

**Synthesis Alerts** is a monthly feature to help readers of *Synthesis* keep abreast of new reagents, catalysts, ligands, chiral auxiliaries, and protecting groups which have appeared in the recent literature. Emphasis is placed on new developments but established reagents, catalysts etc are also covered if they are used in novel and useful reactions. In each abstract, a specific example of a transformation is given in a concise format designed to aid visual retrieval of information.

**Synthesis Alerts** is a personal selection by:

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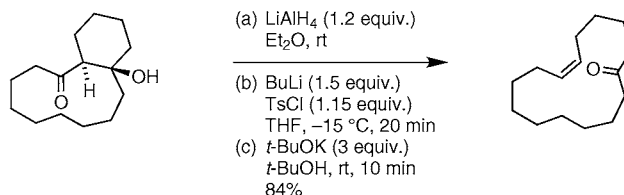
*Synthesis* 2003, No. 7, 20 05 2003. Article Identifier: 1437-210X,E;2003,0,07,1128,1135.ftx,en;X00703SS.pdf.  
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ISSN 0039-7881

The journals regularly covered by the abstractors are:

Angewandte Chemie International Edition  
Bulletin of the Chemical Society of Japan  
Chemical Communications  
Chemistry A European Journal  
Chemistry Letters  
Collection Czechoslovak Chemical Communications  
European Journal of Organic Chemistry  
Helvetica Chimica Acta  
Heterocycles  
Journal of the American Chemical Society  
Journal of Organic Chemistry  
Organic Letters  
Organometallics  
Perkin Transactions 1  
Synlett  
Synthesis  
Tetrahedron  
Tetrahedron Asymmetry and Tetrahedron Letters

Synthesis of macrocyclic ketones *via* fragmentation of a tricyclic system.  
Fehr, C.; Galindo, J.; Etter, O.; Thommen, W. *Angew. Chem. Int. Ed.* **2002**, 41, 4523.

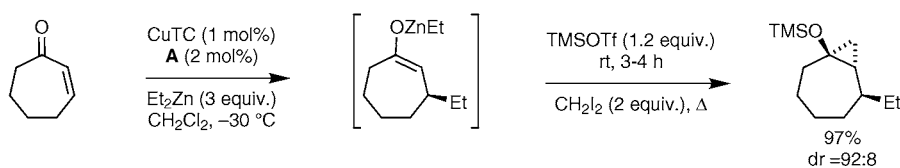
Fragmentation



3 examples (yields 43-84%).

Tandem enantioselective conjugate addition/cyclopropanation sequence.  
Alexakis, A.; March, S. *J. Org. Chem.* **2002**, 67, 8753.

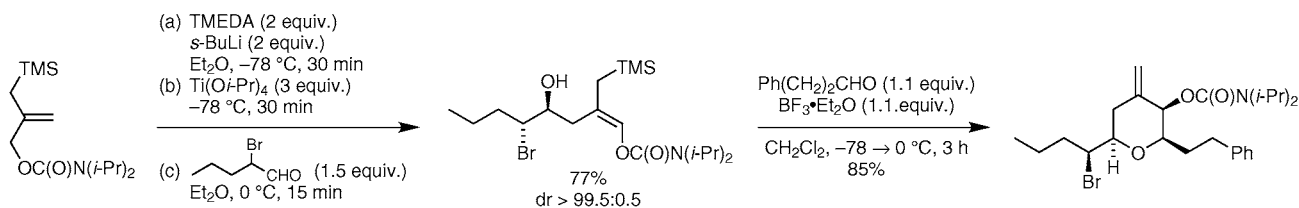
1,4-Addition/Cyclopropanation



4 examples (yields 91-97%, %de 60-84%). CuTC = copper(I) thiophene-2-carboxylate.

Synthesis of polysubstituted tetrahydropyrans *via* a metallo-ene/intramolecular Sakurai cyclization sequence.  
Leroy, B.; Markó, I. E. *J. Org. Chem.* **2002**, 67, 8744.

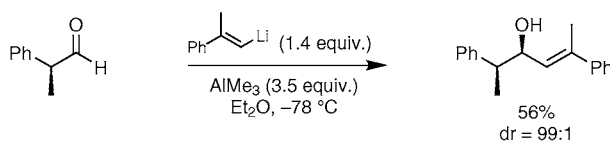
1,2-Addition



5 examples (yields 49-65% over 2 steps).

Increased Felkin–Anh selectivity using  $\text{AlMe}_3$  in the addition of vinylolithiums to  $\alpha$ -chiral aldehydes.  
Spino, C.; Granger, M.-C.; Tremblay, M.-C. *Org. Lett.* **2002**, *4*, 4735.

