

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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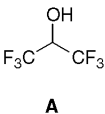
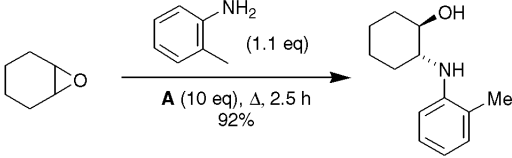
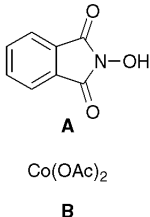
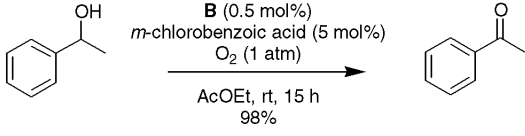
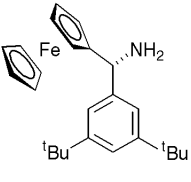
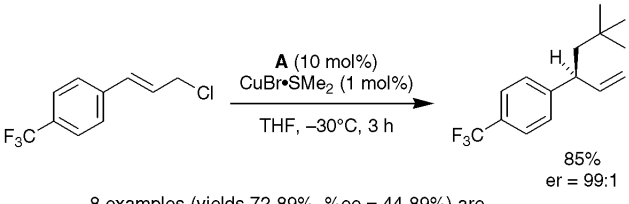
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1437-210X,E;2001,0,03,0499,0504,ftx,en;X00301SS.pdf

The journals regularly covered by the abstractors are:

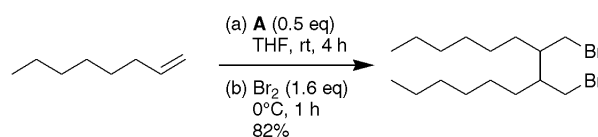
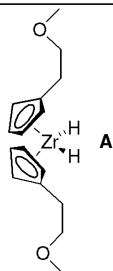
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Journal of the American Chemical Society
Journal of Organic Chemistry
Organic Letters
Organometallics
Perkin Transactions 1
Synlett
Synthesis
Tetrahedron
Tetrahedron Asymmetry and Tetrahedron Letters

Hexafluoro-2-propanol (HFIP)		Reagent
<p>The title reagent, when used as the solvent, facilitates the ring opening of oxiranes by aryl amines in the formation of β-amino alcohols.</p>	 <p>A</p>	 <p>A (10 eq), Δ, 2.5 h 92%</p> <p>11 examples (yields 68-92%) are reported.</p>
<p>U. Das, B. Crousse, V. Kesavan, D. Bonnet-Delpon, J.-P. Bégue <i>J. Org. Chem.</i> 2000, <i>65</i>, 6749.</p>		
N-Hydroxyphthalimide (NHPI) / Cobalt(II) Acetate		Catalyst
<p>The title reagent pair catalyse the oxidation of primary and secondary alcohols, and diols with molecular oxygen.</p>	 <p>A B</p>	 <p>A (10 mol%) B (0.5 mol%) <i>m</i>-chlorobenzoic acid (5 mol%) O_2 (1 atm) AcOEt, rt, 15 h 98%</p> <p>22 examples (yields 47-98%) are reported.</p>
<p>T. Iwahama, Y. Yoshino, T. Keitoku, S. Sakaguchi, Y. Ishii <i>J. Org. Chem.</i> 2000, <i>65</i>, 6502.</p>		
3,5-Di- <i>tert</i> -butylphenyl Ferrocenyl Amine		Ligand
<p>The title reagent was developed for use in copper catalysed, enantioselective allylic substitution with organometallic reagents.</p>	 <p>A</p>	 <p>A (10 mol%) $CuBr \cdot SMe_2$ (1 mol%) THF, $-30^\circ C$, 3 h 85% er = 99:1</p> <p>8 examples (yields 72-89%, %ee = 44-89%) are reported.</p>
<p>F. Durber, P. Knochel <i>Tetrahedron Lett.</i> 2000, <i>41</i>, 9233.</p>		

