

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:

Victoria Coombes, Jennifer Delaney, Andrew Gunn, Stephen McAteer, Joanne Peach, Stefan Schunk and Josephine Yuen, Department of Chemistry, Leeds University, Leeds, LS2 9JT, UK.

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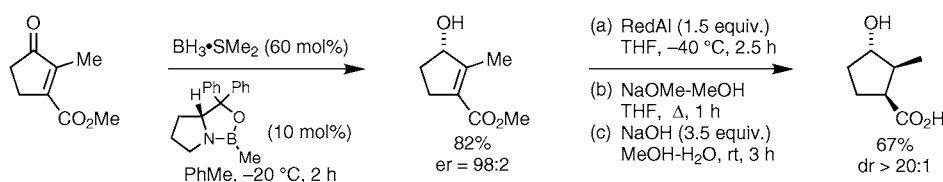
The journals regularly covered by the abstractors are:

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

Stereoselective synthesis of trisubstituted cyclopentanes and cyclohexanes.

Kuethé, J. T.; Wong, A.; Wu, J.; Davies, I. W.; Dormer, P. G.; Welch, C. J.; Hiller, M. C.; Hughes, D. L.; Reider, P. J. *J. Org. Chem.* **2002**, *67*, 5993.

1,2-Addition/1,4-Addition

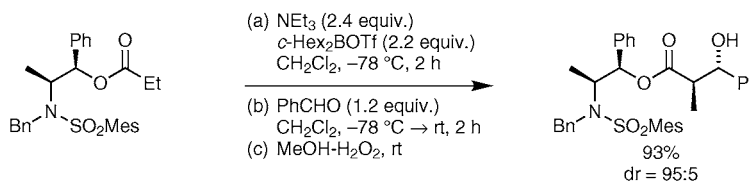


6 examples (yields 53-64%).

Boron-mediated aldol reaction of carboxylic esters.

Inoue, T.; Liu, J. F.; Buske, D. C.; Abiko, A. *J. Org. Chem.* **2002**, *15*, 5250.

Anti-selective Aldol

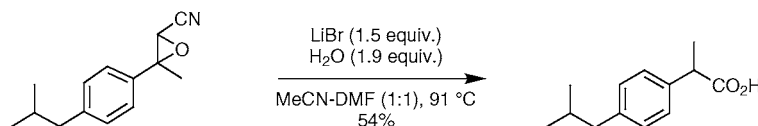


44 examples of both *syn* and *anti*-selective aldol reactions (yields 63-98%, %de 34->98%).

Homologation of ketones to carboxylic acids *via* epoxynitrile rearrangement.

Badham, N. F.; Mendelson, W. L.; Allen, A.; Diederich, A. M.; Eggleston, D. S.; Filan, J. J.; Freyer, A. J.; Killmer, L. B.; Kowalski, C. J.; Liu, L.; Novack, V. J.; Vogt, F. G.; Webb, K. S.; Yang, J. *J. Org. Chem.* **2002**, *15*, 5440.

Homologation

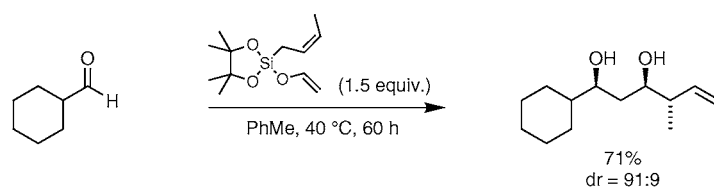


5 examples (yields 19-75%).

Tandem aldol-allylation reaction.

Wang, X.; Meng, Q.; Nation, A. J.; Leighton, J. L. *J. Am. Chem. Soc.* **2002**, *124*, 10672.

1,2-Addition

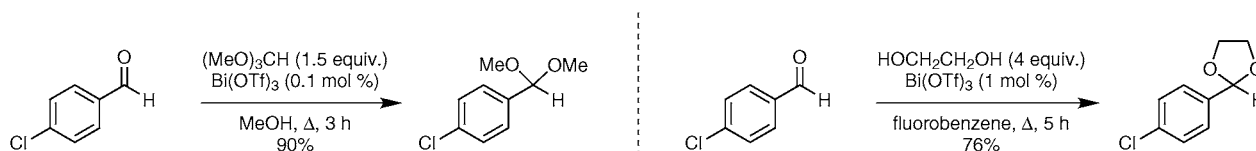


9 examples (yields 30-71%, %de 30-84%).

Synthesis of acetals from aldehydes and ketones using bismuth triflate.

Leonard, N. M.; Oswald, M. C.; Freiberg, D. A.; Nattier, B. A.; Smith, R. C.; Mohan, R. S. *J. Org. Chem.* **2002**, *15*, 5202.

