

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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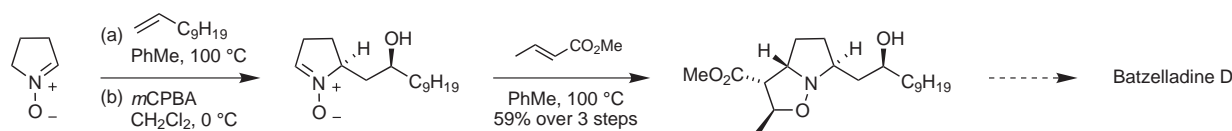
The journals regularly covered by the abstractors are:

Advanced Synthesis & Catalysis
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

Total synthesis of Batzelladine D.

Ishiwata, T.; Hino, T.; Koshino, H.; Hashimoto, Y.; Nakata, T.; Nagasawa, K. *Org. Lett.* **2002**, *4*, 2921.

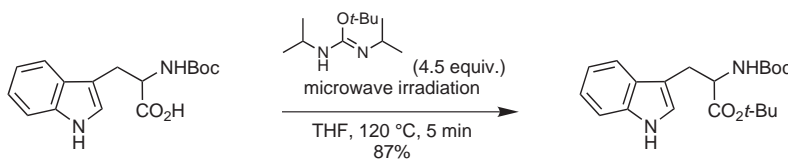
1,3-Dipolar Cycloaddition



Microwave-accelerated O-alkylation of carboxylic acids with O-alkylisoureas.

Crosignani, S.; White, P. D.; Linclau, B. *Org. Lett.* **2002**, *4*, 2961.

Esterification

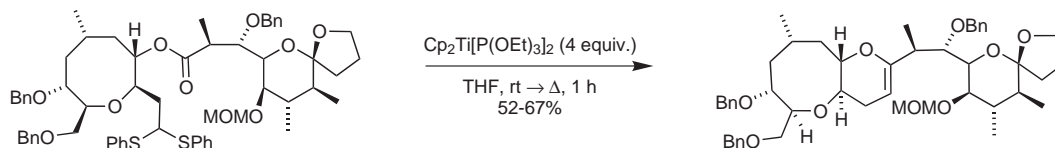


8 examples (yields 81-94%). Solid phase application (8 examples, yields 75-92%, purity >98%) is also reported.

Intramolecular olefination of an ester using $\text{Cp}_2\text{Ti}[\text{P}(\text{OEt})_3]_2$.

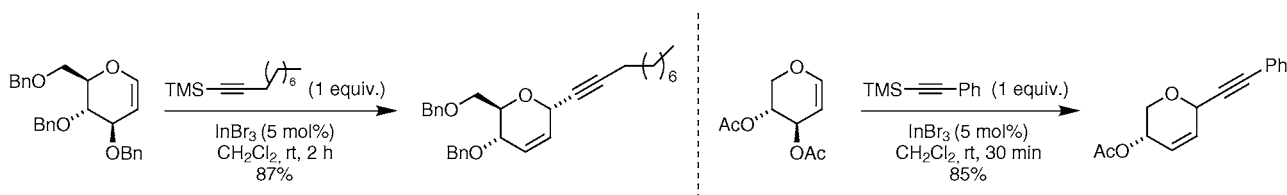
Uehara, H.; Oishi, T.; Inoue, M.; Shoji, M.; Nagumo, Y.; Kosaka, M.; Le Brazidec, J-Y.; Hirma, M. *Tetrahedron*, **2002**, *58*, 6493.

Olefination



Application to the HIJKLM fragment of ciguatoxin CTX3C is also reported.

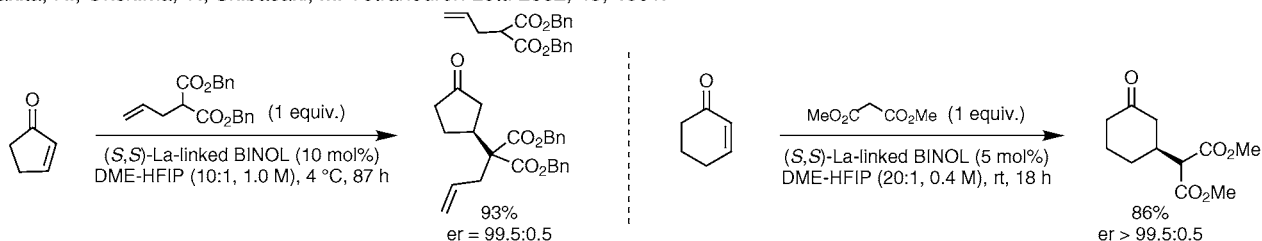
In-catalyzed C-alkynylation of glycals with alkynylsilanes.

