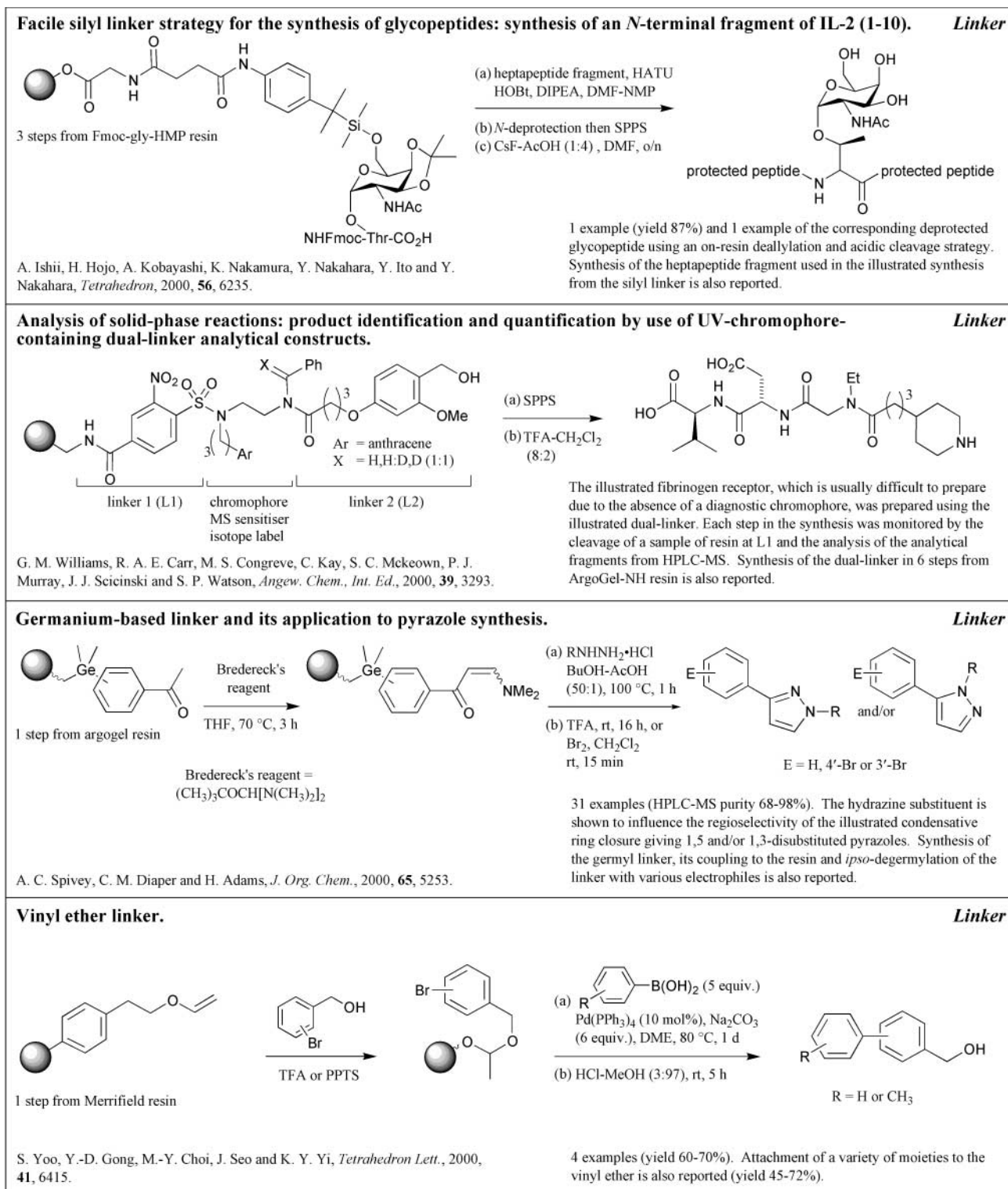


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^a Department of Chemistry, Leeds University, Leeds, UK LS2 9JT

