Perkin 1 Abstracts: Solid Phase Organic Synthesis

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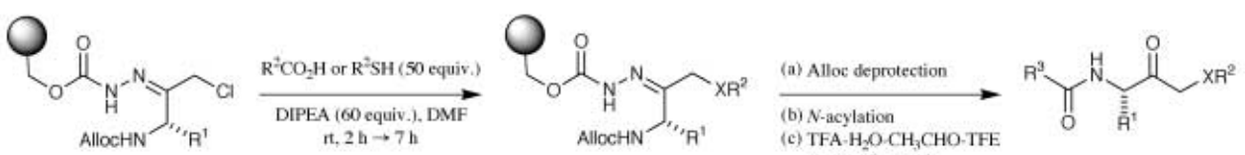
Perkin 1 Abstracts: Solid Phase Organic Synthesis are a selection of significant papers published in the recent literature covering the broad area of Solid Phase Organic Synthesis (SPOS). The abstracts cover preparation of single compounds on solid support as well as combinatorial libraries. Advances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

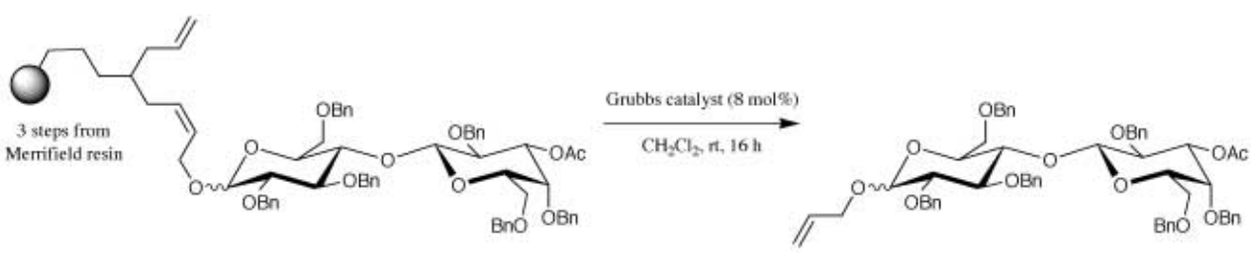
Carbazate linker: synthesis of functionalised cysteine protease inhibitors. ***Linker***



A. Lee, L. Huang and J. A. Ellman, *J. Am. Chem. Soc.*, 1999, **121**, 9907.

6 examples (yields 48-100%). Preparation of 2 amidomethyl ketone cysteine proteases, *via* similar route, is also reported (yields 40-61%).

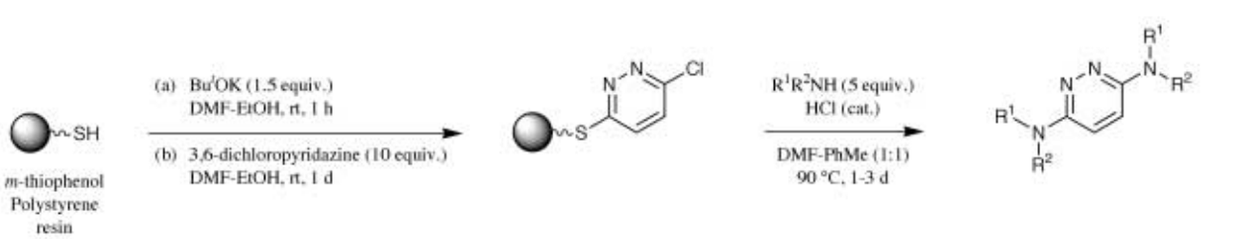
Ring closing metathesis based linker: synthesis of oligosaccharides. ***Linker***



L. Knerr and R. R. Schmidt, *Synlett*, 1999, 1802.

1 example (yield 82%, α:β 1:1). Preparation of a tetrasaccharide from the illustrated resin-bound disaccharide is also reported (yield 51%,α:β 1:1).

