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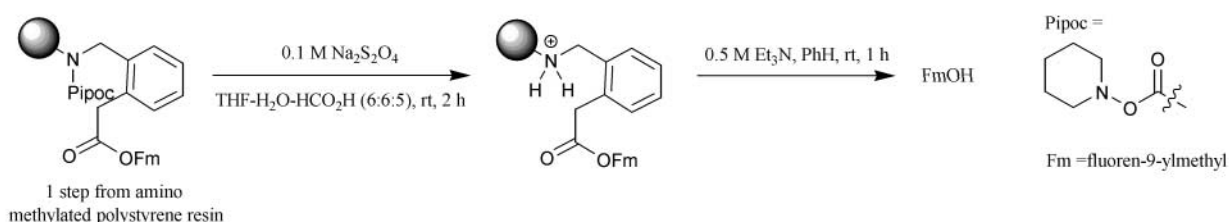
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Perkin 1 Abstracts: Solid Phase Organic Synthesis are a selection of significant papers published in the recent literature covering the broad area of Solid Phase Organic Synthesis (SPOS). The abstracts cover preparation of single compounds on solid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

#### A cleavable linker for alcohols.

Linker

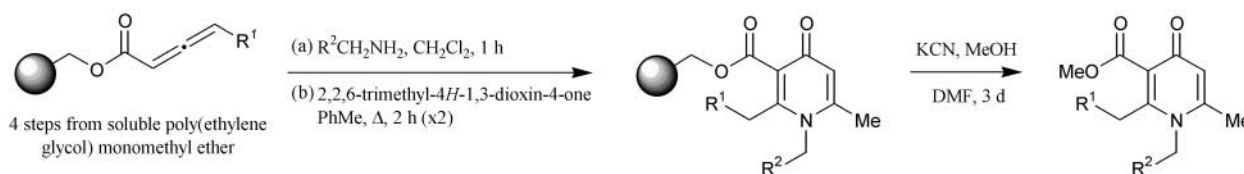


X.-Y. Xiao, M. P. Nova and A. W. Czarnik, *J. Comb. Chem.*, 1999, 1, 379.

1 example (yield 83%).

#### Soluble polymer-bound allenecarboxylate linker: synthesis of pyridones and $\delta$ -lactams.

Linker

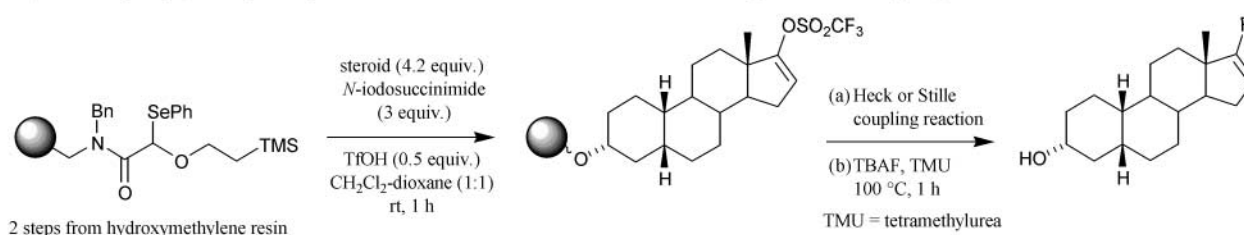


A. R. Far and T. T. Tidwell, *J. Comb. Chem.*, 1999, 1, 458.

6 examples (yields 50-81%, HPLC purity 85-96%). Preparation of 6  $\delta$ -lactams using the illustrated resin-bound allenic ester is also reported (yields 29-54%).

#### 2-(Trimethylsilyl)ethoxymethyl-based linker: steroid immobilisation and palladium coupling reactions.

Linker

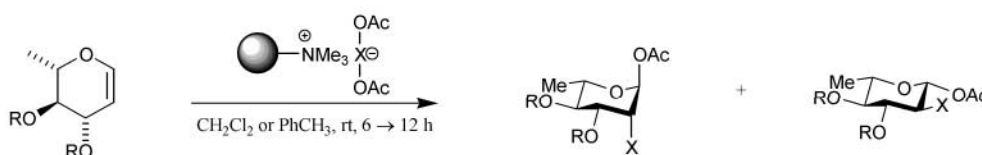


W.-J. Koot, *J. Comb. Chem.*, 1999, 1, 467.

2 examples (yields 38-46%, HPLC purity >90%). Immobilisation and cleavage of 12 steroids from the illustrated linker is also reported (yields 30-72%, NMR purity >95%).

