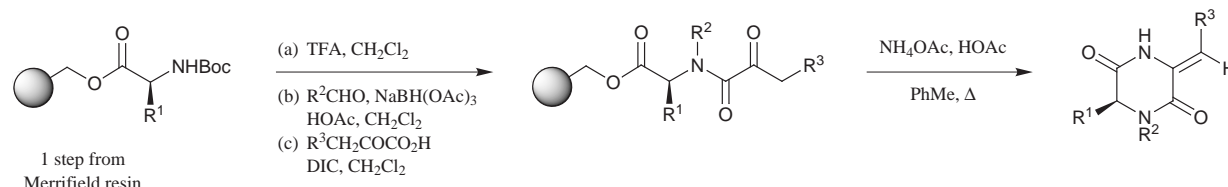


Compilers: John Christopher and Louise Lea

Department of Chemistry, University of Glasgow, Glasgow, UK G12 8QQ

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.

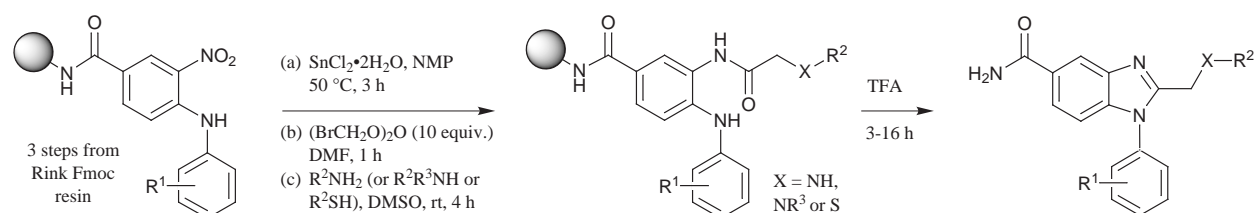
2,5-Dioxopiperazine derivatives



W.-R. Li and S.-Z. Peng, *Tetrahedron Lett.*, 1998, **39**, 7373.

10 examples (yields 42-74%).

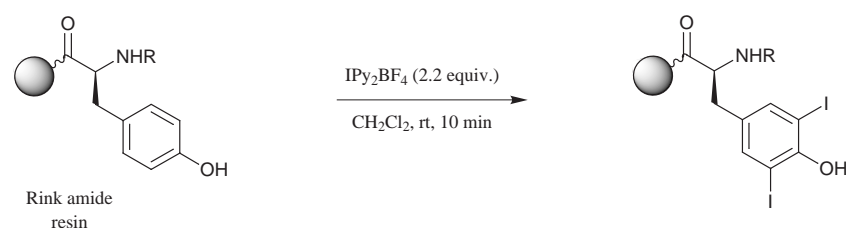
1-Phenyl-2-aminomethyl-benzimidazoles and 1-phenyl-2-thiomethyl-benzimidazoles.



D. Tumelty, M. K. Schwarz and M. C. Needels, *Tetrahedron Lett.*, 1998, **39**, 7467.

24 examples (yields 55-95%, HPLC purity 80-95%).

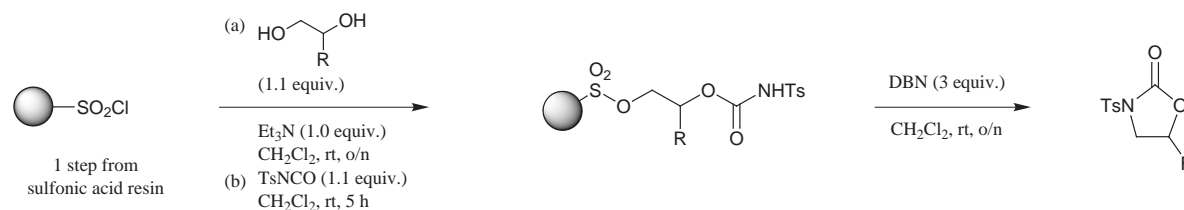
Direct iodination of tyrosine residues on solid support by IPy₂BF₄.



G. Arsequell, G. Espuña, G. Valencia, J. Barluenga, R. Pérez Carlón and J. M. González, *Tetrahedron Lett.*, 1998, **39**, 7393.

Preparation of diiodinated Tyr containing peptides is reported (18 examples). Iodination is selective for Tyr residues vs Phe and gives no oxidation by-products of Met residues.