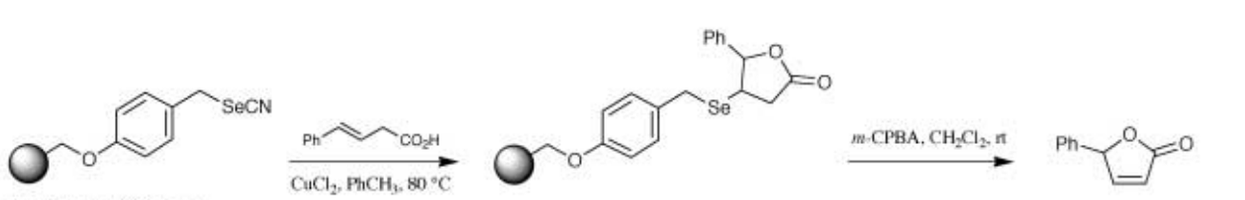
Resin-bound thiophenols as S_NAr-labile linkers: synthesis of aminopyridazines. ***Linker***



I. Parrot, C-G. Wermuth and M. Hibert, *Tetrahedron Lett.*, 1999, **40**, 7975.

7 examples (yields 50-100%, NMR purity ≥95%).

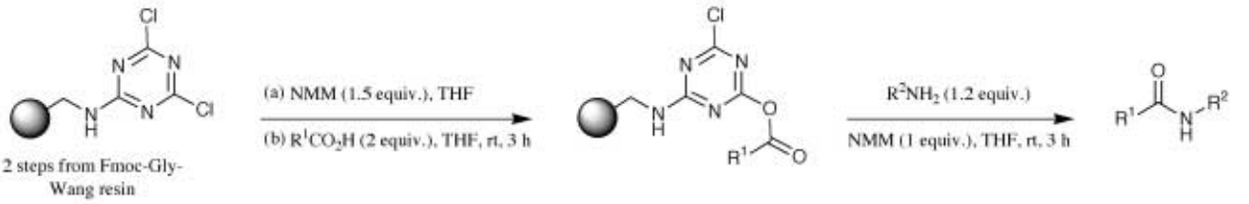
Selenocyanates: application to oxyselenenylation–deselenenylation. ***Reagent***



K-i. Fujita, K. Watanabe, A. Oishi, Y. Ikeda and Y. Taguchi, *Synlett*, 1999, 1760.

1 example (yield 56%). Preparation of the illustrated butenolide using a polymer-supported aryl selenocyanate is also reported (yield 46%).

Chloro[1,3,5]triazine: a coupling reagent for the synthesis of amide libraries. ***Reagent***

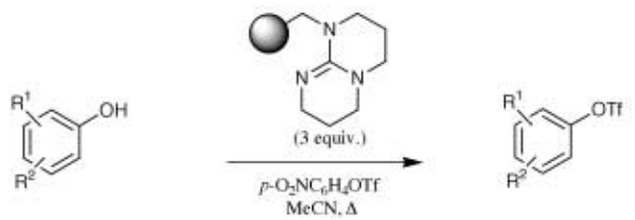


2 steps from Fmoc-Gly-Wang resin

15 examples (yields 55-87%). Preparation of 5 dipeptides, using a different polymer to support the coupling reagent, is also reported (yields 90-95%).

S. Masala and M. Taddei, *Org. Lett.*, 1999, **1**, 1355.

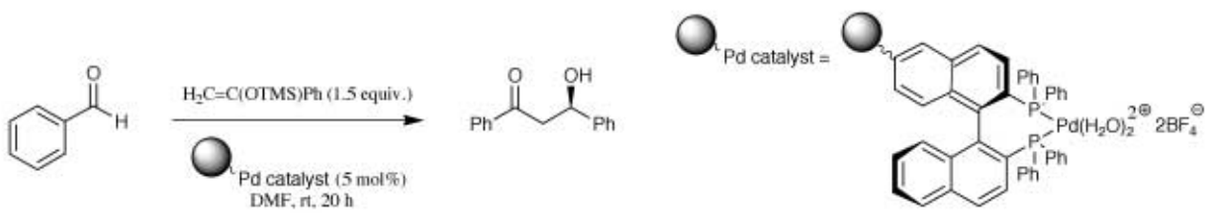
Synthesis of aryl triflates and nonaflates using a polymer supported base. ***Reagent***



8 examples (yields 65-100%). Preparation of 8 nonaflates (yields 81-98%) and 4 triflate & nonaflate chiral amino acids is also reported (yields 92-95%, <5% epimerisation).

