Perkin 1 Abstracts: Solid Phase Organic Synthesis

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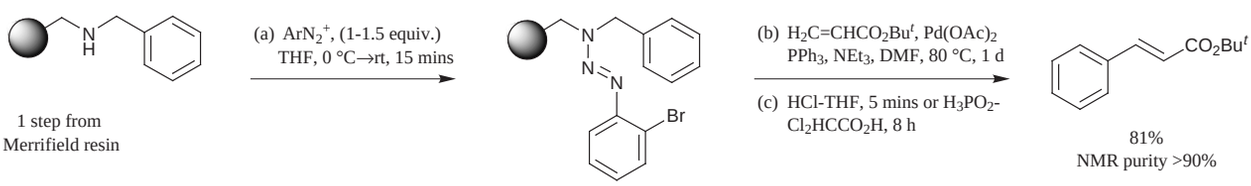
Perkin 1 Abstracts: Solid Phase Organic Synthesis are a selection of significant papers published in the recent literature covering the broad area of Solid Phase Organic Synthesis (SPOS). The abstracts cover preparation of single compounds on solid support as well as combinatorial libraries. Advances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

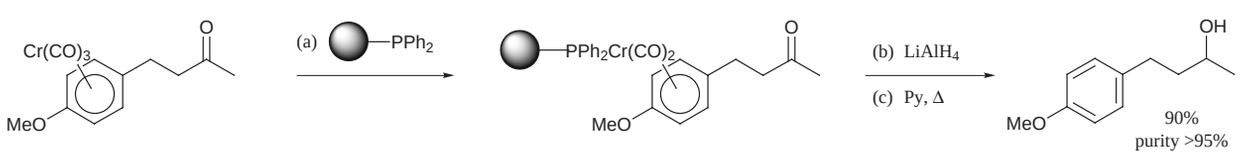
Development of a recyclable traceless linker. ***Linker***



S. Brase, D. Enders, J. Kobberling and F. Avemaria, *Angew. Chem. Int. Ed.*, 1998, **37**, 3413

5 other synthetic transformations, using the triazene system, are described (yields 29-67%, NMR purity >90%).

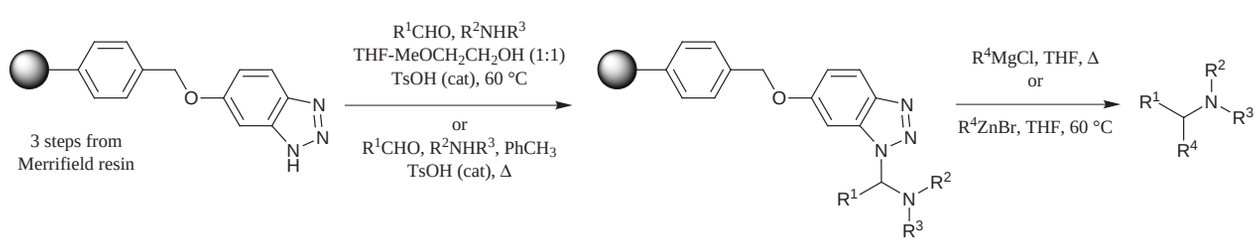
Chromium carbonyl complexes as novel traceless linkers. ***Linker***



S. E. Gibson, N. J. Hales and M. A. Peplow, *Tetrahedron Lett.*, 1999, **40**, 1417.

Also an example of acetylation (yield 70%).

