Perkin 1 Abstracts: Solid Phase Organic Synthesis

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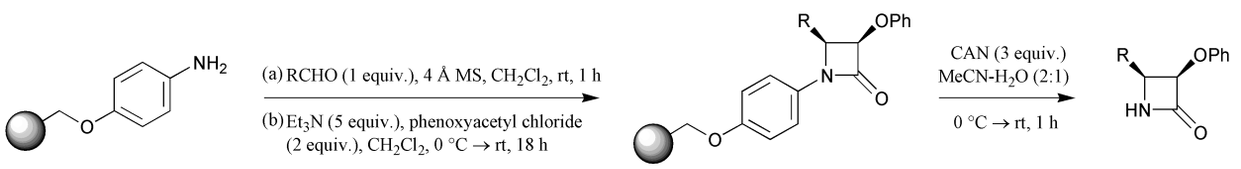
Perkin 1 Abstracts: Solid Phase Organic Synthesis are a selection of significant papers published in the recent literature covering the broad area of Solid Phase Organic Synthesis (SPOS). The abstracts cover preparation of single compounds on solid support as well as combinatorial libraries. Advances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

Benzyloxyaniline linker utilizing ceric ammonium nitrate as a cleavage reagent: synthesis of β-lactams and secondary amides. ***Linker***

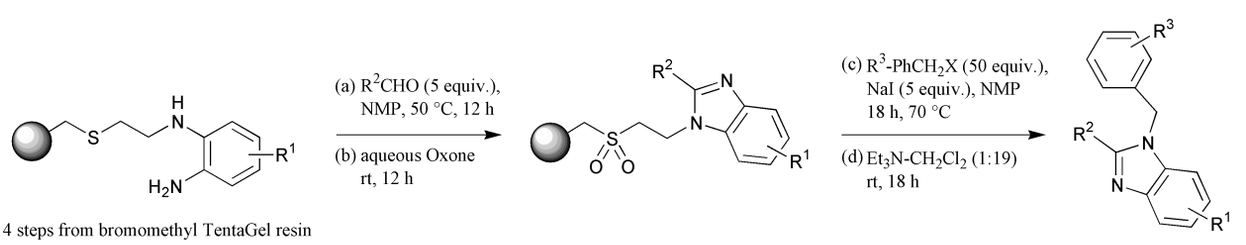


3 steps from bromomethyl TentaGel resin

6 examples (yields 45-88%, HPLC purity 93-99%). Cleavage of 5 acyclic secondary amides *via* a similar route is also reported (yields 64-83%, HPLC purity 93-96%).

K. H. Grodon and S. Balasubramanian, *Org. Lett*., 2001, **3**, 53.

Traceless synthesis of substituted benzimidazoles *via* a base cleavable linker. ***Linker***

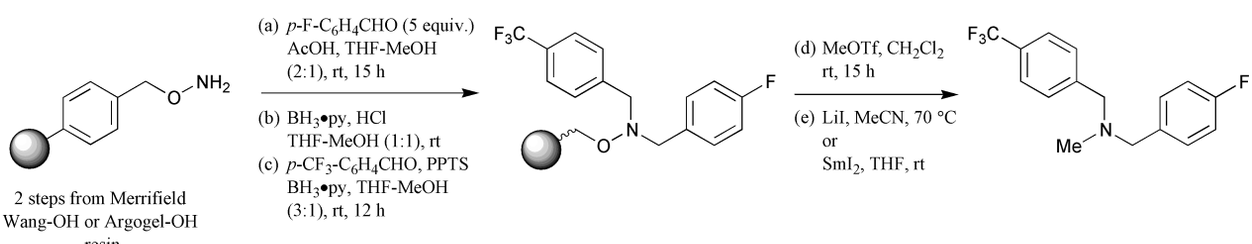


4 steps from bromomethyl TentaGel resin

17 examples (yields 10-75%, HPLC purity 81-96%). Preparation of a further 8 benzimidazoles *via* a similar route is also reported (yields 46-77%, HPLC purity 82-95%).

D. Tumelty, K. Cao and C. P. Holmes, *Org. Lett*., 2001, **3**, 83.

Tertiary amines *via* traceless linking at nitrogen. ***Linker***

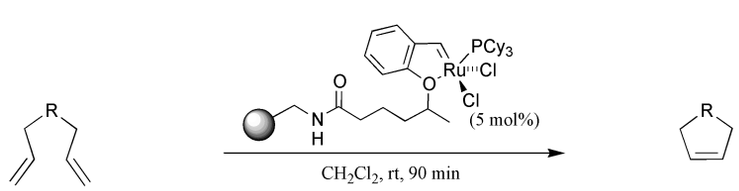


2 steps from Merrifield Wang-OH or Argogel-OH resin

M. Gustafsson, R. Olsson and C.-M. Andersson, *Tetrahedron Lett.*, 2001, **42**, 133.

1 example (purity >99%).