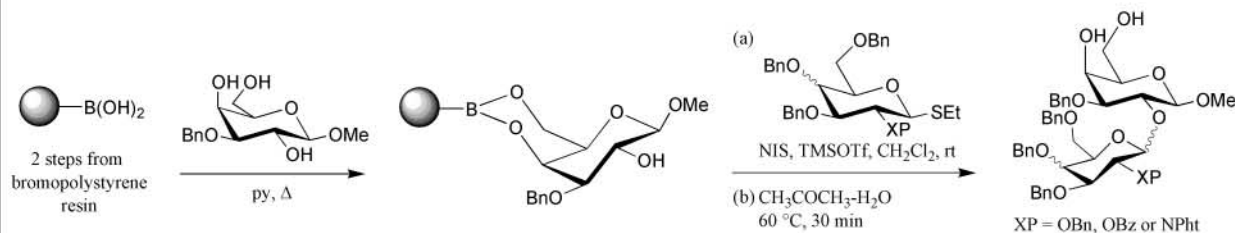
^b Department of Chemistry, Glasgow University, Glasgow, UK G12 8QQ

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.



Polystyrylboronic acid: a reusable polymeric support for oligosaccharide synthesis.

Support

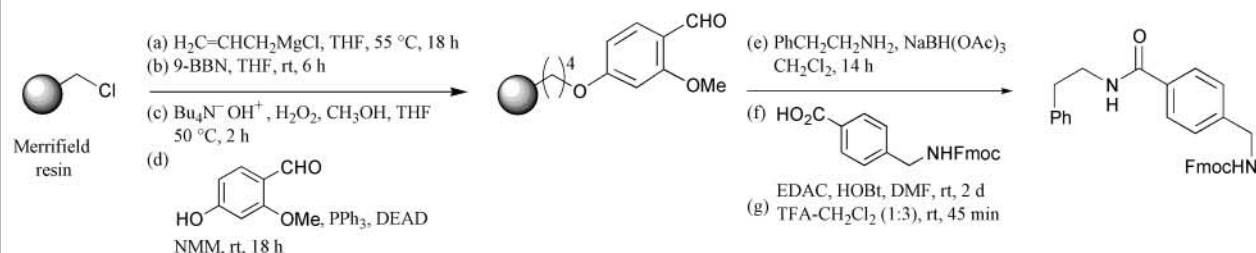


G. Belogi, T. Zhu and G.-J. Boons, *Tetrahedron Lett.*, 2000, **41**, 6965.

3 examples and 1 other example using a polymer-supported thioglycosyl donor (yields 68-95%).

Synthesis and use of a dialkoxybenzaldehyde support.

Support

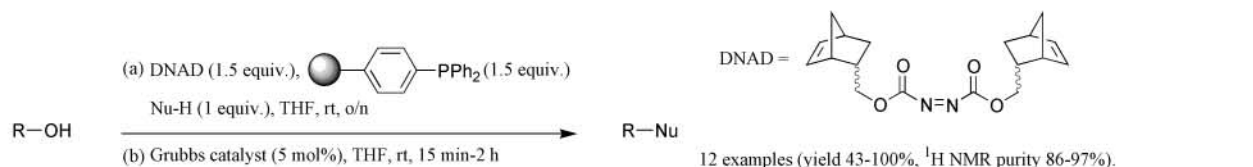


L. S. Hari Krishnan and H. D. H. Showalter, *Synlett*, 2000, **9**, 1339.

1 example (yield 98%, HPLC purity 93%).

Solid-phase purification methods applied to a solution-phase Mitsunobu reaction.

Scavenger

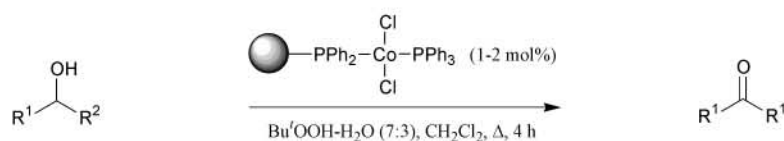


A. G. M. Barrett, R. S. Roberts and J. Schroder, *Org. Lett.*, 2000, **19**, 2999.

12 examples (yield 43-100%, ¹H NMR purity 86-97%). Polymer-supported triphenylphosphine and its oxide can be easily removed by filtration from the reaction medium. Excess DNAD can form a complex with polymer-supported triphenylphosphine that can also be removed by filtration. Grubbs' catalyst is used to remove DNADH₂ from the reaction medium via ring-opening metathetic polymerisation followed by filtration.

