

Perkin 1 Abstracts: Solid Phase Organic Synthesis

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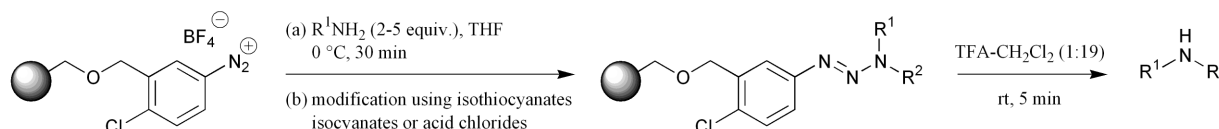
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Perkin 1 Abstracts: Solid Phase Organic Synthesis are a selection of significant papers published in the recent literature covering the broad area of Solid Phase Organic Synthesis (SPOS). The abstracts cover preparation of single compounds on solid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

A stable diazonium ion linker or scavenger.

Linker



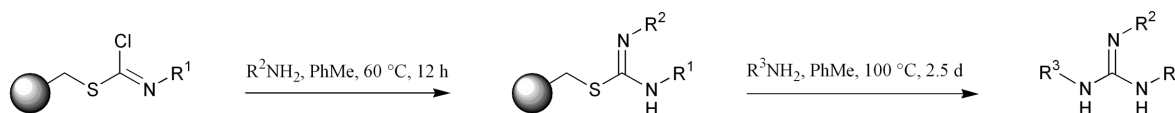
2 steps from Merrifield resin

7 examples (yields 20-100%, ¹H NMR or HPLC purity >95%). Use of the illustrated linker as scavenger for amines, anilines and phenols is also reported.

S. Dahmen and S. Brase, *Angew. Chem., Int. Ed.*, 2000, **39**, 3681.

Traceless synthesis of *N,N',N''*-substituted guanidines.

Linker



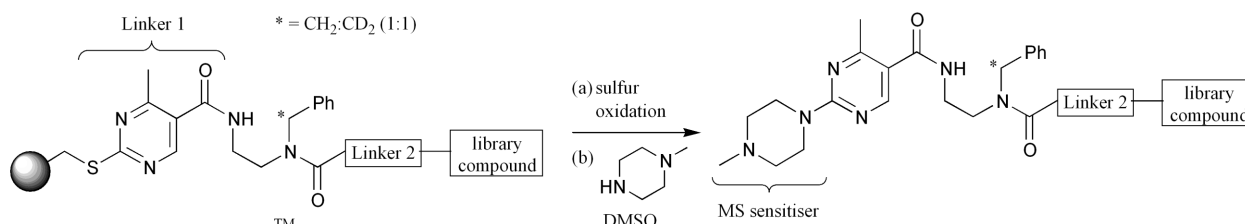
2 steps from Merrifield resin

The illustrated chlorothioformamide linker is used to prepare 14 tri-substituted guanidines (yields 25-66%, ¹H NMR purity >90%).

L. Gomez, F. Gellibert, A. Wagner and C. Mioskowski, *Chem. Eur. J.*, 2000, **6**, 4016.

Thiopyrimidine safety-catch linker for facile monitoring of solid-phase libraries.

Linker



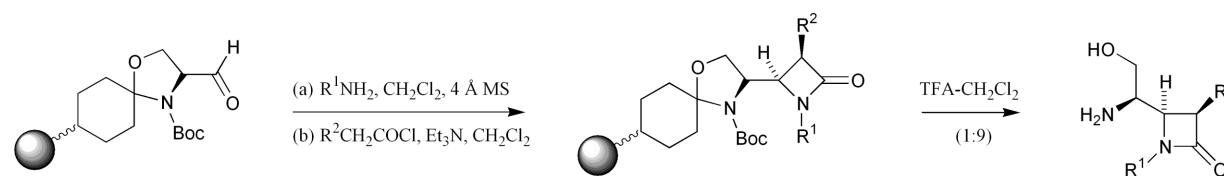
4 steps from amino methyl ArgoGelTM resin

1 example. Solution-phase synthesis of the thiopyrimidine linker and ESI-MS analysis of the illustrated product is also reported.

O. Lorthioir, S. C. McKeown, N. J. Parr, M. Washington and S. P. Watson, *Tetrahedron Lett.*, 2000, **41**, 8609.

1,3,4-Substituted β -lactams.