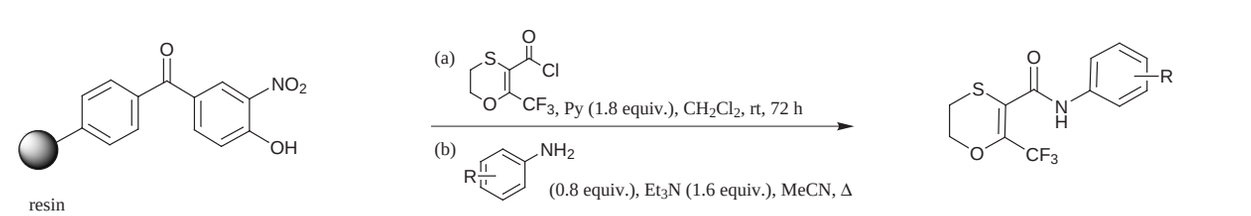
Tertiary amines ***Linker***



A. R. Katritzky, S. A. Belyakov and D. O. Tymoshenko. *J. Comb. Chem.*, 1999, **1**, 173.

5 examples (yields 63-89%).

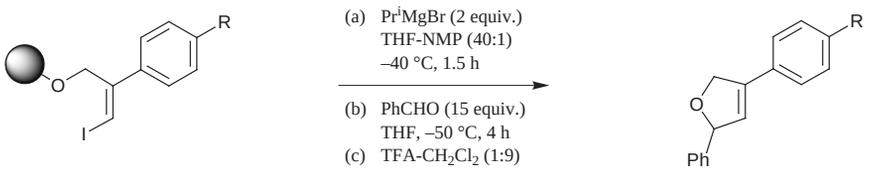
Trifluoromethylated dihydro-1,4-oxathiin-3-carboxanilides



H-G. Hahn, K. H. Chang, K. D. Nam, S. Y. Bae and H. Mah, *Heterocycles*, 1998, **48**, 2253.

15 examples (yields 0-100%).

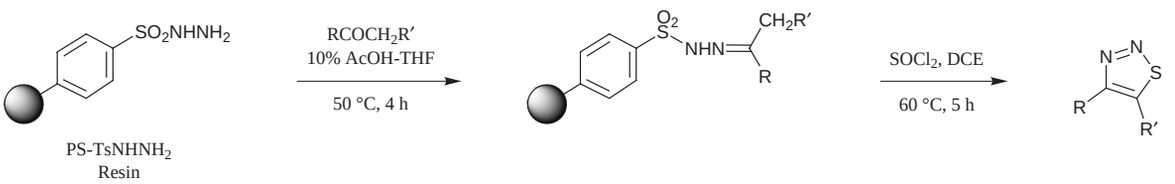
2,5-Dihydrofuranes



M. Rottländer, L. Boymond, G. Cahiez and P. Knochel, *J. Org. Chem*., 1999, **64**, 1080.

2 examples (yields 85%, HPLC purity 97, 98%).

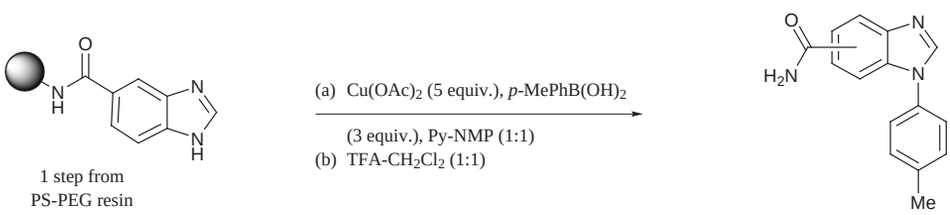
1,2,3-Thiadiazoles



Y. Hu, S. Baudart and J. A. Porco, *J. Org. Chem*., 1999, **64**, 1049.

16 examples (yields 48-100%, GC / HPLC purity 71-100%).

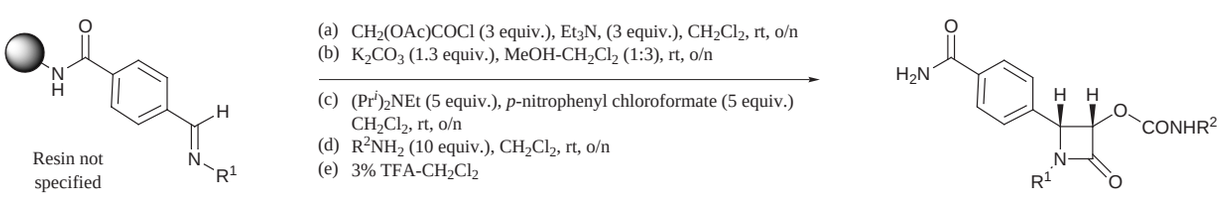
Aryl/heteroaryl C–N cross-coupling reactions.