Yadav, J. S.; Reddy, B. V. S.; Raju, A. K.; Rao, C. V. *Tetrahedron Lett.* **2002**, *43*, 5437.S_N2' Reaction

13 examples (yields 75-95%).

Enantioselective catalytic Michael reaction of α -substituted malonates.Takita, R.; Ohshima, T.; Shibasaki, M. *Tetrahedron Lett.* **2002**, *43*, 4661.

1,4-Addition

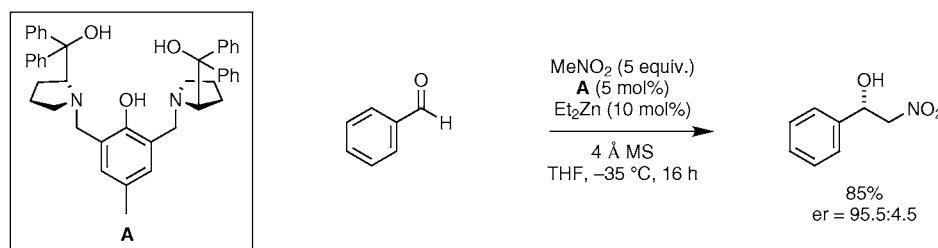


11 examples (yields 71-95%, %ee 95->99%).

Enantioselective Zn-catalyzed Henry reaction.

Trost, B. M.; Yeh, V. S. C.; Ito, H.; Bremeyer, N. *Org. Lett.* **2002**, *4*, 2621.

1,2-Addition

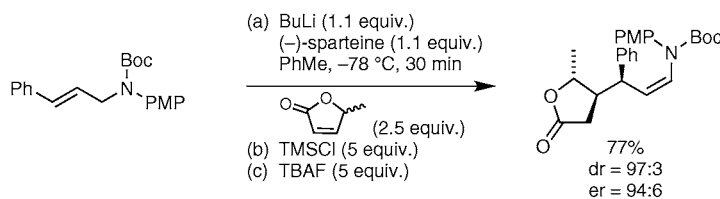


5 examples (yields 58-89%, %ee 87-93%).

Kinetic resolution of racemic lactones by conjugate additions of allylic organolithium species.

Lim, S. H.; Beak, P. *Org. Lett.* **2002**, *4*, 2657.

1,4-Addition

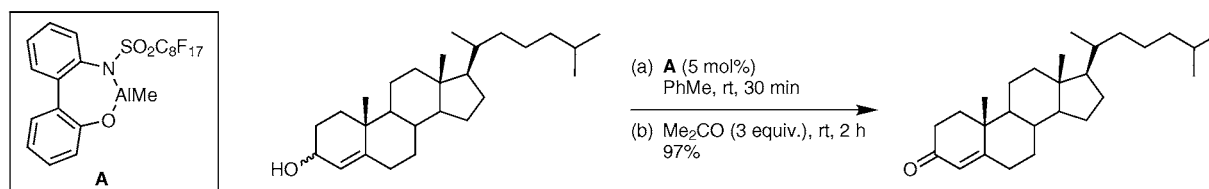


12 examples (yields 62-77%, %de 50->98%, %ee 88-96%).

Al-catalyzed Oppenauer oxidation of alcohols.