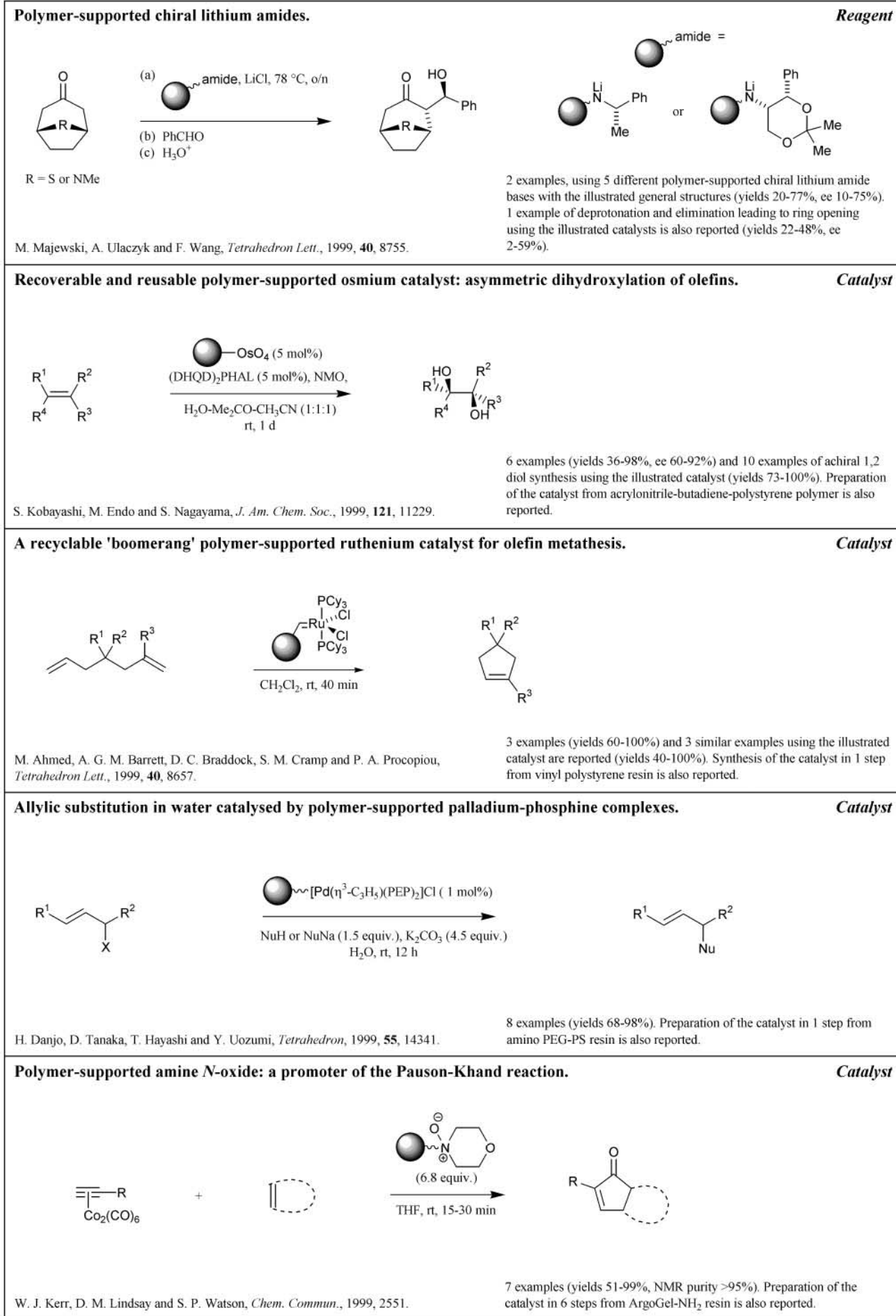
#### Polymer-supported electrophilic reagents for 1,2-functionalisation of glycols.

Reagent



A. Kirschning, M. Jesberger and H. Monenschein, *Tetrahedron Lett.*, 1999, 40, 8999.

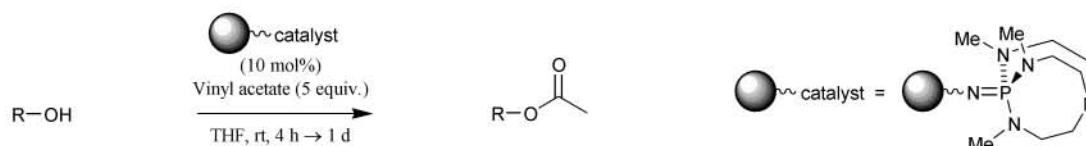
5 examples (yields 90-98%,  $\alpha:\beta$  = various). 7 similar examples using the illustrated polymer-supported reagent are also reported (yields 82-97%,  $\alpha:\beta$  = various).



