

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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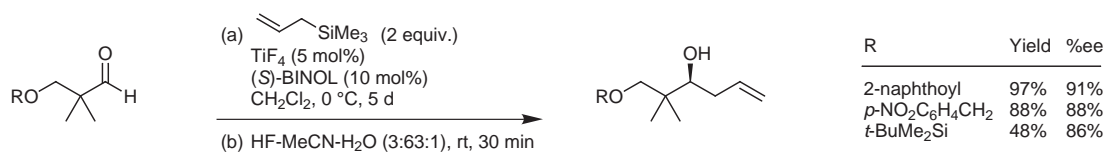
The journals regularly covered by the abstractors are:

Advanced Synthesis and Catalysis
Angewandte Chemie
Chemical Communications
Chemistry-A European Journal
Collection of Czechoslovak Chemical Communications
European Journal of Organic Chemistry
Helvetica Chimica Acta
Journal of Organic Chemistry
Journal of the American Chemical Society
Organic Letters
Organometallics
Perkin Transactions 1
Synlett
Synthesis
Tetrahedron
Tetrahedron Asymmetry
Tetrahedron Letters

TiF₄-BINOL catalysed enantioselective allylsilylation.

Bode, J. W.; Gauthier, D. R.; Carreira, E. M. *Chem. Commun.* **2001**, 2560.

Enantioselective 1,2-Addition

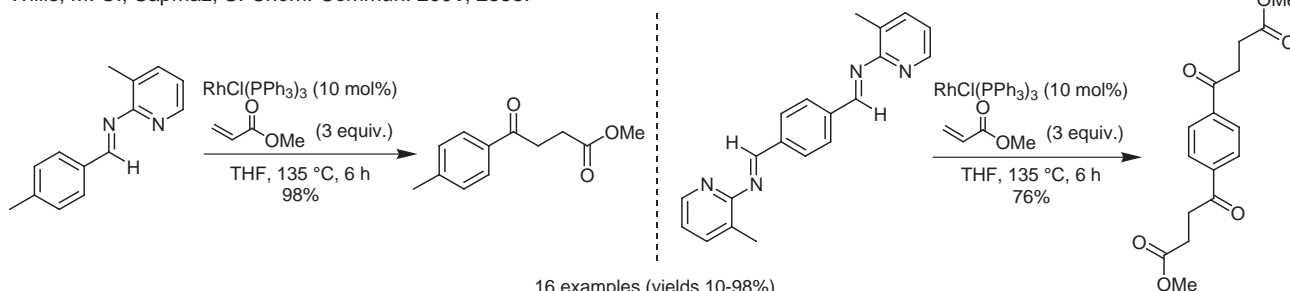


7 examples (yields 48-97%, %ee 84-91%).

Intermolecular hydroacylation of acrylate esters.

Willis, M. C.; Sapmaz, S. *Chem. Commun.* **2001**, 2558.

Hydroacylation

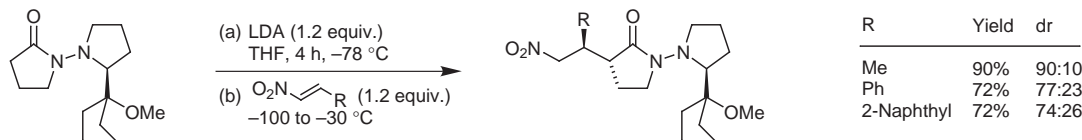


16 examples (yields 10-98%).

Stereoselective electrophilic substitution of lactams.

Enders, D.; Teschner, P.; Raabe, G.; Runsink, J. *Eur. J. Org. Chem.* **2001**, 4463.

1,4-Addition

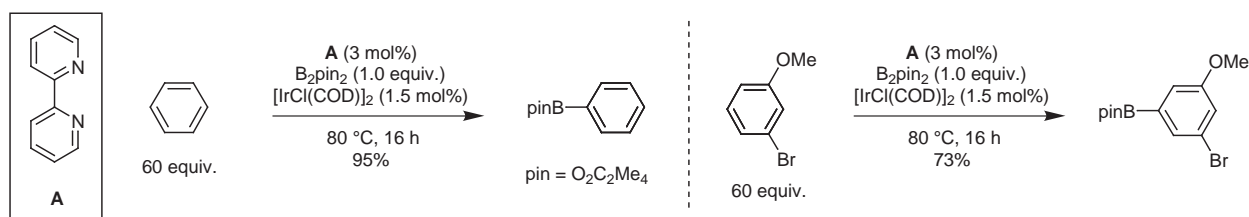


8 examples (yields 60-90%, %de 0-80%).

Mild iridium-catalyzed borylation of arenes.

Ishiyama, T.; Takagi, J.; Ishida, K.; Miyauro, N.; Anastasi, N. R.; Hartwig, J. F. *J. Am. Chem. Soc.* **2002**, *124*, 390.

Borylation

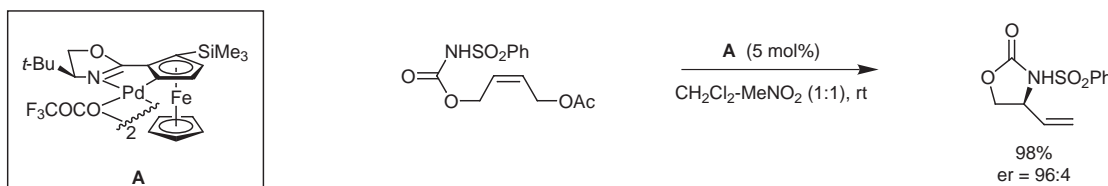


11 examples (yields 58-95%).

