

Perkin 1 Abstracts: Solid Phase Organic Synthesis

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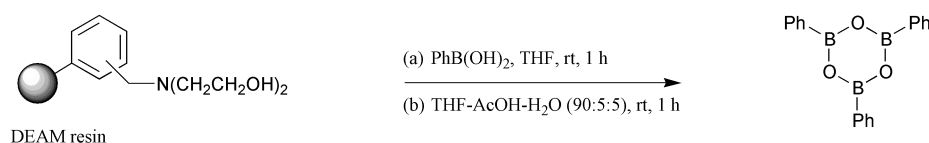
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Perkin 1 Abstracts: Solid Phase Organic Synthesis are a selection of significant papers published in the recent literature covering the broad area of Solid Phase Organic Synthesis (SPOS). The abstracts cover preparation of single compounds on solid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

Synthesis of *N,N*-bis(2-hydroxyethyl)aminomethyl styrene (DEAM) polymer resin: immobilisation of boronic acids.

Support



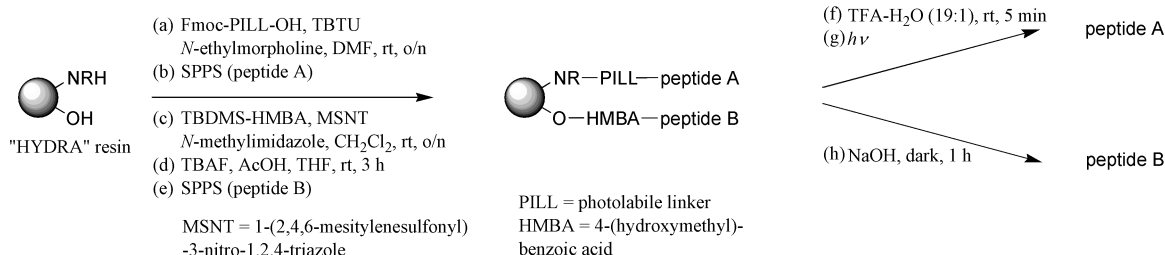
DEAM resin

S. Arimori, J. H. Hartley, M. L. Bell, C. S. Oh and T. D. James, *Tetrahedron Lett.*, 2000, **41**, 10291.

1 example (yield 100%). Preparation of the illustrated resin, via co-polymerisation of *N,N*-bis(2-hydroxyethyl)aminomethyl styrene with styrene and divinylbenzene, is also reported.

"HYDRA": a hydroxy and amine functionalised resin.

Support

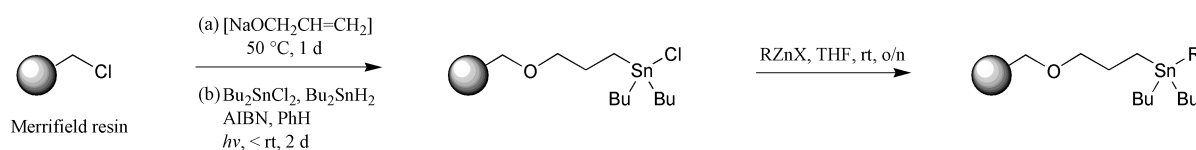


T. Groth, M. Gröthli, W. D. Lubell, L. P. Miranda and M. Meldal, *J. Chem. Soc., Perkin Trans. 1*, 2000, 4258.

1 example (HPLC purity 61-95%). Preparation of "HYDRA" resin from PEG aldehyde resin is also reported.

Chlorostannane resin: coupling with organozinc halides.

Support



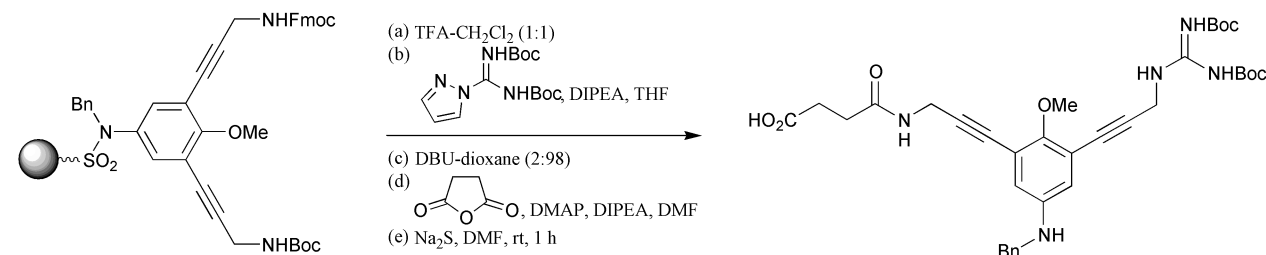
Merrifield resin

X. Zhu, B. E. Blough and F. I. Carroll, *Tetrahedron Lett.*, 2000, **41**, 9219.

Immobilisation of 10 organohalides onto the illustrated chlorostannane resin is reported (yields 20 - >95%). 1 example of R group cleavage from the resin is also reported (yield 20%).