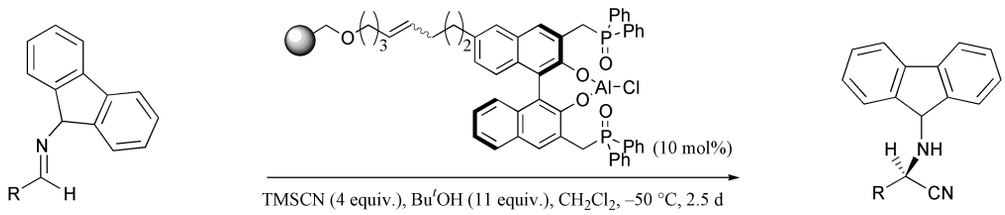
Olefin metathesis in non-degassed solvent using polymer-supported alkylideneruthenium. ***Catalyst***



5 examples (yields 18-95%) and 1 example of cross-metathesis (yield 33%). Synthesis of the illustrated catalysts in 2 steps from aminomethyl polystyrene resin is also reported.

J. Dowden and J. Savovic, *Chem. Commun.*, 2001, **1**, 37.

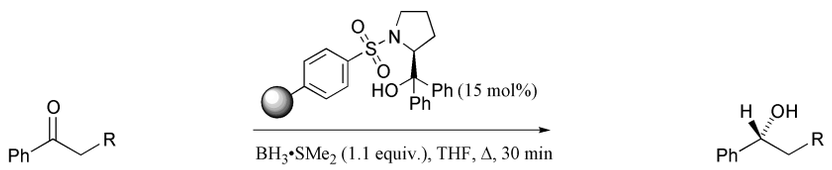
Enantioselective Strecker-type reaction promoted by a polymer-supported bifunctional catalyst. ***Catalyst***



H. Nogami, S. Matsunaga, M. Kanai and M. Shibasaki, *Tetrahedron Lett.*, 2001, **42**, 279.

12 examples (yields 55-100%, %ee 53-87%). Preparation of the illustrated catalyst from Merrifield or Janda*JEL*^{TM} resin is also reported.

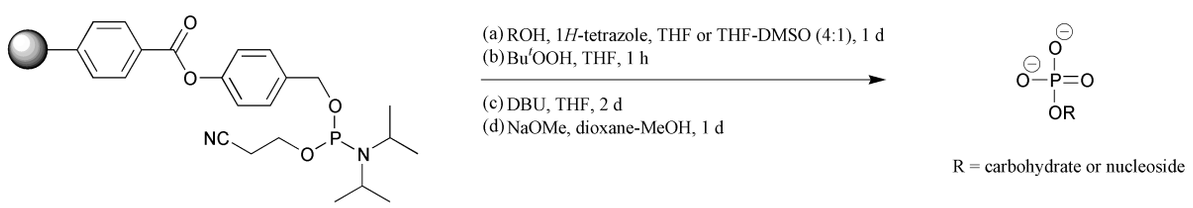
Catalytic asymmetric reduction of prochiral ketones using a chiral sulfonamide. ***Catalyst***



J. Hu, G. Zhao, G. Yang and Z. Ding, *J. Org. Chem.*, 2001, **66**, 303.

9 examples (yields 86-99%, %ee 53-96%). Preparation of the illustrated catalyst in 2 steps from polystyrene-divinylbenzene cross-linked polymer is also reported.

Capture-phosphorylation of alcohols. ***Reagent***

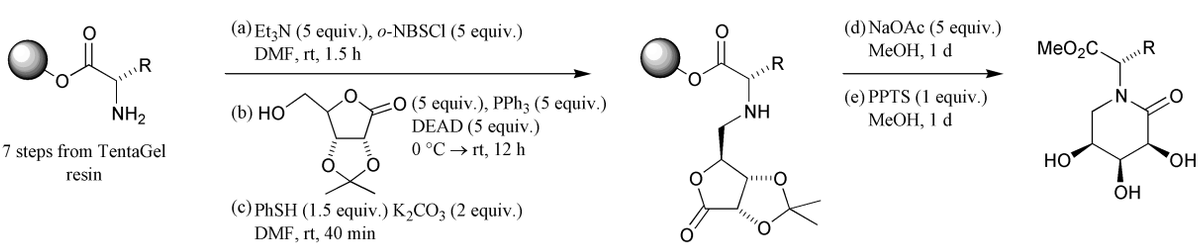


4 steps from carboxypolystyrene resin

K. Parang, E. J.-L. Fournier and O. Hindsgaul, *Org. Lett.*, 2001, **3**, 307.

3 examples (yields 67-79%).