Catalytic asymmetric aminopalladation.

Overman, L. E.; Remarchuk, T. P. *J. Am. Chem. Soc.* **2002**, *124*, 12.

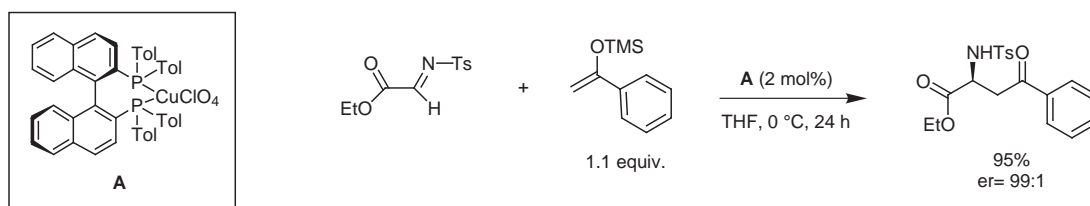
Asymmetric Heteroannulation



10 examples involving formation of oxazolidinones (yield 77-98%, %ee 89-96%), 1 example of imidazolidinone (yield 96%, %ee 90%) and 1 example of pyrrolidinone (yield 95%, %ee 90%).

Catalytic enantioselective alkylation of α -imino esters.Ferraris, D.; Young, B.; Cox, C.; Dudding, T.; Drury, W. J. III; Ryzhkov, L.; Taggi, A. E.; Lectka, T. *J. Am. Chem. Soc.* **2002**, *124*, 67.

Asymmetric 1,2-Addition

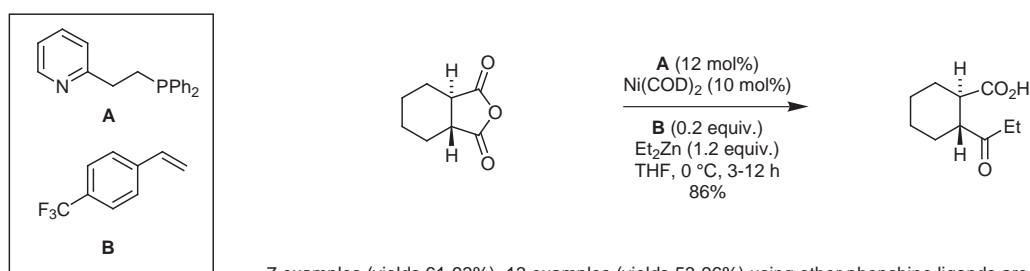


12 examples of alkylation (yields 65-95%, %ee 72-99%), 7 examples of ene reaction (yields 77-95%, %ee 85-99%) and 9 examples of allylation (yields 85-91%, %ee 51-94%).

A mild and efficient catalytic alkylation monofunctionalization of cyclic anhydrides.

Bercot, E. A.; Rovis, T. *J. Am. Chem. Soc.* **2002**, *124*, 174.

Desymmetrisation

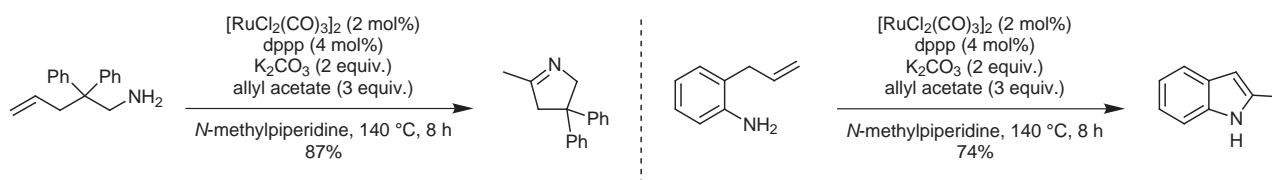


7 examples (yields 61-93%), 13 examples (yields 53-96%) using other phosphine ligands are reported.

Ruthenium-catalyzed intramolecular oxidative amination of aminoalkenes.

Kondo, T.; Okada, T.; Mitsudo, T.-a. *J. Am. Chem. Soc.* **2002**, *124*, 186.

Oxidative Amination

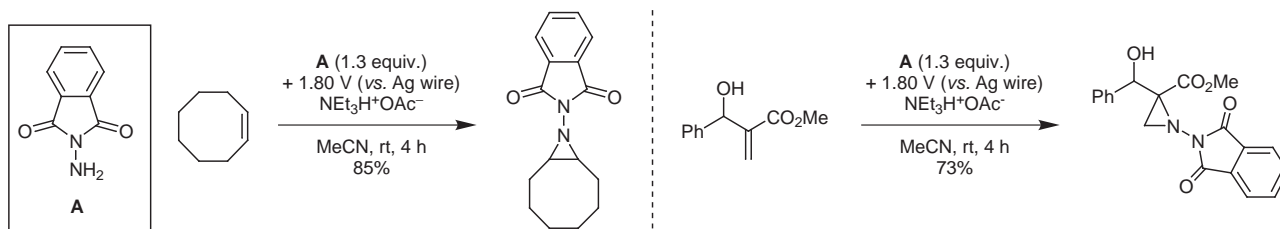


9 examples (yields 38-87%).

Practical olefin aziridination.

Siu, T.; Yudin, A. K. *J. Am. Chem. Soc.* **2002**, *124*, 530.