A linker scaffold to present dimers of pharmacophores.

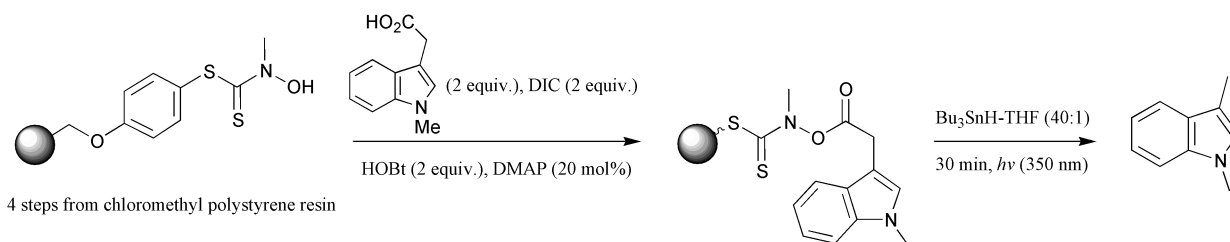
Linker



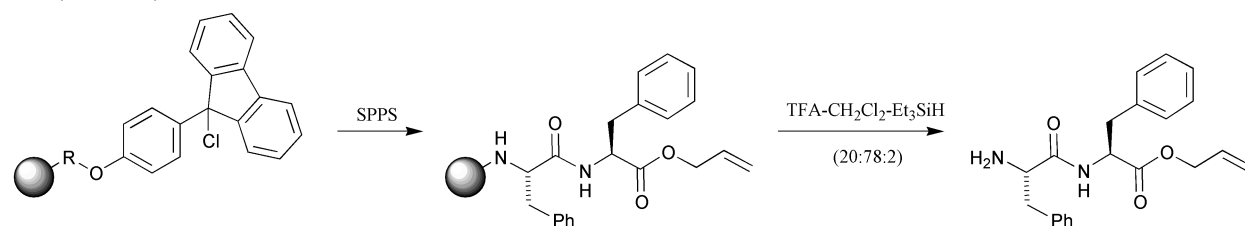
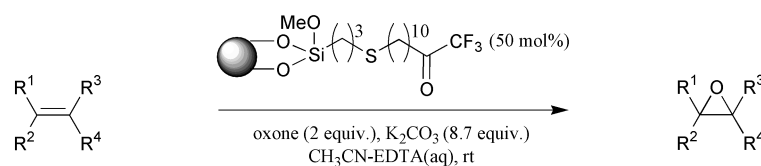
1 step from aminomethyl polystyrene resin

M. Pattararapan and K. Burgess, *Angew. Chem., Int. Ed.*, 2000, **39**, 4299.

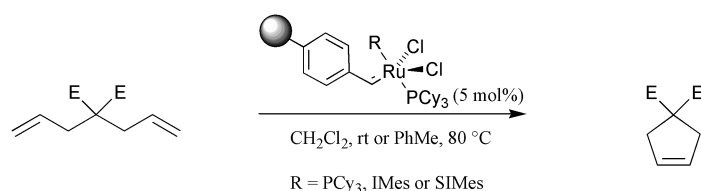
1 example (yield 52%, purity 99%). Solution-phase synthesis of the illustrated linker is also reported.

A thiohydroxamic acid-derived photolabile 'traceless' linker.**Linker**J. R. Horton, L. M. Stamp and A. Routledge, *Tetrahedron Lett.*, 2000, **41**, 9181.