Enantiomerically pure polyhydroxyvalerolactams.

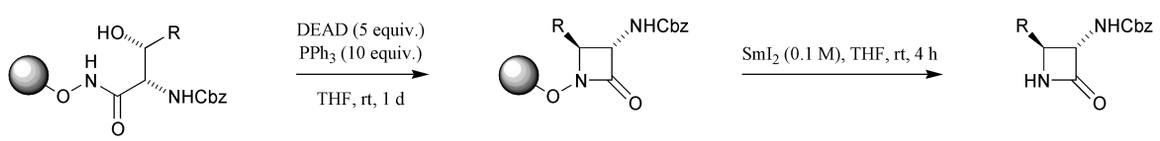


7 steps from TentaGel resin

J. Piró, Mario Rubiralta, E. Giralt and A. Diez, *Tetrahedron Lett.*, 2001, **42**, 871.

3 examples (yields 68-80%).

β-Lactams *via* the Miller hydroxamate approach.

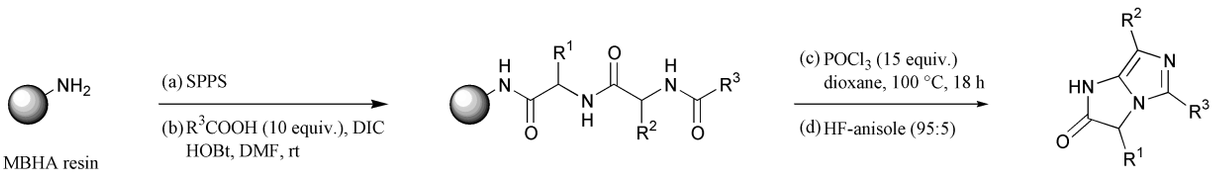


3 steps from polystyrene *o*-trityl hydroxylamine linker

M. M. Meloni and M. Taddei, *Org. Lett.*, 2001, **3**, 337.

2 examples (yields 45-52%) and 2 examples of 1-hydroxy-β-lactams using acidic cleavage conditions (yields 35%). Preparation of functionalised β-lactams (2 examples, yields 36-52%) and 1 peptide containing β-lactam (yield 36%) *via* a similar route is also reported.

[3,5,7]-1*H*-Imidazo[1,5-*a*]imidazol-2(3*H*)-ones.

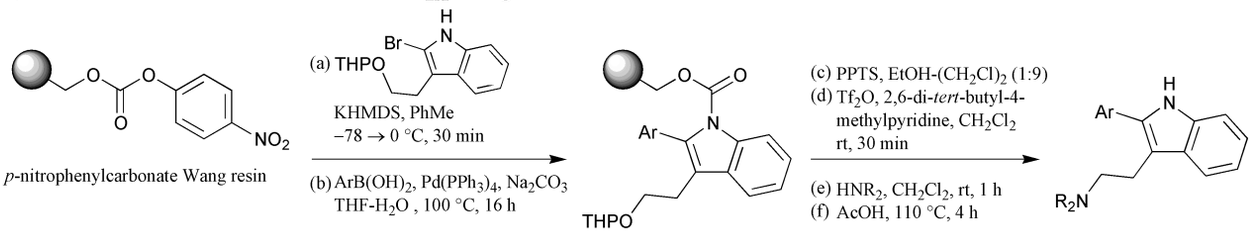


MBHA resin

Y. Yu, H. M. El Abdellaoui, J. M. Ostresh and R. A. Houghten, *Tetrahedron Lett.*, 2001, **42**, 623.

10 examples (yields 35-63%).

2,3-Disubstituted indoles: selective h5-HT$_{2A}$ antagonists.