Heteroannulation

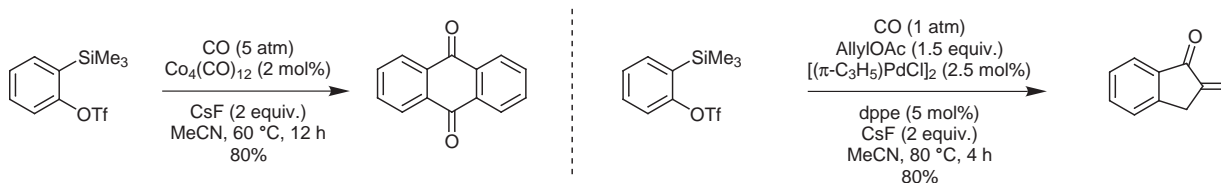


14 examples (yields 42-93%).

Catalytic carbonylation reactions of benzyne derivatives.

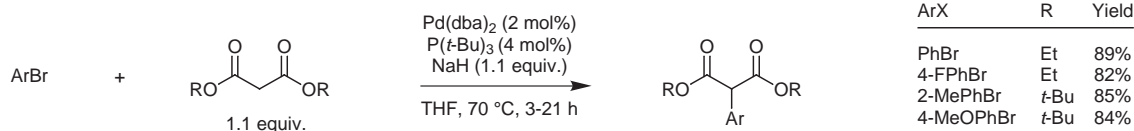
Chatani, N.; Kamitani, A.; Oshita, M.; Fukumoto, Y.; Murai, S. *J. Am. Chem. Soc.* **2001**, *123*, 12686.

Annulation



3 examples involving a cobalt catalyst (yields 58-80%) and 6 examples involving the palladium catalyst (yields 39-84%).

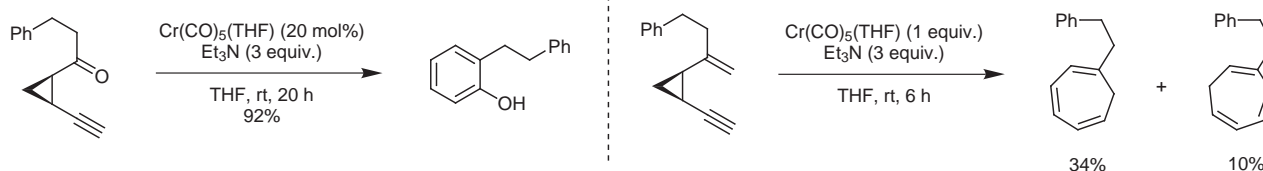
Palladium-catalyzed arylation of malonates and cyanoesters.

Beare, N. A.; Hartwig, J. F. *J. Org. Chem.* **2002**, *67*, 541. $\text{sp}^3\text{-sp}^2$ Coupling

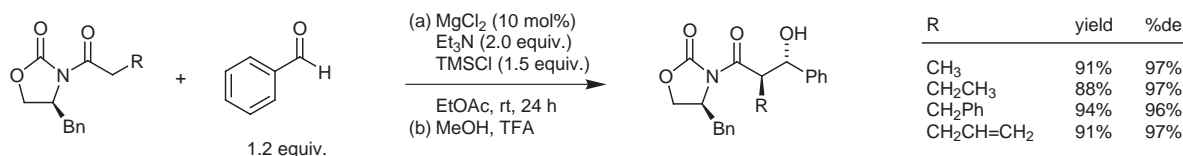
48 examples of arylation of malonates (yields 79-92%), 12 examples of monoarylation of ethyl cyanoacetate (yields 81-91%) and 15 examples of generation of diarylated cyanoacetates (yields 89-95%).

Chromium- and tungsten-triggered valence isomerism of *cis*-1-acyl-2-ethynylcyclopropanes.Ohe, K.; Yokoi, T.; Miki, K.; Nishino, F.; Uemura, S. *J. Am. Chem. Soc.* **2002**, *124*, 526.

[3,3]-Sigmatropic Rearrangement

10 examples involving ketone derivatives (yields 42-99%) and 2 examples involving the *exo*-methylene derivatives (yields 31-44%).Diastereoselective magnesium halide-catalyzed *anti*-aldol reactions of chiral *N*-acyloxazolidinones.Evans, D. A.; Tedrow, J. S.; Shaw, J. T.; Downey, C. W. *J. Am. Chem. Soc.* **2002**, *124*, 392.

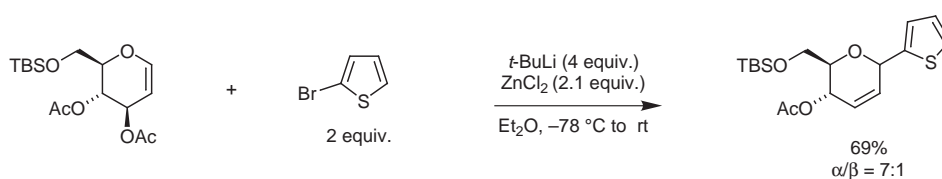
Diastereoselective Anti-aldol



14 Examples (yields 36-94%, %de 78-97%).

Stereoselective organozinc addition to 1,2-dihydropyrans.
Steinhuebel, D. P.; Fleming, J. J.; Du Bois, J. *Org. Lett.* **2002**, 2, 293.