Reusable polymer-supported cobalt phosphine complex: use in catalytic oxidation and acid anhydride synthesis.

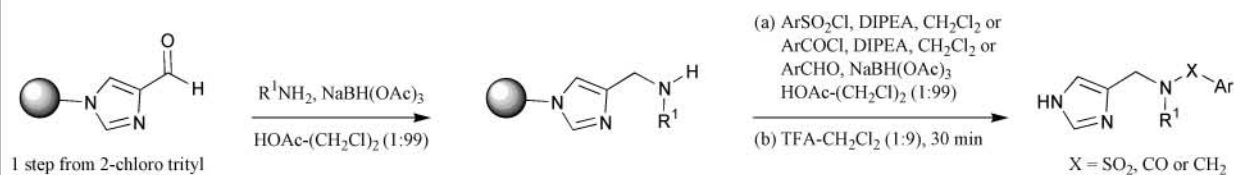
Catalyst



N. E. Leadbeater and K. A. Scott, *J. Org. Chem.*, 2000, **65**, 4770.

6 examples of the oxidation of benzylic and 2° alcohols (yields 68-92%). Preparation of 5 acid anhydrides using the illustrated Co catalyst (yields 70-87%) and preparation of the catalyst from a polystyrene resin is also reported.

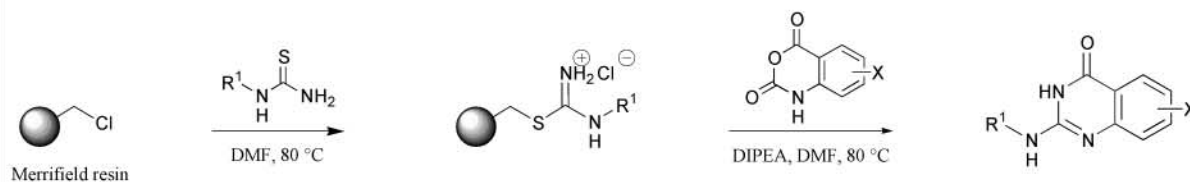
Antifungals based on 4-substituted imidazoles.



A. K. Saha, L. Liu, R. L. Simoneaux, M. J. Kukla, P. Marichal and F. Odds, *Bioorg. Med. Chem. Lett.*, 2000, **10**, 2175.

Biological activity and synthesis of >100-member library is reported (no yields or purity given).

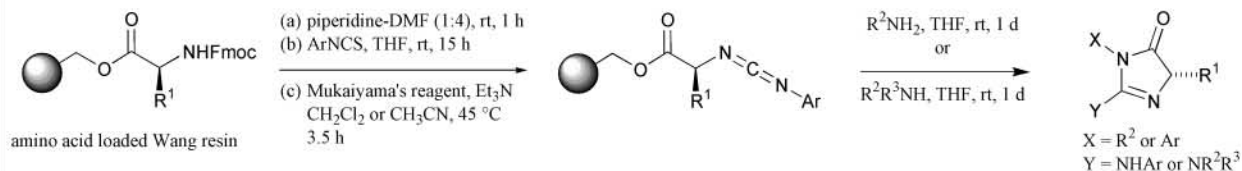
2-Aminoquinazolin-4(3H)-ones



R.-Y. Yang and A. Kaplan, *Tetrahedron Lett.*, 2000, **41**, 7005.

10 examples (yields 53-88%, HPLC purity 84-100%).

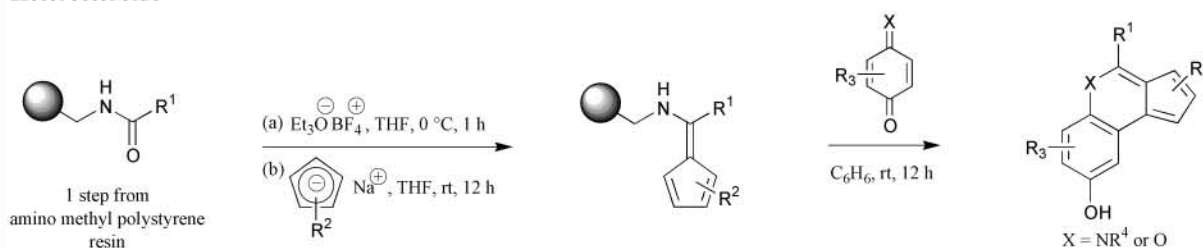
2-Aminoimidazolinones



D. H. Drewry and C. Ghiron, *Tetrahedron Lett.*, 2000, **41**, 6989.

11 examples (yields 34-94%, HPLC purity >90%).