**Solid-supported catalysts for atom-transfer radical cyclisation of 2-haloacetamides.****Catalyst**

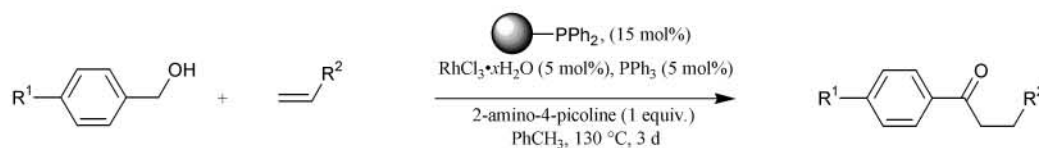
A. J. Clark, R. P. Filik, D. M. Haddleton, A. Radigue, C. J. Sanders, G. H. Thomas and M. E. Smith, *J. Org. Chem.*, 1999, **64**, 8954.

10 examples using the illustrated Cu chloride or Cu bromide catalyst (yields 75-96%). Preparation of the catalysts in 2 steps from aminopropyl silica gel is also reported.

**Polymer-supported iminophosphorane catalyst for the selective acylation of primary alcohols.****Catalyst**

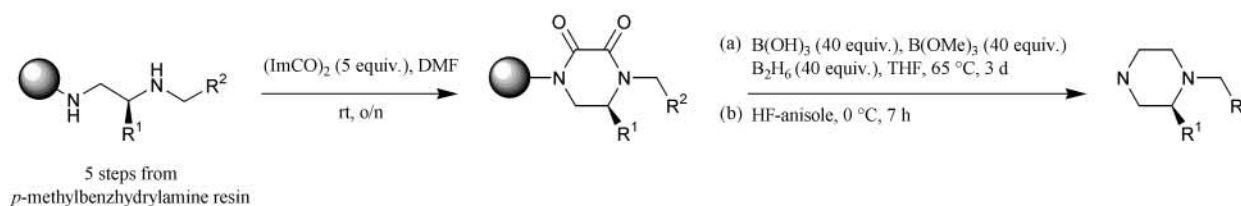
P. Iankumaran and J. G. Verkade, *J. Org. Chem.*, 1999, **64**, 9063.

8 examples (yields 87-99%) and 10 examples using a similar homogeneous catalyst (yields 74-99%). Preparation of the illustrated catalyst in 2 steps from Merrifield resin, and the solution-phase synthesis of the corresponding homogeneous catalyst is also reported.

**A reusable, *in situ* generated, polymer-supported Rh catalyst: conversion of benzyl alcohols to ketones.****Catalyst**

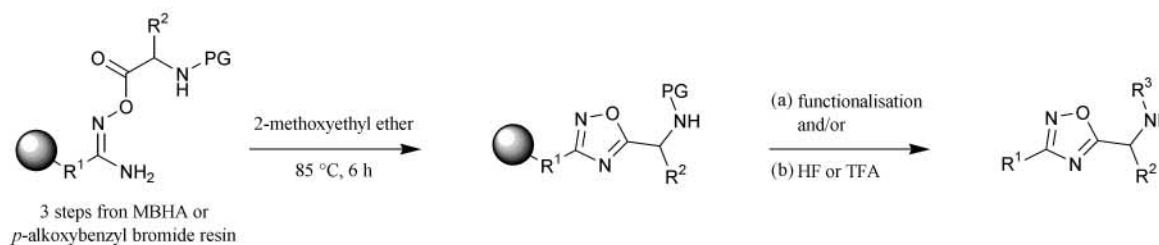
C.-H. Jun, H.-S. Hong and C.-W. Huh, *Tetrahedron Lett.*, 1999, **40**, 8897.

7 examples (yields 50-75%). The use of 7 similar catalytic systems for the conversion of benzyl alcohol to heptanophenone is also reported (yields 34-90%).