Acetalization

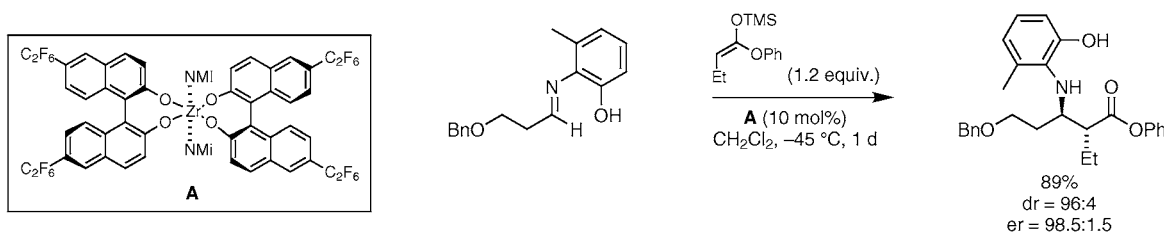


32 examples (yields 68-98%).

Stereoselective Zr-catalyzed addition of TMS-enol ethers to imines.

Kobayashi, S.; Kobayashi, J.; Ishiani, H.; Ueno, M. *Chem.-Eur J.* **2002**, *8*, 4185.

1,2-Addition

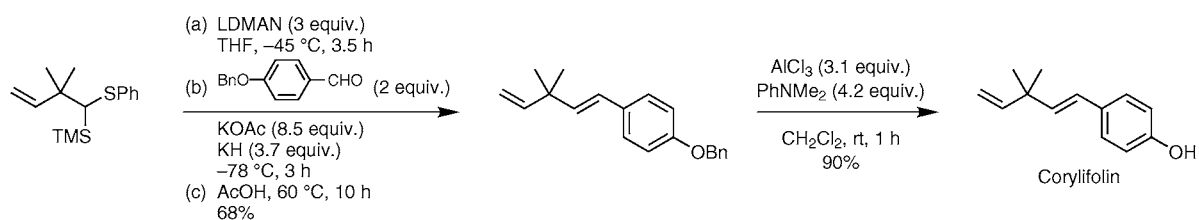


11 examples (yields 54-96%, %de 42-96%, %ee 80-97%).

Stereoconvergent Peterson olefination.

Perales, J. B.; Makino, N. F.; Van Vranken, D. L. *J. Org. Chem.* **2002**, *67*, 6711.

Olefination

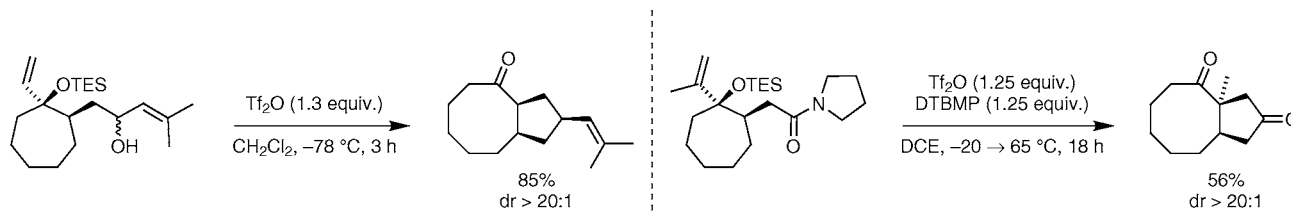


2 examples (yields 68%). Application to the total synthesis of (±)-3-hydroxybakuchiol is also reported.

Ring expanding cyclopentane annulations.

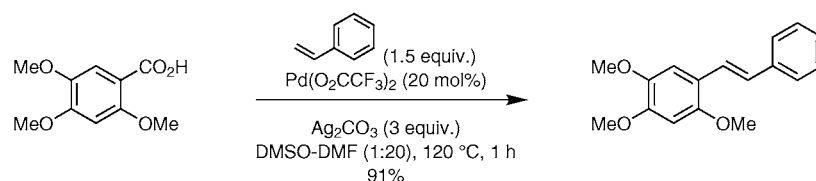
Overman, L. E.; Wolfe, J. P. *J. Org. Chem.* **2002**, *67*, 6421.

Olefin Cyclization/Pinacol Rearrangement



17 examples (yields 27-90%, %de 20->90%).

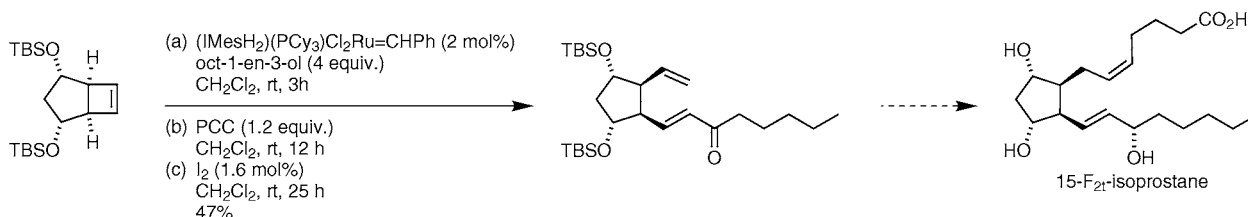
Heck-type olefination of arene carboxylates *via* decarboxylative palladation.
Myers, A. G.; Tanaka, D.; Mannion, M. R. *J. Am. Chem. Soc.* **2002**, *124*, 11250.

sp²-sp² Coupling

18 examples (yields 42-99%).