S. Boisnard, J. Chastanet and J. Zhu, *Tetrahedron Lett.*, 1999, **40**, 7469.

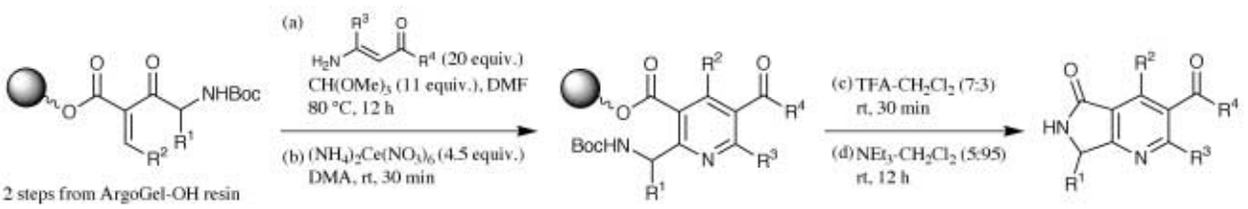
Asymmetric aldol reactions and Mannich-type reactions with a polymer-supported palladium-BINAP catalyst. ***Catalyst***



1 example (yield 94%, %ee 74%). 1 example of Mannich-type reaction using a similar resin-bound catalyst is also reported (yield 95%, %ee 81%).

A. Fujii and M. Sodeoka, *Tetrahedron Lett.*, 1999, **40**, 8011.

Pyrrolo[3,4-*b*]pyridines and related pyridine-fused heterocycles.

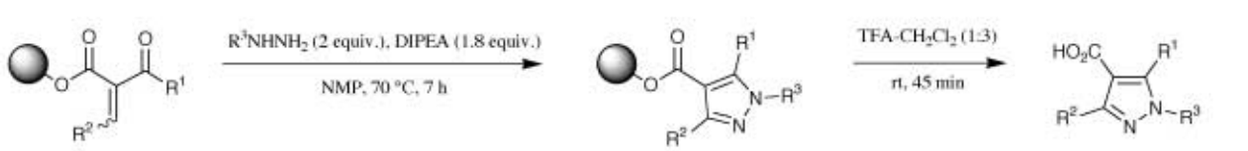


2 steps from ArgoGel-OH resin

4800 member library: 8 representative examples (yields 20-41%, HPLC purity 90-98%). Preparation of [6,6]-fused heterocycles, *via* a similar route, is also reported: 5 representative examples (yields 20-57%, 85-95%).

A. Bhandari, B. Li and M. A. Gallop, *Synthesis*, 1999, 1951.

Pyrazoles, pyridines and pyridones.

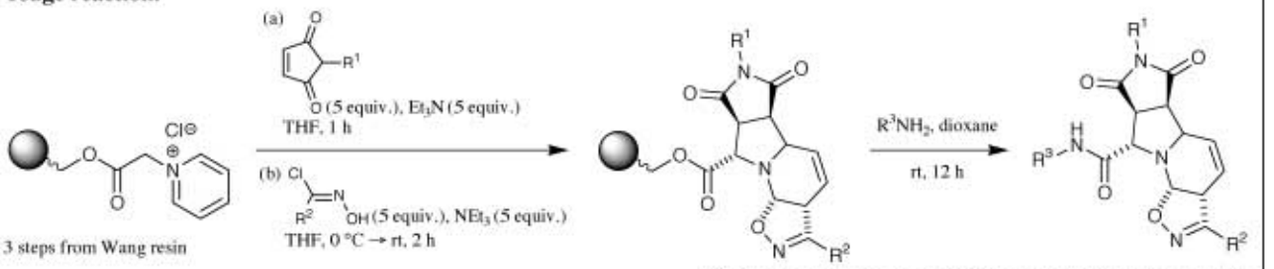


2 steps from Wang resin

100 member library: 13 representative examples (yields 32-61%, HPLC purity 64-91%). Preparation of 28 substituted pyridines and pyridones is also reported (yields 54-81%, HPLC purity 67-98%).