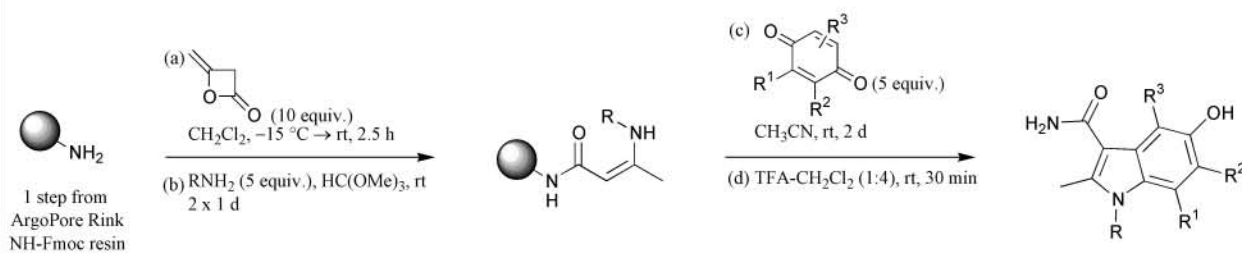
Heterosteroids



B.-C. Hong, Z.-Y. Chen and W.-H. Chen, *Org. Lett.*, 2000, **2**, 2647.

Preparation of a 110-member library (sample yields 32-42%, HPLC purity >95%) is reported.

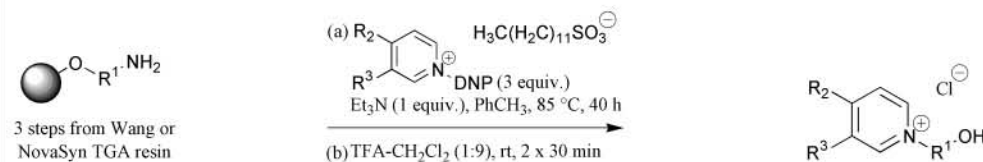
Nenitzescu indole synthesis.



D. M. Ketcha, L. J. Wilson and D. E. Portlock, *Tetrahedron Lett.*, 2000, **41**, 6253.

14 examples (yields 21-95%, HPLC purity 14, 64-100%).

N-(ω-Hydroxyalkyl)pyridinium salts *via* the Zincke reaction.



M. Eda, M. J. Kurth and M. H. Nantz, *J. Org. Chem.*, 2000, **65**, 5131.

16 examples (yields 0, 43-89%, HPLC purity 93-99%).

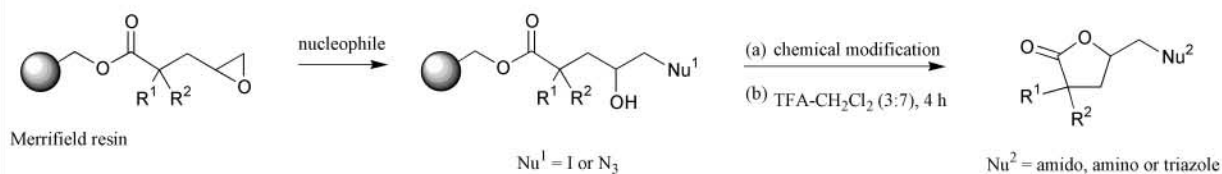
Pyrazoles and isoxazoles.



A library of 1,3,5-trisubstituted pyrazoles is prepared (number of examples, yields and purity are not given). Preparation of 1,4,5- & 1,3,4-trisubstituted pyrazoles and related isoxazoles, *via* a similar route, is also reported.

D.-M. Shen, M. Shu and K. T. Chapman, *Org. Lett.*, 2000, **2**, 2789.