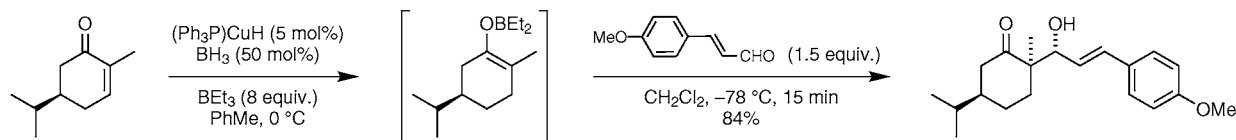
1,2-Addition



7 examples (yields 47–76%, %de 50–98%).

Cu-catalyzed reductive alkylations of enones.  
Lipshutz, B. H.; Papa, P. *Angew. Chem. Int. Ed.* **2002**, *41*, 4581.

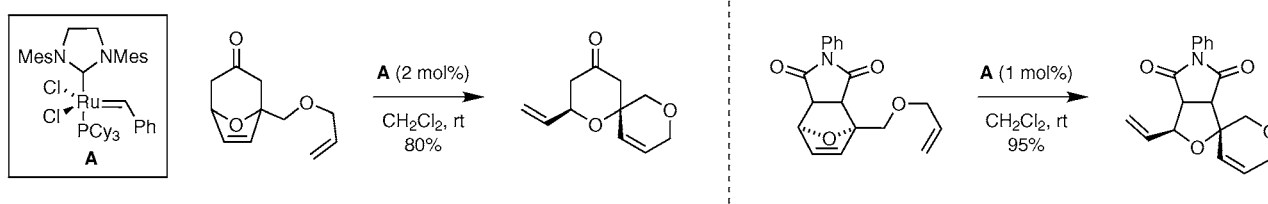
1,4/1,2-Addition



9 examples (yields 80–95%).

Synthesis of functionalized pyrans *via* metathesis of oxabicyclo derivatives.  
Usher, L. C.; Estrella-Jimenez, M.; Ghiviriga, I.; Wright, D. L. *Angew. Chem. Int. Ed.* **2002**, *41*, 4560.

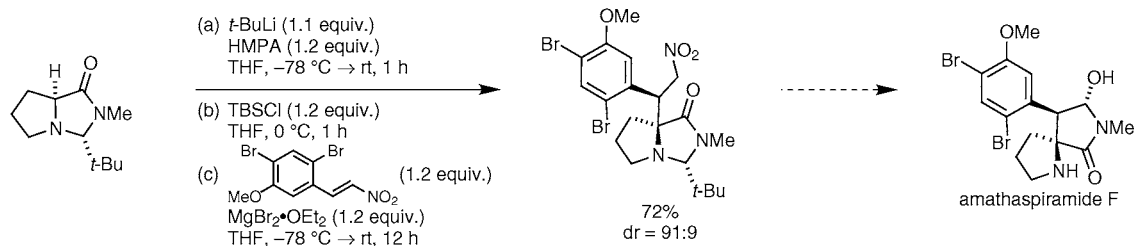
Metathesis



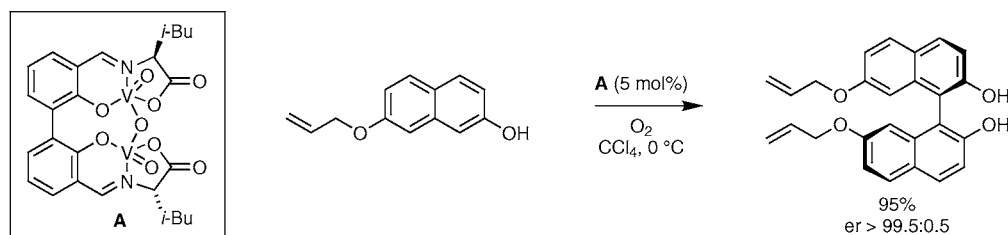
16 examples (yields 15–95%).

Total synthesis of (–)-amathaspiramide F.  
Hughes, C. C.; Trauner, D. *Angew. Chem. Int. Ed.* **2002**, *41*, 4556.

1,4-Addition

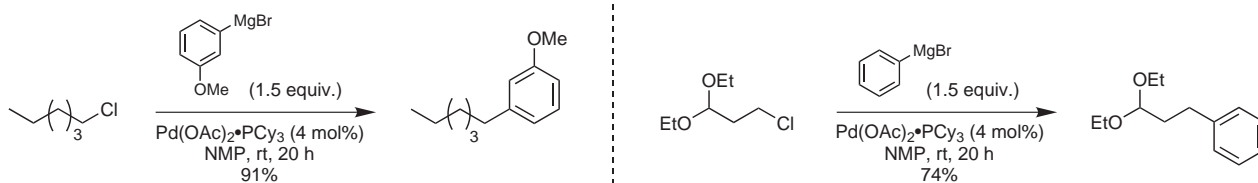


Oxovanadium(IV)-catalyzed coupling of 2-naphthols.  
Luo, Z.; Liu, Q.; Gong, L.; Cui, X.; Mi, A.; Jiang, Y. *Angew. Chem. Int. Ed.* **2002**, *41*, 4532.