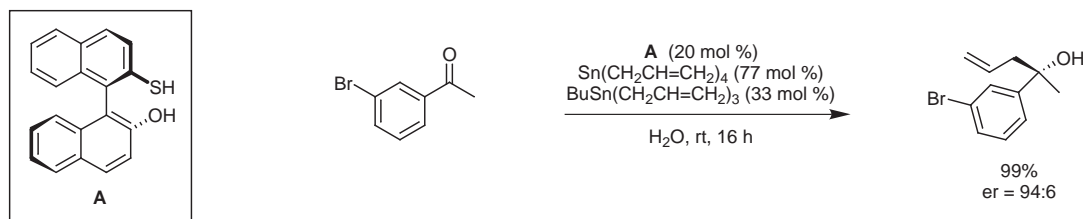
Nucleophilic Substitution



9 examples of arylzinc additions to 1,2-dihydropyran substrates (yields 66-88%, 6:1 α/β 10:1) and 5 examples of alkylzinc additions using Zn(Cu) (yields 60-72%, α/β 10:1).

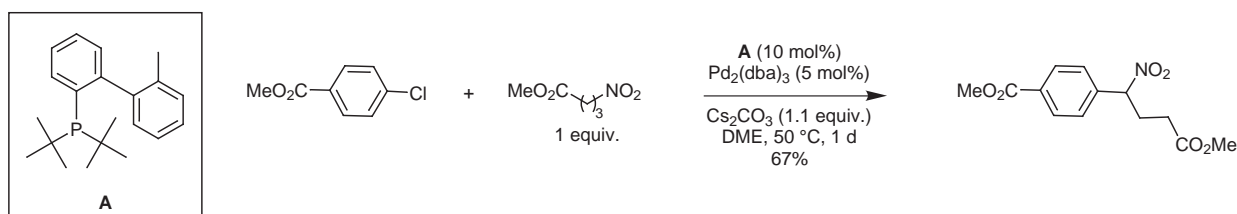
Enantioselective catalytic ketone allylation with $\text{Sn}(\text{CH}_2\text{CH}=\text{CH}_2)_4/\text{RSn}(\text{CH}_2\text{CH}=\text{CH}_2)_3$ mixtures.
Cunningham, A.; Woodward, S. *Synlett* **2002**, 1, 43.

Enantioselective Allylation



8 examples (yields 71-99%, %ee 82-89%).

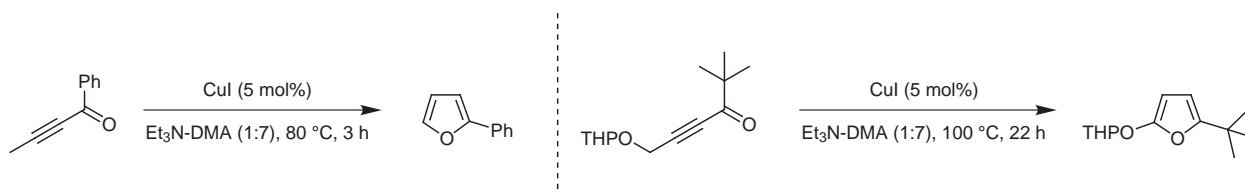
Palladium-catalyzed monoarylation of nitroalkanes.
Vogl, E. M.; Buchwald, S. L. *J. Org. Chem.* **2002**, 67, 106.

 $\text{sp}^3\text{-sp}^2$ Coupling

27 examples (yields 62-98%).

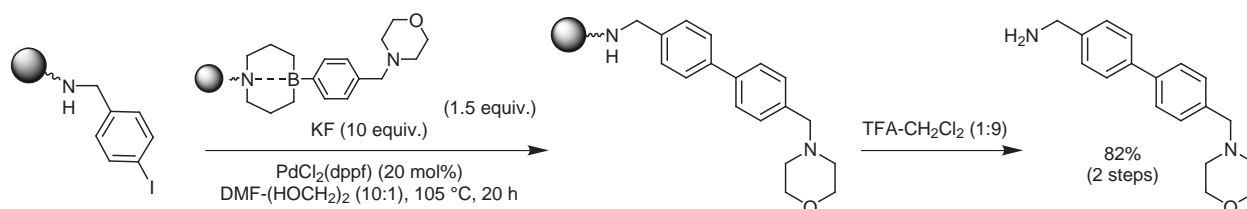
Synthesis of 2-mono- and 2,5-disubstituted furans.
Kelin, A. V.; Gevorgyan, V. *J. Org. Chem.* **2002**, 67, 95.

Cycloisomerisation



10 examples (yields 63-94%).

Resin-to-resin transfer reactions of boronic acids.
Gravel, M.; Thompson, K. A.; Zak, M.; Berube, C.; Hall, D. G. *J. Org. Chem.* **2002**, 67, 3.

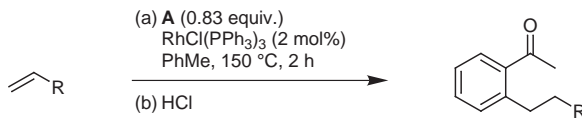
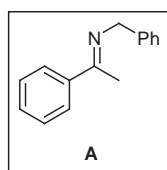
 $\text{sp}^2\text{-sp}^2$ Coupling

4 examples of Suzuki transfer reaction (yields 55-98%). Preparation of starting materials and optimisation the reaction conditions is also reported.

Rh-catalysed aromatic alkylation

Jun, C.-H.; Moon, C. W.; Hong, J.-B.; Lim, S.-G.; Chung, K.-Y.; Kim, Y.-H. *Chem.-Eur. J.* **2002**, *8*, 485.