Linker



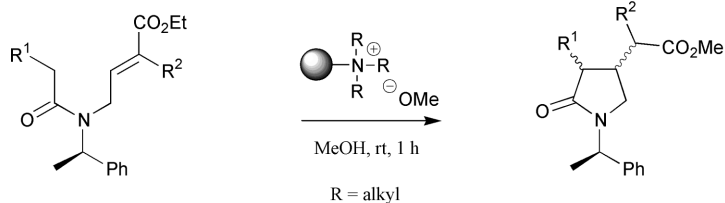
2 steps from hydroxymethyl polystyrene resin

The illustrated chiral oxazolidinone aldehyde linker is used to prepare 7 β -lactams (yields 45-62%, HPLC purity 77-96%).

K. Gordon, M. Bolger, N. Khan and S. Balasubramanian, *Tetrahedron Lett.*, 2000, **41**, 8621.

Conjugate intra- and intermolecular addition mediated by polymer-supported methoxide anion.

Reagent

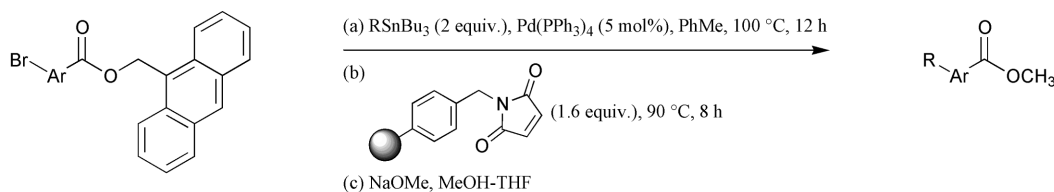


C. Fava, R. Galeazzi, E. M. Gonzalez-Rosende and M. Orena, *Tetrahedron Lett.*, 2000, **41**, 8577.

4 examples (yields 66-77%, %de 30-60%). 7 further examples, using the illustrated polymer-supported methoxide anion to generate enolate anions of β -carbonyl or -dicarbonyl esters to give intermolecular addition products, are also reported (yields 56-90%).

Polymer-supported *N*-benzylmaleimide as a scavenger for anthracene-tagged ester substrates.

Scavenger

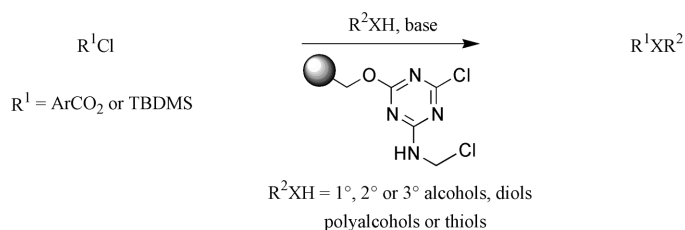


X. Wang, J. J. Parlow and J. A. Porco, Jr., *Org. Lett.*, 2000, **2**, 3509.

8 examples (yields 84-98%, HPLC purity 92-99%). The illustrated polymer-supported *N*-benzylmaleimide is used to scavenge 6 similar anthracene-tagged esters (yields 77-90%, HPLC purity 93-97%).

PEG-dichlorotriazine: a soluble polymer-supported scavenger for alcohols, thiols, phosphines and phosphine oxides.

Scavenger

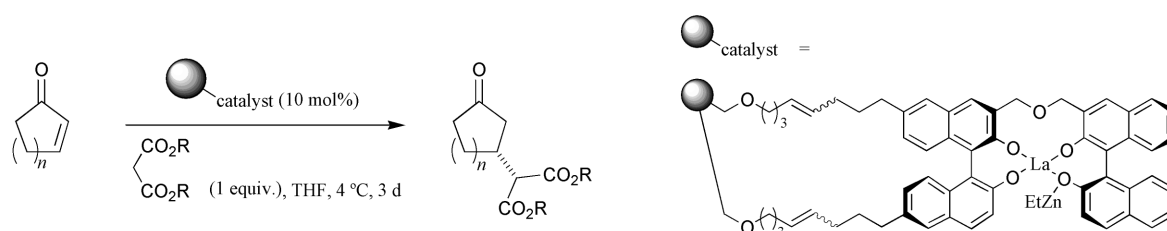


A. Falchi and M. Taddei, *Org. Lett.*, 2000, **2**, 3429.

The illustrated scavenger removes excess reagents such as $1^\circ, 2^\circ$ or 3° alcohols, diols, polyalcohols or thiols. Removal of excess phosphine and phosphine oxide from a reaction medium is also reported.