3,5-Disubstituted 1,3-oxazolidin-2-ones

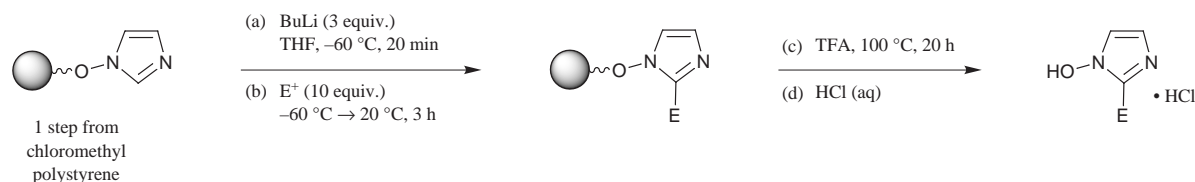


P. ten Holte, L. Thijs and B. Zwanenburg, *Tetrahedron Lett.*, 1998, **39**, 7407.

3 examples (yields 79->99%) are reported.

DBN = 1,5-diazabicyclo[4.3.0]non-5-ene

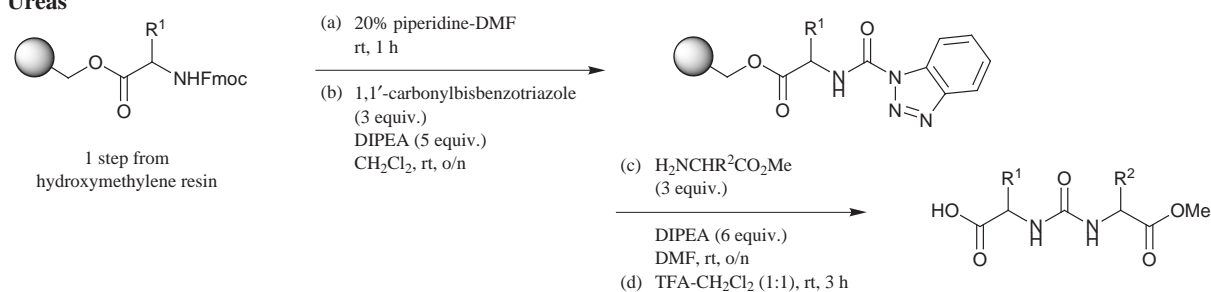
2-Substituted 1-hydroxyimidazoles



S. Havez, M. Begtrup, P. Vedsø, K. Andersen and T. Ruhland, *J. Org. Chem.*, 1998, **63**, 7418.

8 examples (yields 52-93%).

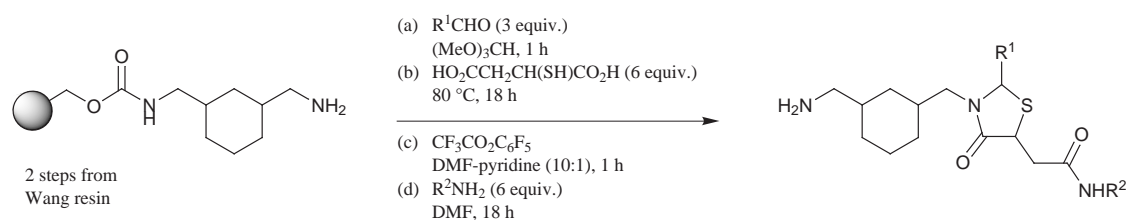
Ureas



J. W. Nieuwenhuijzen, P. G. M. Conti, H. C. J. Ottenheijm and J. T. M. Linders, *Tetrahedron Lett.*, 1998, **39**, 7811.

8 examples (yields 70-100%, NMR purity 71-97%).

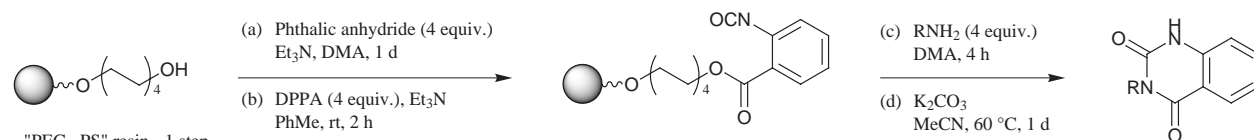
Amino-substituted thiazolidinones



M. C. Munson, A. W. Cook, J. A. Josey and C. Rao, *Tetrahedron Lett.*, 1998, **39**, 7223.

A library of >1000 compounds is reported (purity generally >65%).