Aryl-alkylation



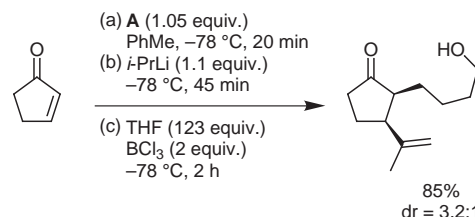
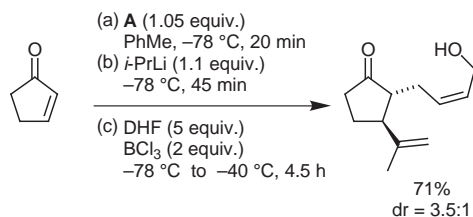
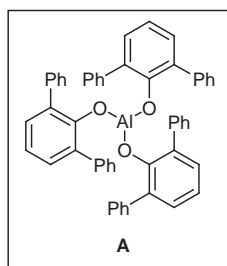
R	Yield
<i>t</i> -Bu	97%
<i>n</i> -Bu	94%
<i>n</i> -C ₁₀ H ₂₁	82%
Ph	41%
SiMe ₃	92%
CH ₂ OH	0%
(CH ₂) ₆ CH=CH ₂	92%

17 examples (yields 0-97%).

Three-component coupling using aluminium tris(2,6-diphenylphenoxide) (ATPH).

Saito, S.; Yamazaki, S.; Hisashi, Y. *Angew. Chem. Int. Ed.* **2001**, *40*, 3613.

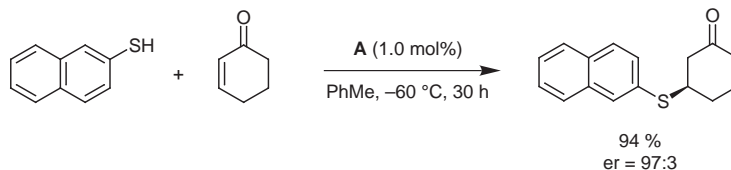
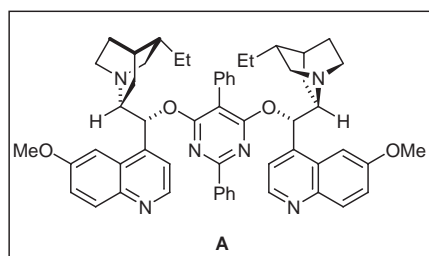
Stereoselective Michael Addition



8 examples using DHF (yields 32-71%, %de 0-90%) and 6 examples using THF (yields 43-85%, %de 46-90%).

(DHQD)₂PYR-catalysed 1,4-addition.PcDaid, P.; Chen, Y.; Deng, L. *Angew. Chem. Int. Ed.*, **2002**, *41*, 338.

Asymmetric Conjugate Addition

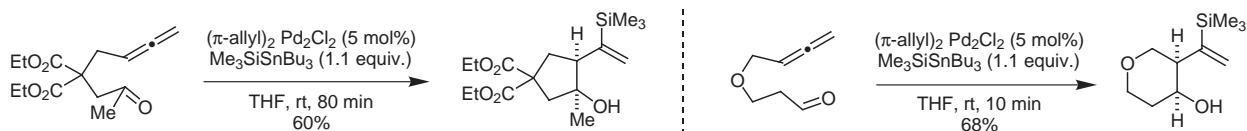


16 examples (yields 41-99%, %ee 21-99%).

Pd-catalysed tandem silastannylation/allyl addition of allene aldehydes and ketones.

Kang, S.-K.; Ha, Y.-H.; Ko, B.-S.; Lim, Y.; Jung, J. *Angew. Chem. Int. Ed.* **2002**, *41*, 343.

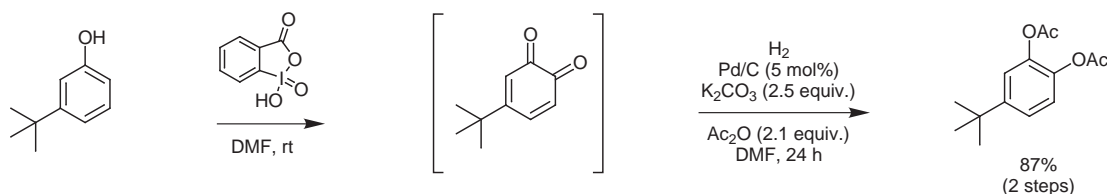
Heteroannulation



5 examples of cyclopentanol (Yields 63-71%) and 6 examples of cyclohexanol (Yields 30-68%).

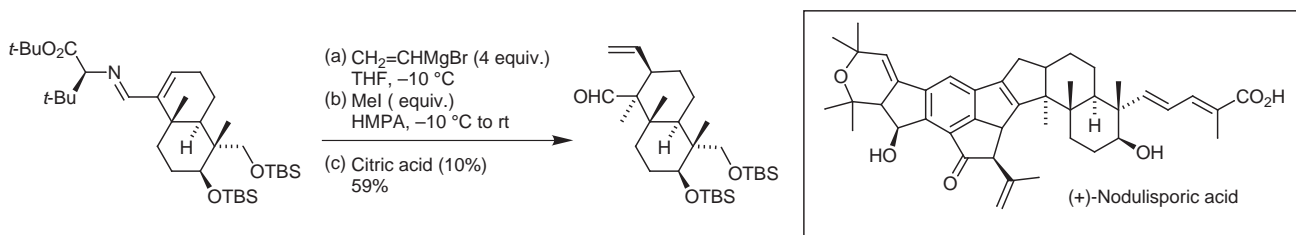
Regioselective oxidation of phenols to *o*-quinones with *o*-iodoxybenzoic acid (IBX).Magdziak, D.; Rodrigez, A. A.; Van De Water, R. W.; Pettus, T. R. R. *Org. Lett.* **2002**, *2*, 285.