Nickel(II) Chloride / Triphenylphosphine Complex		Catalyst
<p>The title reagent catalyses the cross-coupling of arylboronic acids with arylchlorides for the synthesis of biaryls.</p>	<p>$\text{NiCl}_2(\text{PPh}_3)_2$</p> <p>A</p>	<p>4-tolylB(OH)₂ (1.3 eq), A (0.03 eq), K₃PO₄·nH₂O (2.6 eq) PPh₃ (0.06 eq) PhMe, 80°C, 2 h 99%</p> <p>22 examples (yields 15, 68-99%) are reported.</p>
<p>K. Inada, N. Miyaura <i>Tetrahedron</i> 2000, <i>56</i>, 8657.</p>		
Trifluoromethyltrimethylsilane		Reagent
<p>The title reagent is used for the trialkylsilylation of terminal alkynes, catalysed by cesium or potassium fluoride.</p>	<p>TMSCF₃</p> <p>A</p>	<p>TMSCF₃ (1.2 eq) THF, rt, 0.5 h 100%</p> <p>25 examples (yields 40-100 %) are reported.</p>
<p>M. Ishizaki, O. Hoshino <i>Tetrahedron</i> 2000, <i>56</i>, 8813.</p>		
Indium		Reagent
<p>The title reagent is used for the reductive coupling of acyl cyanides to give the corresponding 1,2-diketones, in good to moderate yields.</p>	<p>In</p> <p>A</p>	<p>A (0.7 eq) DMF, rt, 8 h 62%</p> <p>12 examples (yields 0, 60-78%) are reported.</p>
<p>H. S. Baek, S. J. Lee, B. W. Yoo, J. J. Ko, S. H. Kim, J. H. Kim <i>Tetrahedron Lett.</i> 2000, <i>41</i>, 8097.</p>		
Tetraallylstannane		Reagent
<p>The title reagent is used for the allylation of <i>N</i>-protected aminoaldehydes to give the corresponding homoallylic alcohols in excellent yields and good diastereoselectivities.</p>	<p>($\text{CH}_2=\text{CH}-\text{CH}_2$)₄Sn</p> <p>A</p>	<p>A (0.25 eq) MeOH, 30°C, 1 d 82% dr = 93:7</p> <p>6 examples (yields 68-94%, %de = 50-86%) are reported.</p>
<p>A. McCluskey, J. Garner, D. J. Young, S. Cabellero <i>Tetrahedron Lett.</i> 2000, <i>41</i>, 8147.</p>		
Palladium Hydroxide / Charcoal		Catalyst
<p>The title catalyst can be used with formaldehyde to methylate <i>N</i>-mono-alkylated amino acids in good to excellent yields.</p>	<p>20%Pd(OH)₂/C</p> <p>A</p>	<p>A HCHO (2.9 eq) H₂ (50 psi) EtOH, 50°C 73%</p> <p>4 examples (yields 58-92%) are reported.</p>
<p>Y. Song, A. D. Sercel, D. R. Johnson, N. L. Colbry, K. L. Sun, B. D. Roth <i>Tetrahedron Lett.</i> 2000, <i>41</i>, 8225.</p>		

Bis(methoxyethyl)zirconocene Dihydride**Reagent**

The title reagent can be used for the reductive coupling of alkenes, dienes and enynes.

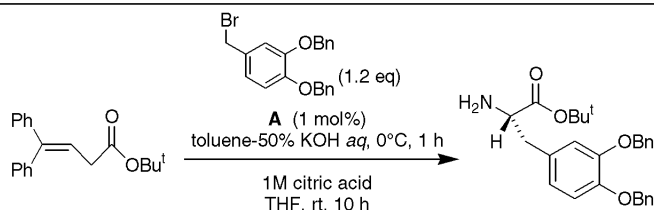
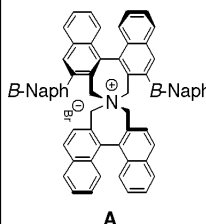


8 examples (yields 28-82%) are reported.

P. Wipf, X. Wang *Tetrahedron Lett.* **2000**, *41*, 8237.

C₂-Symmetric Chiral Quaternary Ammonium Salts**Catalyst**

The title phase-transfer catalyst can be used for the asymmetric synthesis of L-Dopa and related amino acid esters.

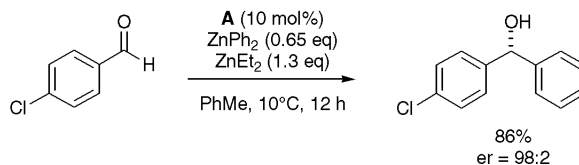
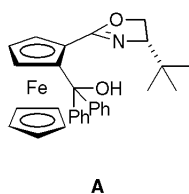


1 example (yield 80%, %ee = 90%) is reported.