Quinazoline-2,4-diones



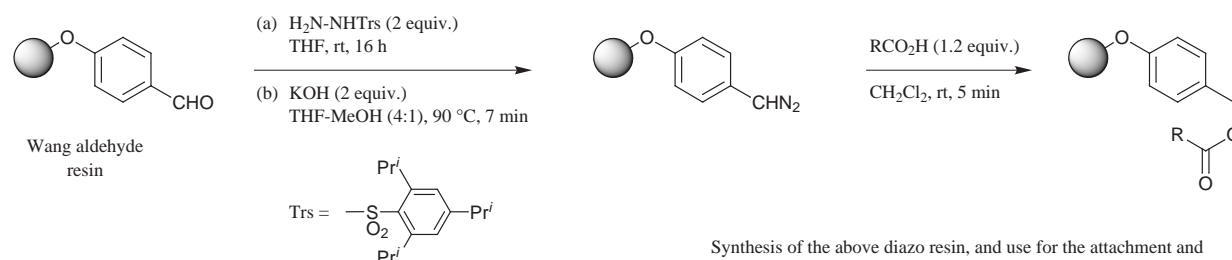
H. Shao, M. Colucci, S. Tong, H. Zhang and A. L. Castelhan, *Tetrahedron Lett.*, 1998, **39**, 7235.

13 examples (yields 72-81%, purity 91-98%).

DMA = *N,N*-dimethylacetamide.

Solid-phase synthesis of an activated diazo linker.

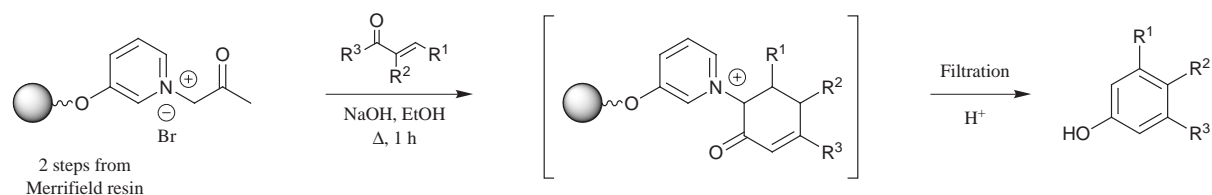
Linker



G. Bhalay and A. R. Dunstan, *Tetrahedron Lett.*, 1998, **39**, 7803.

Synthesis of the above diazo resin, and use for the attachment and cleavage (TFA-H₂O (95:5), rt, 20 min) of acids is reported. 6 examples (yields after cleavage 86-95%, ¹H NMR purity 91-98%).

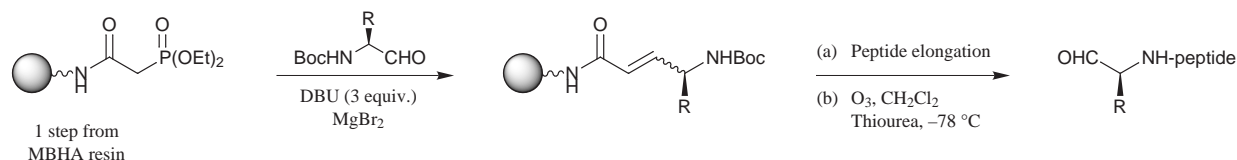
3,5- and 3,4,5-Substituted phenols



A. R. Katritzky, S. A. Belyakov, Y. Fang and J. S. Kiely, *Tetrahedron Lett.*, 1998, **39**, 8051.

12 examples (yields 52-85%, GC/MS purity 72-100%).