Regioselective Oxidation

11 examples of oxidations of phenols to *o*-quinones (yields 20-99%) and 2 examples of a one-pot conversion of a phenol to a catechol (yields 76-87%)

Koga three-component conjugate addition-alkylation.
Smith, A. B.; Cho, Y. S.; Ishiyama, H. *Org. Lett.* **2001**, *3*, 3971.

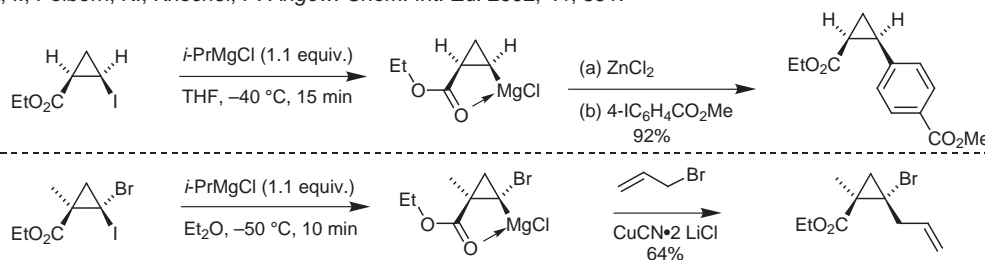
Conjugate Addition



Synthesis of FGH rings of (+)-nodulisporic acid is reported.

Stereoselective synthesis of functionalised cyclopropylmagnesium reagents.
Vu, V. A.; Marek, I.; Polborn, K.; Knochel, P. *Angew. Chem. Int. Ed.* **2002**, *41*, 351.

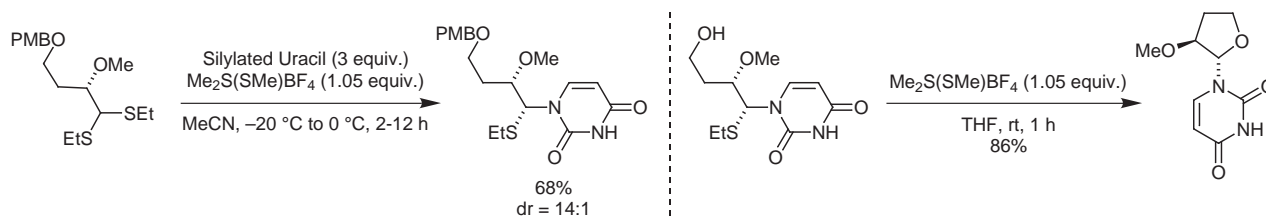
Alkylation



Overall, 14 functionalised cyclopropylmagnesium reagents prepared (Yields 52-92%), including 6 examples of carbenoids (Yields 60-85%).

Diastereoselective base coupling/ $\text{S}_{\text{N}}2$ cyclisation strategy towards *N*-glycosides.
Guindon, Y.; Gagnon, M.; Thumin, I.; Chapdelaine, D.; Jung, G.; Guerin, B. *Org. Lett.* **2002**, *4*, 241.

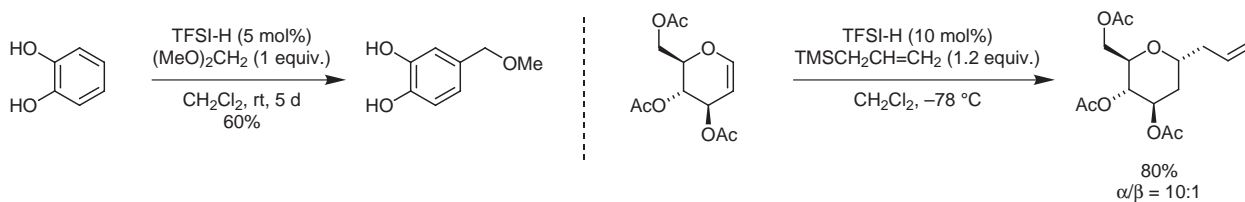
Heteroannulation



10 examples (yields 49-89%) of base coupling and 5 examples (yields 63-96%) of cyclisation are reported.

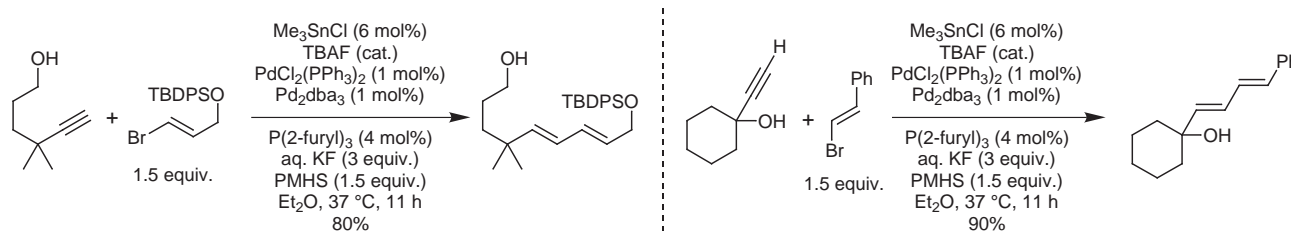
Bistrifluoromethanesulfonimide (TFSI-H) as a catalyst for carbon-carbon bond forming reactions.
Cossy, J.; Lutz, F.; Alauze, V.; Meyer, C. *Synlett* **2002**, *1*, 45.