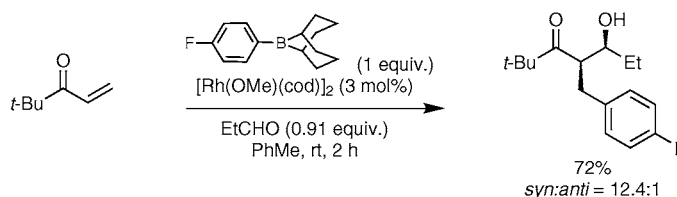
Stereodivergent synthesis of 15-F₂ isoprostanes.
Schrader, T. O.; Snapper, M. L. *J. Am. Chem. Soc.* **2002**, *124*, 10998.

Olefin Metathesis

Syntheses of 8 15-F₂₁-isoprostanes are reported.

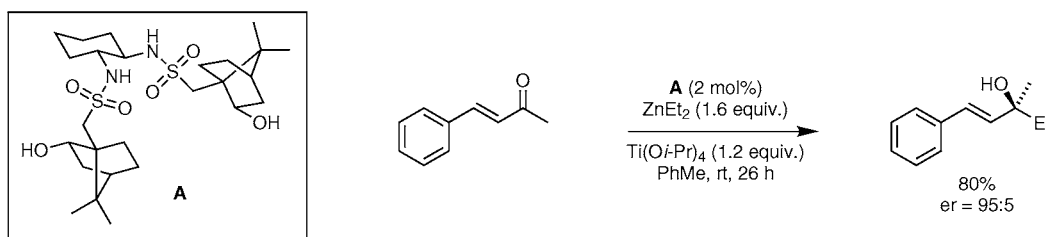
Stereoselective Rh-catalyzed tandem 1,4-addition/aldol reaction.
Yoshida, K.; Ogasawara, M.; Hayashi, T. *J. Am. Chem. Soc.* **2002**, *124*, 10985.

1,4-Addition/1,2-Addition

8 examples (yields 72-99%, 5.7:1 *syn:anti* ≥ 21.4:1).

Enantioselective addition of diethylzinc to ketones.
Garcia, C.; LaRoche, L. K.; Walsh, P. *J. Am. Chem. Soc.* **2002**, *124*, 10971.

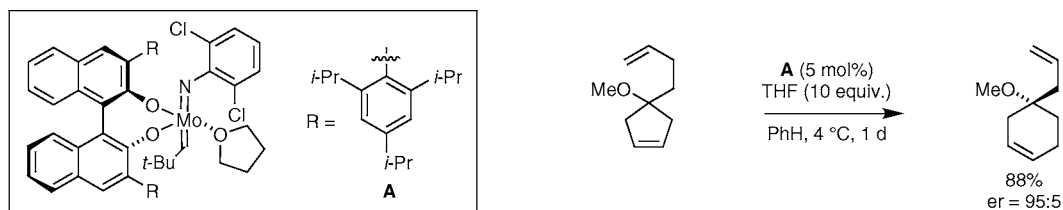
1,2-Addition



11 examples (yields 24-85%, %ee 70->99%).

Enantioselective Mo-catalyzed olefin metathesis.
Teng, X.; Cefalo, D. R.; Schrock, R. R.; Hoveyda, A. H. *J. Am. Chem. Soc.* **2002**, *124*, 10779.

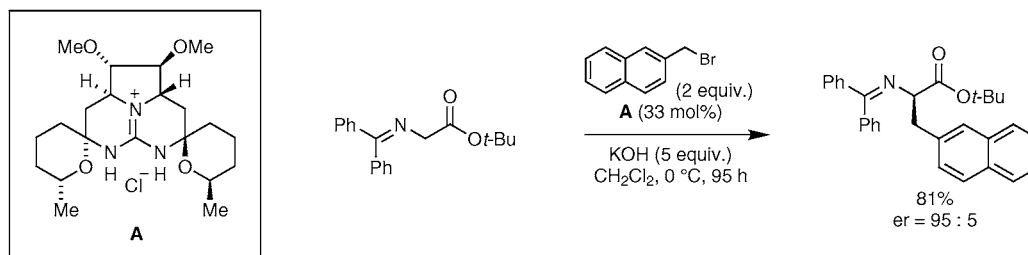
Olefin Metathesis



10 examples (yields 45-94%, %ee 62-96%).

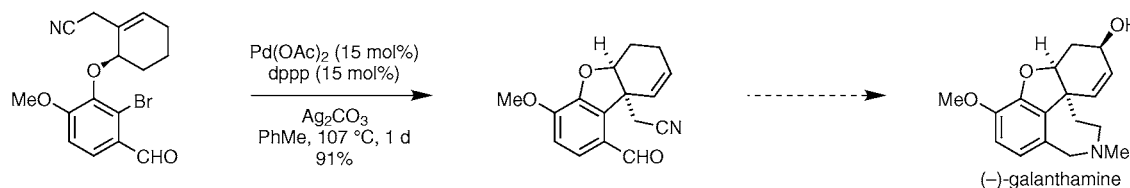
Enantioselective phase-transfer catalysed alkylation of *t*-butyl glycinate Schiff base.
 Kita, T.; Georgieva, A.; Hashimoto, Y.; Nakata, T.; Nagasawa, K. *Angew. Chem. Int. Ed.* **2002**, *41*, 2832.