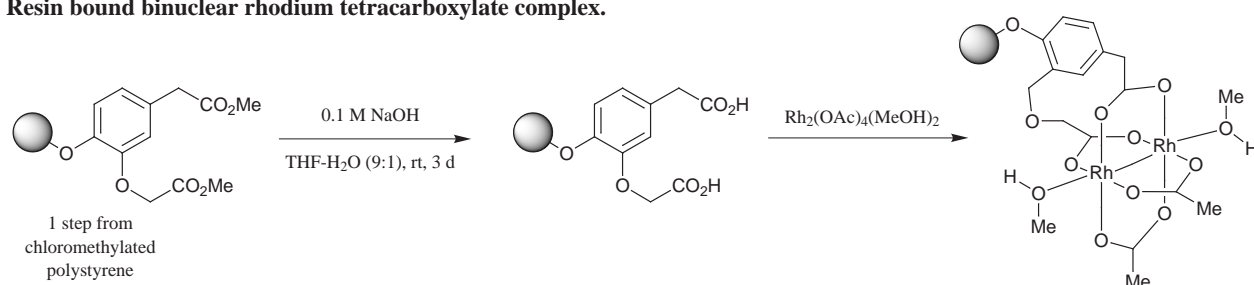
Peptide aldehydes



M. Paris, A. Heitz, V. Guerlavais, M. Cristau, J.-A. Fehrentz and J. Martinez, *Tetrahedron Lett.*, 1998, **39**, 7287.

1 example is reported (96% yield, HPLC purity 82%, 9% epimerization of the α -carbon of the C-terminal residue).

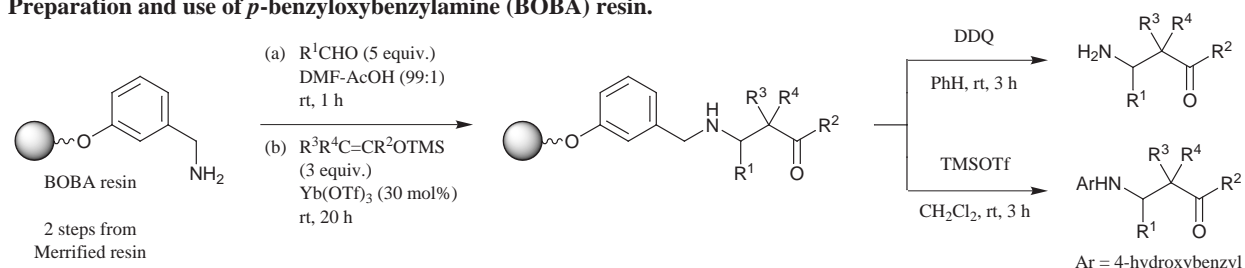
Resin bound binuclear rhodium tetracarboxylate complex.



J.-A. M. Andersen, N. Karodia, D. J. Miller, D. Stones, and D. Gani, *Tetrahedron Lett.*, 1998, **39**, 7815.

Preparation of the above rhodium(II) catalyst and its utilisation in isomerisation, hydroformylation and hydrogenation reactions is reported.

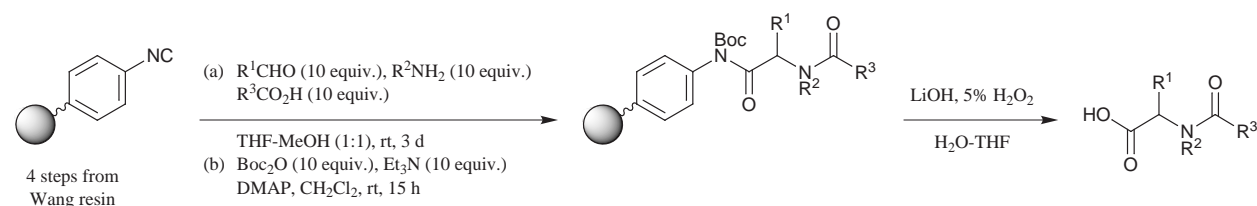
Preparation and use of *p*-benzyloxybenzylamine (BOBA) resin.



S. Kobayashi and Y. Aoki, *Tetrahedron Lett.*, 1998, **39**, 7345.

Preparation of the resin and 10 examples of the formation of (*N*-4-hydroxybenzyl)amino esters and free amino esters (yields 50-98%) are reported.