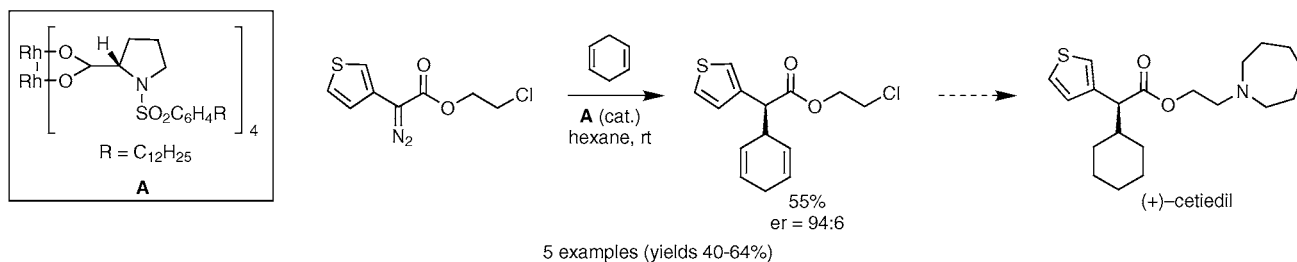
Ooi, T.; Otsuka, H.; Miura, T.; Ichikawa, H.; Maruoka, K. *Org. Lett.* **2002**, *4*, 2669.

Oxidation

15 examples (yields 80-98%). The use of *t*-BuCHO as a hydride acceptor is also reported.

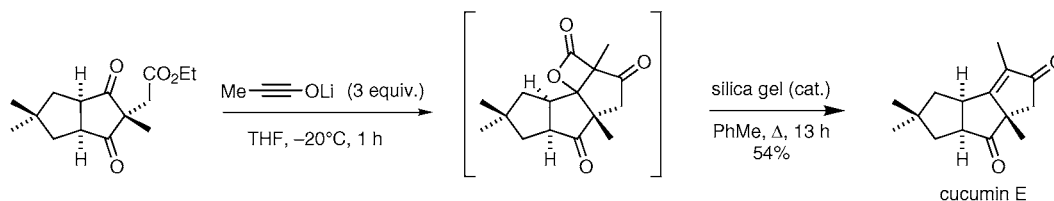
Enantioselective Rh-catalyzed intermolecular allylic C–H insertion.
Davies, H. M. L.; Walji, A. M.; Townsend, R. J. *Tetrahedron Lett.* **2002**, 43, 4981.

C–H insertion



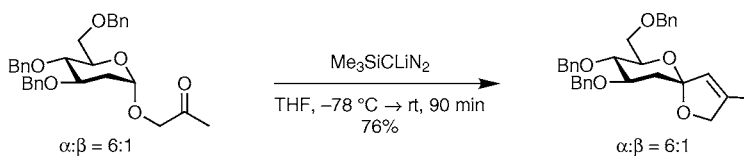
Tandem [2+2] cycloaddition–Dieckmann condensation.
Shindo, M.; Sato, Y.; Shishido, K. *Tetrahedron Lett.* **2002**, 43, 5039.

Annulation



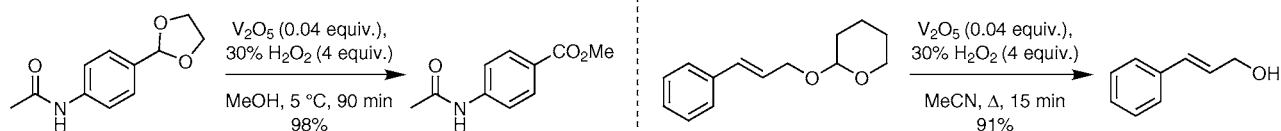
Alkylidene carbene insertion at anomeric C–H bonds.
Wardrop, D. J.; Zhang, W. *Tetrahedron Lett.* **2002**, 43, 5389.

C–H insertion



Oxidation of acetals to esters and THP/TBDMS ether deprotection using V₂O₅–H₂O₂.
Gopinath, R.; Paital, A. R.; Patel, B. K. *Tetrahedron Lett.* **2002**, 43, 5123.

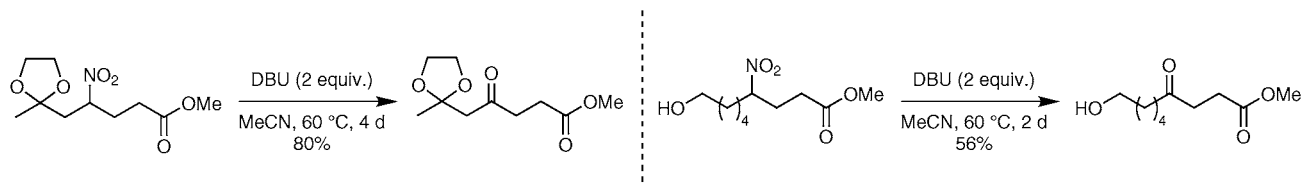
Oxidation/Deprotection



Acetal to methyl ester (14 examples, yields 82–98%), acetal to aldehyde (9 examples, yields 91-96%)
and THP/TBDMS ether deprotection (7 examples, yields 80-99%).