Alkylation



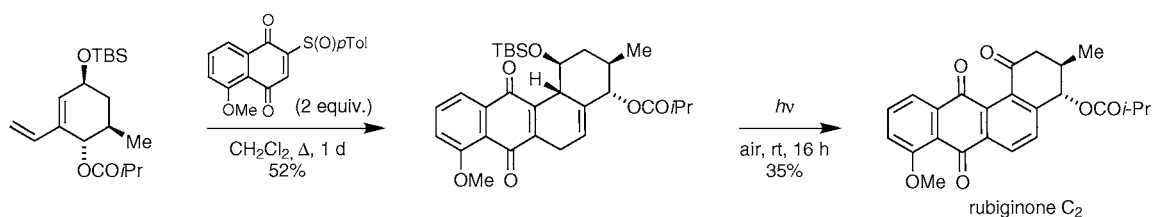
Total synthesis of (–)-galanthamine via an intramolecular Heck reaction.
 Trost, B. M.; Tang, W. *Angew. Chem. Int. Ed.* **2002**, *41*, 2795.

Heck Reaction



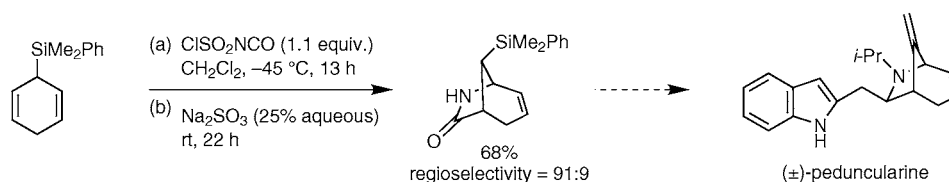
Stereoselective Diels–Alder reaction of a quinone sulfoxide.
 Carreno, M. C.; Ribagorda, M.; Somoza, A.; Urbano, A. *Angew. Chem. Int. Ed.* **2002**, *41*, 2755.

[4+2]-Cycloaddition



Total synthesis of rubiginones A₂ and C₂.

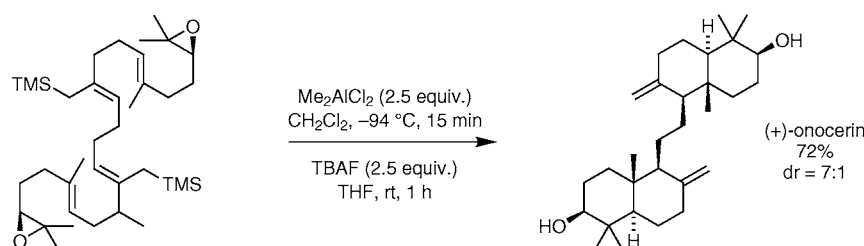
Total synthesis of (±)-peduncularine via a [3+2]-cycloaddition of a cyclohexenyloisilane and chlorosulfonyl isocyanate. [3+2]-Cycloaddition
 Roberson, C. W.; Woerpel, K. A. *J. Am. Chem. Soc.* **2002**, *124*, 11342.



2 examples (yields 68-76%, 82:18 ≥ regioselectivity ≤ 91:9).

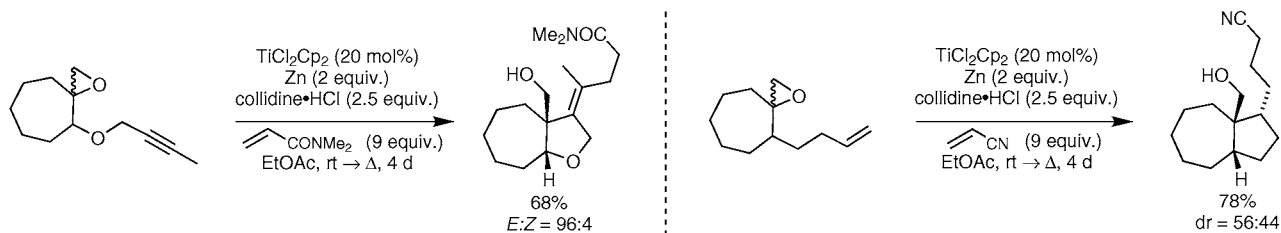
Stereoselective Al-catalyzed tetracyclization.
 Mi, Y.; Schreiber, J. V.; Corey, E. J. *J. Am. Chem. Soc.* **2002**, *124*, 11290.

Tetracyclization



Stereoselective TiCl_2Cp_2 -catalyzed tandem cyclization/addition reactions.
Gansauer, A.; Pierobon, M.; Bluhm, H. *Angew. Chem. Int. Ed.* **2002**, *41*, 3206.