C-C coupling



Use of catalyst in Mukaiyama aldol, 1,2-addition and 1,4-addition reactions is also reported.

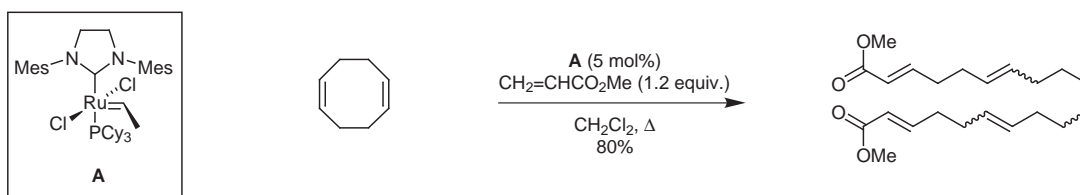
Stille couplings catalytic in tin.
Maleczka, R. E.; Gallagher, W. P. *Org. Lett.* **2001**, *3*, 4173.

sp-sp²-coupling

11 examples (yields 61-90%).

Selective ring opening cross metathesis of cyclooctadiene and trisubstituted cycloolefins.
Morgan, J. P.; Morrill, C.; Grubbs, R. H. *Org. Lett.* **2002**, *4*, 67.

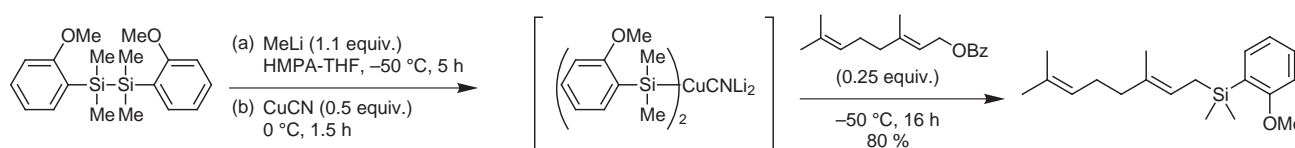
Selective Metathesis



5 examples with cyclooctadiene (yields 19-95%) and 8 examples with trisubstituted cycloolefins (yields 0-98%) are reported.

Preparation and use of (2-methoxyphenyl)dimethylsilyl cuprate.
Lee, T. W.; Corey, E. J. *Org. Lett.* **2001**, *3*, 3337.

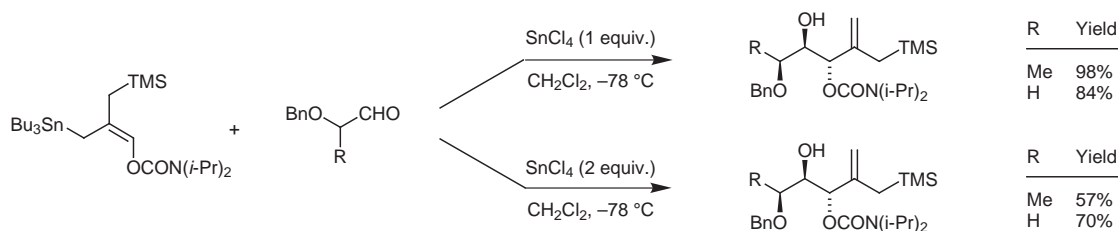
Nucleophilic Substitution



3 examples (yields 72-80%).

Synthesis of functionalised polyhydroxylated fragments.
Leroy, B. L.; Marko, I. E. *Org. Lett.* **2002**, *4*, 47.

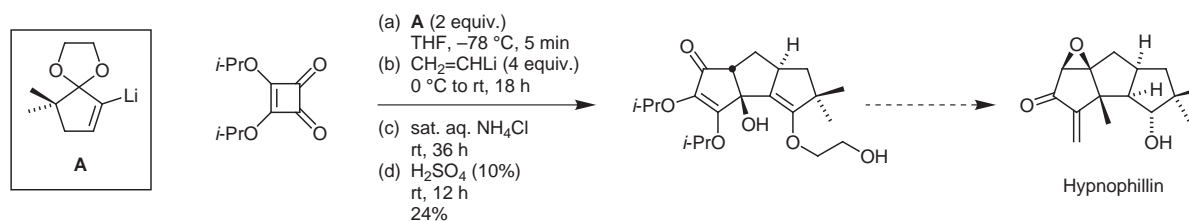
Allylmethallation



Preparation of the allylstannanes is also reported.

Three-component coupling via the squarate ester cascade.
Geng, F.; Liu, J.; Paquette, L. A. *Org. Lett.* **2002**, *4*, 71.

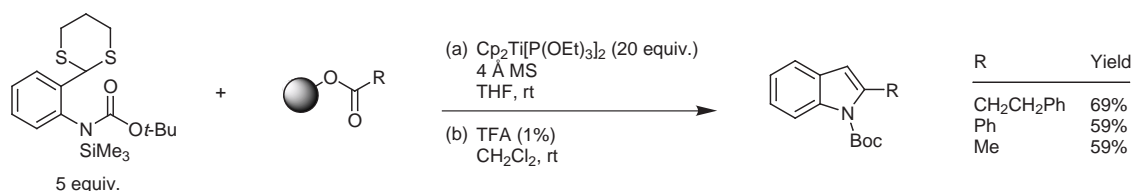
Cascade Reaction



Synthesis of A and the total synthesis of hypnophillin are also reported.

Traceless solid-phase synthesis of indoles.
Macleod, C.; Hartley, R. C.; Hamprecht, D. W. *Org. Lett.* **2002**, *4*, 75.