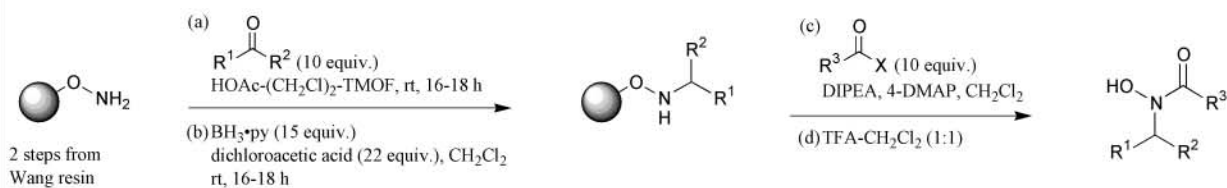
γ -Methyl-substituted- γ -butyrolactones *via* a cyclisation-cleavage strategy.



N. Gouault, J.-F. Cupif, A. Sauleau and M. David, *Tetrahedron Lett.*, 2000, **41**, 7293.

22 examples (yields 13-71 %).

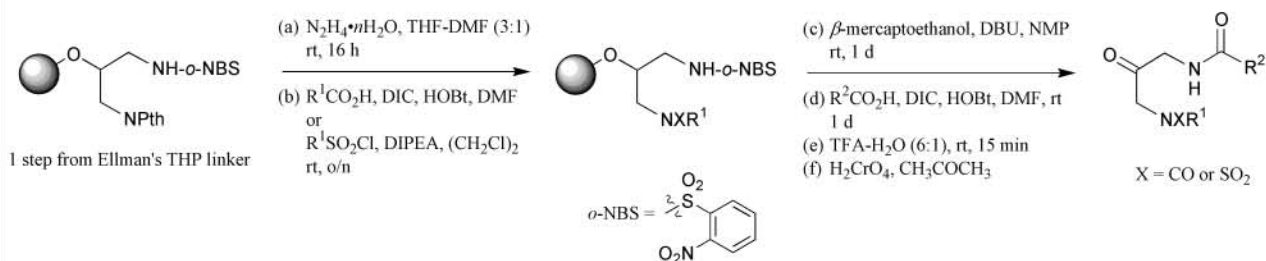
N-Substituted hydroxamic acids.



D. E. Robinson and M. W. Holladay, *Org. Lett.*, 2000, **2**, 2777.

9 examples (yields 15- >95%).

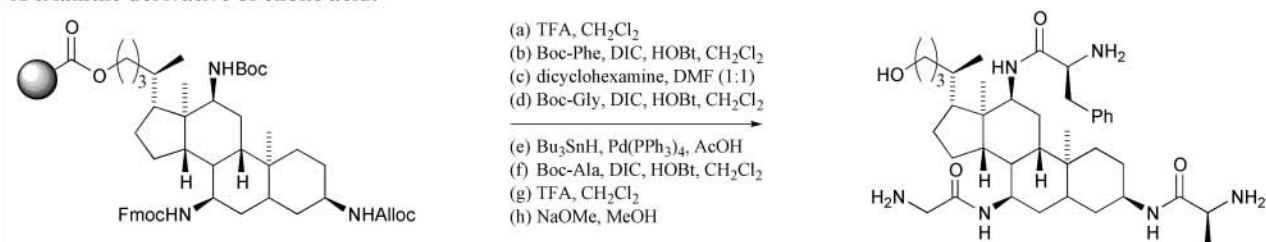
1,3-Diamino ketones



C. Subramanyam and S.-P. Chang, *Tetrahedron Lett.*, 2000, **41**, 7145.

5 examples (yields 25-60%, sample HPLC purity >90%).

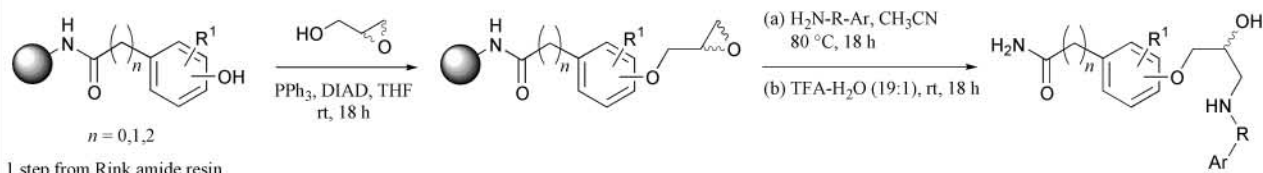
A triamine derivative of cholic acid.