**1,6-Disubstituted 2,3-diketopiperazines and 1,2-disubstituted piperazines.**

A. Nefzi, M. A. Giulianotti and R. A. Houghten, *Tetrahedron Lett.*, 1999, **40**, 8539.

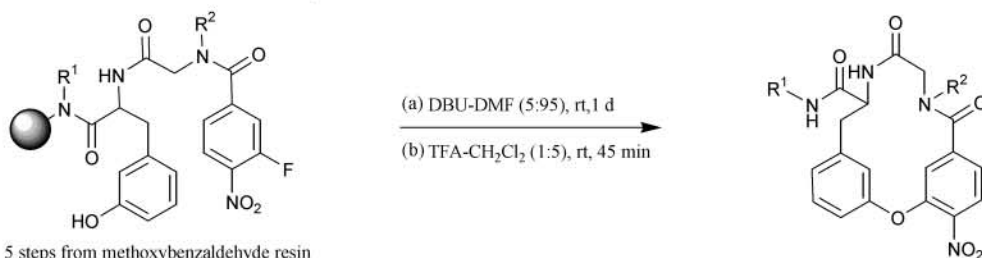
12 examples (yields >80%, HPLC purity 85->95%). Preparation of 12 disubstituted diketopiperazines via a similar route is also reported (yields >80%, HPLC purity 91->95%).

**Oxadiazoles.**

N. Hébert, A. L. Hannah and S. C. Sutton, *Tetrahedron Lett.*, 1999, **40**, 8547.

23 examples (average HPLC purity >95%).

### 14- and 17-Membered macrocycles.

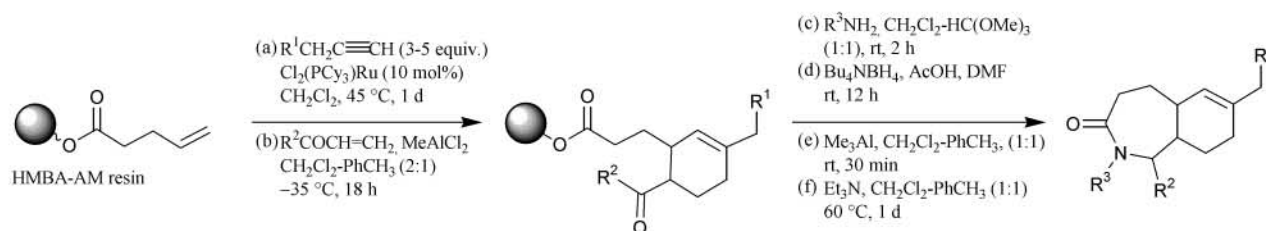


5 steps from methoxybenzaldehyde resin

A. S. Kiselyov, L. Smith II and P. Tempest, *Tetrahedron*, 1999, **55**, 14813.

30 examples (yields 66-86%, HPLC purity 92-97%). A 17-membered macrocycle is also prepared (yield 58%, HPLC purity 91%).

### Octahydrobenzazepinones from yne-ene cross metathesis and Diels-Alder cycloadditions.

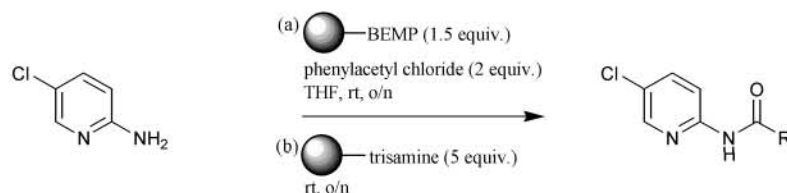


HMBA-AM resin

S. C. Schürer and S. Blechert, *Synlett*, 1999, 1879.

9 examples (14-28%). 10 other examples of yne-ene cross metathesis and Diels-Alder cycloaddition reactions are reported (yields 20-55%).

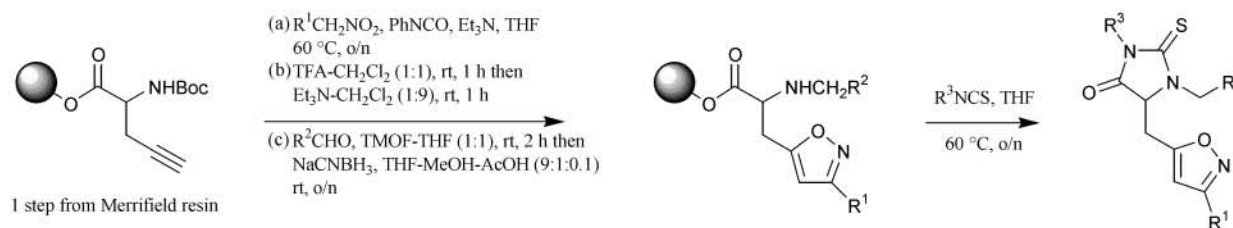
### Polymer-supported reagents for *N*-acylation of heterocyclic amines.



