Tetrahedron 66 (2010) 1–14

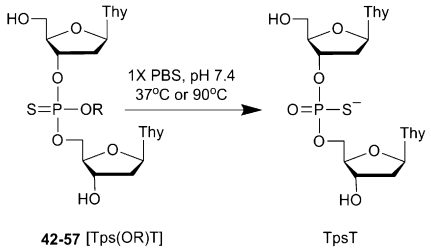
Contents lists available at ScienceDirect

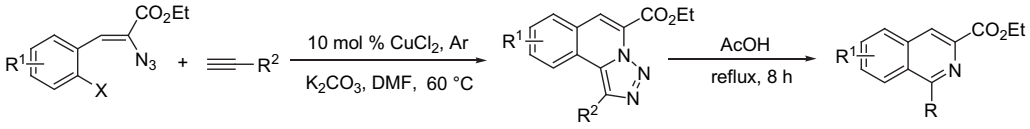
Tetrahedron

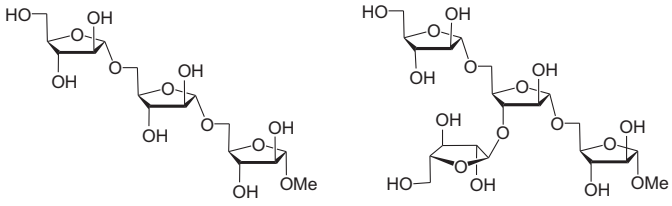
journal homepage: www.elsevier.com/locate/tet