1 example (yield 56%). Solution-phase synthesis of the orthogonally protected cholic acid derivative and the triamine derivative is also reported.

X.-T. Zhou, A. Rehman, C. Li and P. B. Savage, *Org. Lett.*, 2000, **19**, 3015.

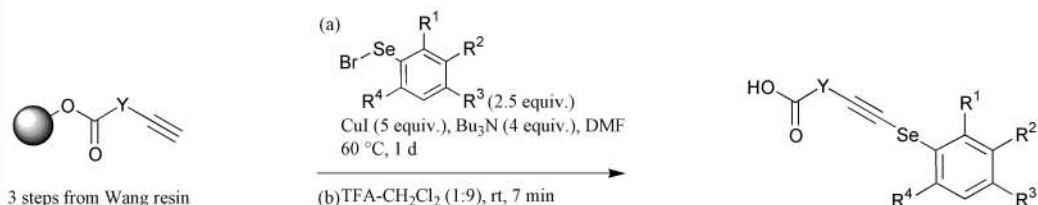
Phenoxypropanolamines.



W. M. Bryan, W. F. Huffman and P. K. Bhatnagar, *Tetrahedron Lett.*, 2000, **41**, 6997.

Preparation of a 5800-member library is reported (sample purity >70%).

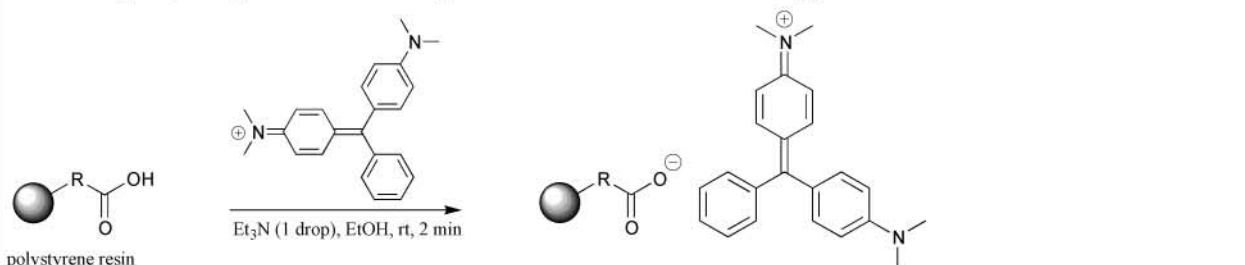
Copper-catalysed coupling of chalcogenyl halides with alkynes: synthesis of selenium-containing retinoids.



F. Gendre and P. Diaz, *Tetrahedron Lett.*, 2000, **41**, 5193.

16 examples (yields 0, 5-45%, HPLC purity 45-93%).

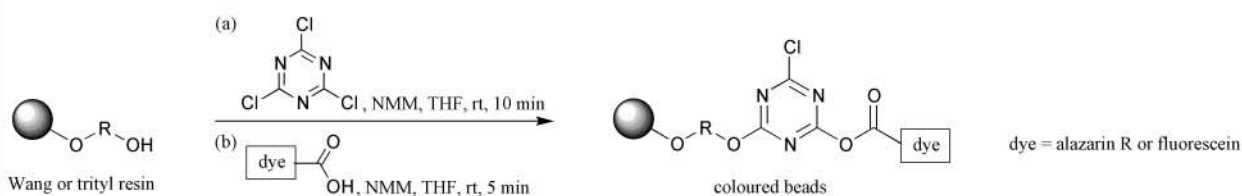
Malachite green, a reagent to monitor the presence of free COOH on solid-supports.



M. E. Attardi, G. Porcu and M. Taddei, *Tetrahedron Lett.*, 2000, **41**, 7391.

9 examples of the illustrated transformation are described. Carboxylic acids form salts with malachite green resulting in green polymer-beads.