A. P. Combs, S. Saubern, M. Rafalski and P. Y. S. Lam, *Tetrahedron Lett.*, 1999, **40**, 1623.

4 examples (yields 55-64%, NMR purity 73-97%).

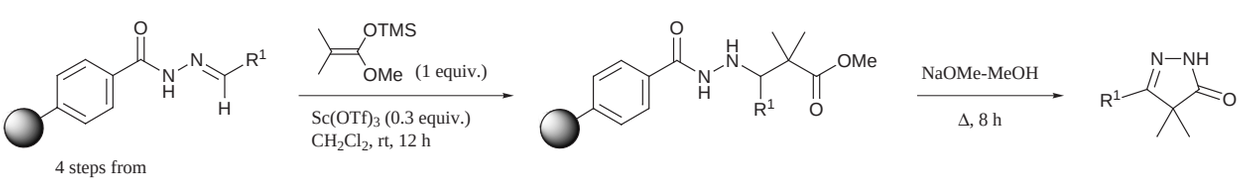
β-Lactams



R. Singh and J. M. Nuss, *Tetrahedron Lett.*, 1999, **40**, 1249.

12 examples (yields 78-89%, HPLC purity 90-100%).

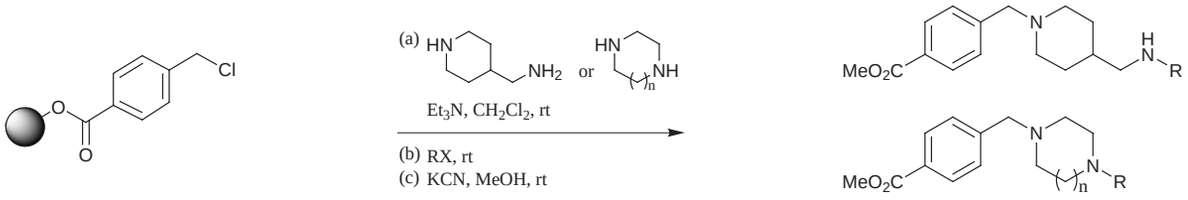
Pyrazole derivatives



S. Kobayashi, T. Furuta, K. Sugita, O. Okitsu and H. Oyamada, *Tetrahedron Lett.*, 1999, **40**, 1341.

16 examples (38-88%).

Benzylpiperidines and benzylpiperazines

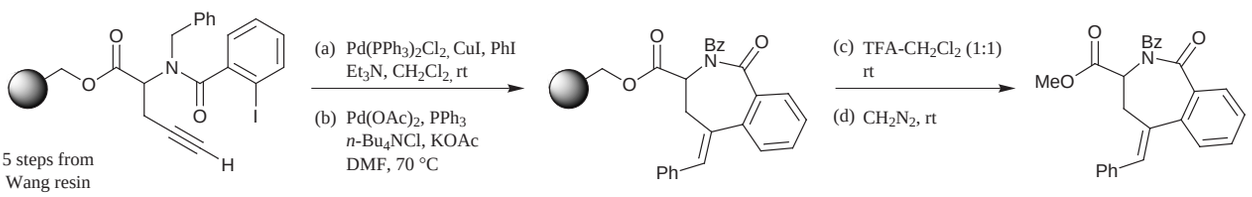


1 step from soluble MeO-PEG

J-Y. Shey and C-M. Sun, *Bioorg. Med. Chem. Lett.*, 1999, **9**, 519.

15 examples (yields 81-98%, HPLC purity 81-98%).