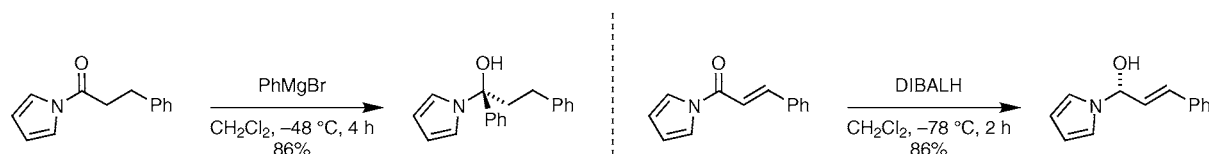
Cyclization/1,4-Addition



10 examples (yields 51-78%).

Synthesis of carbinols from addition of organometallic reagents to N-acyl pyrroles.
Evans, D. A.; Borg, G.; Scheidt, K. A. *Angew. Chem. Int. Ed.* **2002**, *41*, 3188.

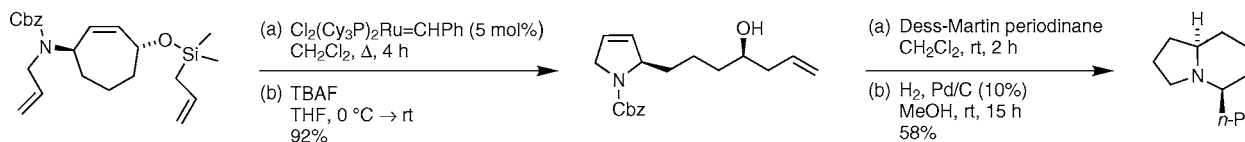
1,2-Addition



13 examples (yields 55-95%).

Total synthesis of (–)-indolizidine 167B via Ru-catalyzed ring rearrangement metathesis.
Zaminer, J.; Stapper, C.; Blechert, S. *Tetrahedron Lett.* **2002**, *43*, 6739.

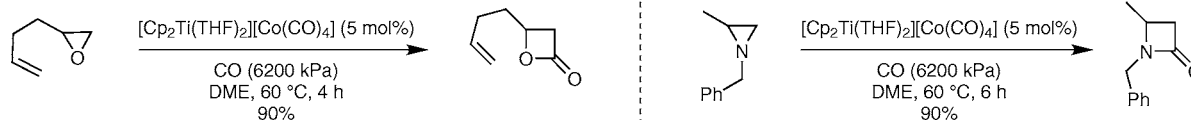
Olefin Metathesis



Total synthesis of (–)-indolizidine 167B.

Co-catalyzed carbonylative ring expansion of epoxides and aziridines.
Mahadevan, V.; Getzler, Y. D. Y. L.; Coates, G. W. *Angew. Chem. Int. Ed.* **2002**, *41*, 2781.

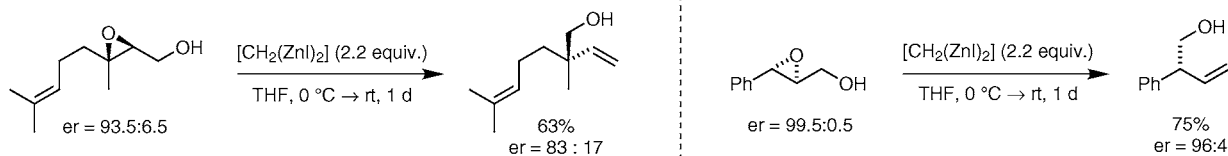
Carbonylative Ring Expansion



12 examples (yields 35-99%).

Stereoselective pinacol-type rearrangement of 2,3-epoxy alcohols.
Matsubara, S.; Yamamoto, H.; Oshima, K. *Angew. Chem. Int. Ed.* **2002**, *41*, 2837.

Rearrangement

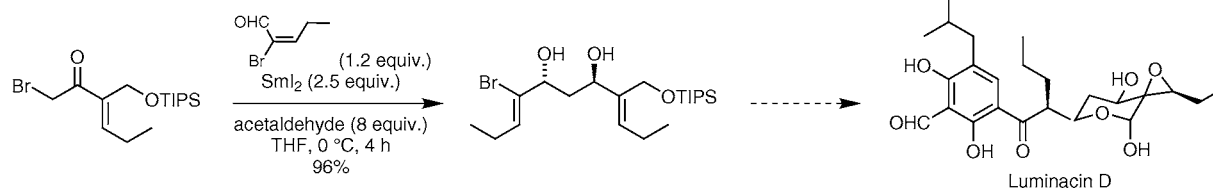


14 examples (yields <1-77%).

SmI_2 -mediated mixed tandem aldol/Evans-Tischenko reaction.

Shotwell, J. B.; Krygowski, E. S.; Hines, J.; Koh, B.; Huntsman, E. W. D.; Choi, H. W.; Schneekloth, J. S.; Wood, J. L.; Crews, C. M. *Org. Lett.* **2002**, *4*, 3087.

