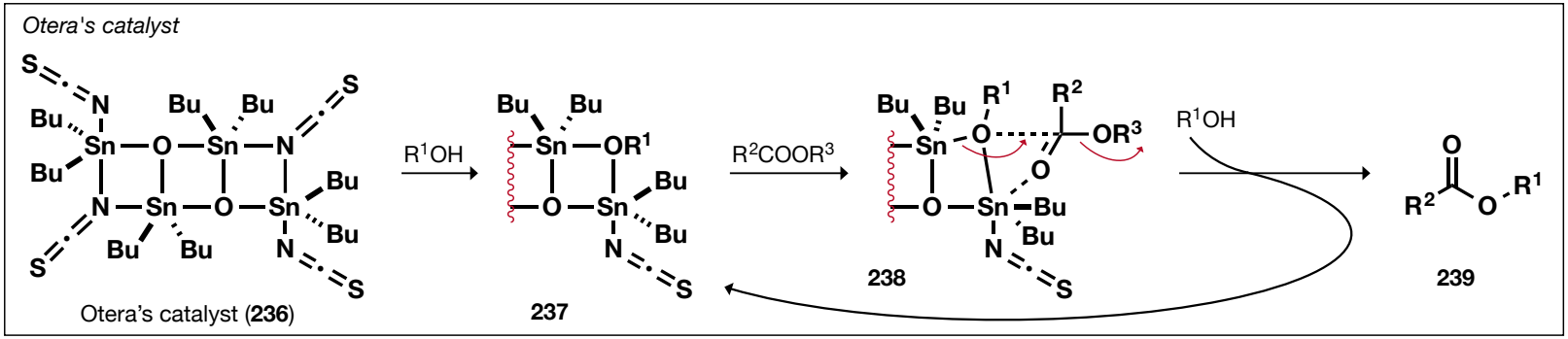
- Diels-Alder and Hetero Diels-Alder reactions of 1-amino-3-siloxy-1,3-butadiens





- Improved ester interchange catalyst





- (i) H. Rawal *Org. Lett.* **2000,** *2*, 3321–3323. (ii) M. R. Gagné *Org. Lett.* **2000,** *2*, 4209–4212. (iii) J. Otera *J. Org. Chem.* **1991**, *56*, 5307–5311.

(±)-Allocyathin B_3 — D. E. Ward

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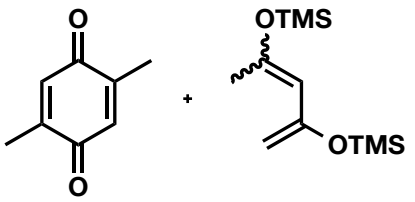
- Quick *Denksport*



240 **241**

1. 140 °C, neat
2. allene, *hv,* –50 °C
3. TFA, MeOH, DCM
4. *m*CPBA, DCM
5. 9-BBN, then MeOH, then PhSH, NaOH, Δ

50%

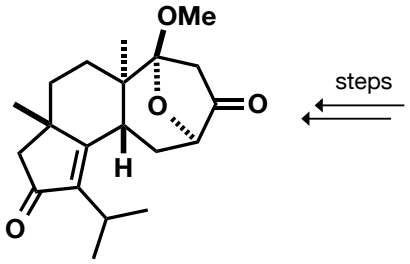
A

1. PhCOOH, DEAD, Ph_3P
2. $NaBH_4$, DCM, MeOH
3. NaOH, MeOH–H_2O, 50 °C
4. Raney-Ni, MeOH, rt.
5. NaOH, MeOH, reflux
6. BzCl, Et_3N, DMAP

60%

B

1. MsCl, pyr., 50 °C, then DBU, PhMe, Δ
2. H_2 , $RhCl(Ph_3P)_3$, PhH
3. O_3 , Sudan III, then Me_2S
4. TsOH , PhMe
5. MeI, Ag_2O



steps

C

(±)-Allocyathin B_3 (**242**)

- D. A. Ward *Org. Lett.* **2000,** *2*, 2125–2127.

Thanks for your attention.

Questions?

(±)-Allocyathin B_3 — D. E. Ward

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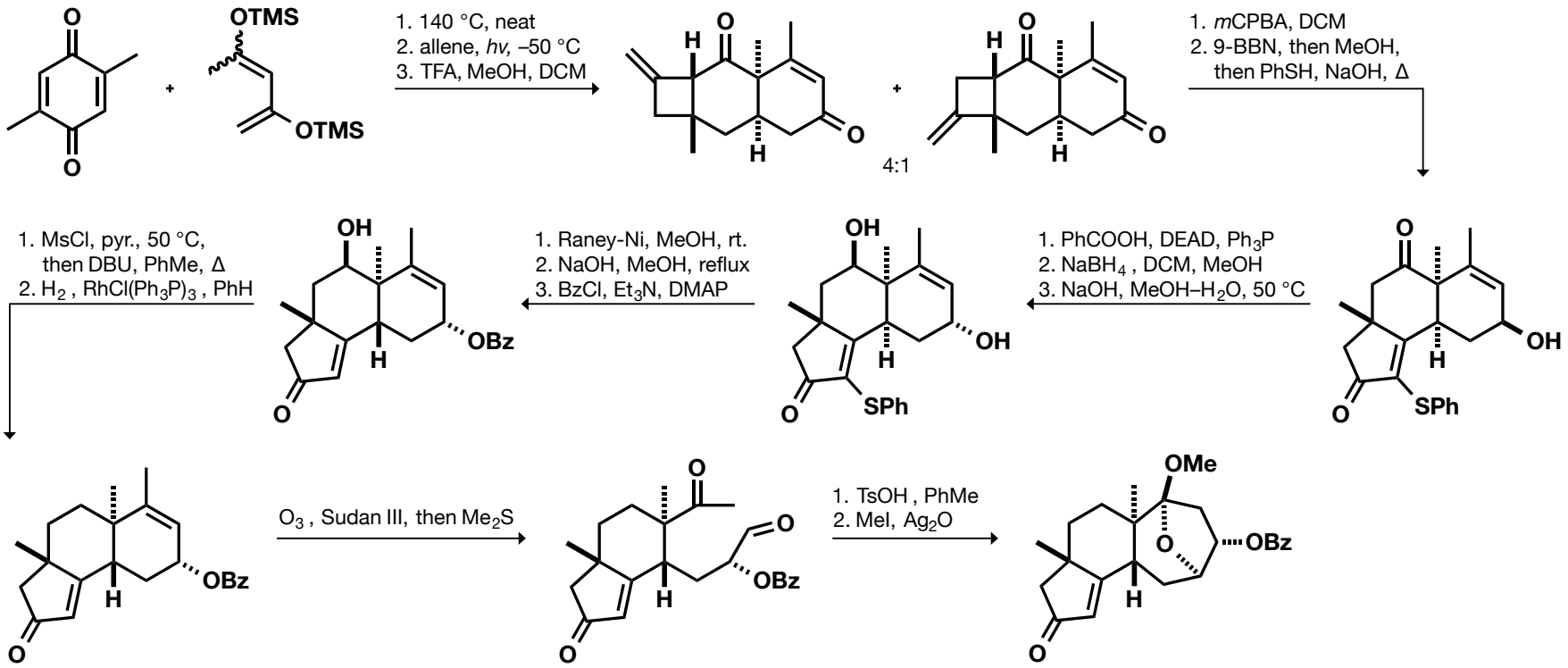
- Quick *Denksport — Solution*



▪ D. A. Ward *Org. Lett.* **2000,** *2*, 2125–2127.