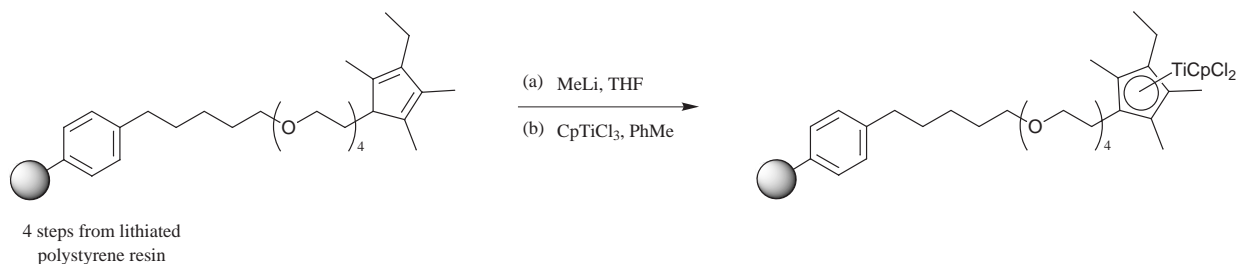
Carboxylic acids, 1,4-benzodiazepines, diketopiperazines, ketopiperazines and dihydroquinoxalinones



C. Hulme, J. Peng, G. Morton, J. M. Salvino, T. Herpin and R. Labaudiniere, *Tetrahedron Lett.*, 1998, **39**, 7227.

2 examples of carboxylic acids, and 17 examples of cyclisation using internal amino nucleophiles to give the above classes of products are reported (purities generally >70%).

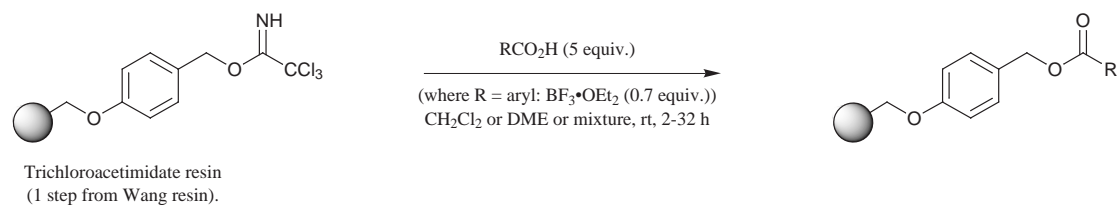
Polystyrene supported peralkylated titanocene catalyst.



A. G. M. Barrett and Y. R. de Miguel, *Chem. Commun.*, 1998, 2079.

Preparation of the above supported titanocene catalyst and its use for olefin polymerisation is reported.

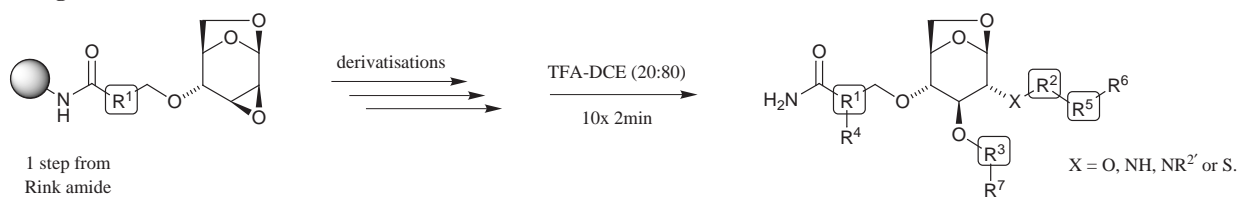
Trichloroacetimidate-activated resin for ester formation.



C. W. Phoon, S. F. Oliver and C. Abell, *Tetrahedron Lett.*, 1998, **39**, 7959.

8 examples (100% conversion in each case). The preparation of phosphonic and sulfonic esters, carboxylic thioesters, thiol ethers and thiol resin from trichloroacetimidate resin is also reported.