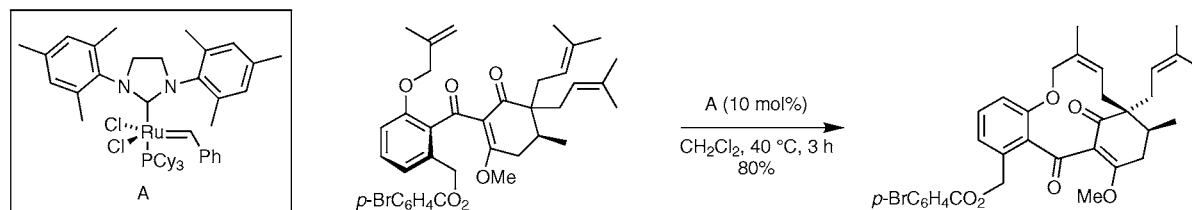
1,2-Addition/Reduction



Regio- and stereoselective Ru-catalyzed ring closing metathesis.

Nicolaou, K. C.; Vassilikogiannakis, G.; Montagnon, T. *Angew. Chem. Int. Ed.* **2002**, *41*, 3276.

Ring Closing Metathesis

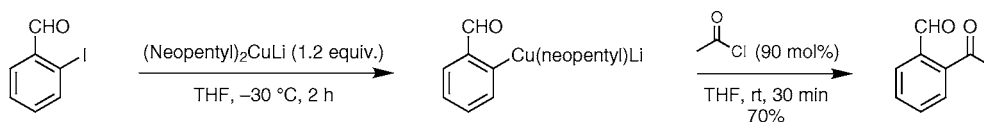


Total synthesis of Coleophomones B and C.

Iodine-copper exchange using sterically hindered cuprates.

Piazza, C.; Knochel, P. *Angew. Chem. Int. Ed.* **2002**, *41*, 3263.

I-Cu Exchange/Electrophilic Addition

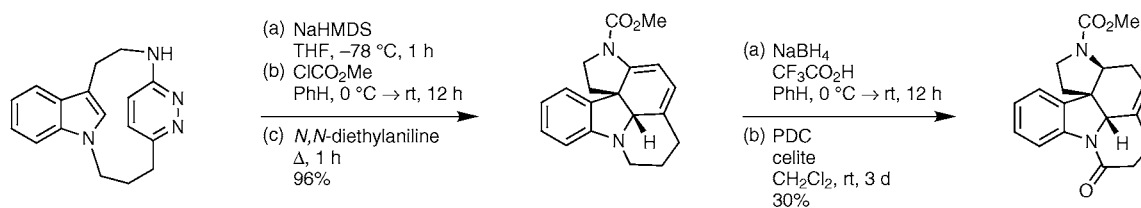


13 examples (yields 60-95%).

Transannular inverse-electron-demand Diels-Alder reaction of a [3](1,3)Indolo[3](3,6)pyridazinophane.

Bodwell, G. J.; Li, J. *Angew. Chem. Int. Ed.* **2002**, *41*, 3261.

[4+2]-Cycloaddition

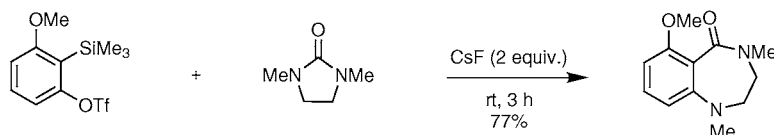


Formal total synthesis of (\pm)-Strychnine.

Synthesis of benzodiazepine and benzodiazocine derivatives via addition of ureas to arynes.

Yoshida, H.; Sirakawa, E.; Honda, Y.; Hiyama, T. *Angew. Chem. Int. Ed.* **2002**, *41*, 3247.

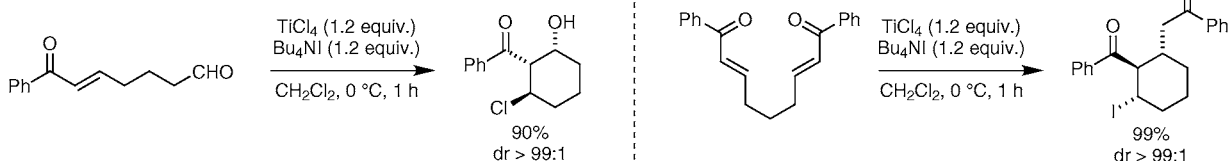
Addition



13 examples (yields 20-89%).

Stereoselective TiCl_4 - R_4NX -induced intramolecular aldol cyclization.
Yagi, K.; Turitani, T.; Shinokubo, H.; Oshima, K. *Org. Lett.* **2002**, *4*, 3111.