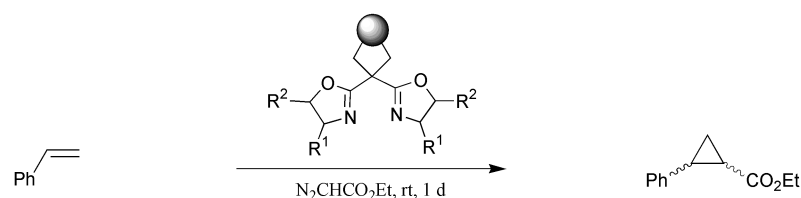
1 example (yield 55%).

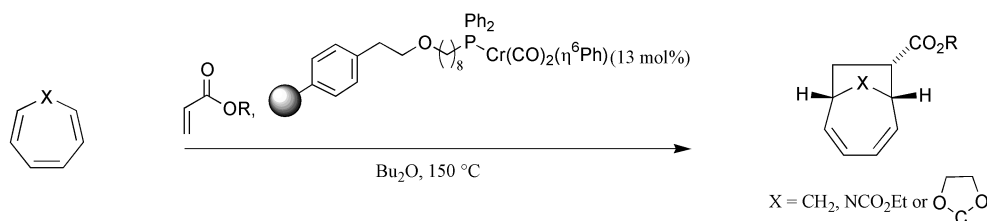
Phenylfluorenyl based linkers.**Linker**K. H. Bleicher, C. Lutz and Y. Wüthrich, *Tetrahedron Lett.*, 2000, **41**, 9037.**Dioxirane-mediated epoxidation of alkenes with an immobilised ketone catalyst.****Catalyst**C. E. Song, J. S. Lim, S. C. Kim, K. Lee and D. Y. Chi, *Chem. Commun.*, 2000, 2415.

9 examples (yields 71-98%). Preparation of the illustrated ketone catalyst, in 1 step from 3-mercaptopropylsilanised silica gel, is also reported.

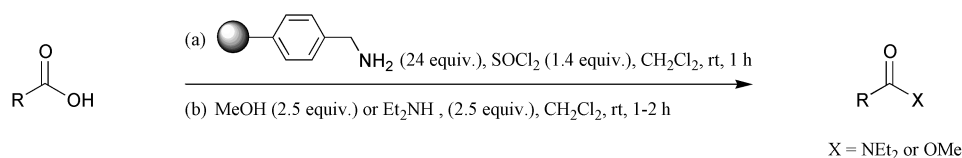
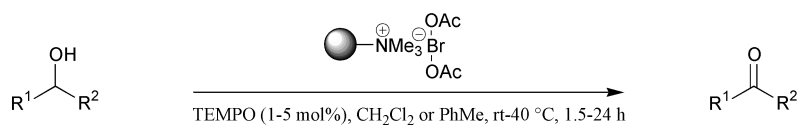
Ruthenium catalysts for olefin metathesis.**Catalyst**L. Jafarpour and S. P. Nolan, *Org. Lett.*, 2000, **2**, 4075.

9 examples (yields 33-100%). Immobilisation of the Ru catalyst onto polystyrene divinylbenzene is also reported.

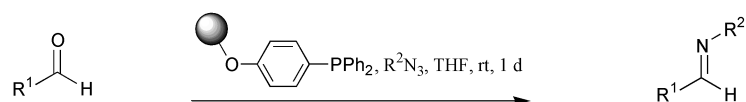
Bis(oxazoline)-copper complexes as cyclopropanation catalysts.**Catalyst**M. I. Burguete, J. M. Fraile, J. I. García, E. García-Verdugo, S.V. Luis and J. A. Mayoral, *Org. Lett.*, 2000, **24**, 3905.9 examples (yields 20-46%, various *cis/trans* ratios, *trans* %ee 43-77%, *cis* %ee 40-75%).

A chromium catalyst for effecting $[6\pi + 2\pi]$ cycloaddition reactions.**Catalyst**J. H. Rigby, M. A. Kondratenko and C. Fiedler, *Org. Lett.*, 2000, **24**, 3917.

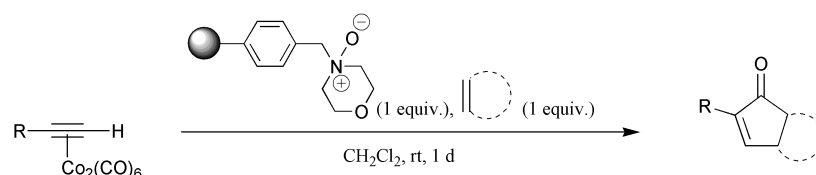
6 examples (yields 64-92%). Synthesis of the illustrated catalyst, in 2 steps from chloromethyl polystyrene resin, is also reported.