 $\text{sp}^2$ - $\text{sp}^2$  Coupling

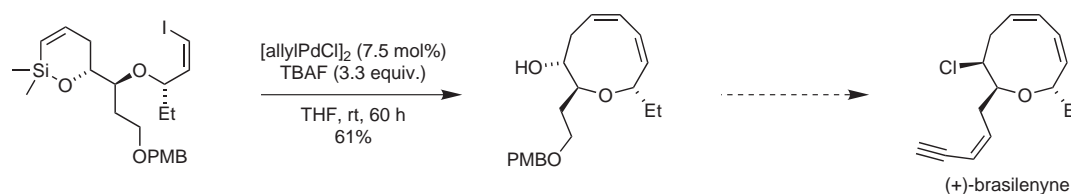
11 examples (yields 80–99%).

Pd-catalyzed coupling of alkyl chlorides and Grignard reagents.  
Frisch, A. C.; Shaikh, N.; Zapf, A.; Beller, M. *Angew. Chem. Int. Ed.* **2002**, *41*, 4056.

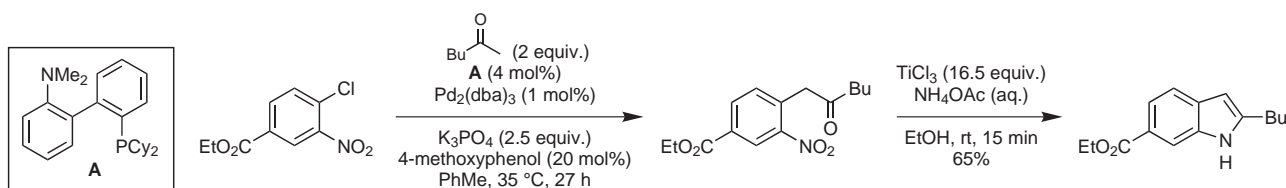
**sp<sup>2</sup>-sp<sup>3</sup> Coupling**

12 examples (yields 43-99%).

Total synthesis of (+)-brasilenyne via silicon-assisted intramolecular cross-coupling.  
Denmark, S. E.; Yang, S. -M. *J. Am. Chem. Soc.* **2002**, *124*, 15196.

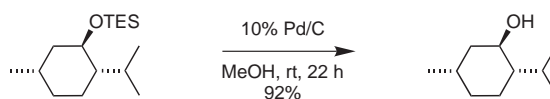
**sp<sup>2</sup>-sp<sup>2</sup> Coupling**

Synthesis of highly substituted indoles via arylation of ketone enolates.  
Rotulo-Sims, D.; Prunet, J. *J. Am. Chem. Soc.* **2002**, *124*, 15168.

**sp<sup>2</sup>-sp<sup>3</sup> Coupling/Reductive Cyclization**

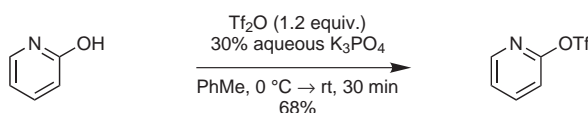
21 examples (yields 44-90%).

Pd-catalyzed cleavage of triethylsilyl ethers.  
Rotulo-Sims, D.; Prunet, J. *Org. Lett.* **2002**, *4*, 4701.

**Deprotection**

13 examples (yields 49-100%).

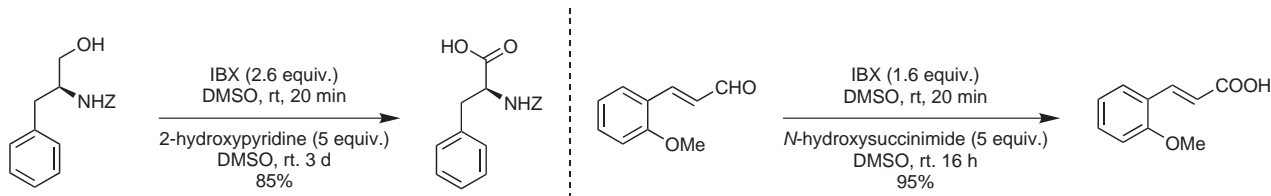
Synthesis of aryl triflates under aqueous conditions.  
Frantz, D. E.; Weaver, D. G.; Carey, J. P.; Kress, M. H.; Dolling, U. H. *Org. Lett.* **2002**, *4*, 4717.

**Triflate Formation**

10 examples (yields 64-95%).

IBX-mediated oxidation of primary alcohols and aldehydes to carboxylic acids.  
Mazitshek, R.; Mulbaier, M.; Giannis, A. *Angew. Chem. Int. Ed.* **2002**, *41*, 4059.