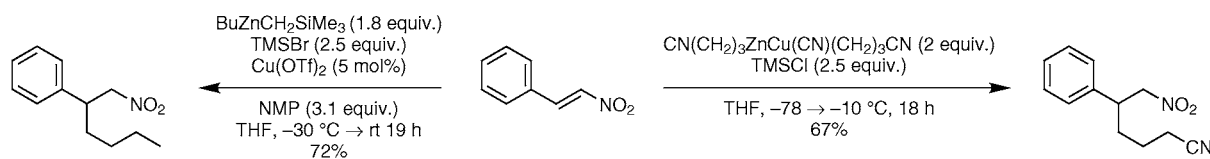
1,4-Addition/1,2-Addition



13 examples (yields 25-99%, %de 50->98%).

Conjugate addition of mixed diorganozincs and organozinc cuprates to nitro olefins.
Rimkus, A.; Sewald, N. *Org. Lett.* **2002**, *4*, 3289.

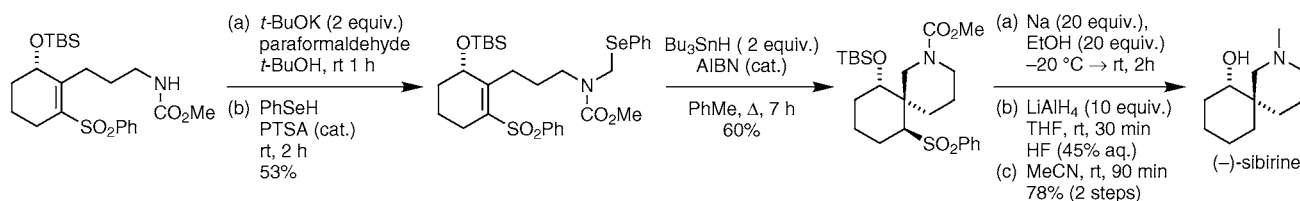
1,4-Addition



14 examples (yields 45-89%).

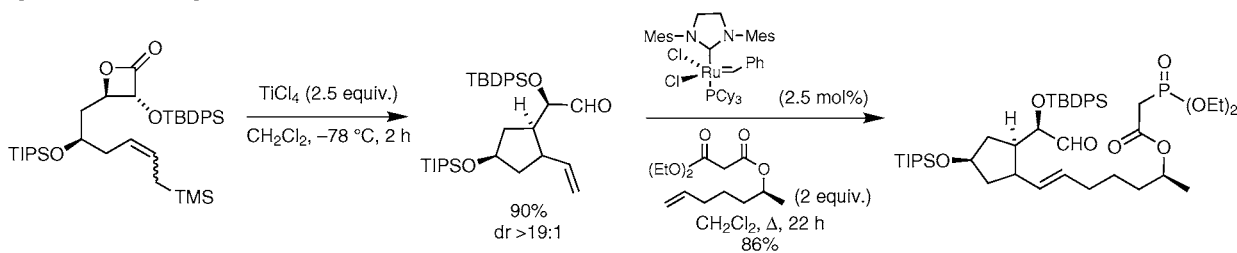
Synthesis of (-)-sibirine via a radical-initiated 6-exo-spirocyclization.
Koreeda, M.; Wang, Y.; Zhang, L. *Org. Lett.* **2002**, *4*, 3329

Spirocyclization



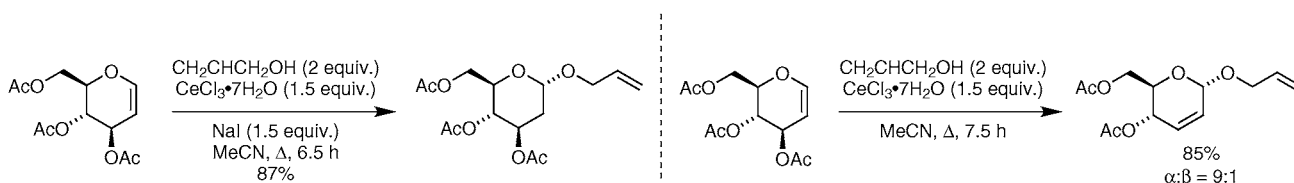
Application of a combined β -lactone/cross metathesis strategy to (+)-Brefeldin A.
Wang, Y.; Romo, D. *Org. Lett.* **2002**, *4*, 3231.

Annulation/Metathesis



Total synthesis of (+)-Brefeldin A.

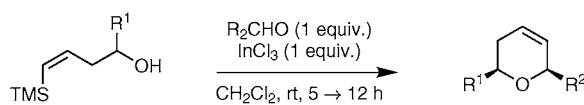
Synthesis of 2-deoxysugars from D-glycals using $\text{CeCl}_3 \cdot 7\text{H}_2\text{O}$.
Yadav, J. S.; Reddy, B. V. S.; Reddy, K. B.; Satyanarayana, M. *Tetrahedron Lett.* **2002**, *43*, 7009.

Acetalization/ $\text{S}_{\text{N}}2'$ Addition

24 examples (yields 75-90%).

Synthesis of dihydropyrans *via* a silyl-Prins type reaction.
Dobbs, A. P.; Martinovic, S. *Tetrahedron Lett.* **2002**, *43*, 7055.