Substituted benzazepines

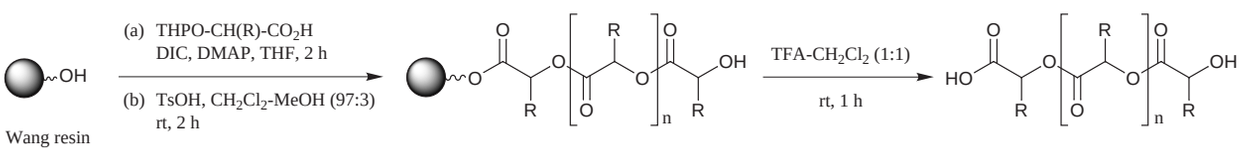


5 steps from Wang resin

G. L. Bolton and J. C. Hodges, *J. Comb. Chem.*, 1999, **1**, 130.

9 examples (yields 39-73%). The benzazepines can be efficiently functionalized by Fukuyama amine synthesis and reductive amination.

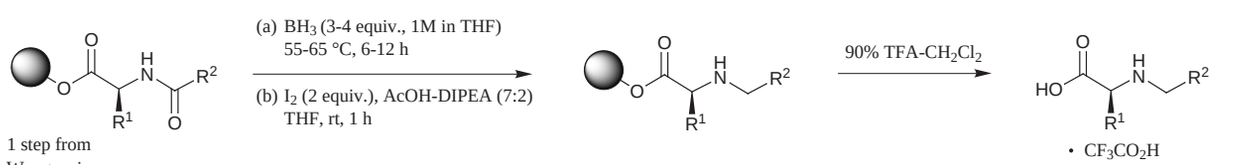
Depsides and depsipeptides



O. Kuisle, E. Quiñoá and R. Riguera, *Tetrahedron Lett.*, 1999, **40**, 1203.

A general strategy for the solid-phase synthesis of depside and depsipeptide chains is reported. The versatility of the procedure is demonstrated by the synthesis of 6 examples (yields 63-74%).

N-Alkylamino acids

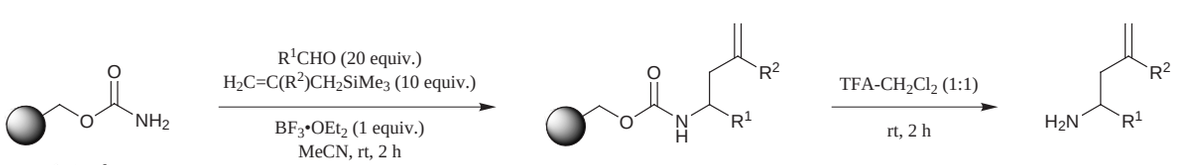


1 step from Wang resin

D. G. Hall, C. Laplante, S. Manku and J. Nagendran, *J. Org. Chem.*, 1999, **64**, 698.

7 examples (yields 66-86%, HPLC purity 75- >95%). Also described are the construction of chiral oligoamines from peptides, 2 examples (yields 95-96%, HPLC purity >95%).