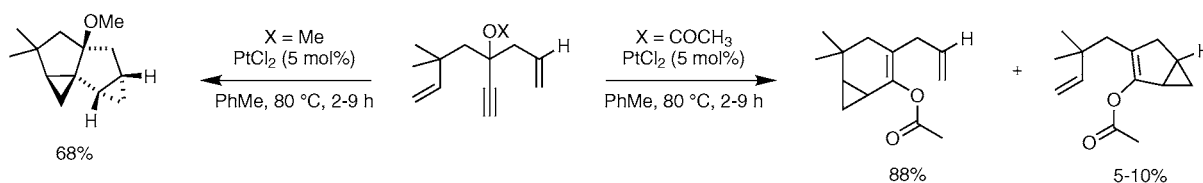
Selective DBU-promoted Nef reaction of secondary nitroalkanes under basic conditions.
Ballini, R.; Bosica, G.; Fiorini, D.; Petrini, M. *Tetrahedron Lett.* **2002**, 43, 5233.

Nef Reaction



11 examples (yields 54-80%). Primary nitroalkanes (3 examples) do not react under these conditions.

Pt-catalyzed synthesis of carbocycles from dienes.

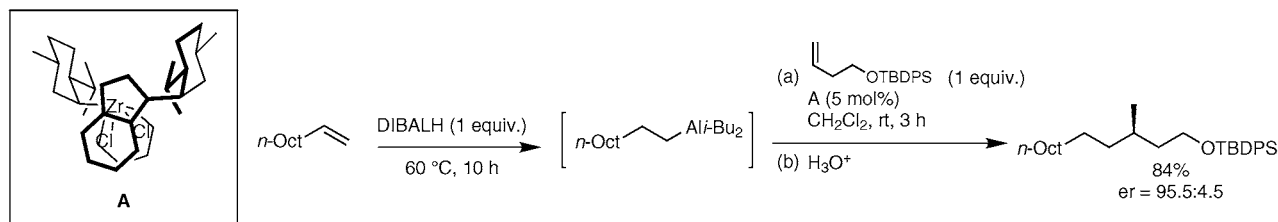
Mainetti, E.; Mouries, V.; Fensterbank, L.; Malacria, M.; Marco-Contelle, J. *Angew. Chem. Int. Ed.* **2002**, *41*, 2132.sp-sp² Coupling

9 examples (yields 15-88%).

Enantioselective Zr-catalyzed synthesis of methyl-substituted alkanols.

Huo, S.; Shi, J.; Negishi, E. *Angew. Chem. Int. Ed.* **2002**, *41*, 2141.

Carboalumination

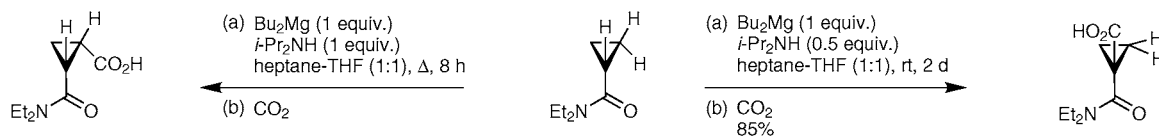


9 examples (yields 66-85%, %ee 90-93%).

Position-selective deprotonation of cyclopropane carboxamides.

Zhang, M.-X.; Eaton, P. E. *Angew. Chem. Int. Ed.* **2002**, *41*, 2169.

Deprotonation/Nucleophilic Addition

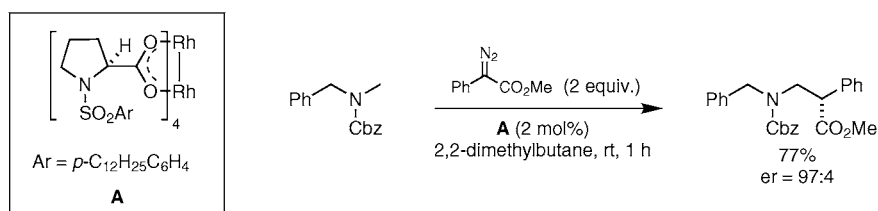


7 examples (yields 43-89%).