T. Ooi, M. Kameda, H. Tannai, K. Maruoka *Tetrahedron Lett.* **2000**, *41*, 8339.

Ferrocenyl Oxazoline**Catalyst**

The title reagent catalyses the formation of arylphenylmethanols from benzaldehydes with very high selectivities.

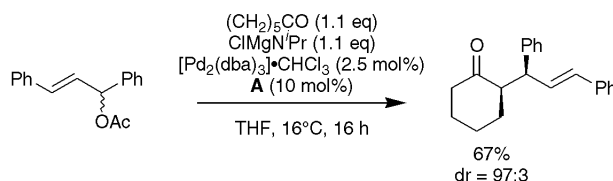
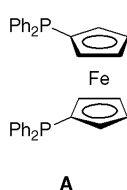


12 examples (yields 64-99%, %ee 83-98%) are reported.

C. Bolm, N. Hermanns, J. P. Hildebrand, K. Muniz *Angew. Chem., Int. Ed. Engl.* **2000**, *39*, 3465.

1,1'-Bis(diphenylphosphanyl)ferrocene**Ligand**

The title reagent acts as chiral ligand for palladium-catalysed allylic substitution with high diastereoselectivity and enantioselectivity.

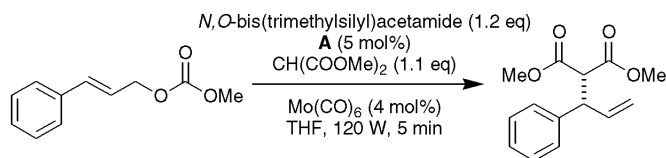
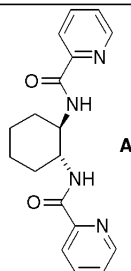


7 examples (%de 20-94%) are reported.

M. Braun, F. Laicher, T. Meier *Angew. Chem., Int. Ed. Engl.* **2000**, *39*, 3494.

N,N'-Bis(2-pyridinecarbonyl)-1,2-cyclohexanediamine**Ligand**

The title reagent can be used for microwave-heated molybdenum(0)-catalysed asymmetric allylic alkylations.



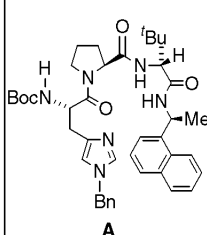
12 examples (yields 1, 11, 59-94%, %ee = 95-98%) are reported.

N.-F. K. Kaiser, U. Bremberg, M. Larhed, C. Moberg, A. Hallberg *Angew. Chem., Int. Ed. Engl.* **2000**, *39*, 3596.

Conjugate Addition Catalyst

Catalyst

The title reagent catalyses the asymmetric conjugate addition of azide to α,β -unsaturated carbonyl compounds.



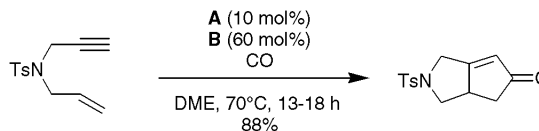
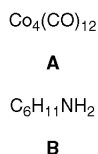
T. E. Horstmann, D. J. Guerin, S. J. Miller
Tetrahedron Lett. **2000**, *39*, 3635.

6 examples (yields 79-97%, %ee = 45-85%) are reported.

Dodecacarbonyltetracobalt / Cyclohexylamine

Catalyst

The title reagent pair catalyse the Pauson-Khand reaction.



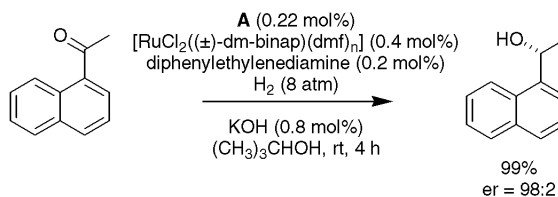
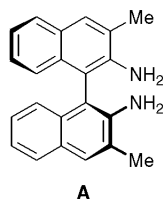
M. E. Krafft, L. V. R. Bonaga *Angew. Chem., Int. Ed. Engl.* **2000**, *39*, 3676.