Homoallylic amines

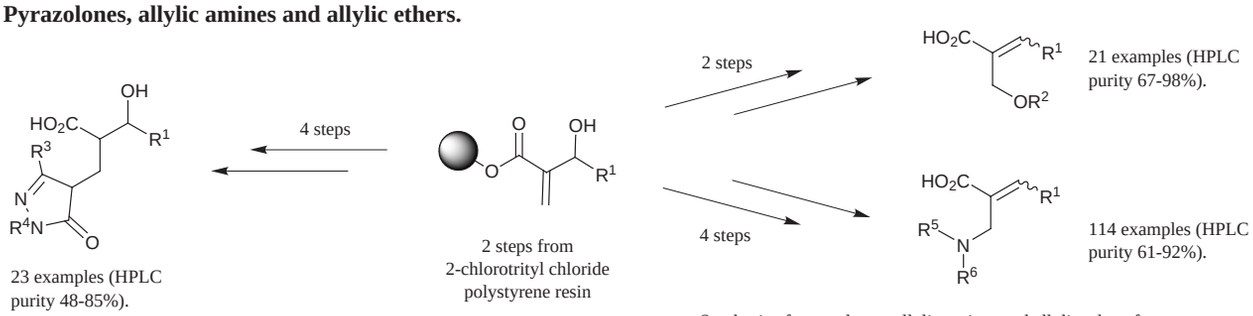


1 step from Wang resin

W. J. N. Meester, F. P. J. T. Rutjes, P. H. H. Hermkens and H. Hiemstra, *Tetrahedron Lett.*, 1999, **40**, 1601.

62 examples (yields 0-60%).

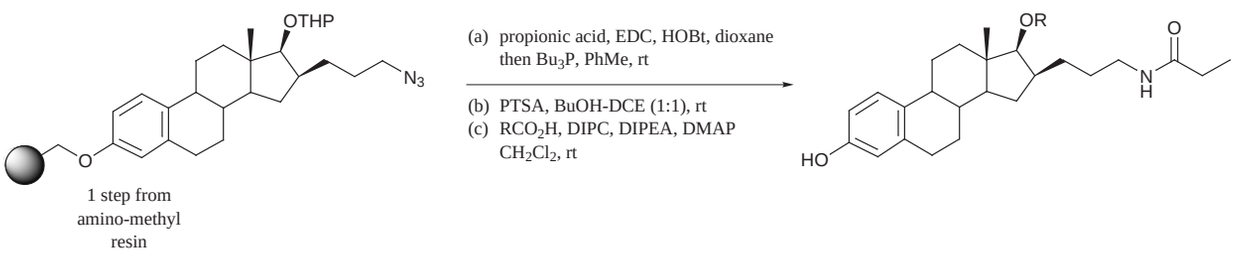
Pyrazolones, allylic amines and allylic ethers.



H. Richter, T. Walk, A. Höltzel and G. Jung, *J. Org. Chem*., 1999, **64**, 1362.

Synthesis of pyrazolones, allylic amines and allylic ethers from common 3-hydroxy-2-methylidenepropionic acid precursors are reported.

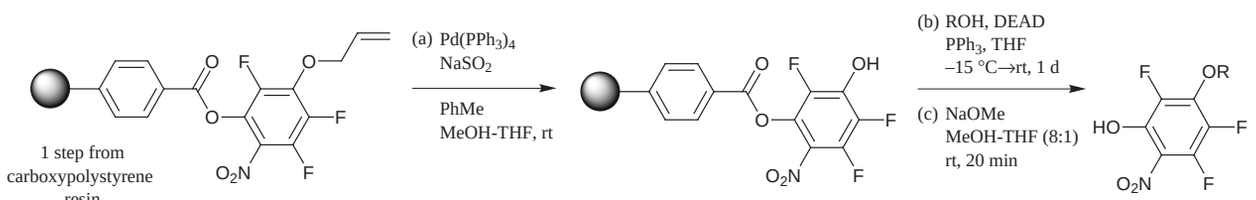
Phenolic steroids: towards combinatorial libraries of estradiol derivatives.



M. R. Tremblay and D. Poirier, *Tetrahedron Lett.*, 1999, **40**, 1277.

2 examples (purities >90%).

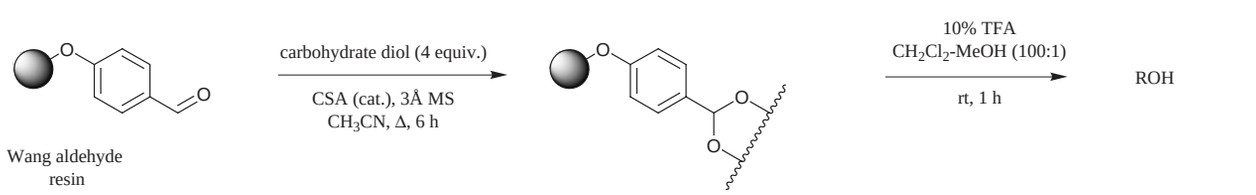
Novel inhibitors of farnesyl transferase.