Enantioselective Rh-catalyzed synthesis of β-amino acids.

Davies, H. M. I.; Venkataramani, C. *Angew. Chem. Int. Ed.* **2002**, *41*, 2197.

C-H Insertion

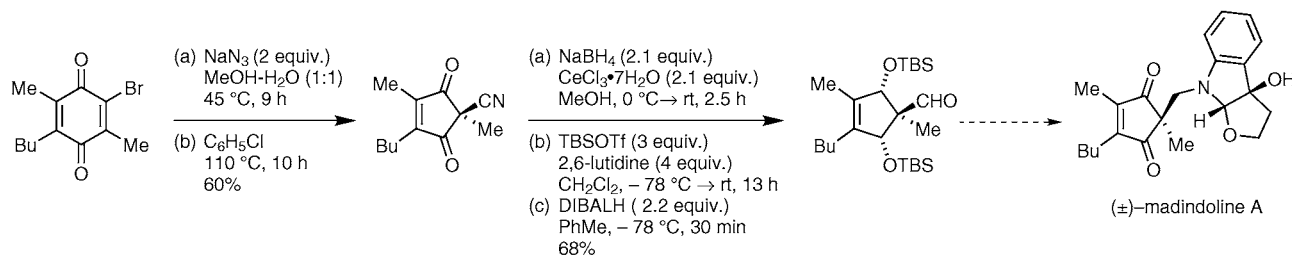


18 examples (yields 21-67%, %ee 31-96%).

Construction of the cyclopentenedione core of the (±)-Madindolines via an azidoquinone ring contraction.

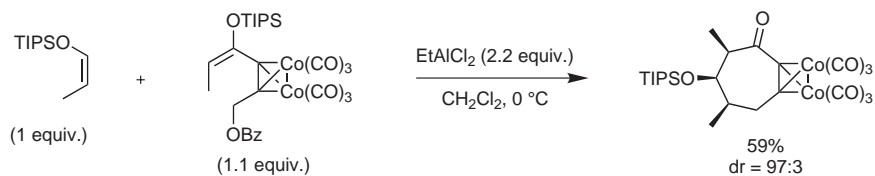
McComas, C. C.; Perales, J. B.; Van Vranken, D. L. *Org. Lett.* **2002**, *4*, 2337.

Ring contraction



Stereoselective synthesis of cycloheptanones *via* intermolecular [5+2] cycloaddition.
Tanino, K.; Kondo, F.; Shimizu, T.; Miyashita, M. *Org. Lett.*, **2002**, *4*, 2217.

[5+2] Cycloaddition

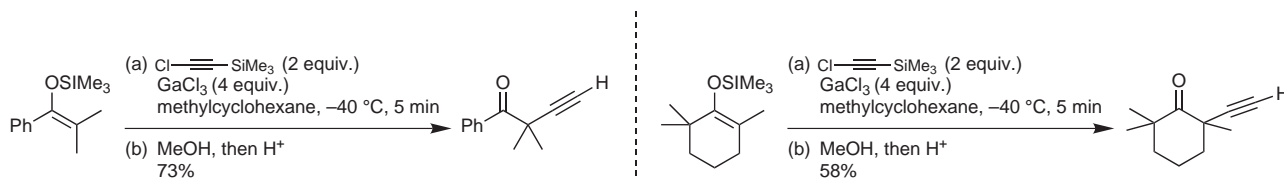


9 examples (yields 57-98%, %de 84-100%).

Ethynylation of silyl enol ether with chlorosilylethyne.

Arisawa, M.; Amemiya, R.; Yamaguchi, M. *Org. Lett.*, **2002**, *4*, 2209.

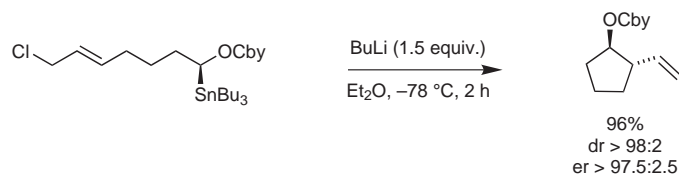
Ethynylation



14 examples (yields 40-95%).

Asymmetric synthesis of 2-alkenyl-1-cyclopentanols *via* intramolecular cycloalkylation.