Synthesis and one-pot reaction of acyl chlorides using a scavenging resin.**Scavenger**C. Girard, I. Tranchant, P.-A. Nioré and J. Herscovici, *Synlett*, 2000, 1577.18 examples (yields 41-93%). Preparation of a cholesterol and galactose derivative, *via* a similar route, is also reported (yields 80-84%).**A polymer-supported reagent for the oxidation of primary and secondary alcohols.****Reagent**G. Sourkouni-Argirusi and A. Kirschning, *Org. Lett.*, 2000, **24**, 3781.

15 examples (yields 44-99%). Synthesis of the illustrated polymer-supported reagent in 1 step from polystyrene bound bromide is also reported.

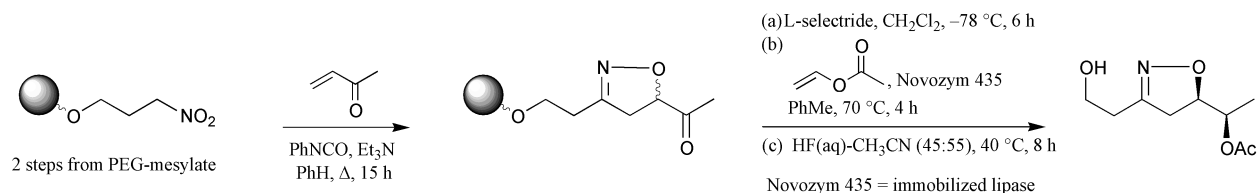
Polymer-supported triphenylphosphine: application to the Staudinger/aza-Wittig reaction.**Reagent**A. B. Charette, A. A. Boezio and M. K. Janes, *Org. Lett.*, 2000, **24**, 3777.

16 examples (yields 66-100%). Synthesis of the illustrated polymer-bound triphenylphosphine reagent in 3 steps from non-cross-linked polystyrene is also reported.

Polymer-supported *N*-methylmorpholine *N*-oxide as a recyclable promoter of the Pauson-Khand reaction.**Reagent**D. S. Brown, E. Campbell, W. J. Kerr, D. M. Lindsay, A. J. Morrison, K. G. Pike and S. P. Watson, *Synlett*, 2000, 1573.

8 examples (yields 64-100%). Davis' reagent and an unoxidised polymer-supported amine were also used to promote 1 other Pauson-Khand reaction (yield 95%).

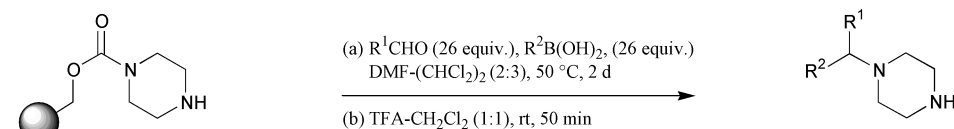
Soluble polymer-supported chemoselective synthesis of the C₂₁–C₂₇ fragment of the bryostatins.



J. A. López-Peigrín, P. Wentworth, Jr., F. Sieber, W. A. Metz and K. D. Janda, *J. Org. Chem.*, 2000, **65**, 8527.

1 example (yield 40%, %ee > 99%). Solution-phase completion of the C₂₁–C₂₇ fragment of the bryostatins is also reported.

The boronic Mannich reaction.

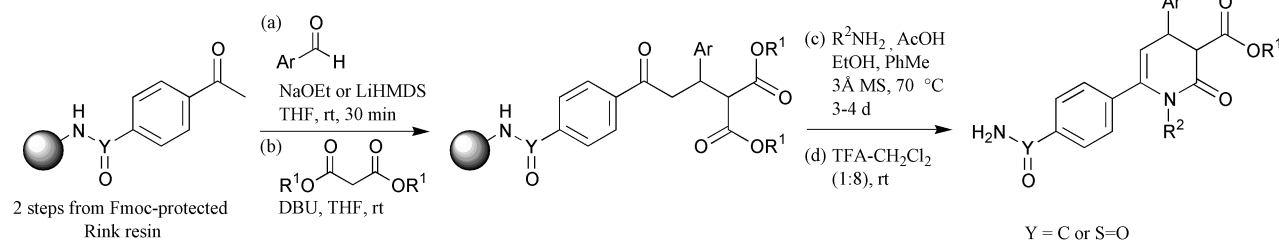


2 steps from Wang resin

6 examples (yields 24–81%, ELS purity 70–>95%). The use of polymer-supported Fmoc-L-proline and polymer supported aldehyde and phenylboronic acid as components in the boronic Mannich reaction are also reported (19 examples, yields 14–90%, ELS purity 59–>95%).