A. M. Barber, I. R. Hardcastle, M. G. Rowlands, B. P. Nutley, J. H. Marriott and M. Jarman. *Bioorg. Med. Chem. Lett*., 1999, **9**, 623.

12 examples (yields 62-94%, HPLC purity 12-94%).

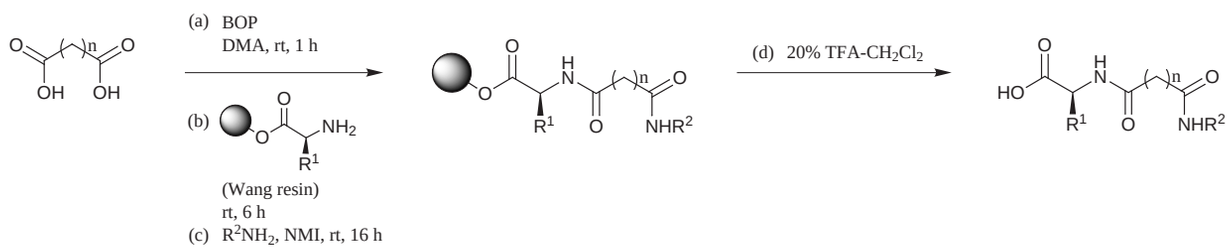
Formation of *p*-alkoxybenzylidene acetals on solid support and generation of functional diversity with carbohydrate scaffolds.



6 examples (yields 70-92%). Standard reactions were also performed on solid support and the products cleaved to provide partially substituted products, 5 examples (yields 54-88%).

S. Hanessian and H. K. Huynh, *Synlett*, 1999, 102.

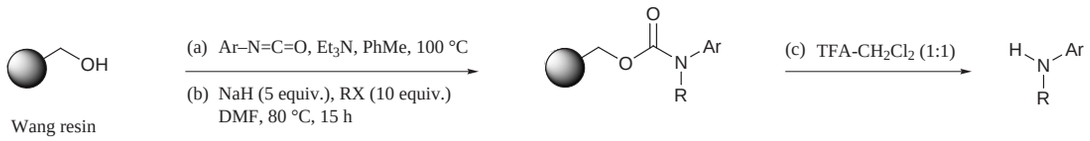
Unsymmetrically functionalised diamides.



A. Wahhab and J. Leban, *Tetrahedron Lett*., 1999, **40**, 235.

12 examples (yields 56-100%, purity 40, 80->95%) are reported.

Aryl and heteroaryl amines

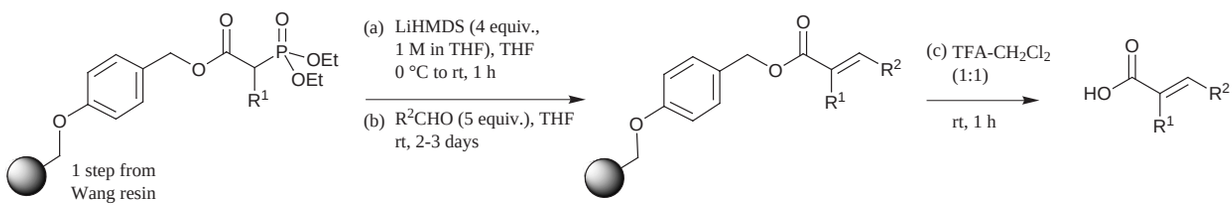


Wang resin

S. Sunami, T. Sagara, M. Ohkubo and H. Morishima, *Tetrahedron Lett.*, 1999, 1721.

14 examples (yields 77, 90->95%, HPLC purity 82-94%).

Horner-Emmons synthesis of olefins.