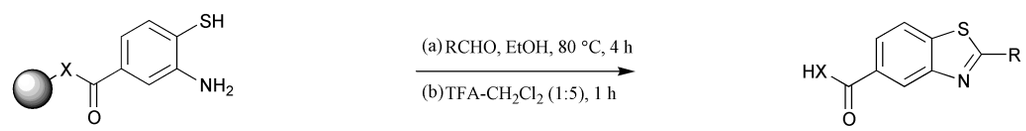


p-nitrophenylcarbonate Wang resin

A. L. Smith, G. I. Stevenson, S. Lewis, S. Patel and J. L. Castro, *Bioorg. Med. Chem. Lett.*, 2000, **10**, 2697.

4 examples (yields 35-47%, HPLC purity 84-94%). Preparation of 2,3-disubstituted indoles (2 examples, yields 61-65%, HPLC purity 89-94%) and monosubstituted indoles (5 examples, yields 51-91%), *via* a similar route, is also reported.

Benzothiazole and 2,3-dihydro-[1,5]-benzothiazepine derivatives.

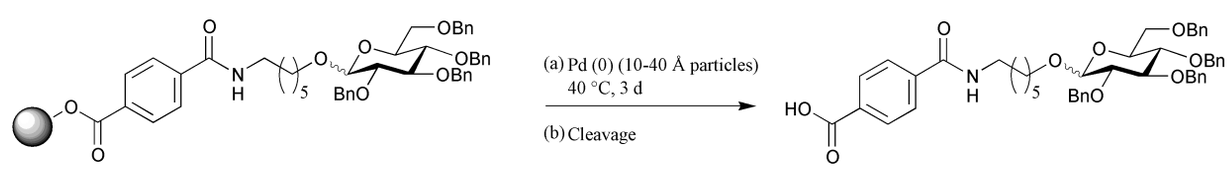


2 steps from Wang or Rink amide resin

C. L. Lee, Y. Lam and S.-Y. Lee, *Tetrahedron Lett.*, 2001, **42**, 109.

7 examples (yields 49-91%, HPLC purity 64-100%). Preparation of 2,3-dihydro-[1,5]-benzothiazepine derivatives *via* a similar route is also reported (3 examples, yields 29-34%, HPLC purity 90-100%).

Palladium nanoparticles for the removal of benzyl protecting groups.

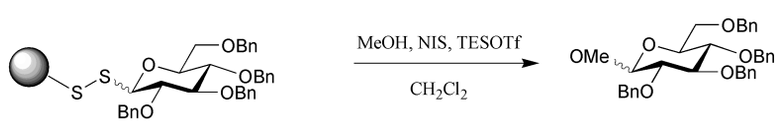


2 steps from TentaGel or PEGA resin

O. Kaine, G. Grotenbreg and C.-H. Wong, *Angew. Chem., Int. Ed.*, 2000, **39**, 4545.

1 example (yield 88%). Removal of benzyl protecting groups from a fucoside and a lactose derivative is also reported (yields 95-100%).

Glycosyldisulfides as glycosyl donors.

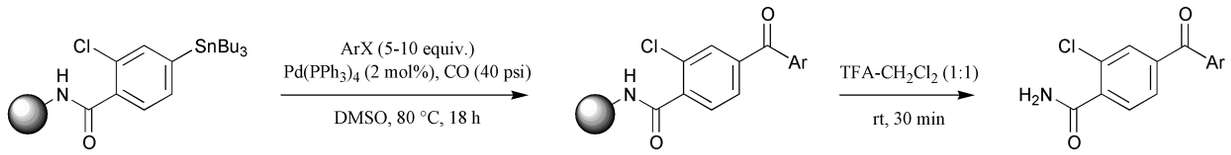


1 step from mercaptomethylpolystyrene resin

B. G. Davis, S. J. Ward and P. M. Rendle, *Chem. Commun.*, 2001, **2**, 189.

1 example (yield 67%, α:β = 1:2). Preparation of a solution-phase glycosyl donor from the illustrated resin-bound disulfide glycosyl donor is also reported (no yields or purity given).

Diaryl ketones *via* three-component Stille coupling.



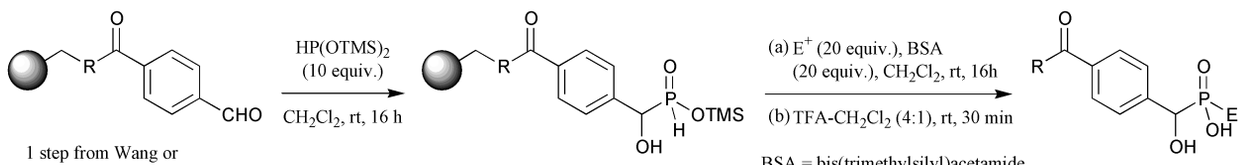
1 step from Rink amide resin

X = Br, I or OTf

W. Yun, S. Li, B. Wang and L. Chen, *Tetrahedron Lett.*, 2001, **42**, 175.

16 examples (yields 38, 81-99%, HPLC purity 17-100%).