P. Grosche, A. Höltzel, T. B. Walk, A. W. Trautwein and G. Jung, *Synthesis*, 1999, 1961.

Tsuge reaction.

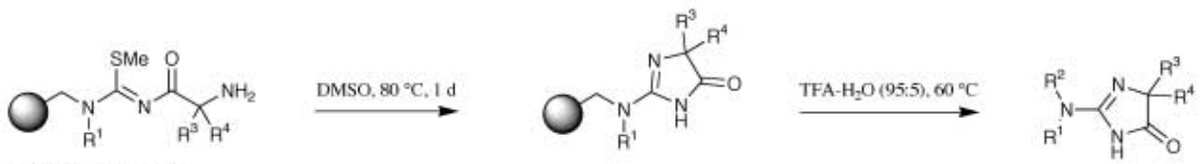


3 steps from Wang resin

P. Brooking, M. Crawshaw, N. W. Hird, C. Jones, W. S. MacLachlan, S. A. Readshaw and S. Wilding, *Synthesis*, 1999, 1986.

3072 member library is generated (1000 compounds/week) by combining manual and automated synthesis: 5 representative examples are reported (HPLC purity 87-100%).

2-Aminoimidazolones.

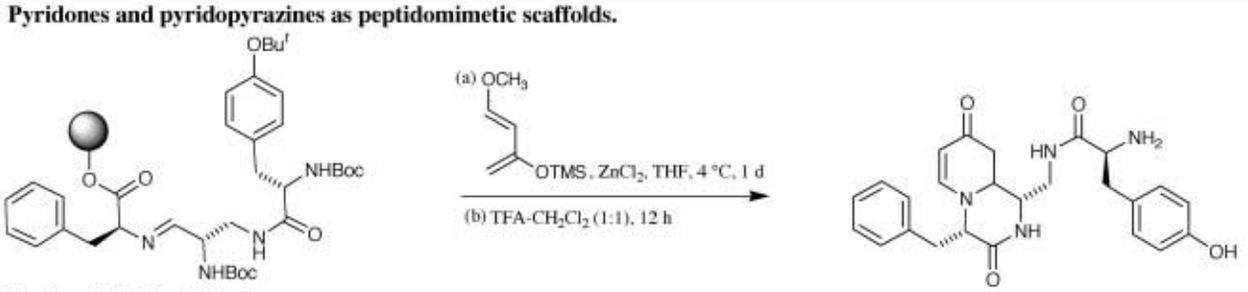


3 steps from Rink Amide MBHA resin

M. Fu, M. Fernandez, M. L. Smith and J. A. Flygare, *Org. Lett.*, 1999, **1**, 1351.

8 examples (yields 66-97%). Preparation of 5 unsaturated 2-aminoimidazolones (yields 49-91%) *via* a different route is also reported.

Pyridones and pyridopyrazines as peptidomimetic scaffolds.

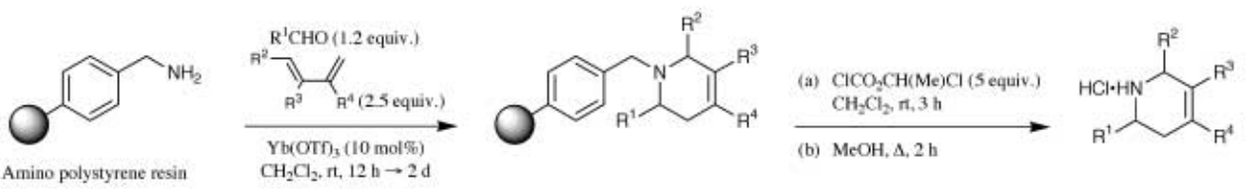


1 step from H-Phe-Merrifield resin

C. J. Creighton, C. W. Zapf, J. H. Bu and M. Goodman, *Org. Lett.*, 1999, **1**, 1407.

1 example (yield 45%, %de >95%). Preparation of a pyridone *via* a similar route is also reported (yield 55%, %de >95%).

Ytterbium(III) trifluoromethanesulfonate catalysed aza Diels–Alder reactions.