1,2-Addition/Cyclization

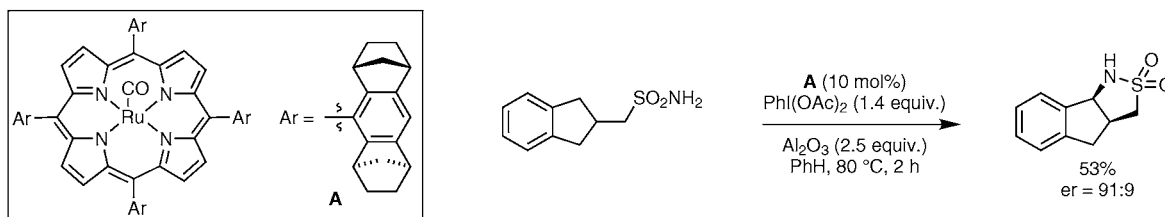


R ¹	R ²	Yield
H	<i>n</i> -C ₅ H ₁₁	65%
H	Ph	39%
CH ₃	<i>n</i> -C ₅ H ₁₁	65%
CH ₃	Cyclohexyl	69%

16 examples (yields 39-90%)

Stereoselective Ru-catalyzed intramolecular amidation of saturated C-H bonds.
Liang, J. L.; Yuan, S. X.; Huang, J. S.; Yu, W. Y.; Che, C. M. *Angew. Chem. Int. Ed.* **2002**, *41*, 3465.

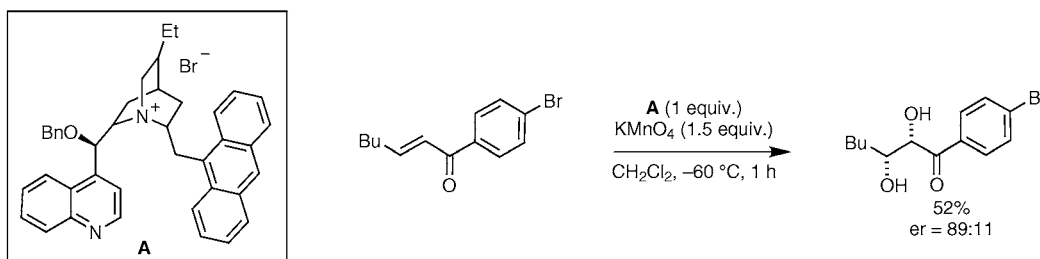
Enantioselective Cyclization



21 examples (yields 20-88%, %ee 46-87%).

Stereoselective phase-transfer dihydroxylation.
Bhunnoo, R. A.; Hu, Y.; Laine, D.; Brown, R. C. D. *Angew. Chem. Int. Ed.* **2002**, *41*, 3479.

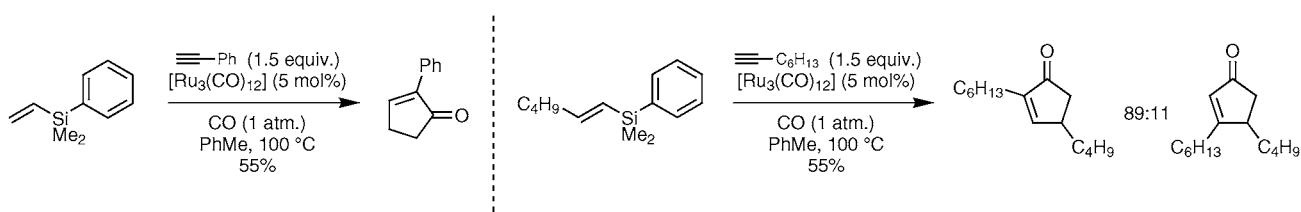
Enantioselective Dihydroxylation



8 examples (yields 19-55%, %ee 63-80%)

Ru-catalyzed intermolecular Pauson-Khand reaction directed by a pyridylsilyl group.
Itami, K.; Mitsudo, K.; Yoshida, J. *Angew. Chem. Int. Ed.* **2002**, *41*, 3481.

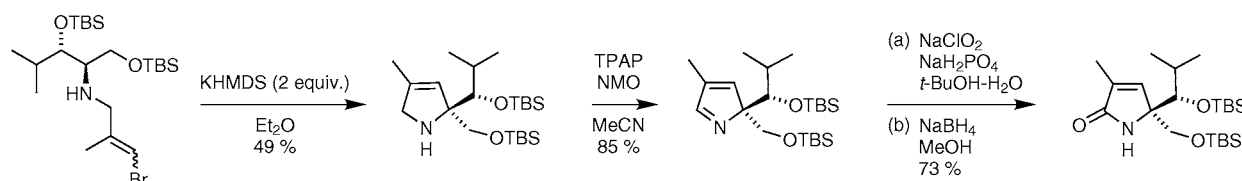
Pauson-Khand



11 examples (yields 40-91%).

Asymmetric alkylidene carbene 1,5-CH insertion.
Green, M. P.; Prodder, J. C.; Hayes, C. J. *Tetrahedron Lett.* **2002**, *43*, 6609.

1,5-CH Insertion



Formal total synthesis of (+)-lactacystin.