K. Kim and K. Le, *Synlett*, 1999, 1957.

5 examples (yields 34-97%, purity 77-98%). 28 other heterocycles are prepared *via* the illustrated route and *via* a similar route (yields 12-99%, purity 33-99%).

### Isoxazolothiohydantoin.

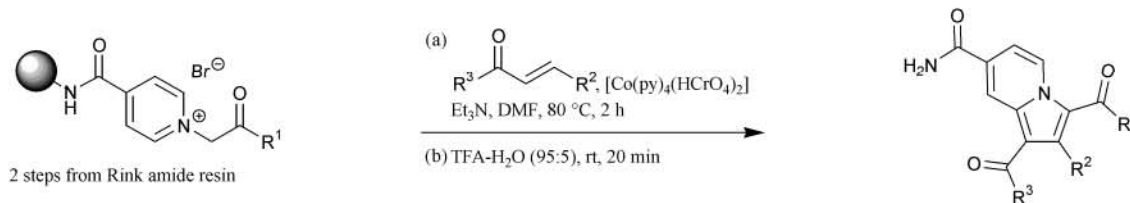


1 step from Merrifield resin

K.-H. Park and M. J. Kurth, *J. Org. Chem.*, 1999, **64**, 9297.

18 examples (yields 30-40%).

### Indolizines.

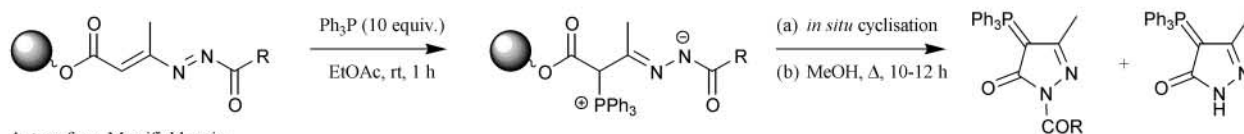


2 steps from Rink amide resin

D. A. Goff, *Tetrahedron Lett.*, 1999, **40**, 8741.

7 examples (yields 34-71%, HPLC purity 70-88%). Preparation of a 9-member indolizine library *via* a similar route is also reported.

#### 4-Triphenylphosphoranylidene-4,5-dihydropyrazole-5-ones.

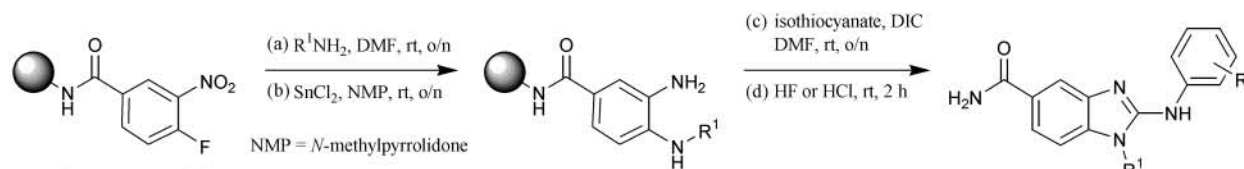


4 steps from Merrifield resin

O. A. Attanasi, P. Filippone, B. Guidi, T. Hippe, F. Mantellini and L. F. Tietze, *Tetrahedron Lett.*, 1999, **40**, 9277.

5 examples (yields 12->42%).

#### 2-Arylamino-benzimidazoles.

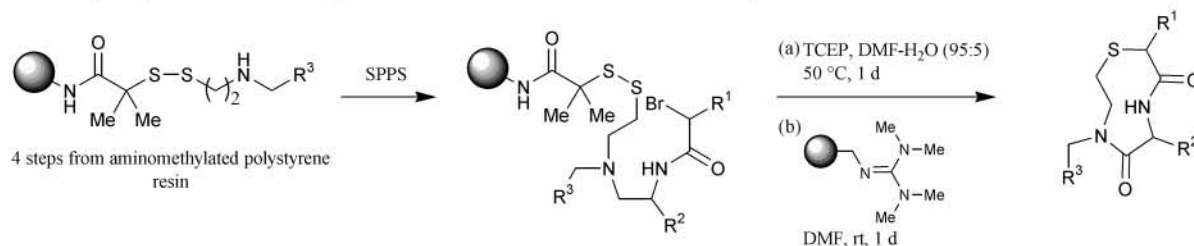


1 step from MBHA or Rink amide resin

J. M. Smith, J. Gard, W. Cummings, A. Kanizsai and V. Krchnák, *J. Comb. Chem.*, 1999, **1**, 368.

Preparation of a >10 000-member library (yields >80%) is reported. Preparation of 96 "necklace-coded" polymer-supported 2-arylamino-benzimidazoles is also reported.