Christoph, G.; Hoppe, D. *Org. Lett.*, **2002**, *4*, 2189.

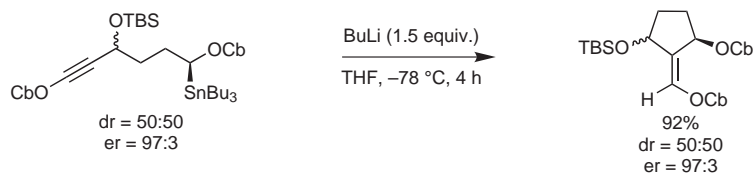
S_N2' Reaction

10 examples (yields 6-96%, %de 90-96%).

(*E*)-Selective cyclocarbolithiation of α -lithiated alkynyl carbamates.

Gralla, G.; Wibbeling, B.; Hoppe, D. *Org. Lett.*, **2002**, *4*, 2193.

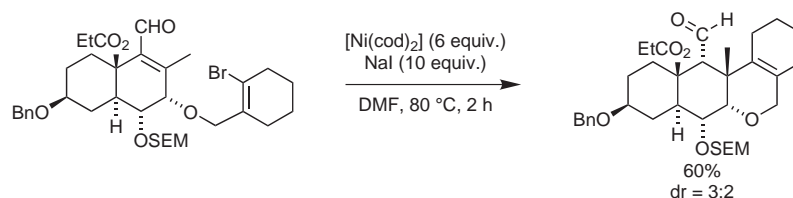
Cyclocarbolithiation

7 examples (yields 35-92%). Cb = C(O)Ni-Pr₂.

Formation of a quaternary centre *via* a Ni(cod)₂-mediated Heck-type reaction.

Nicolaou, K. C.; Roecker, A. J.; Follmann, M.; Baati, R. *Angew. Chem. Int. Ed.* **2002**, *41*, 2107.

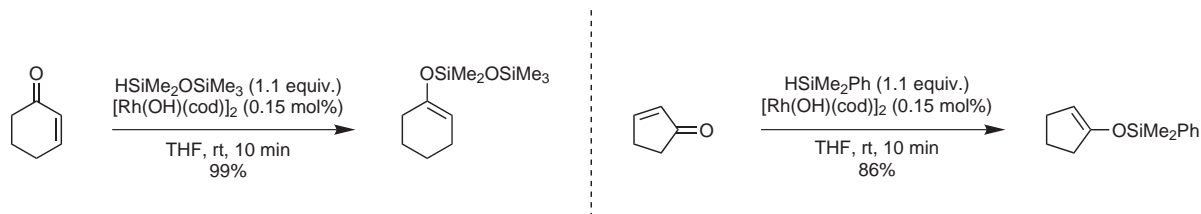
1,4-Addition



Model studies towards azadirachtin.

1,4-Hydrosilylation of α,β -unsaturated carbonyl compounds.
Mori, A.; Kato, T. *Synlett*, **2002**, 1167.

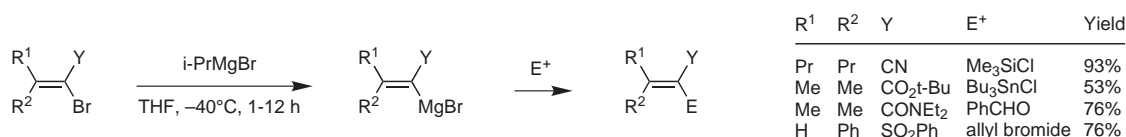
1,4-Addition



13 examples (yields 80-99%).

Preparation and reactions of α -functionalized alkenylmagnesium reagents.
Thibonnet, J.; Vu, V. A.; Bérillon, L.; Knochel, P. *Tetrahedron*, **2002**, *58*, 4787.

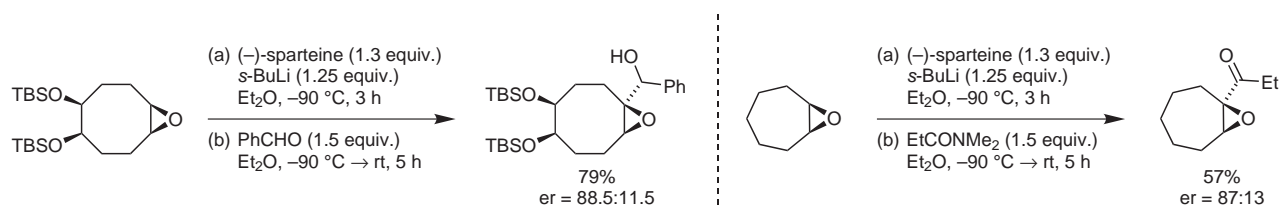
Nucleophilic Addition



44 examples (yields 45-93%).