Oxidation

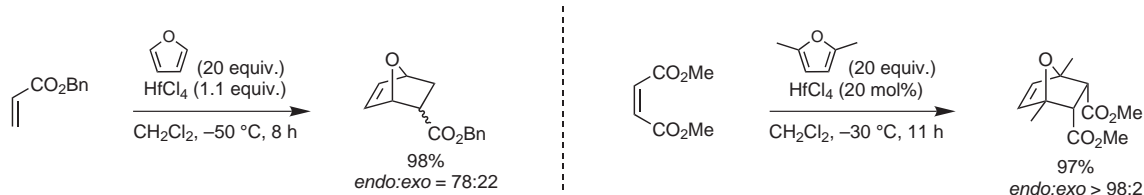


17 examples (yields 40-95%).

HfCl<sub>4</sub>-mediated Diels–Alder reaction of furans.

Hayashi, Y.; Nakamura, M.; Nakao, S.; Inoue, T.; Shoji, M. *Angew. Chem. Int. Ed.* **2002**, *41*, 4079.

Diels-Alder

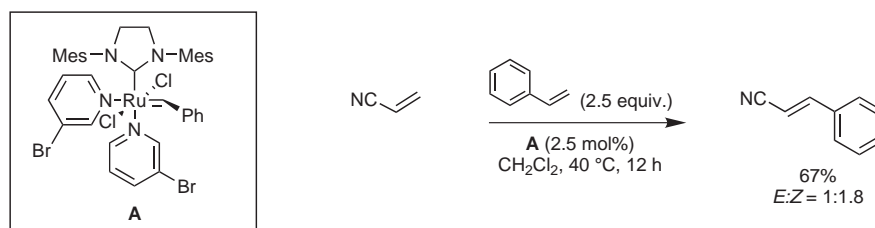


10 examples (yields 34-98%).

Ru-catalyzed cross metathesis of acrylonitrile.

Love, J. A.; Morgan, J. P.; Trnka, T. M.; Grubbs, R. H. *Angew. Chem. Int. Ed.* **2002**, *41*, 4035.

Cross Metathesis

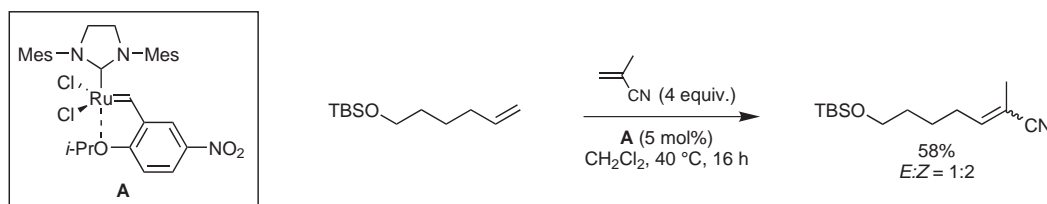


The synthesis of **A** is also reported.

Ru-catalyzed cross metathesis.

Grela, K.; Harutyunyan, S.; Michrowska, A. *Angew. Chem. Int. Ed.* **2002**, *41*, 4038.

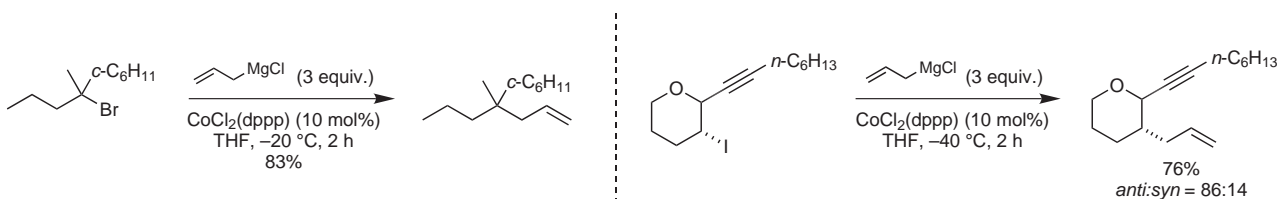
Cross Metathesis



10 examples (yields 58-99%). The synthesis of **A** is also reported.

Co-catalyzed cross-coupling of alkyl halides with allylic Grignard reagents.