N. Schlienger, M. R. Bryce and T. K. Hansen, *Tetrahedron*, 2000, **56**, 10023.

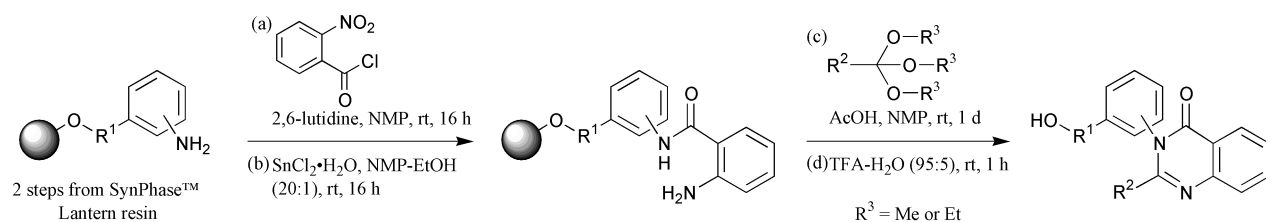
3,4-Dihydro-2-pyridones via aza-annulation.



A. S. Wagman, L. Wang and J. M. Nuss, *J. Org. Chem.*, 2000, **65**, 9103.

25 examples (yields 30–98% HPLC or LCMS purity >90%).

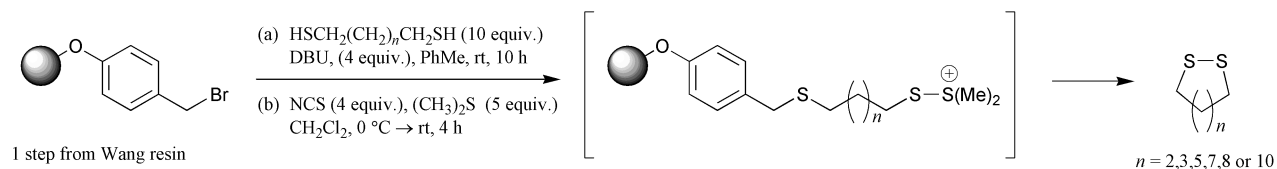
Quinazolinones



S. Makino, N. Suzuki, E. Nakanishi and T. Tsuji, *Synlett*, 2000, 1670.

12 examples (HPLC purity 76–>95%). Use of 3,3-diethoxyacrylic acid ethyl ester as an orthoformate equivalent is also reported (HPLC purity >95%).

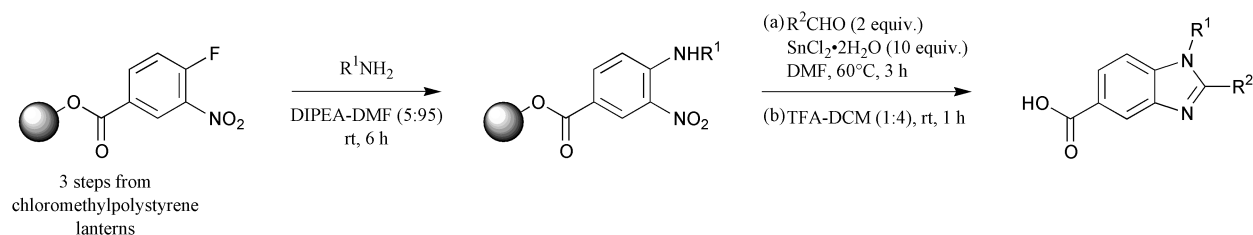
Cyclo-release synthesis of cyclic disulfides.



T. Zoller, J.-B. Ducep, C. Tahtaoui and M. Hibert, *Tetrahedron Lett.*, 2000, **41**, 9989.

6 examples (yields 29–79%). Synthesis of a cyclic disulfide-containing amide bonds via a similar route is also reported (yield 13%).