Selective deprotonation of *meso*-epoxides.
Hodgson, D. M.; Gras, E. *Angew. Chem. Int. Ed.*, **2002**, *41*, 2376.

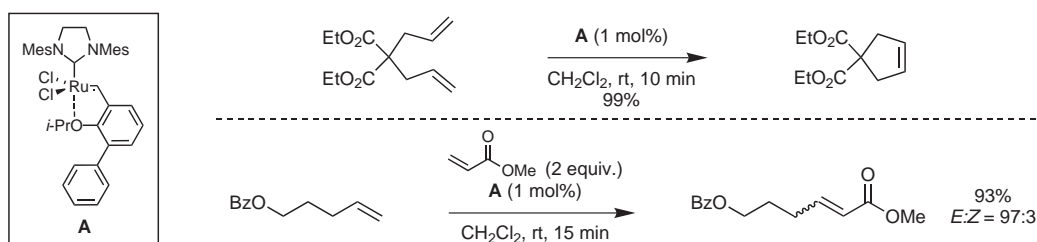
Desymmetrization



12 examples (yields 48-84%, %ee 73-81%).

Ru-catalyzed olefin metathesis.
Wakamatsu, H.; Blechert, S. *Angew. Chem. Int. Ed.*, **2002**, *41*, 2403.

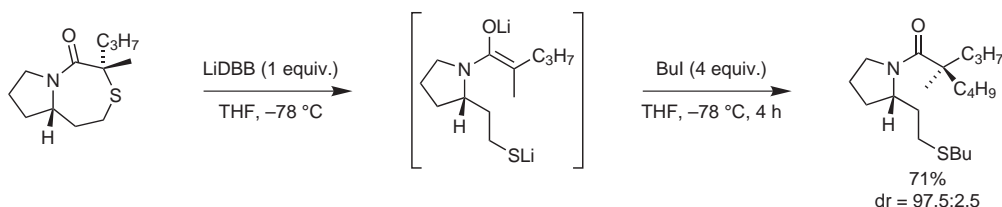
Metathesis



13 examples (yields 82-99%).

Stereoselective alkylation of α,α -disubstituted amide enolates.
Manthorpe, J. M.; Gleason, J. L. *Angew. Chem. Int. Ed.*, **2002**, *41*, 2338.

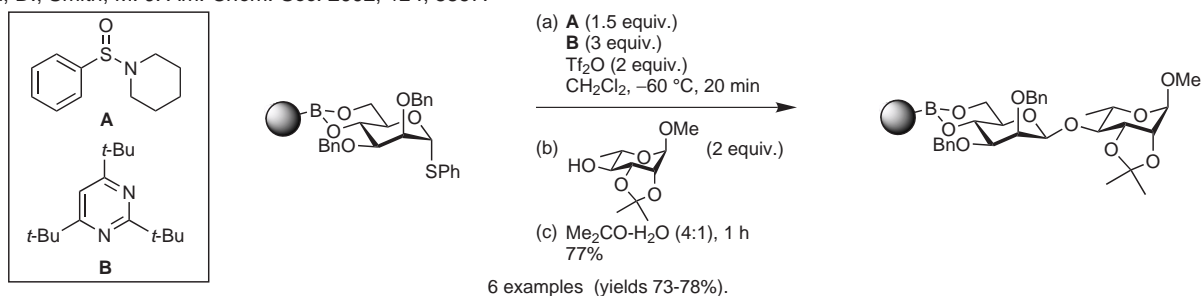
Alkylation



9 examples (yields 74-84%, %de 88->95%).