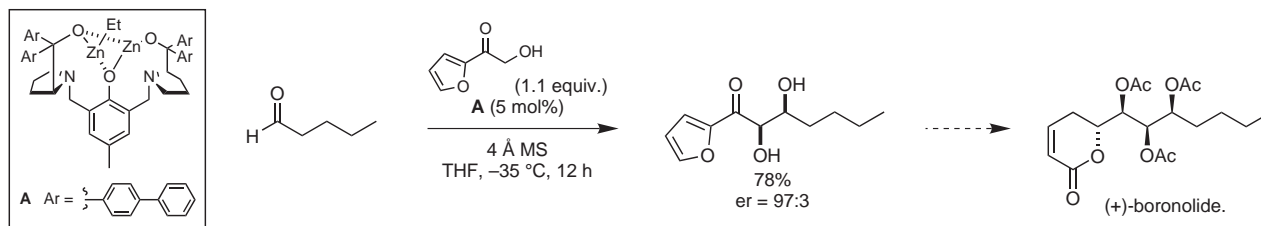
Tsuji, T.; Yorimitsu, H.; Oshima, K. *Angew. Chem. Int. Ed.* **2002**, *41*, 4137.

sp<sup>3</sup>-sp<sup>3</sup> Coupling

16 examples (yields 19-100%).

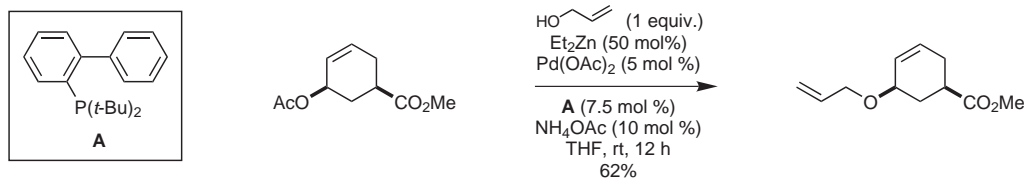
A novel dizinc *syn*-selective aldol catalyst.  
Trost, B. M.; Yeh, S. C. *Org. Lett.* **2002**, 4, 3513.

1,2-Addition



Pd-catalyzed allylic etherification using Zn(II) alkoxides.  
Kim, H.; Lee, C. *Org. Lett.* **2002**, 24, 4369.

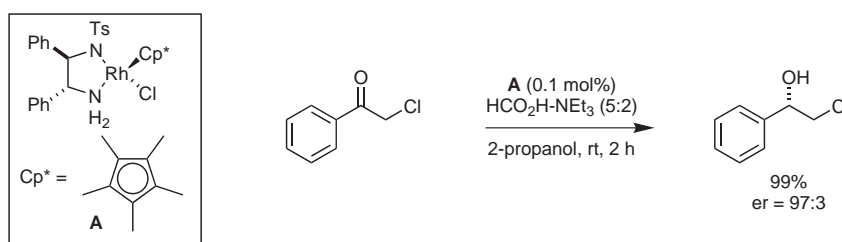
Etherification



16 examples (yields 51-99%).

Enantioselective Rh-catalyzed hydrogenation of 2-chloroacetophenones.  
Hamada, T.; Torii, T.; Izawa, K.; Noyori, R.; Ikariya, T. *Org. Lett.* **2002**, 24, 4373.

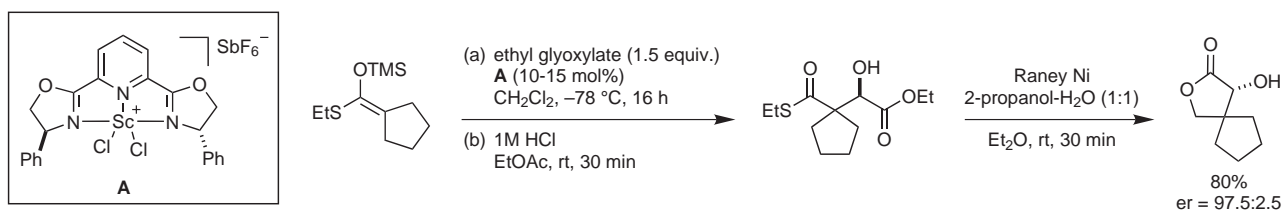
Hydrogenation



12 examples (yields 80-99%, %ee 88-98%).

Enantioselective Sc-catalyzed aldol reaction.  
Evans, D. A.; Wu, J.; Masse, C. E.; MacMillan, D. W. C. *Org. Lett.* **2002**, 4, 3379.

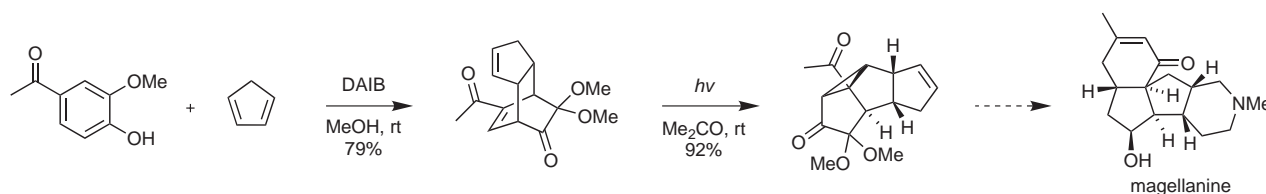
1,2-Addition



9 examples (yields 49-88%, %ee 92-98%).