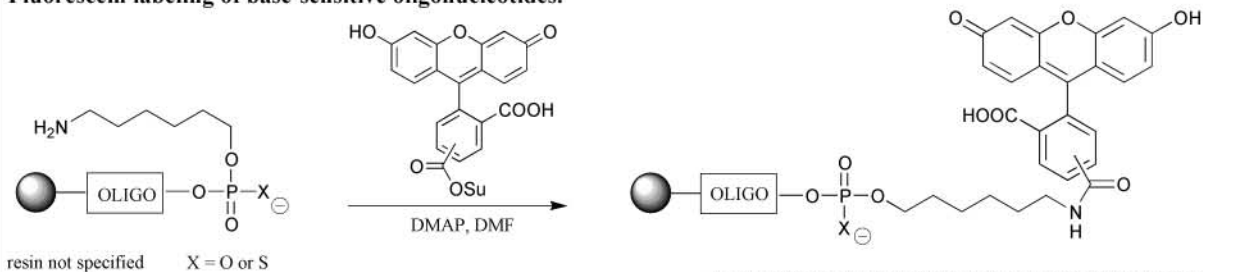
A visual test for detection of OH groups on solid-supports.



M. E. Attardi, A. Falchi and M. Taddei, *Tetrahedron Lett.*, 2000, **41**, 7395.

6 examples of the illustrated transformation are reported. 1° and 2° amines and thiols also give a positive test. A CO₂H group is incompatible.

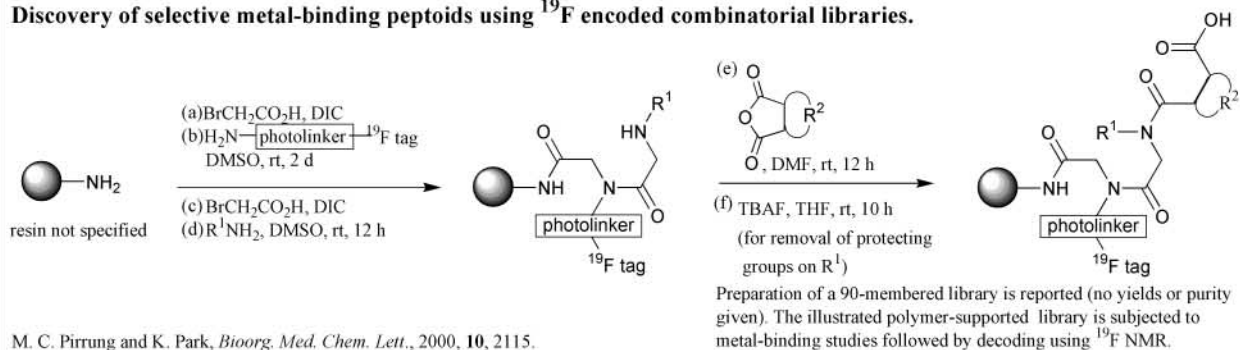
Fluorescein labeling of base-sensitive oligonucleotides.



J.-C. Bologna, J.-L. Imbach and F. Morvan, *Tetrahedron Lett.*, 2000, **41**, 7317.

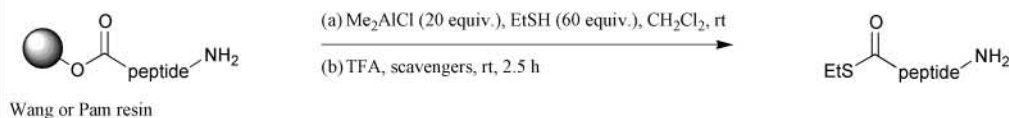
Number of examples are not given (yields 70-85%). Fluorescence properties of carboxyfluorescein-conjugated prooligonucleotides are also reported.

Discovery of selective metal-binding peptoids using ^{19}F encoded combinatorial libraries.



M. C. Pirrung and K. Park, *Bioorg. Med. Chem. Lett.*, 2000, **10**, 2115.

Fmoc-compatible solid-phase synthesis of peptide C-terminal thioesters.