10 examples (yields 44-94%) are reported.

(R)-3,3'-dimethyl-1,1'-binaphth-2,2'-diamine (DM-DABN)

Catalyst

The title reagent catalyses the hydrogenation of ketones through asymmetric activation / deactivation.



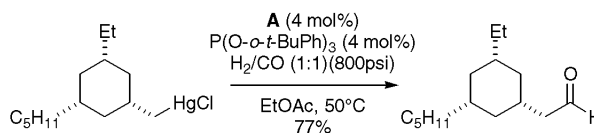
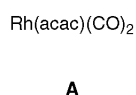
K. Mikami, T. Korenaga, T. Ohkuma, R. Noyori
Angew. Chem., Int. Ed. Engl. **2000**, *39*, 3707.

7 examples (yields 99%, %ee = 91-96%) are reported.

Dicarbonyl(acetylacetonate)rhodium

Catalyst

The title reagent catalyses the carbonylation of organomercurial chlorides to generate aldehydes.



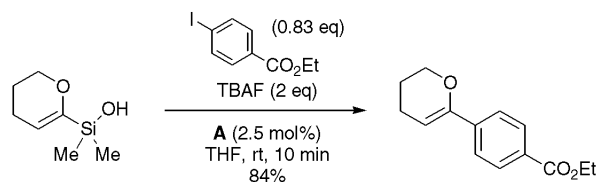
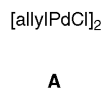
S. T. Sarraf, J. L. Leighton *Org. Lett.* **2000**, *2*, 3205.

5 examples (yields 60-79%) are reported.

 η^3 -Allylpalladium Chloride

Catalyst

The title reagent catalyses the cross-coupling of aryl halides with (α -alkoxyvinyl)silanols and (α -alkoxyvinyl)silyl hydrides in the presence of tetrabutylammonium fluoride or hydroxide.



S. E. Denmark, L. Neuville *Org. Lett.* **2000**, *2*, 3221.

14 examples (yields 71-94%) are reported.

		Reagent
<p>Indium</p> <p>The title reagent mediates the coupling of 1,4-dibromo-2-butyne with carbonyl compounds in aqueous media to give good yields of the 1,3-butadien-2-ylmethanols.</p>	<p>In</p> <p>A</p>	<p>7 examples (yields 53-68%) are reported.</p>
<p>W. Lu, J. Ma, Y. Yang, T. H. Chan <i>Org. Lett.</i> 2000, <i>2</i>, 3469.</p>		

		Chiral Auxiliary
<p>(R,R)-Pseudoephedrine</p> <p>The title reagent can be utilised as a chiral auxiliary for synthesizing α-substituted β-amino acids.</p>	<p>A</p>	<p>4 examples (yields 52-74%, %ee = 75-99%) are reported.</p>
<p>G. Nagula, V. J. Huber, C. Lum, B. A. Goodman <i>Org. Lett.</i> 2000, <i>2</i>, 3527.</p>		

		Reagent
<p>Methyl Bis(2,2,2-trifluoroethoxy)bromophosphonoacetate</p> <p>The title reagent can be used for the preparation of (<i>E</i>)-α-bromoacrylates, using the Horner–Wadsworth–Emmons reaction, with high stereoselectivity and excellent yield.</p>	<p>A</p>	<p>24 examples (yields 43, 64-99%, 7:1 \leq E:Z \leq 1:0) are reported.</p>
<p>K. Tago, H. Kogen <i>Tetrahedron</i> 2000, <i>56</i>, 8825.</p>		

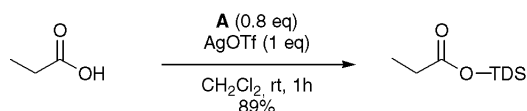
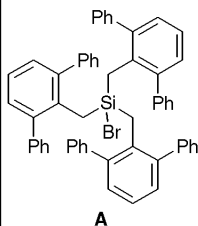
		Catalyst
<p>Bis(1,4-cyclooctadiene)rhodium Tetrafluoroborate</p> <p>The title reagent catalyses the Grignard-type carbonyl phenylation of aldehydes by trimethylphenylstannane, in water and under air atmosphere.</p>	<p>Rh(COD)₂BF₄</p> <p>A</p>	<p>11 examples (yields 52-92%) are reported.</p>
<p>C. J. Li, Y. Meng <i>J. Am. Chem. Soc.</i> 2000, <i>122</i>, 9538.</p>		