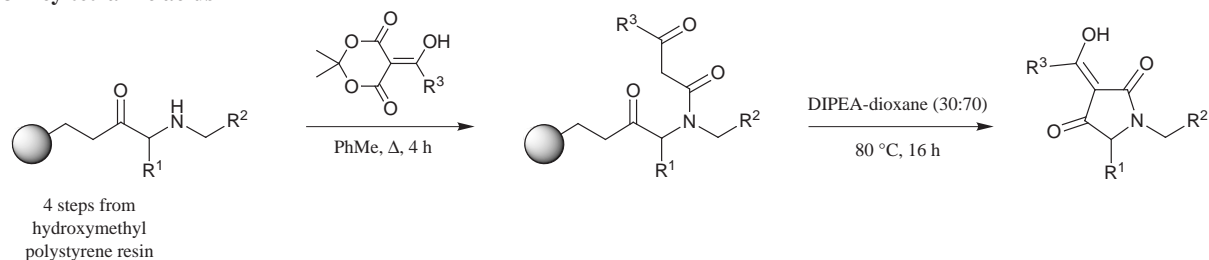
Levoglucosan derivatives



W. K.-D. Brill, A. De Mesmaeker and S. Wendeborn, *Synlett*, 1998, 1085.

The Levoglucosan skeleton is used as a scaffold for the solid-phase synthesis of a 58 membered compound library (yields 140>95%). Epoxide opening and alkylation reactions are used to elaborate the 2, 3 and 4 positions. Further derivatisation is achieved with acylations or Pd-mediated coupling reactions.

3-Acyltetramic acids

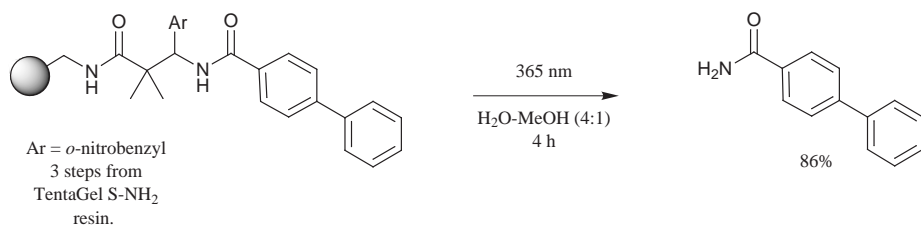


L. Weber, P. Iazza, G. Biringier and P. Barbier, *Synlett*, 1998, 1156.

12 examples (yields 11-61% over 4 steps, HPLC purity 80-100%).

Acid- and base-stable *o*-nitrobenzyl photolabile linker.

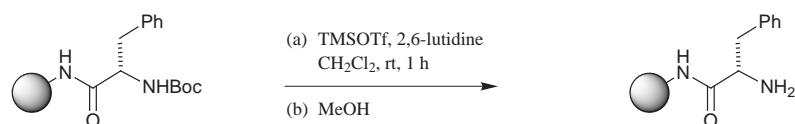
Linker



S. M. Sternson and S. L. Schreiber, *Tetrahedron Lett.*, 1998, **39**, 7451.

The linker is stable to acid, base and Lewis acid/amine combinations.

A method for *N*-Boc deprotection on TFA-sensitive resins.

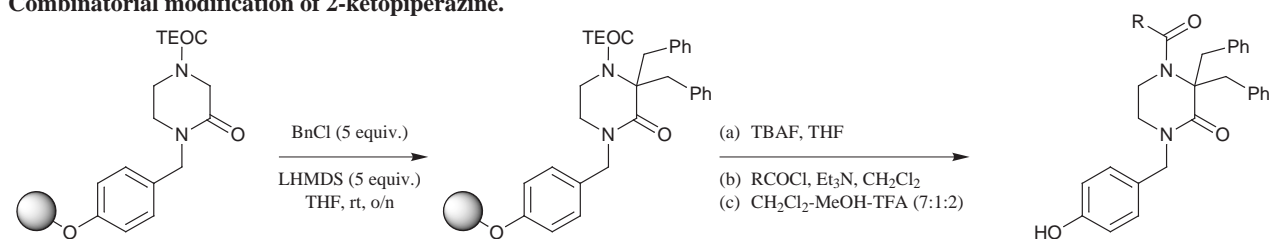


1 step from
Rink resin.

A. J. Zhang, D. H. Russell, J. Zhu and K. Burgess, *Tetrahedron Lett.*, 1998, **39**, 7439.

10 examples deprotection of peptides (HPLC purity 39-97%).

Combinatorial modification of 2-ketopiperazine.

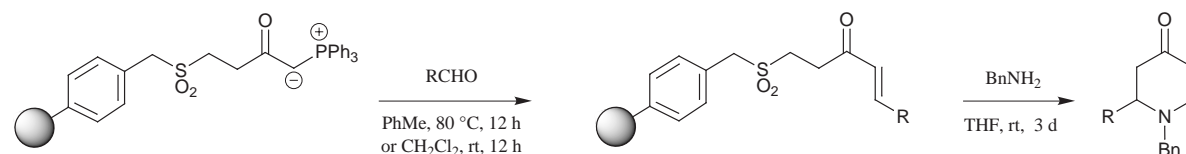


2 steps from
2-chlorotritylchloride
resin

Z. Zhu and B. Mckittrick, *Tetrahedron Lett.*, 1998, **39**, 7479.

15 examples (HPLC purity 72-100%).