Polymer-supported La-Zn-linked-BINOL catalyst for asymmetric Michael reactions.

Catalyst

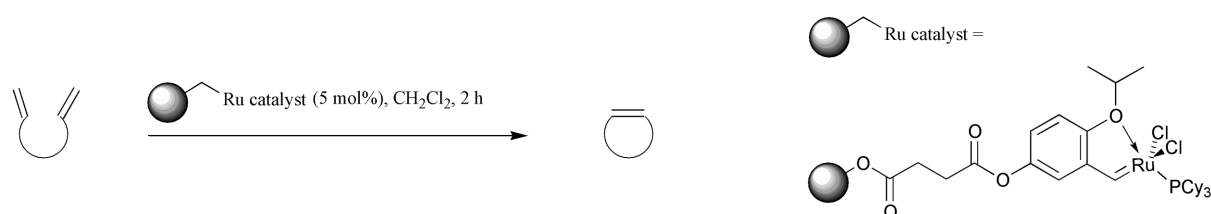


S. Matsunaga, T. Ohshima and M. Shibasaki, *Tetrahedron Lett.*, 2000, **41**, 8473.

5 examples (yields 70-99%, %ee 80-96%). Synthesis of the illustrated La catalyst in 4 steps from Merrifield resin is also reported.

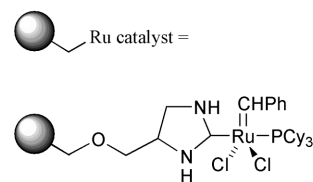
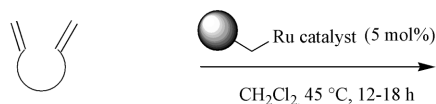
A reusable catalyst for ring-closing metathesis.

Catalyst



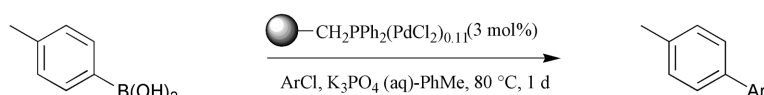
Q. Yao, *Angew. Chem., Int. Ed.*, 2000, **39**, 3896.

5 examples (yields 92->99%). Synthesis of the illustrated Ru catalyst in 2 steps from soluble poly(ethylene glycol) monomethyl ether with a succinate linker, is also reported.

An olefin-metathesis catalyst.**Catalyst**

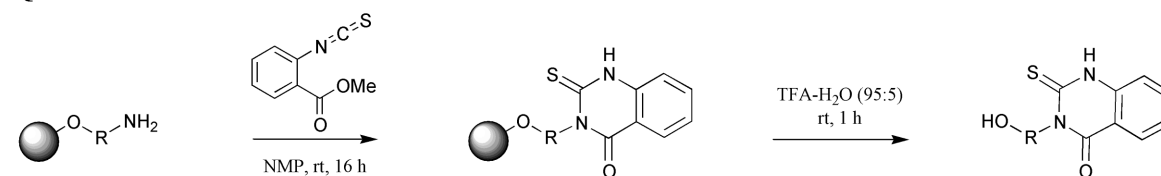
S. C. Schurer, S. Gessler, N. Buschmann and S. Blechert, *Angew. Chem., Int. Ed.*, 2000, **39**, 3898.

6 examples and 2 examples of cross-metathesis (yields 80-100%). Synthesis of the catalyst in 6 steps from Merrifield resin and investigations into the recycling potential of the catalyst is also reported.

Palladium catalysed cross-coupling of chloroarenes with arylboronic acids.**Catalyst**

K. Inada and N. Miyaura, *Tetrahedron*, 2000, **56**, 8661.

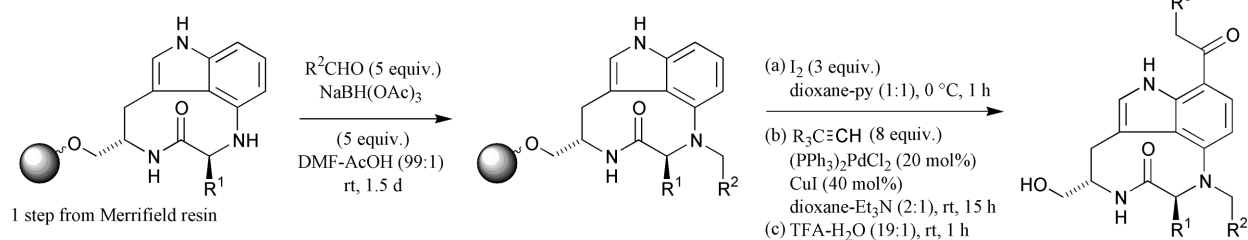
10 examples (yields 35-92%) using electron-deficient chloroarenes and chloropyridines. Synthesis of the Pd catalyst, in 3 steps from polystyrene cross-linked with 1% divinylbenzene, is also reported.