		Reagent
<p>Aluminium Tris(2,6-diphenylphenoxide)</p> <p>The title reagent complexes with aromatic acyl chlorides allowing conjugate addition of nucleophiles to aromatic systems.</p>	<p>A</p>	<p>10 examples (yields 41-99%, 3.4:1 \leq 1,6-:1,4- \leq 99:1) are reported.</p>
<p>S. Saito, T. Sone, M. Murase, H. Yamamoto <i>J. Am. Chem. Soc.</i> 2000, <i>122</i>, 10216.</p>		

Tris(2,6-diphenylbenzyl)silyl Bromide (TDS-Br)

Protecting Group

The title reagent can be used to protect carboxylic acids against various nucleophilic attacks and α -deprotonation.



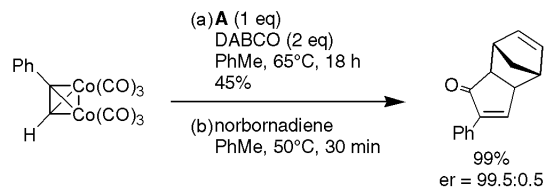
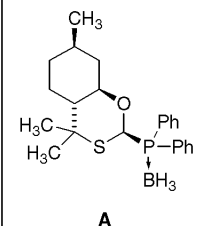
A. Iwasaki, Y. Kondo, K. Maruoka *J. Am. Chem. Soc.* **2000**, *122*, 10238.

4 examples (yields 84-93%) are reported.

Chiral Phosphine Ligand

Ligand

The title reagent acts as a chiral bidentate ligand for the asymmetric intermolecular Pauson-Khand reaction.



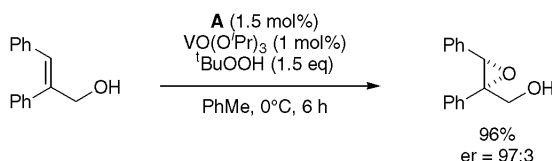
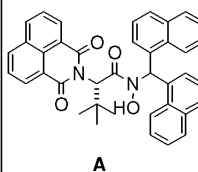
X. Verdaguer, A. Moyano, M. A. Pericás, A. Riera, M. A. Maestro, J. Mahla *J. Am. Chem. Soc.* **2000**, *122*, 10242.

5 examples (yields 92-99%, %ee = 57-99%) are reported.

Chiral Hydroxamic Acid Ligand

Ligand

The title reagent is used as a ligand for the vanadium-catalysed asymmetric epoxidation of allylic alcohols.



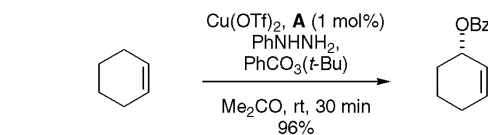
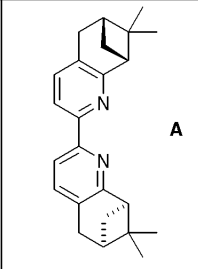
Y. Hoshino, H. Yamamoto *J. Am. Chem. Soc.* **2000**, *122*, 10452.

9 examples (yields 58-99%, %ee = 76-96%) are reported.

PINDY

Ligand

The title ligand, when complexed with copper, can be used to catalyse asymmetric allylic oxidation, with high efficiency and good enantioselectivity.



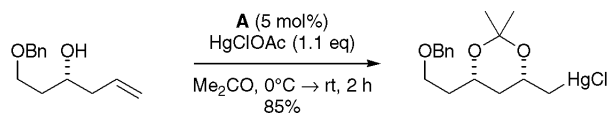
A. V. Malkov, M. Bella, V. Langer, P. Kocovsky *Org. Lett.* **2000**, *2*, 3047.

3 examples (yield 96%, %ee = 48-75%) are reported

Ytterbium Triflate

Catalyst

The title reagent catalyses the oxymercuration of hemiketals and hemiacetals derived from homoallylic alcohols and acetone or benzaldehyde, with HgClOAc.



S. D. Dreher, K. R. Hornberger, S. T. Sarraf, J. L. Leighton, *Org. Lett.* **2000**, *2*, 3197.

9 examples (yields 54-85%) are reported.