Photochemical oxa-di-π-methane(ODPM) rearrangement.  
Yen, C. -F.; Liao, C. -C. *Angew. Chem. Int. Ed.* **2002**, 41, 4090.

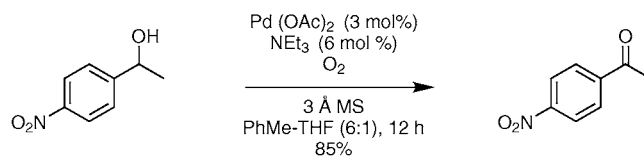
Rearrangement



Pd-catalyzed aerobic oxidation.

Schultz, M. J.; Park, V. C.; Sigman, M. S. *Chem. Commun.* **2002**, 3034.

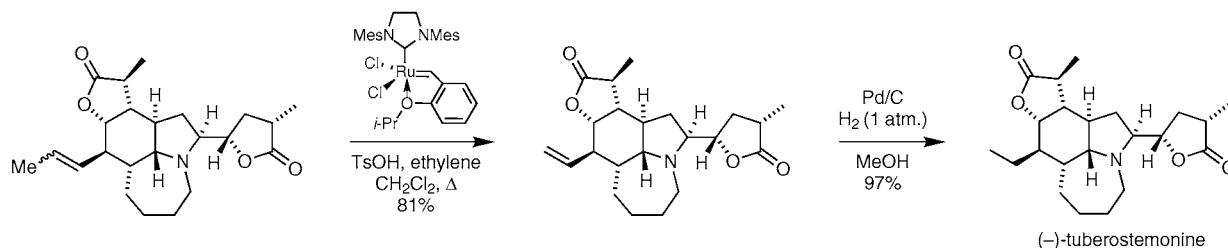
Oxidation



17 examples (yields 0-98%).

Chain contraction *via* a cross-metathesis propenyl-vinyl exchange.Wipf, P.; Rector, S. R.; Takahashi, H. *J. Am. Chem. Soc.* **2002**, 124, 14848.

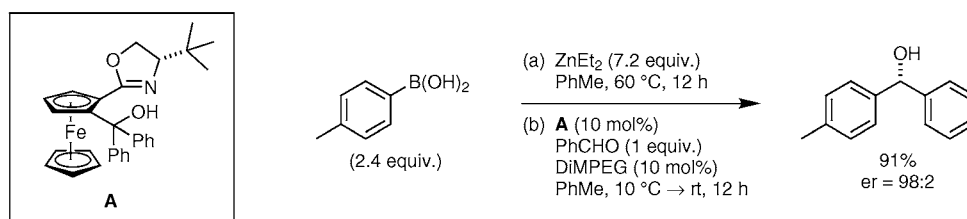
Cross Metathesis



Enantioselective Fe-catalyzed reaction of aryl aldehydes with aryl boronic acids.

Bolm, C.; Rudolph, J. *J. Am. Chem. Soc.* **2002**, 124, 14850.

1,2-Addition

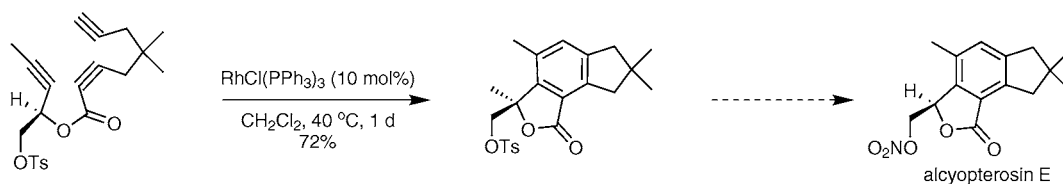


9 examples (yields 48-93%, %ee 85-98%).

Total synthesis of alcyopterosin E.

Witulski, B.; Zimmermann, A.; Gowans, N. D. *Chem. Commun.* **2002**, 2984.

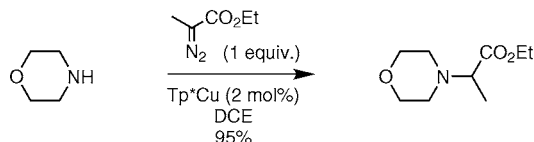
Alkyne Cyclotrimerization



Cu-catalyzed insertion of diazo compounds into N-H bonds.

Morilla, M. E.; Diaz-Requejo, M. M.; Belderrain, T. R.; Nicasio, M. C.; Trofimenko, S.; Perez, P. J. *Chem. Commun.* **2002**, 2998.

