Perkin 1 Abstracts: Solid Phase Organic Synthesis

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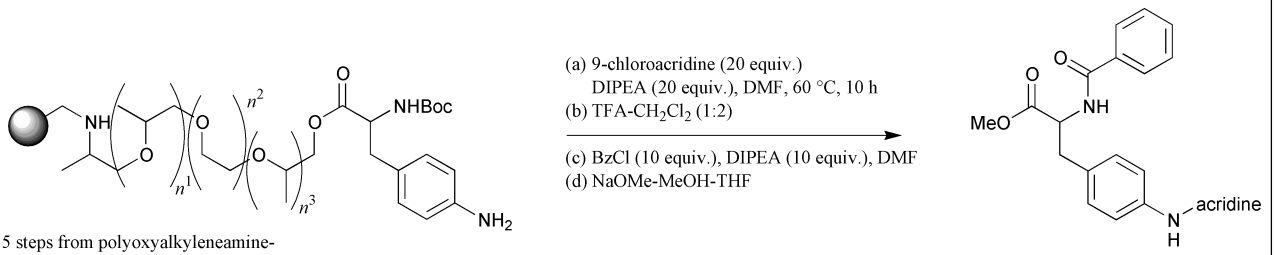
Perkin 1 Abstracts: Solid Phase Organic Synthesis are a selection of significant papers published in the recent literature covering the broad area of Solid Phase Organic Synthesis (SPOS). The abstracts cover preparation of single compounds on solid support as well as combinatorial libraries. Advances in new linker design are also covered.

Polyoxyalkyleneamine-grafted paramagnetic support. ***Support***

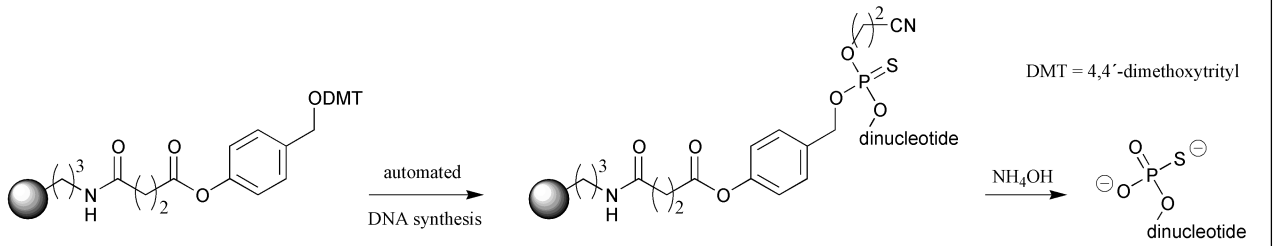


5 steps from polyoxyalkyleneamine-grafted paramagnetic support

1 example (yield 62%). Preparation of the support (1 step from chloromethylated paramagnetic support) is also reported.

I. Sucholeiki, J. M. Perez and P. D. Owens, *Tetrahedron Lett.*, 2001, **42**, 3279.

An acyloxyaryl linker for 3′-thiophosphorylated dinucleotide library generation. ***Linker***

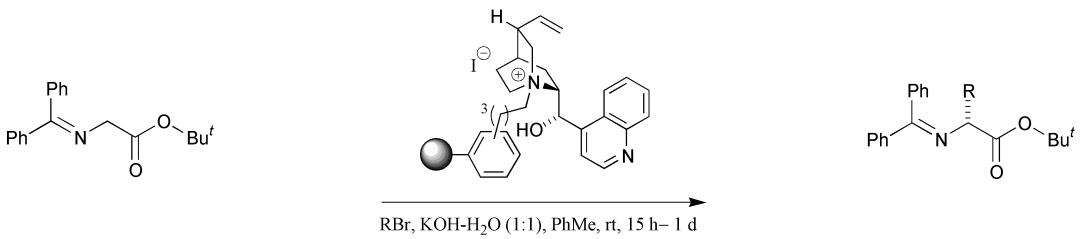


from controlled-pore-glass

Preparation of a 64-member library (yield 50-55%, HPLC purity 85-99%) is reported.

A. Roland, Y. Xiao, Y. Jin and R. P. Iyer, *Tetrahedron Lett.*, 2001, **42**, 3669.

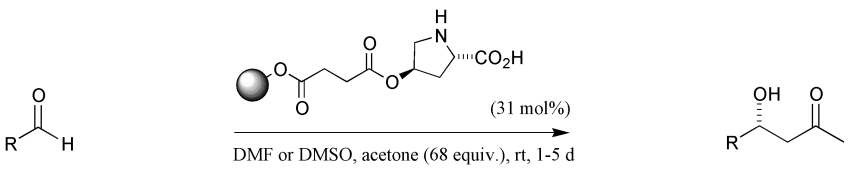
A chiral phase-transfer catalyst for the asymmetric synthesis of α-amino acids. ***Catalyst***



4 examples (yield 60-80%, %ee 37-81). Preparation of the illustrated catalyst (4 steps from poly[styrene-*co*-divinylbenzene]) is also reported.