#### Heterocyclic $\beta$ -turn mimetics: agonists of the mouse melanocortin-1 receptor.

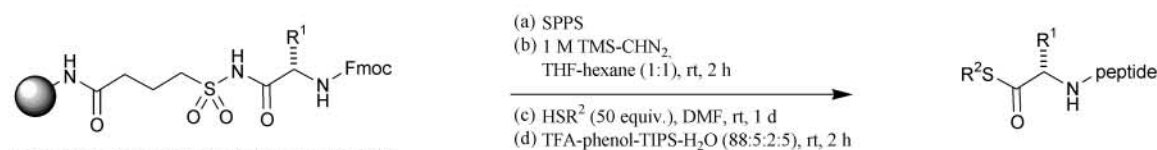


4 steps from aminomethylated polystyrene resin

C. Haskell-Luevano, Å. Rosenquist, A. Souers, K. C. Khong, J. A. Ellman and R. D. Cone, *J. Med. Chem.*, 1999, **42**, 4380.

Preparation of a 5544-member library of  $\beta$ -turn mimetics is reported: 951 compounds are evaluated for agonist activity.

#### Peptide thioesters by Fmoc-Bu<sup>t</sup> chemistry.

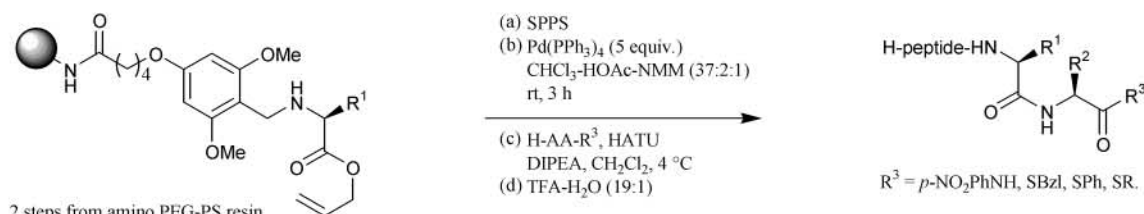


2 steps from PEG-PS, Tentagel or aminomethylated polystyrene resin

R. Ingenito, E. Bianchi, D. Fattori and A. Pessi, *J. Am. Chem. Soc.*, 1999, **121**, 11369.

13 examples (yields 64-85%).

#### A backbone amide linker strategy for the synthesis of unprotected peptide *p*-nitroanilides and thioesters.

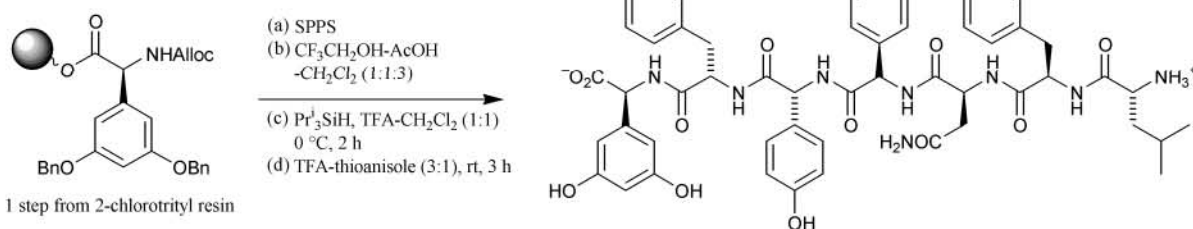


2 steps from amino PEG-PS resin

J. Alsina, T. S. Yokum, F. Albericio and G. Barany, *J. Org. Chem.*, 1999, **64**, 8761.

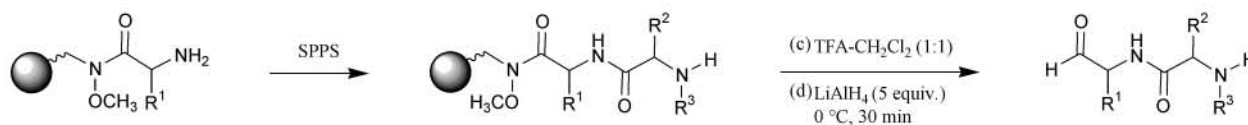
Development and application of a new method for the synthesis of C-terminal modified peptides is described.