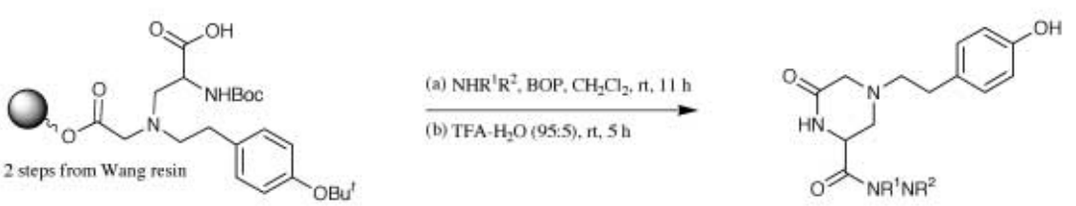


Amino polystyrene resin

W. Zhang, W. Xie, J. Fang and P. G. Wang, *Tetrahedron Lett.*, 1999, **40**, 7929.

8 examples (yields 52-96%, HPLC purity 88-96%).

Piperazinone.

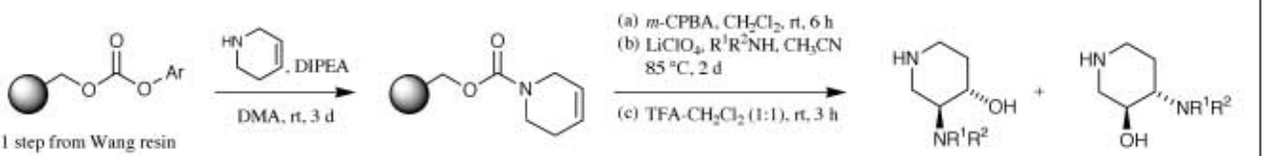


2 steps from Wang resin

K. Shreder, L. Zhang, J-P. Gleeson, J. A. Ericsson, V. V. Yalamoori and M. Goodman, *J. Comb. Chem.*, 1999, **1**, 383.

8 examples (yields 29-72%).

β-Aminosubstituted piperidinols.

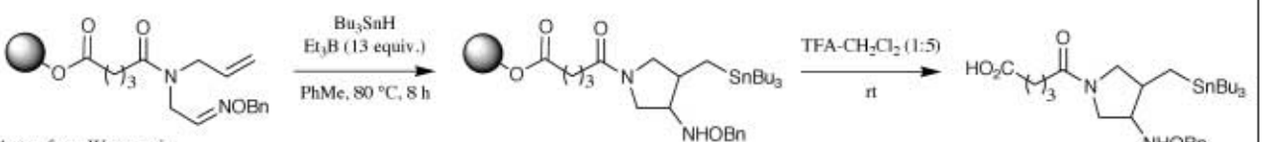


1 step from Wang resin

G. Rossé, F. Ouertani and H. Schröder, *J. Comb. Chem.*, 1999, **1**, 397.

4 examples (yields 53-78%, NMR purity 90-95%).

Triethylborane-induced radical cyclisation of oxime ethers.

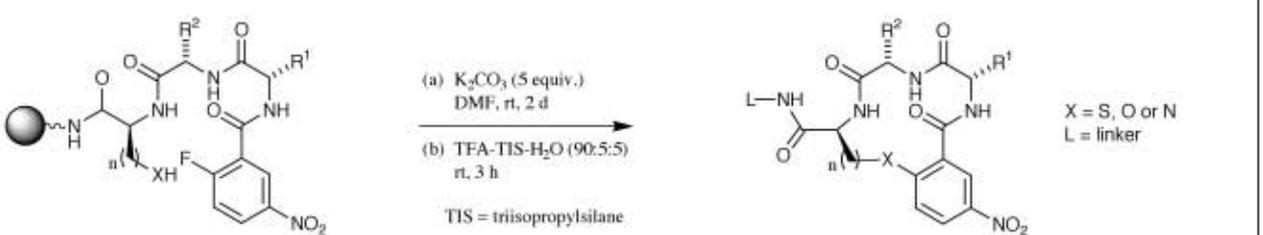


1 step from Wang resin

H. Miyabe, H. Tanaka and T. Naito, *Tetrahedron Lett.*, 1999, **40**, 8387.

2 examples (yields 50-64%). Preparation of a pyrrolidine *via* a similar route is also reported (yield 77%).