B. Thierry, J.-C. Plaquevent and D. Cahard, *Tetrahedron: Asymmetry*, 2001, **12**, 983.

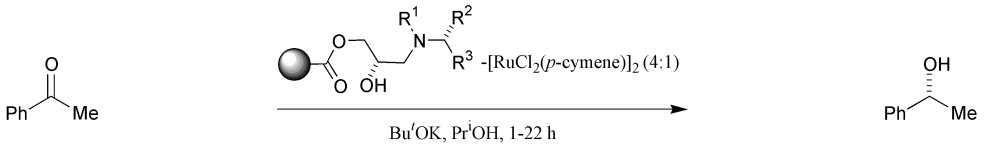
Catalytic enantioselective aldol condensations. ***Catalyst***



6 examples (yield 35-81%, %ee 59-98). Preparation of the illustrated, reusable catalyst (1 step from MeOPEG monosuccinate resin, yield 87%) and condensation of hydroxyacetone with an aldehyde *via* a similar route (1 example, yield 48%, %ee 98) are also reported.

M. Benaglia, G. Celentano and F. Cozzi, *Adv. Synth. Catal.*, 2001, **343**, 171.

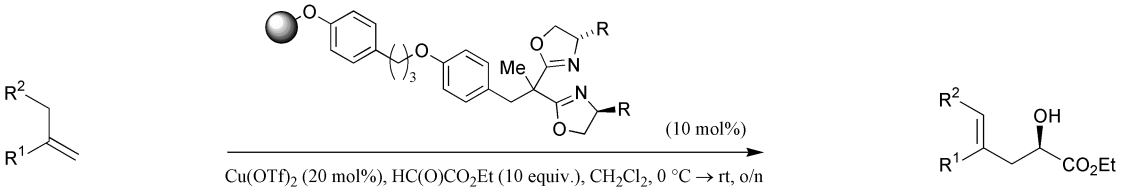
Enantiopure poly(glycidyl methacrylate-*co*-ethylene glycol dimethylacrylate): for catalytic asymmetric hydrogen transfer reduction. ***Reagent***



6 examples of the illustrated transformation, using 6 different polymer-supported amino alcohols as ligands for ruthenium (yield 7-95%, %ee 23-70). Preparation of the ligands (1 step from (*S*)-glycidyl methacrylate and ethylene glycol dimethacrylate, *via* radical suspension polymerization) is also reported.

A. Rolland, D. Hérault, F. Touchard, C. Saluzzo, R. Duval and M. Lemaire, *Tetrahedron: Asymmetry*, 2001, **12**, 811.

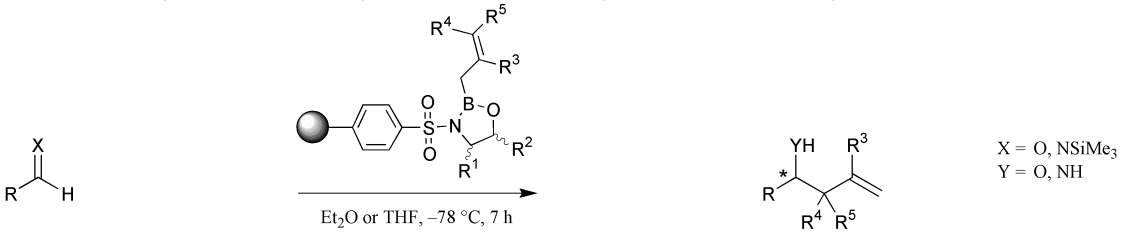
Soluble poly(ethylene glycol)-supported bisoxazolines as ligands for catalytic enantioselective synthesis. ***Reagent***



2 examples (yields 91, 96%, %ee 87, 95). Use of the illustrated ligand, prepared in 2 steps from soluble MeOPEG, in Diels-Alder reactions and cyclopropanation reactions is also reported (4 examples, yield 45-98%, %ee 0, 5, 30, 93).