Quinazoline-2-thioxo-4-ones

2 steps from SynPhase™
SP-PS-D-HMP lanterns

S. Makino, N. Suzuki, E. Nakanishi and T. Tsuji, *Tetrahedron Lett.*, 2000, **41**, 8333.

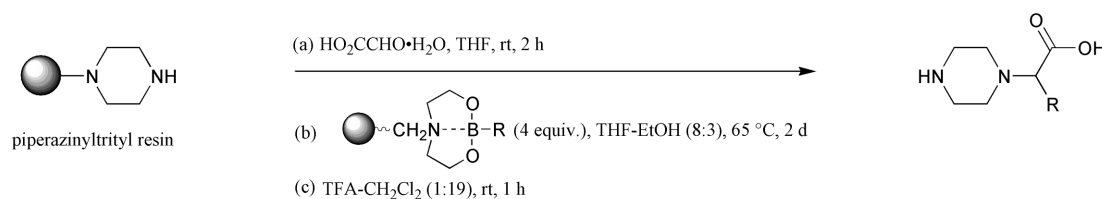
5 examples (yields 35-90%, HPLC purity 86->95%). One of the illustrated quinazoline-2-thioxo-4-ones is alkylated with various alkyl- and aryl halides prior to cleavage from the resin (5 examples, yields 67-100%, HPLC purity 87->95%).

Teleocidin analogues.

1 step from Merrifield resin

B. Meseguer, D. Alonso-Diaz, N. Griebenow, T. Herget and H. Waldmann, *Chem. Eur. J.*, 2000, **6**, 3943.

Preparation of a 48-member library is reported (sample yields 10-65%). Solution-phase synthesis of indolactam derivatives is also reported.

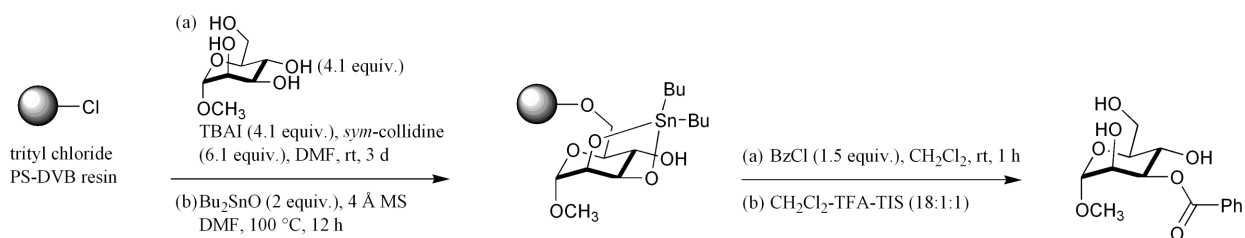
Resin-to-resin Petasis borono-Mannich reaction between dialkylamino resins and polymer-supported boronic acids.

piperazyltrityl resin

K. A. Thompson and D. G. Hall, *Chem. Commun.*, 2000, 2379.

6 examples (yields 21-90%). Boronic esters are transferred into solution by *in situ* transesterification with ethanol. 2 other examples of borono-Mannich reactions using different dialkylamino resins are reported (yields 76-95%).

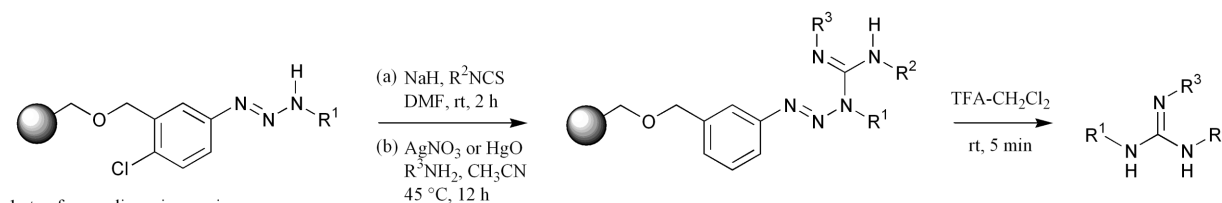
Tin-mediated regioselective acylation of unprotected sugars.