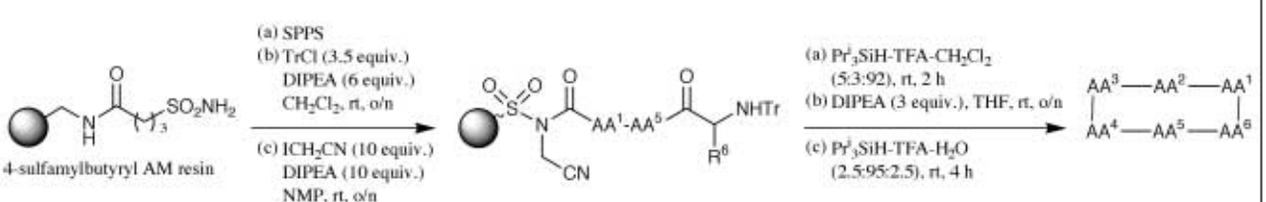
Macrocyclic peptidomimetics.



Y. Feng and K. Burgess, *Chem. Eur. J.*, 1999, **5**, 3261.

39 examples (yields 9-89%, HPLC purity 30-96%) using a variety of resins and linkers.

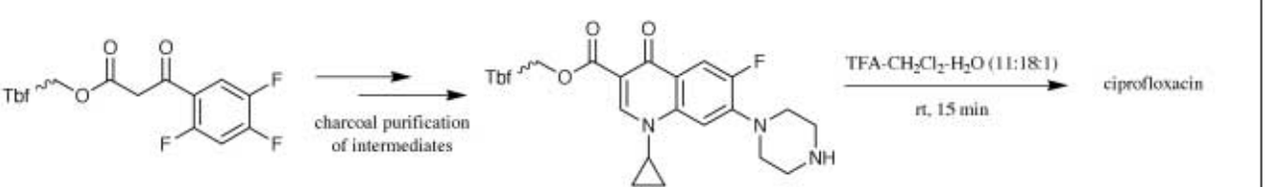
Cyclic peptides using Kenner's sulfonamide safety-catch linker.



L. Yang and G. Morriello, *Tetrahedron Lett.*, 1999, **40**, 8197.

6 examples (yields 0, 17-52%, purity 44-79%).

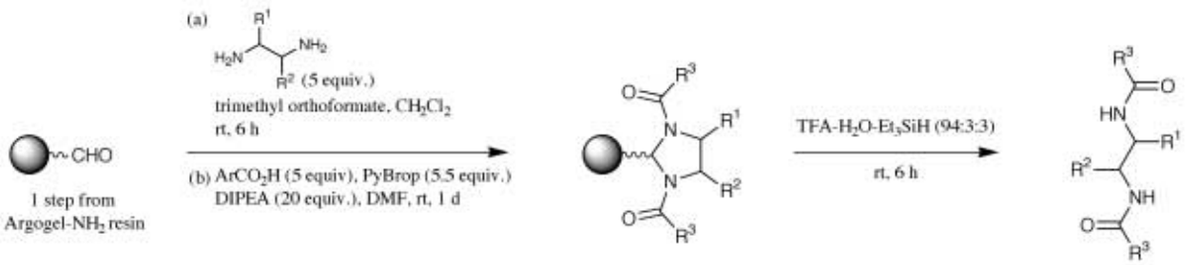
Tetrabenzo[*a*,*c*,*g*,*i*]fluorene (Tbf) as an anchor group for the synthesis of ciprofloxacin: *pseudo*-solid phase purification.



A. M. Hay, S. Hobbs-Dewitt, A. A. MacDonald and R. Ramage, *Synthesis*, 1999, 1979.

Charcoal is used for the purification of intermediates in ciprofloxacin synthesis.

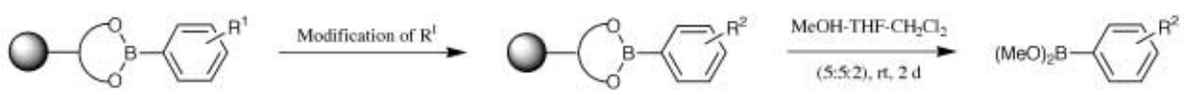
Bis-amides.