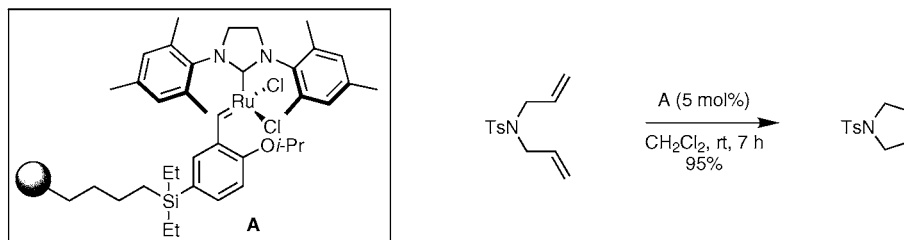
Insertion



16 examples (yields 80-95 %)

A polystyrene-supported butyldiethylsilyl ruthenium carbene for olefin metathesis.  
Grela, K.; Tryznowski, M.; Bieniek, M. *Tetrahedron Lett.* **2002**, 43, 9055.

Metathesis

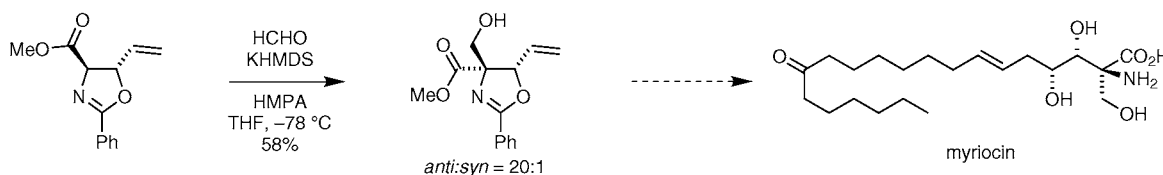


11 examples (yields 0-100%).

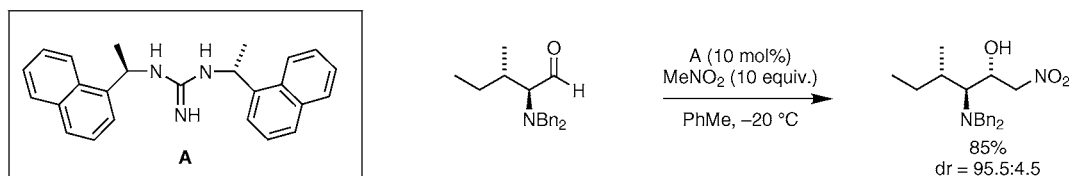
Total synthesis of myricin.

Lee, K. -Y.; Oh, C. -Y.; Kim, Y. -H.; Joo, J. -E.; Ham, W. -H. *Tetrahedron Lett.* **2002**, 43, 9361.

1,2-Addition

Stereoselective guanidine-catalyzed Henry reactions of *N,N*-dibenzyl  $\alpha$ -amino aldehydes.Ma, D.; Pan, Q.; Han, F. *Tetrahedron Lett.* **2002**, 43, 9401.

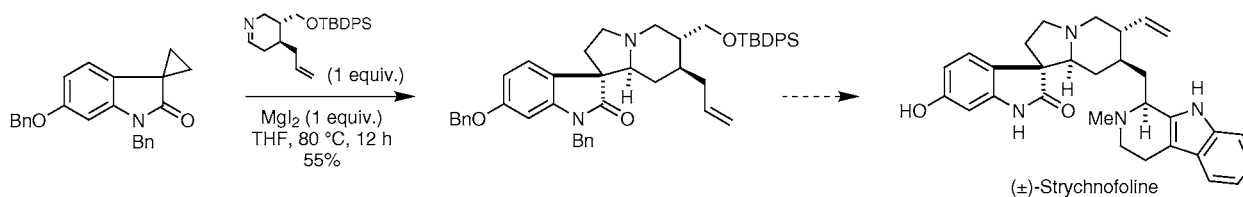
1,2-Addition



22 examples (yields 70-97%, %de 49-92%).

Stereoselective  $MgI_2$ -promoted reaction of a cyclic imine with a spiro[cyclopropan-1,3'-oxindole].  
Lerchner, A.; Carreira, E. M. *J. Am. Chem. Soc.* **2002**, 124, 14826.

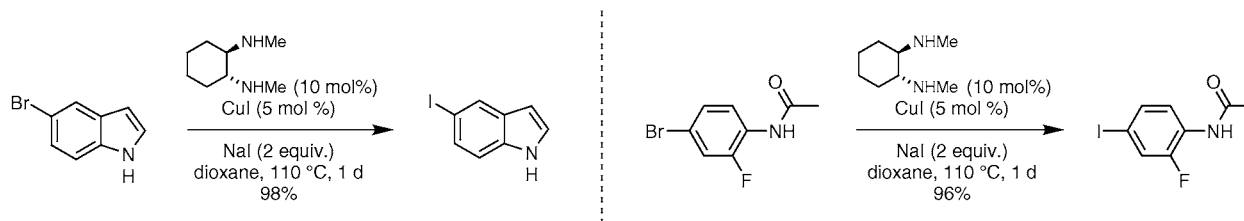
Ring Expansion



Cu-catalyzed halogen exchange in aryl halides.