Piperidin-4-one derivatives

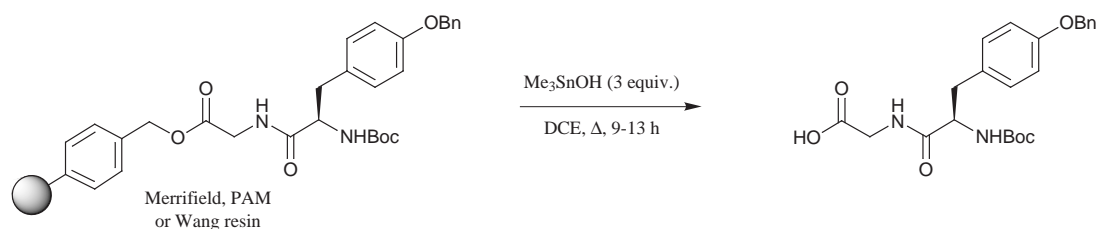


7 steps from
Merrifield resin

A. Barco, S. Benetti, C. De Risi, P. Marchetti, G. P. Pollini and V. Zanirato, *Tetrahedron Lett.*, 1998, **39**, 7591.

5 examples.

Selective detachment of Boc-protected amino acids and peptides from commonly used resins.

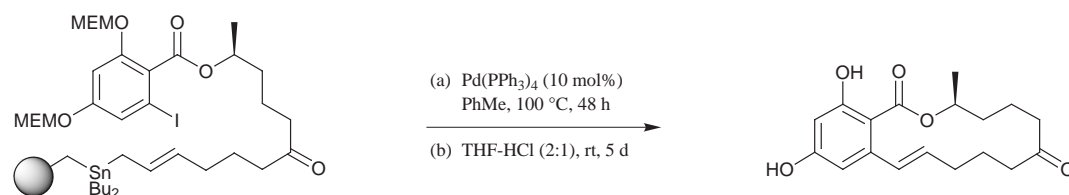


Merrifield, PAM
or Wang resin

R. L. E. Furlán, E. G. Mata, O. A. Mascaretti, C. Peña and M. P. Coba, *Tetrahedron*, 1998, **54**, 13023.

18 examples (yields 80-100%).

(*S*)-Zearalenone

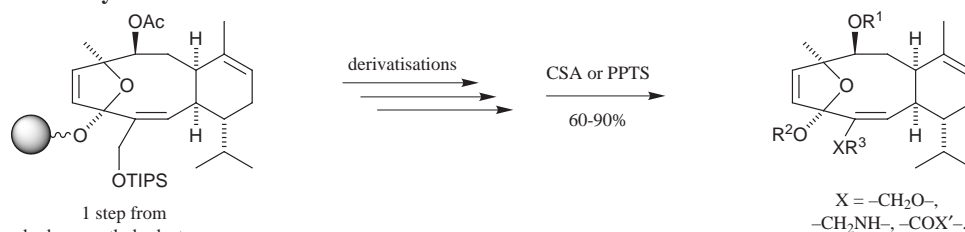


8 steps from Merrifield
supported dibutyltin hydride

K. C. Nicolaou, N. Winssinger, J. Pastor and F. Murphy, *Angew. Chem., Int. Ed.*, 1998, **37**, 2534.

The solid-phase synthesis of macrocyclic systems via a Stille coupling cyclorelease strategy is described. 3 examples (yields 51-56%).