Unsymmetrical phosphinic acids.



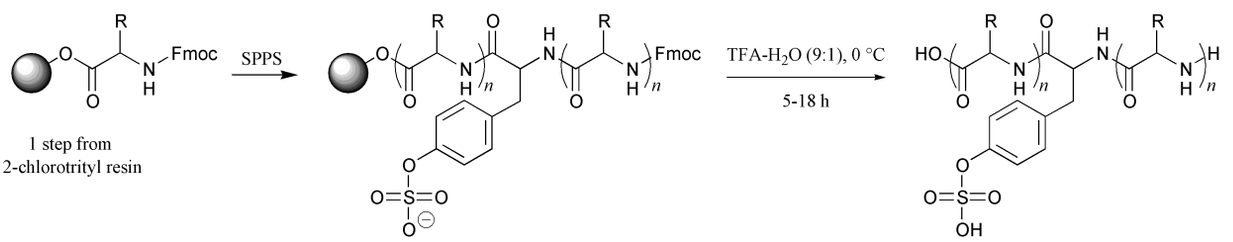
1 step from Wang or functionalized Ameba resin

BSA = bis(trimethylsilyl)acetamide

P. B. Cox, V. M. Loh, Jr., C. Monteils, A. D. Baxter and E. A. Boyd, *Tetrahedron Lett.*, 2001, **42**, 125.

5 examples (yields 45-95%, purity 60-100%). Cleavage of monosubstituted phosphoric acids (4 examples, yields 95%, purity 63-100%) and preparation of heterobiaryl substituted phosphoric acids, *via* a similar route (2 examples, yields 95%, LCMS purity 100%) is also reported.

Sulfated tyrosine-containing peptides.

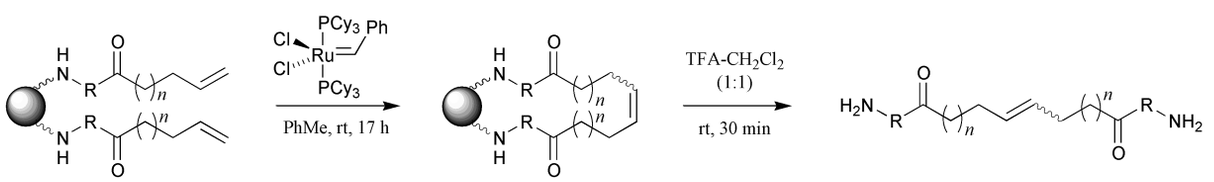


1 step from 2-chlorotrityl resin

K. Kitagawa, C. Aida, H. Fujiwara, T. Yagami, S. Futaki, M. Kogire, J. Ida and K. Inoue, *J. Org. Chem.*, 2001, **66**, 1.

7 examples of the preparation of human gastrin-II and CCK peptides (yields 8-38%).

Dimeric *N*,*N*′-linked peptides *via* olefin metathesis.

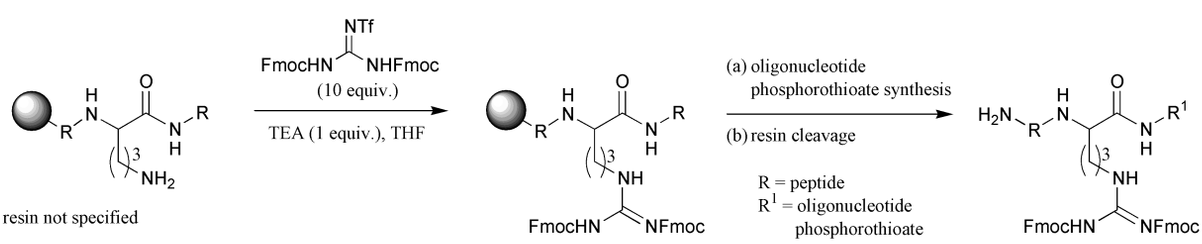


steps from polystyrene resin

K. Conde-Frieboes, S. Andersen and J. Breinholt, *Tetrahedron Lett.*, 2000, **41**, 9153.

20 examples (HPLC purity 93-100%, various *cis* and *trans* ratios).

Arginine residues for peptide-oligonucleotide phosphorothioate conjugate synthesis.



resin not specified

M. Antopolsky and A. Azhayev, *Tetrahedron Lett.*, 2000, **41**, 9113.

3 examples containing 15- or 17-member oligonucleotide phosphorothioates and 10- or 16-member peptides, incorporating 2 or 3 arginine residues (yields 11-16%).