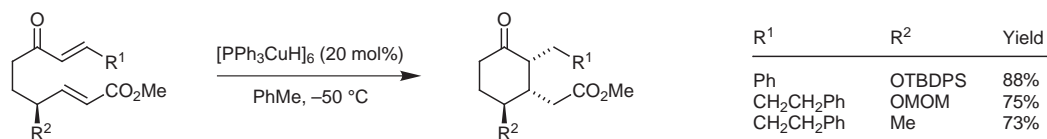
Cascade Reaction



9 examples of *N*-Boc indoles (yields 58-72%), 3 examples of *N*-H indoles (yields 59-69%) and 2 examples of *N*-Me indoles (yields 32-44%) are reported.

Construction of substituted cyclohexanones by reductive cyclisation of 7-oxo-2,8-alkadienyl esters.
Kamenecka, T. M.; Overman, L. E.; Sakata, S. K. L. *Org. Lett.* **2002**, 4, 79.

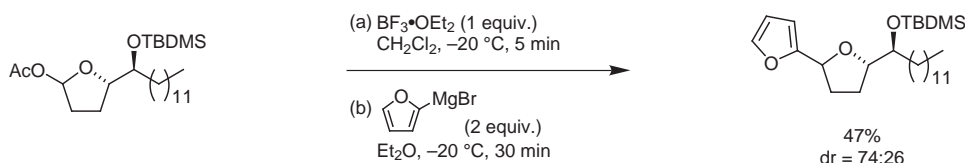
Conjugate Addition



11 examples (yields 55-88%, %de 0-91%).

2,5-disubstituted tetrahydrofurans from Grignard reagents and hemiacetal derivatives.
Franck, X.; Hocquemiller, R.; Figadère, B. *Chem. Commun.* **2002**, 160.

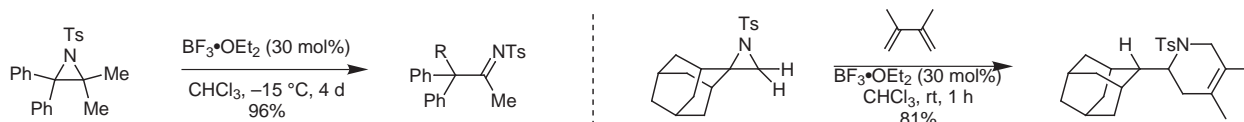
Diastereoselective Addition



9 examples (yields 45-72%, 63:37 dr 78:22).

Aza-pinacol rearrangement: acid-catalyzed rearrangement of aziridines to imines.
Sugihara, Y.; Imura, S.; Nakayama, J. *Chem. Commun.* **2002**, 134.

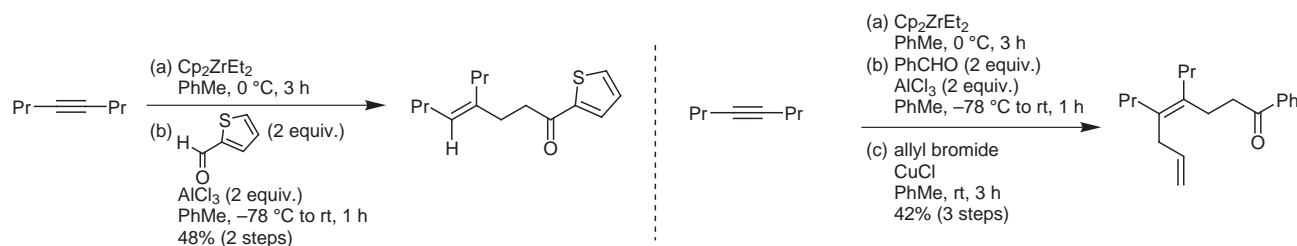
Rearrangement



9 examples (yields 11-100%). Hydrolysis of the product *N*-tosylimines to ketones occurs in some cases. Isolation of intermediates supporting a proposed mechanism for the rearrangement is also reported.

One-pot route to homoallylketone via selective combination of alkynes, ethylene and aldehydes.
Zhao, C.; Yu, T.; Xi, Z. *Chem. Commun.* **2002**, 142.

Hydrometallation-1,2 Addition

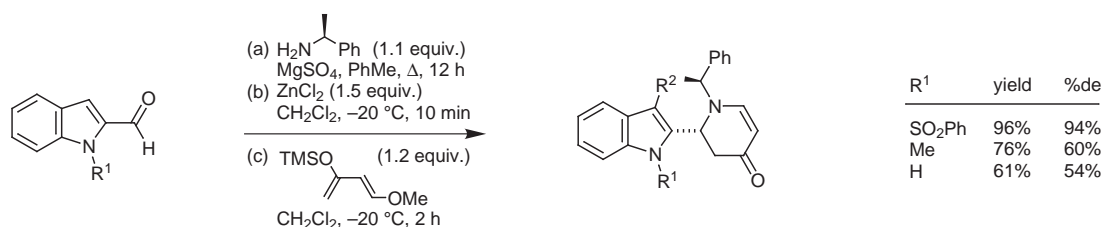


8 examples (yields 42-65%).

Asymmetric aza-Diels-Alder reactions of indole 2-carboxaldehydes.

Kuethé, J. T.; Davies, I. W.; Dormer, P. G.; Reamer, R. A.; Mathre, D. J.; Reider, P. J. *Tetrahedron Lett.* **2002**, 43, 29.

Asymmetric Cycloaddition



5 examples (yields 61-96%) and further elaboration of the piperidone ring is also reported.