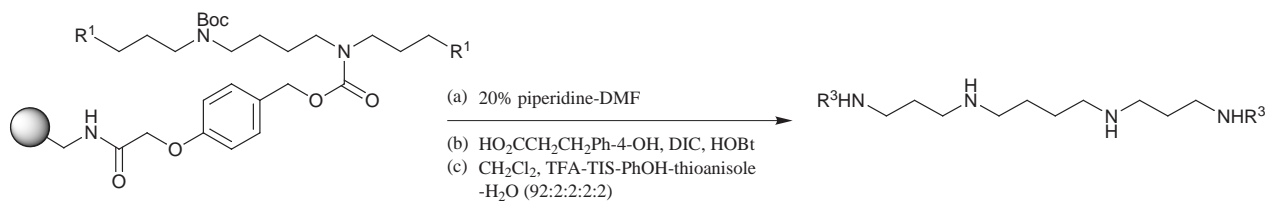
Sarcodictyin libraries



K. C. Nicolaou, N. Winssinger, D. Vourloumis, T. Ohshima, S. Kim, J. Pfefferkorn, J.-Y. Xu and T. Li, *J. Am. Chem. Soc.*, 1998, **120**, 10814.

A library of 65 sarcodictyin analogues is prepared by a combination of solution- and solid-phase methods from one common precursor.

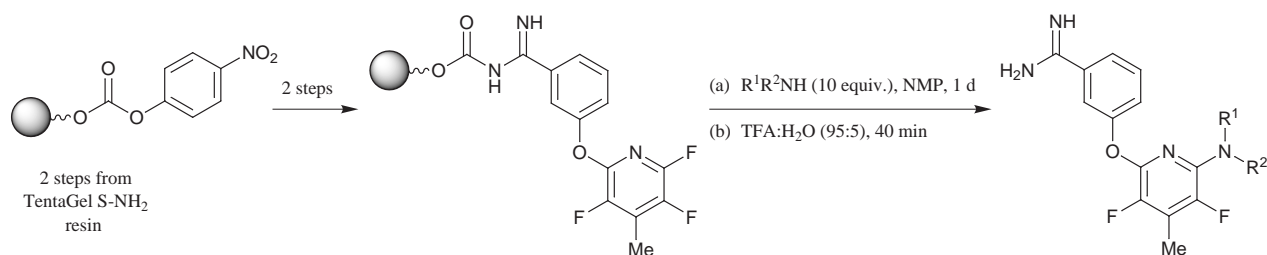
Symmetrical spermine conjugates



P. Page, S. Burrage, L. Baldock and M. Bradley, *Bioorg. Med. Chem. Lett.*, 1998, **8**, 1751.

3 examples.

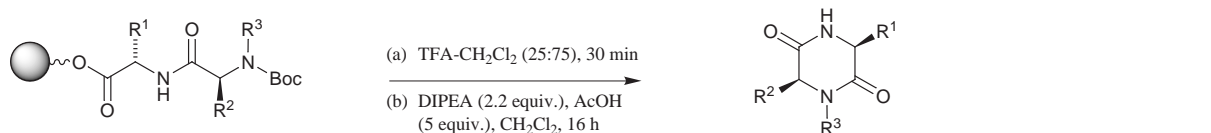
N-Substituted amidinophenoxy pyridines as factor Xa inhibitors.



R. Mohan, W. Yun, B. O. Buckman, A. Liang, L. Trinh and M. M. Morrissey, *Bioorg. Med. Chem. Lett.*, 1998, **8**, 1877.

13 examples (HPLC purity 70-90%).

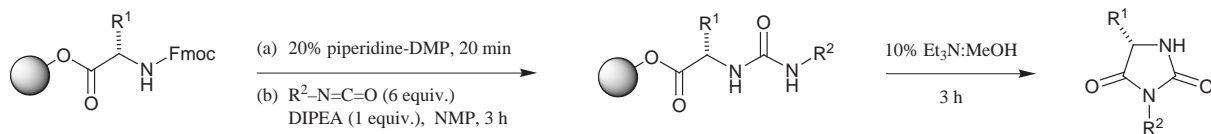
Piperazinediones and diazepinediones



R. A. Smith, M. A. Bobko and W. Lee, *Bioorg and Med. Chem. Lett.*, 1998, **8**, 2369.

A combinatorial library of several hundred is prepared via parallel solid-phase synthesis.

Hydantoins



A. Boeijen, J. A. W. Kruijtzter and R. M. J. Liskamp, *Bioorg. Med. Chem. Lett.*, 1998, **8**, 2375.

42 examples (yields 40->99%). The solid-phase syntheses of 3,5-disubstituted hydantoins (6 examples, yields 88-99%) and 1,3,5-trisubstituted hydantoins (6 examples, yields 85->99%) are also reported.