1 examples (yield 98%, regioselectivity >98%). Regioselective acylation of methyl α -D-glucopyranoside at O-2 and β -D-galactopyranoside at O-3 is also reported (yields 94-96%).

F. Peri, L. Cipolla and F. Nicotra, *Tetrahedron Lett.*, 2000, **41**, 8587.

Guanidines.

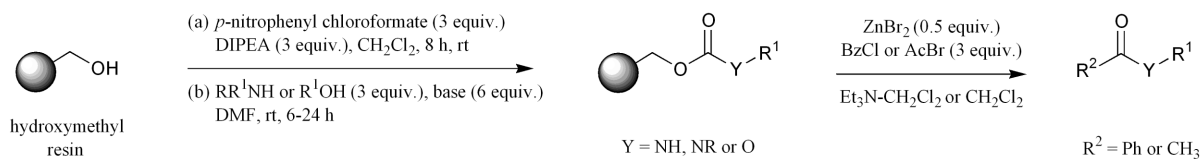


1 step from a diazonium resin

S. Dahmen and S. Brase, *Org. Lett.*, 2000, **2**, 3563.

9 examples (yields 41-100%).

One-pot formation of amides from benzyl carbamates.

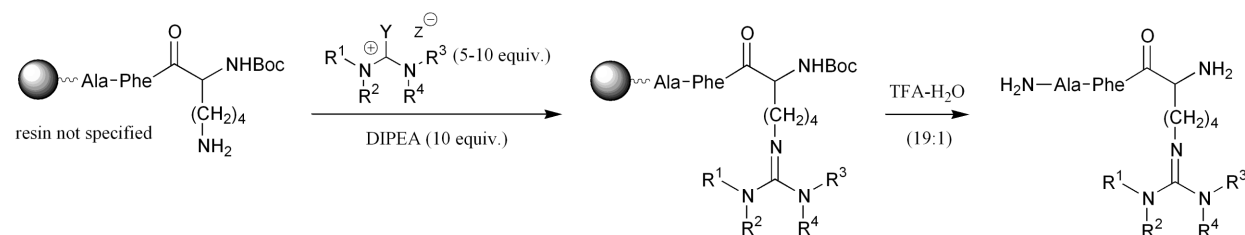


hydroxymethyl
resin

10 examples (yields 10-97%). Solution-phase synthesis of amides from benzyl carbamates is also reported.

W.-R. Li, Y.-C. Yo and Y.-S. Lin, *Tetrahedron*, 2000, **56**, 8867.

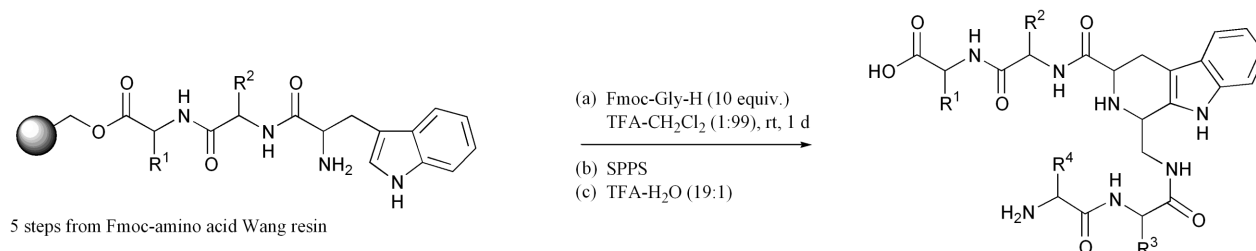
Substituted guanidines.



M. del Fresno, A. El-Faham, L.A. Carpino, M. Royo and F. Albericio, *Org. Lett.*, 2000, **2**, 3539.

12 examples using different aminium/uronium salt-based reagents are reported (HPLC purity 90-100%).

1,2,3,4-Tetrahydro- β -carboline-containing peptidomimetics.



5 steps from Fmoc-amino acid Wang resin

X. Li, L. Zhang, W. Zhang, S. E. Hall and J. P. Tam, *Org. Lett.*, 2000, **2**, 3075.

14 examples (HPLC purity 78-95%).