### A heptapeptide intermediate in vancomycin synthesis.



E. Freund and J. A. Robinson, *Chem. Commun.*, 1999, 2509.

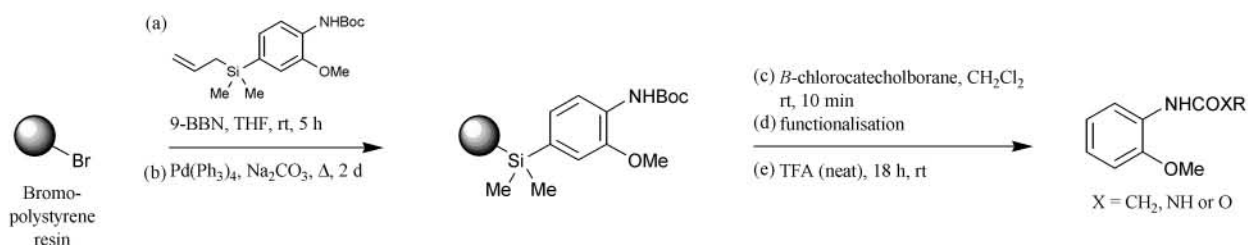
### Dipeptide aldehydes: inhibitors of $\beta$ -amyloid production.



J. N. Higaki, S. Chakravarty, C. M. Bryant, L. R. Cowart, P. Harden, J. M. Scardina, B. Mavunkel, G. R. Luedtke and B. Cordell, *J. Med. Chem.*, 1999, **42**, 3889.

Preparation and biological evaluation of a 100-member library is reported.

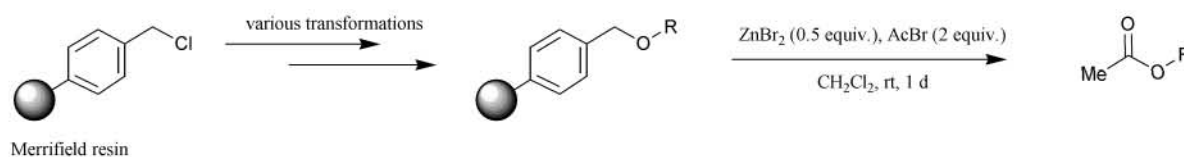
### 2-Methoxyaniline derivatives by the traceless silicon linker strategy.



S. Curtet and M. Langlois, *Tetrahedron Lett.*, 1999, **40**, 8563.

11 examples (yields 53-90%).

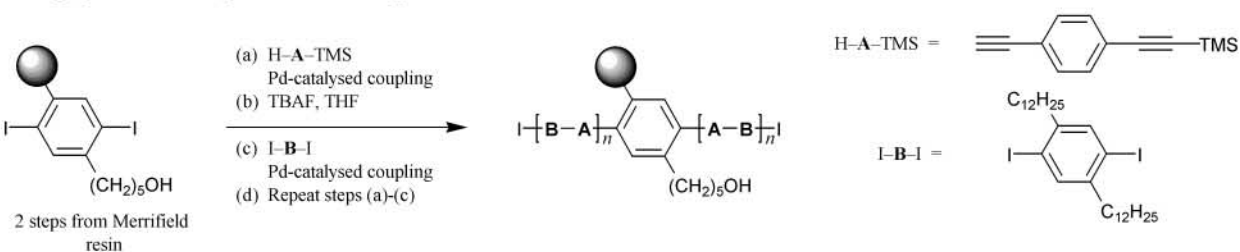
### Acetoxyamides and acetates by zinc bromide assisted cleavage of Merrifield resin-bound ethers.



W.-R. Li and Y.-C. Yo, *Tetrahedron Lett.*, 1999, **40**, 9085.

6 examples (yields 51-91%, HPLC purity 90-99%).

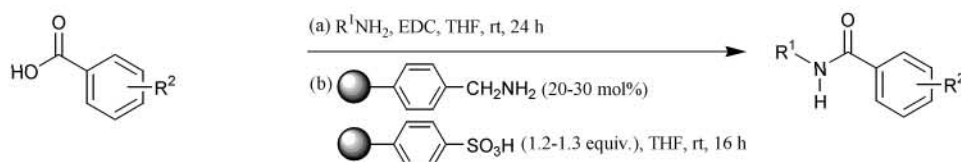
### Conjugated homooligomers and cooligomers.



S. Huang and J. M. Tour, *J. Org. Chem.*, 1999, **64**, 8898.

An approach to the synthesis of conjugated homooligomers and [AB] alternating block cooligomers of precise length and constitution is described.