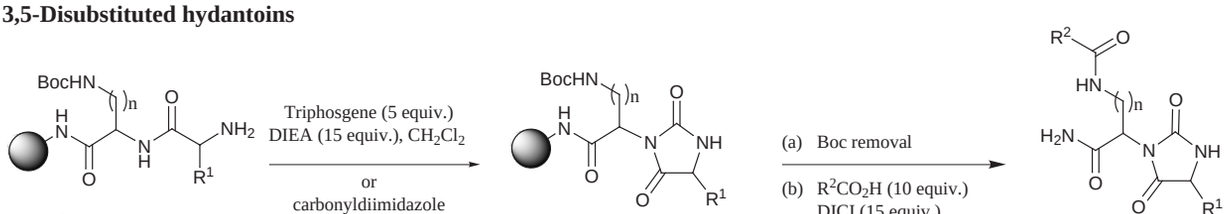


1 step from Wang resin

J. M. Salvino, T. J. Kieson, S. Darnbrough and R. Labaudiniere, *J. Comb. Chem.*, 1999, **1**, 134.

48 examples (yields 69-95%, HPLC purity 48-97%).

3,5-Disubstituted hydantoins



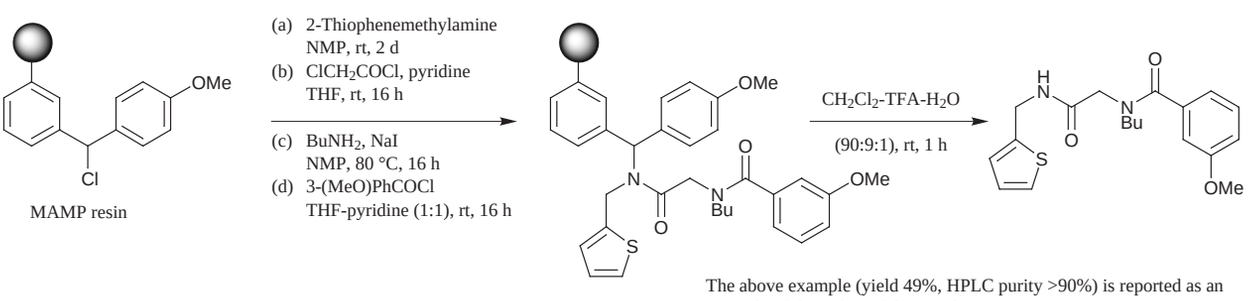
3 steps from 4-methylbenzhydrylamine resin

(n = 1,2,3,4)

A. Nefzi, J. M. Ostresh, M. Giulianotti and R. A. Houghten, *Tetrahedron Lett.*, 1998, **39**, 8199.

15 examples (purity 86-94%).

Merrifield, alpha-methoxyphenyl (MAMP) resin

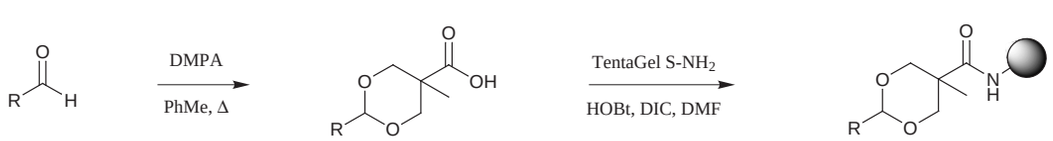


MAMP resin

D. S. Brown, J. M. Revill and R. E. Shute, *Tetrahedron Lett.*, 1998, **39**, 8533.

The above example (yield 49%, HPLC purity >90%) is reported as an example of the utility of the novel resin.

A simple method for coupling aldehydes to solid support.



W. A. Metz, W. D. Jones, F. L. Ciske and N. P. Peet, *Bioorg. Med. Chem. Lett.*, 1998, **8**, 2399.

7 examples of coupling and subsequent release of aldehydes (95% TFA, 15 min, yields 60-97%).