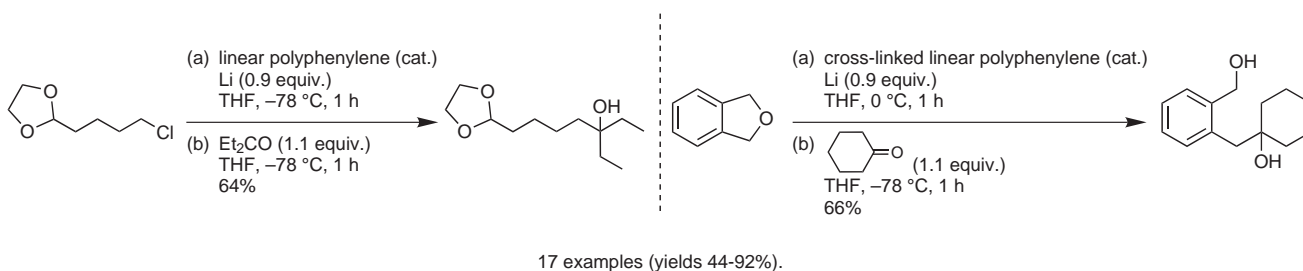
Solid-phase synthesis of β -mannosides.
Crich, D.; Smith, M. J. *Am. Chem. Soc.* **2002**, *124*, 8867.

Solid Phase



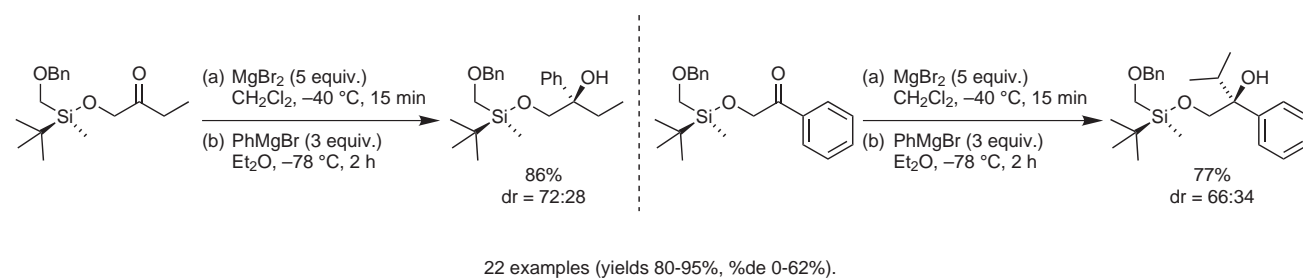
Polyphenylene as an electron transfer catalyst in lithiation processes.
Yus, M.; Gómez, C.; Candela, P. *Tetrahedron*, **2002**, *58*, 6207.

Reductive Lithiation



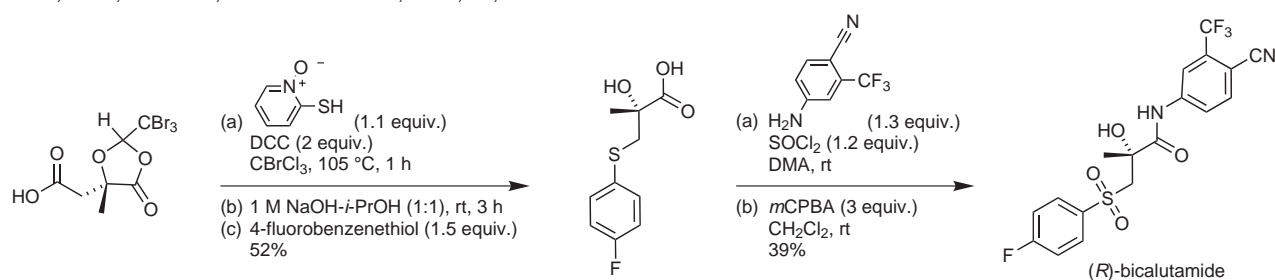
Asymmetric nucleophilic addition to α - and β -silyloxy carbonyl compounds.
Trzoss, M.; Shao, J.; Bienz, S. *Tetrahedron*, **2002**, *58*, 5885.

1,2-Addition



Synthesis of enantiomerically pure (*R*)- and (*S*)-bicalutamide.
James, K. D.; Ekwuribe, N. N. *Tetrahedron*, **2002**, *58*, 5905.

Decarboxylative Bromination



Pd-catalyzed coupling reaction of alkenylgalliums with arylhalides.
Mikami, S.; Yorimitsu, H.; Oshima, K. *Synlett*, **2002**, 1137.

sp²-sp² Coupling