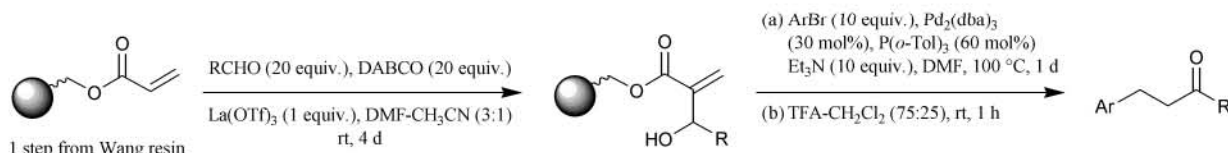
**Solution-phase synthesis of amide analogues facilitated by polymer-supported reagents: cathepsin D inhibitors.**



J. Chen, B. R. Dixon, J. Dumas and D. Brittelli, *Tetrahedron Lett.*, 1999, **40**, 9195.

A 300-member library (yields 60-100%, purity >90%) via the illustrated route and 2 other similar routes is reported.

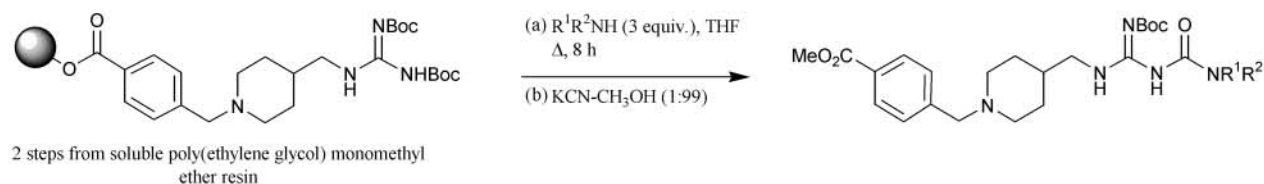
**Ketones via sequential Baylis-Hillman and Heck reactions.**



B. A. Kulkarni and A. Ganesan, *J. Comb. Chem.*, 1999, **1**, 373.

21 examples (yields 4-49%).

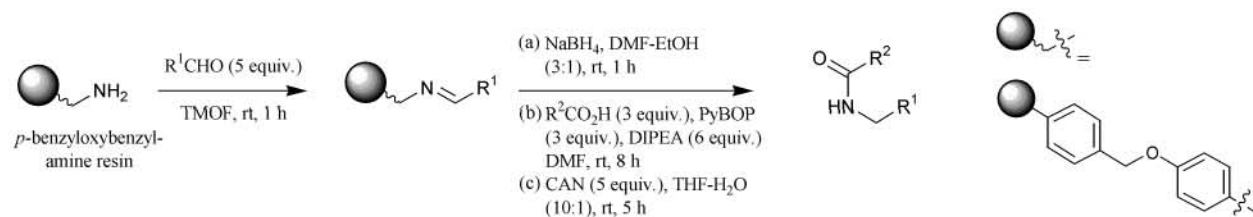
**Liquid-phase synthesis of amidinoureas.**



C.-M. Sun and J.-Y. Shey, *J. Comb. Chem.*, 1999, **1**, 361.

10 examples (yields 72-95%, HPLC purity 74-93%). Preparation of 3 *N,N*-bis-Boc-guanidines via a similar route is also reported (yields 81-95%, HPLC purity 82-86%).

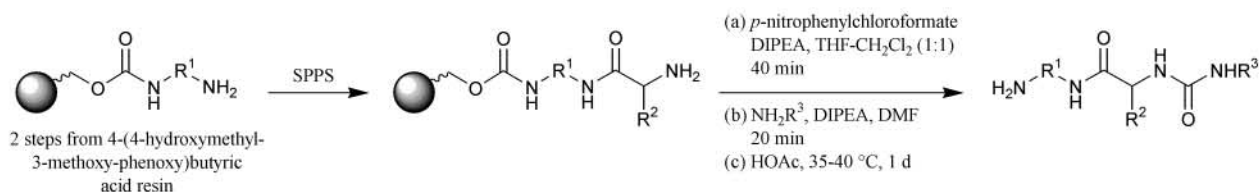
**N-Alkylated amides**



Y. Aoki and S. Kobayashi, *J. Comb. Chem.*, 1999, **1**, 371.

32 examples (yields 41-93%).

**Somatostatin receptor ligands.**



S. C. Berk, S. P. Rohrer, S. J. Degrado, E. T. Birzin, R. T. Mosley, S. M. Hutchins, A. Pasternak, J. M. Schaeffer, D. J. Underwood and K. T. Chapman, *J. Comb. Chem.*, 1999, **1**, 388.

Preparation and biological evaluation of a 131 670-member library is reported.