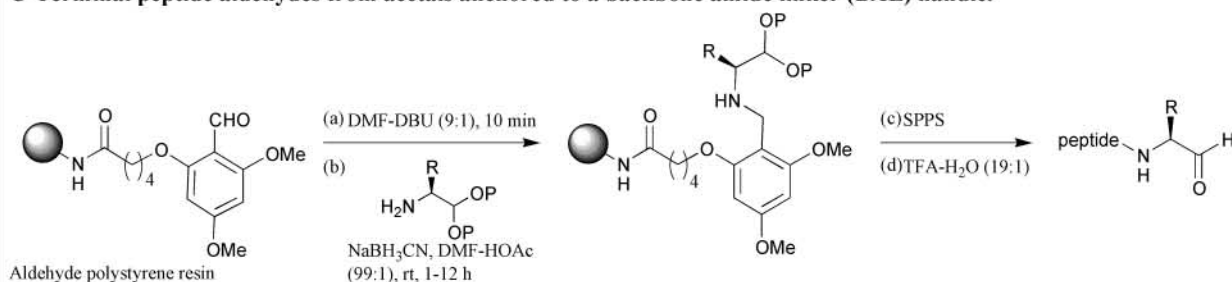


Wang or Pam resin

D. Swinnen and D. Hilvert, *Org. Lett.*, 2000, **2**, 2439.

5 examples (yields 24-63%). Solution-phase amino thioester synthesis is also reported.

C-Terminal peptide aldehydes from acetals anchored to a backbone amide linker (BAL) handle.

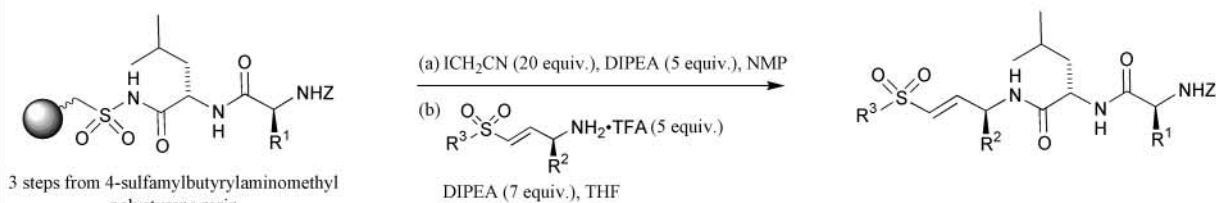


Aldehyde polystyrene resin

F. Guillaumie, J. C. Kappel, N. M. Kelly, G. Barany and K. J. Jensen, *Tetrahedron Lett.*, 2000, **41**, 6131.

6 examples (HPLC purity 50-84%).

Peptide vinyl sulfone and peptide epoxyketone proteasome inhibitors.

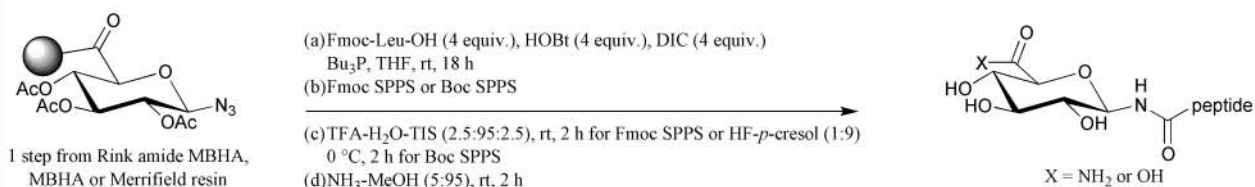


3 steps from 4-sulfamylbutyrylaminoethyl polystyrene resin

H. S. Overkleeft, P. R. Bos, B. G. Hekking, E. J. Gordon, H. L. Ploegh and B. M. Kessler, *Tetrahedron Lett.*, 2000, **41**, 6005.

6 examples (yields 20-31%). Preparation of an epoxyketone derivative, via a similar route, is also reported (yield 5%).

C-Terminal glycopeptides from polymer-supported glycosyl azides via a modified Staudinger reaction.



1 step from Rink amide MBHA, MBHA or Merrifield resin

J. P. Malkinson, R. A. Falconer and I. Toth, *J. Org. Chem.*, 2000, **65**, 5249.

2 examples (yields 70-81%, HPLC purity 69-72%).