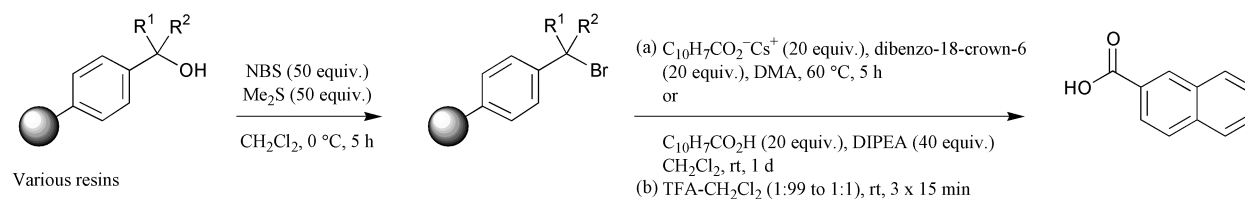
Benzimidazoles via a 'one-pot' nitro reduction-cyclisation route.



Z. Wu, P. Rea and G. Wickham, *Tetrahedron Lett.*, 2000, **41**, 9871.

Preparation of a 25-member library is reported (crude yields approx. 85%, HPLC purity 56-93%).

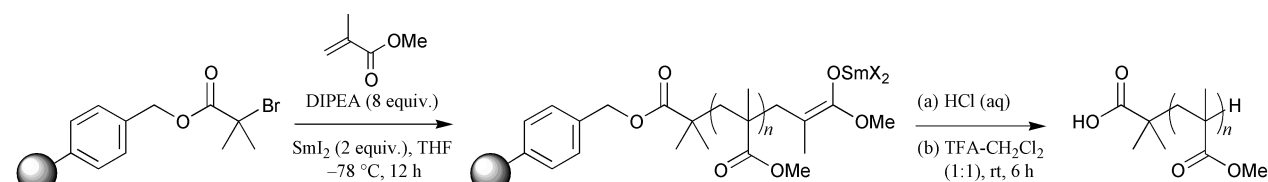
Benzylic alcohol bromination under neutral conditions.



T. Zoller, J.-B. Ducep and M. Hibert, *Tetrahedron Lett.*, 2000, **41**, 9985.

8 examples using 8 different resins (yields 0-98%).

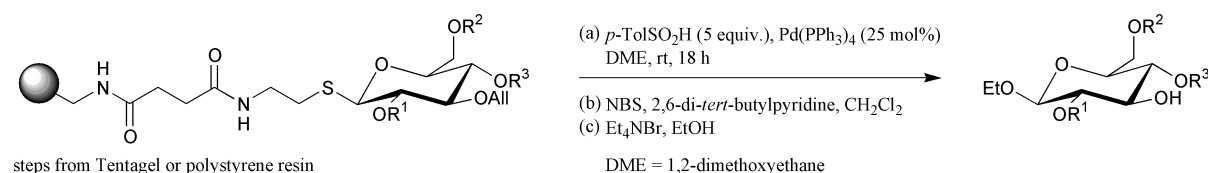
Samarium enolate as an anionic initiator for polymethylacrylate synthesis.



M. Tanaka, A. Sudo, F. Sanda and T. Endo, *Chem. Commun.*, 2000, 2503.

1 example (100% yield).

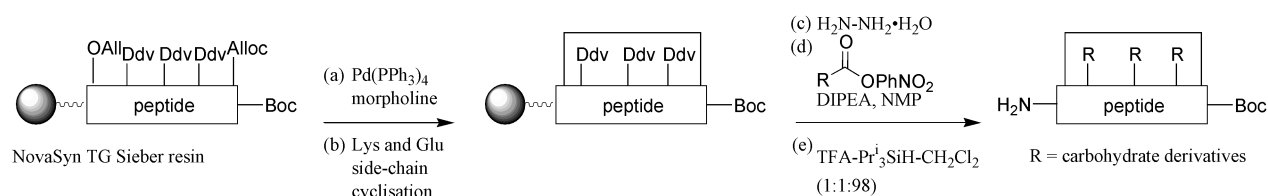
Palladium(0)-catalyzed cleavage of allyl ethers.



T. Opatz and H. Kunz, *Tetrahedron Lett.*, 2000, **41**, 10185.

10 examples (yields >98%).

Multivalent cyclic neoglycopeptides.



V. Wittmann and S. Seeberger, *Angew. Chem., Int. Ed.*, 2000, **39**, 4348.

18 examples (no yields or purity given).