R. Annunziata, M. Benaglia, M. Cinquini, F. Cozzi and M. Pitillo, *J. Org. Chem.*, 2001, **66**, 3160.

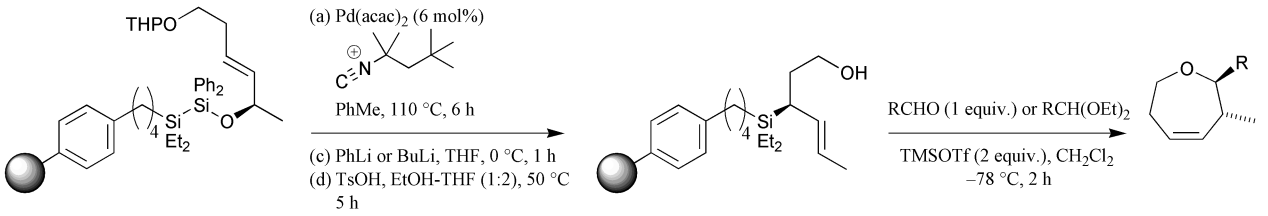
Enantioselective synthesis of homoallyl alcohols and homoallyl amines *via* chiral allylboranes. ***Reagent***



38 examples (yield 51-99%, %ee 39-95). Both product enantiomers are available depending on the allylborane reagent employed. Preparation of the polymer supported allylborane reagents (14 examples, 3 steps from chiral *N*-sulfonylamino alcohol *para*-substituted styrenes) is also reported.

S. Itsuno, K. Watanabe and A. A. El-Shehawy, *Adv. Synth. Catal.*, 2001, **343**, 89.

Preparation and application of enantioenriched allylsilanes. ***Reagent***

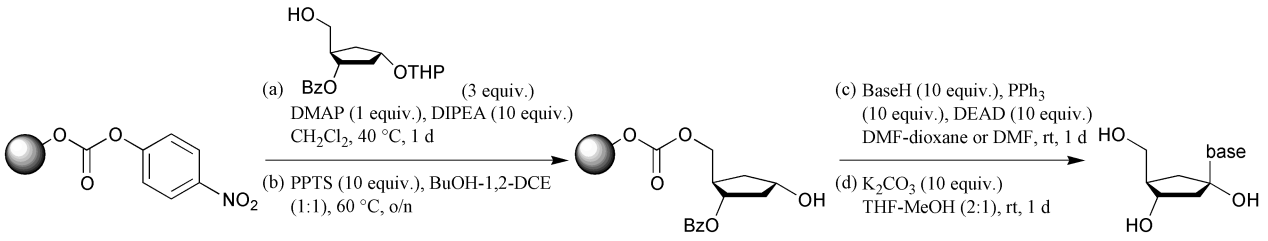


4 steps from PS bound hydrosilane

5 examples (yield 59-70%, %ee 97-98). Preparation of 2 similar polymer-supported enantioenriched allylsilanes and their use in allylation of aldehydes (4 examples, yield 34-54%, %ee 93-99) are also reported.

M. Suginome, T. Iwanami and Y. Ito, *J. Am. Chem. Soc.*, 2001, **123**, 4356.

Carbocyclic L-2′-deoxynucleosides.

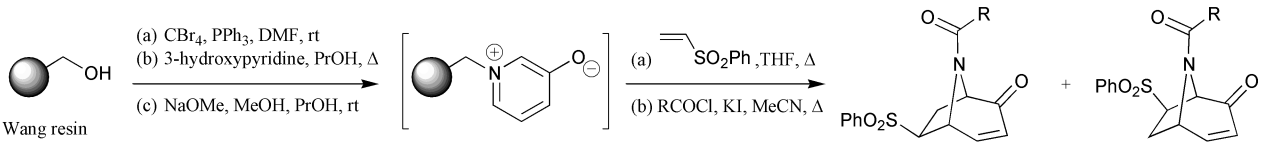


resin not specified

5 examples (yield 58-82%, purity 30-100%). Solution-phase synthesis of the carbocyclic moiety is also reported.

H. Choo, Y. Chong and C. K. Chu, *Org. Lett.*, 2001, **3**, 1471.

Functionalised tropane derivatives *via* 1,3-dipolar cycloaddition.

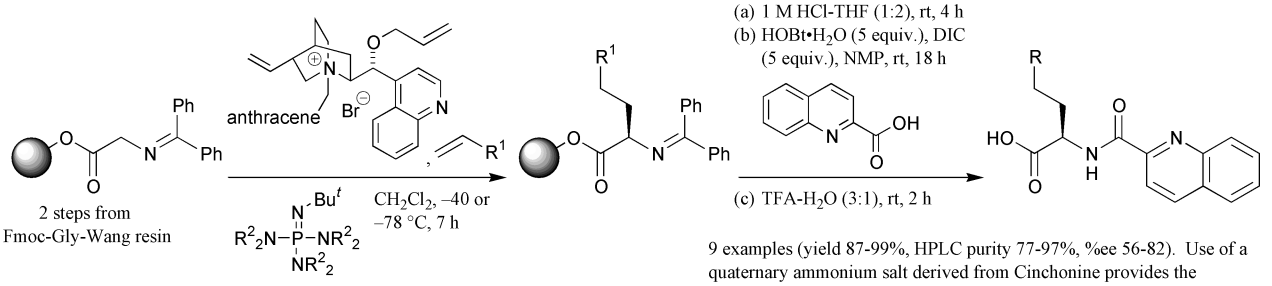


Wang resin

S. Caix-Haumesser, I. Hanna, J. -Y. Lallemand and J. -F. Peyronel, *Tetrahedron Lett.*, 2001, **42**, 3721.

3 examples (yield of the major regioisomer 44-100%). Preparation of another bicyclic enone *via* a similar route (1 example, yield 45%) and 1,4-additions to some of the tropane-type products (2 examples) are also reported.