Klapars, A.; Buchwald, S. L. *J. Am. Chem. Soc.* **2002**, 124, 14844.

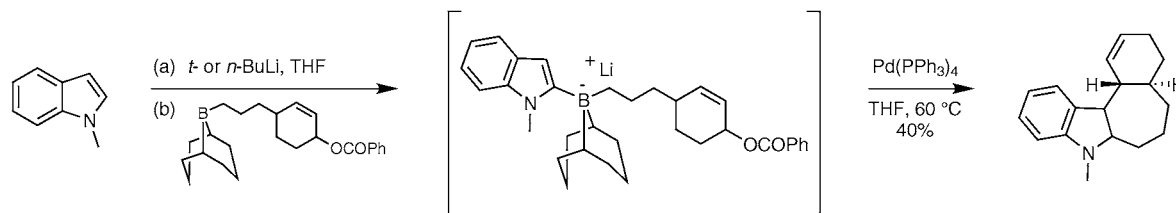
Halogen Exchange



15 examples (yields 93-100%).

Synthesis of carbazole derivatives *via* intramolecular alkyl migration.  
Ishikura, M.; Kato, H. *Tetrahedron*, **2002**, 58, 9827.

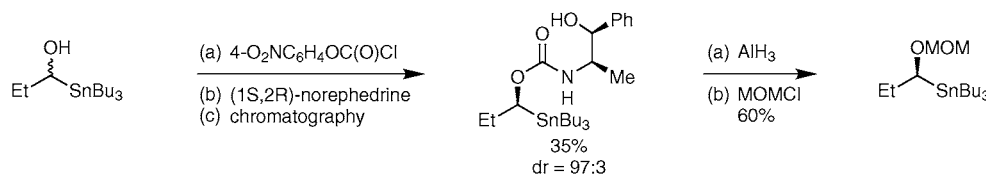
Cyclization



24 examples (yields 6-75%).

Resolution of  $\alpha$ -hydroxystannanes *via* norephedrine carbamates.  
Kells, K. W.; Nielsen, N. H.; Armstrong-Chong, R. J.; Chong, J. M. *Tetrahedron*, **2002**, 58, 10287.

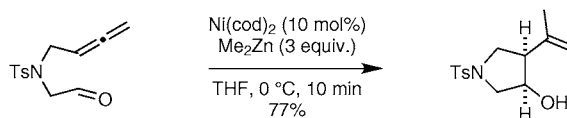
Resolution



5 examples (yields 20-29% over 2 steps, %ee 90-96%).

Stereoselective Ni-catalyzed alkylative cyclization of allenyl-aldehydes and ketones with organozincs.  
Kang, S.; Yoon, S. *Chem. Commun.* **2002**, 2634.

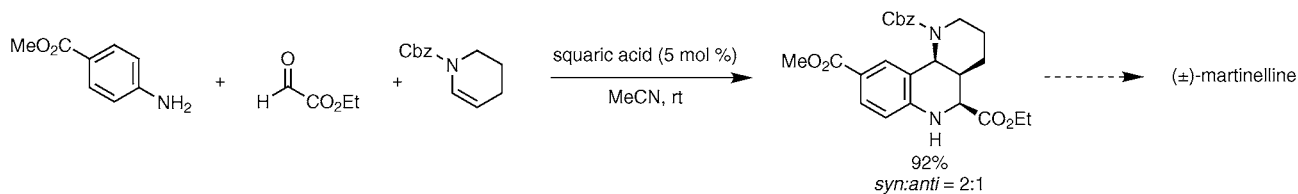
Cyclization



14 examples (yields 58-90%).

Squaric acid-catalyzed hetero Diels-Alder reaction.  
Xia, C.; Heng, L.; Ma, D. *Tetrahedron Lett.* **2002**, 43, 9405.

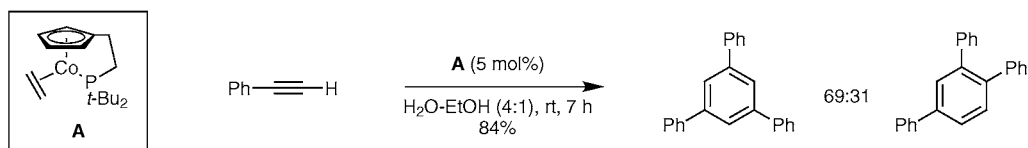
Hetero Diels-Alder



Isomerization of the major *syn* isomer to the desired *anti* isomer is also reported.

Co-catalyzed [2+2+2] alkyne cyclotrimerization.  
Yong, L.; Butenschön, H. *Chem. Commun.* **2002**, 2852.

[2+2+2] Cyclization



8 examples (yields 71-91%).