T. Doi, I. Hijikuro and T. Takahashi, *Synlett*, 1999, 1751.

10 examples (yields 46-91%, HPLC purity 89-99%).

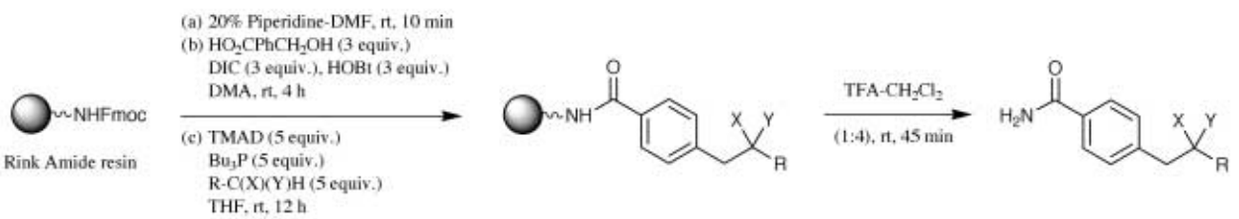
Attachment, modification and cleavage of boronic esters on solid-support.



B. Carboni, C. Pourbaix, F. Carreaux, H. Deleuze and B. Maillard, *Tetrahedron Lett.*, 1999, **40**, 7979.

6 examples (yields 54-67%) and 2 examples of phenol synthesis from the illustrated resin bound boronic ester (yield 63-74%, HPLC purity 88-99%). Preparation of the resin is also reported.

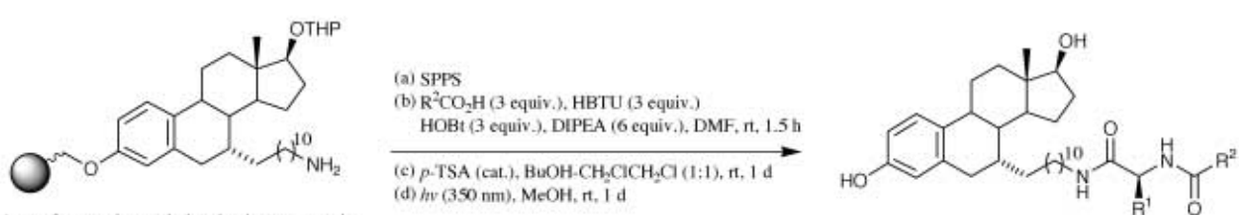
Carbon-carbon bond formation *via* the Mitsunobu reaction.



S. Chaturvedi, K. Otteson and J. Bergot, *Tetrahedron Lett.*, 1999, **40**, 8205.

5 examples (HPLC purity 57-81%).

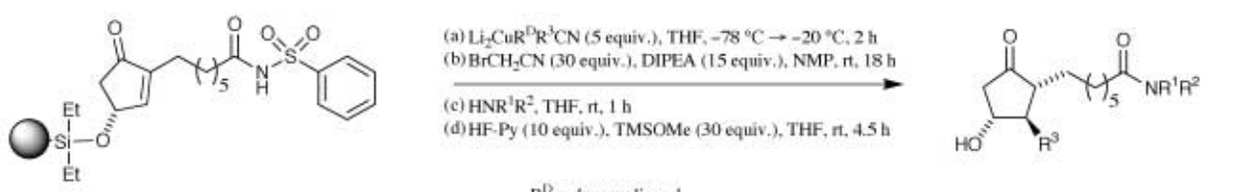
7α-Alkylamide estradiol derivatives.



M. R. Tremblay, J. Simard and D. Poirier, *Bioorg. Med. Chem. Lett.*, 1999, **9**, 2827.

20 examples (yields 12-38%, HPLC purity 44-95%).

Prostaglandin E_1 analogues.



D. R. Dragoli, L. A. Thompson, J. O'Brien and J. A. Ellman, *J. Comb. Chem.*, 1999, **1**, 534.

20 examples (yields 18-56%). Preparation of 6 other analogues, *via* a similar route, is also reported (yields 32-41%).