Glutamic acid derivatives *via* enantioselective Michael addition.

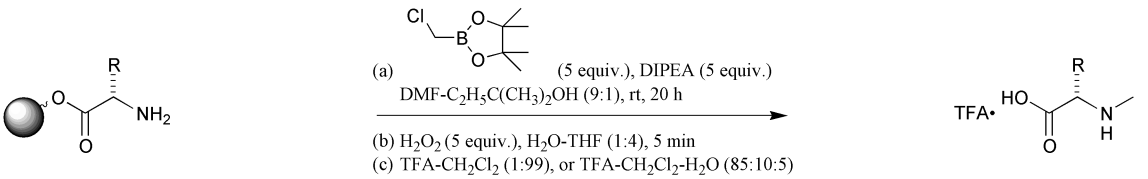


2 steps from Fmoc-Gly-Wang resin

M. J. O'Donnell, F. Delgado, E. Domínguez, J. de Blas and W. L. Scott, *Tetrahedron: Asymmetry*, 2001, **12**, 821.

9 examples (yield 87-99%, HPLC purity 77-97%, %ee 56-82). Use of a quaternary ammonium salt derived from Cinchonine provides the (*R*)-Michael product (5 examples, yield 86-98%, HPLC purity 79-98%, %ee 33-59).

Direct mono-*N*-methylation of polymer-supported amino acids.

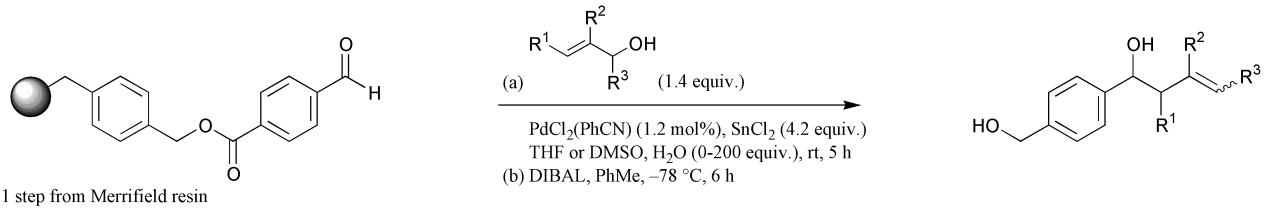


from Wang or SASRIN resin

C. Laplante and D. G. Hall, *Org. Lett.*, 2001, **3**, 1487.

6 examples (yield 74-95%, ^1H NMR purity 90-95%).

Palladium-catalysed carbonyl allylation by allylic alcohols with $SnCl_2$.

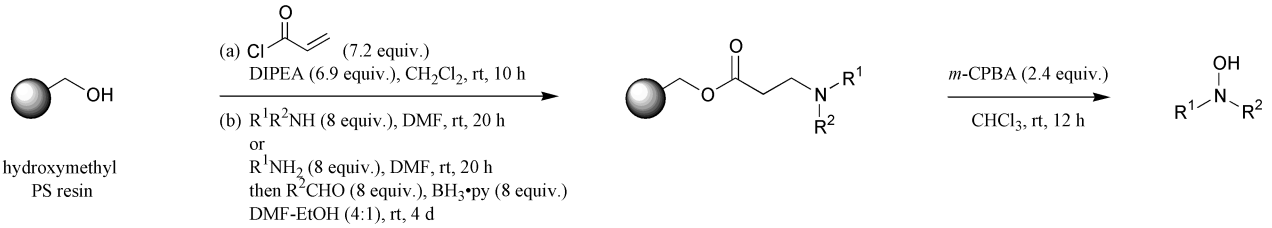


1 step from Merrifield resin

L. Carde, A. Llebaria and A. Delgado, *Tetrahedron Lett.*, 2001, **42**, 3299.

24 examples (yield 31-89%). Use of Wang and TentaGel S PHB supported aldehydes for carbonyl allylation *via* a similar route (2 examples, yield 41-89%) is also reported.

Oxidation–Cope elimination.



hydroxymethyl PS resin

R. E. Sammelson and M. J. Kurth, *Tetrahedron Lett.*, 2001, **42**, 3419.

7 examples (yields 47-70%).