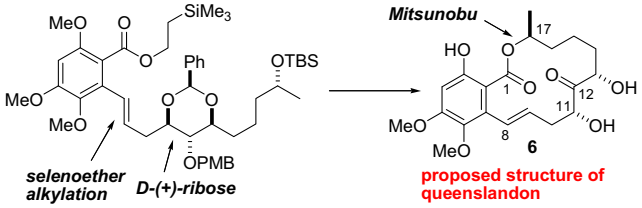
Tetrahedron Vol. 66, Issue 1, 2010

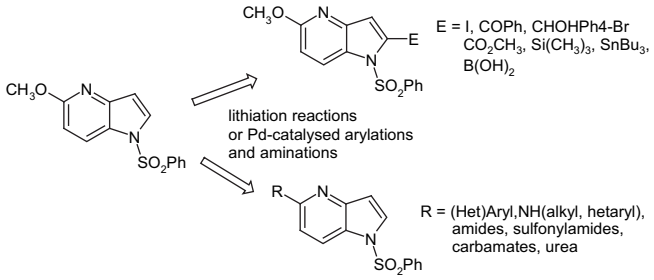
Contents

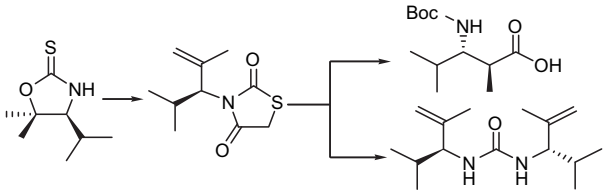


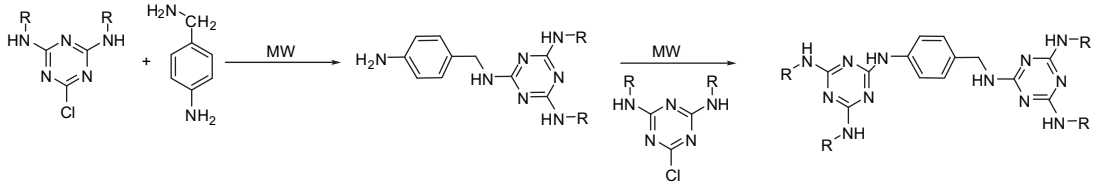


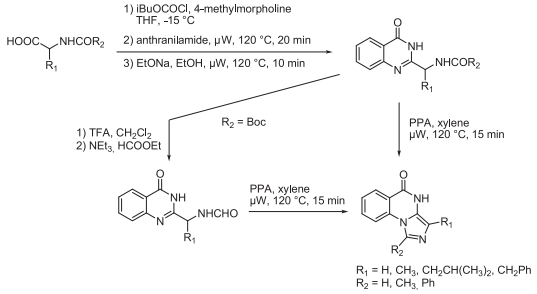


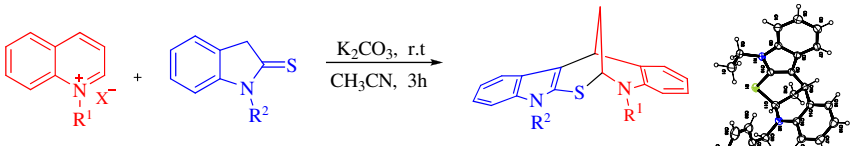








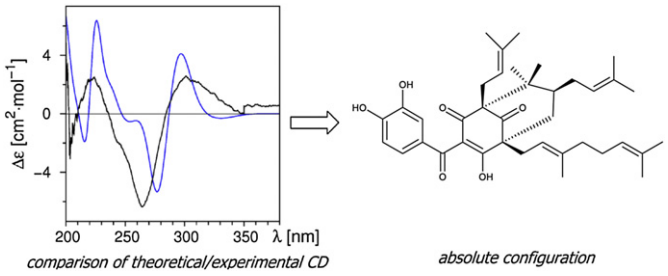


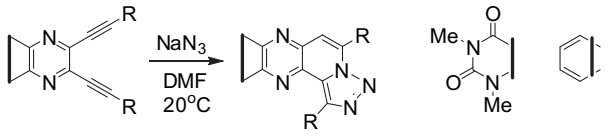


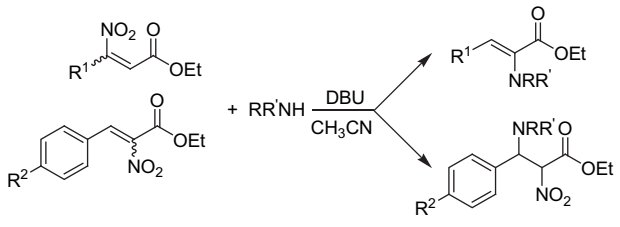
1 **2** **3a-v**

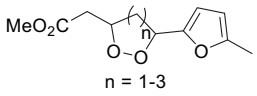
83-95%

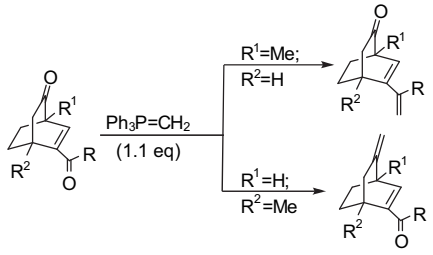
R^1 = Me, Et, Benzyl, Allyl, Propargyl
R^2 = H, Me, Et, Ph
X = I, Br

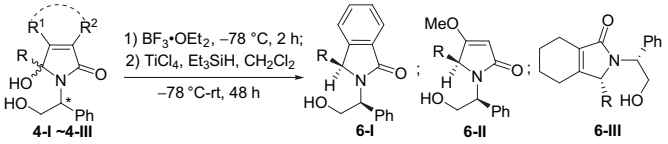




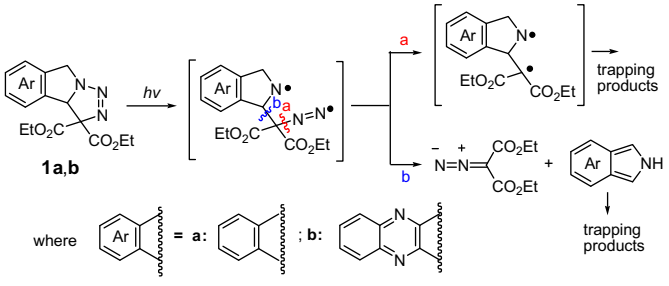


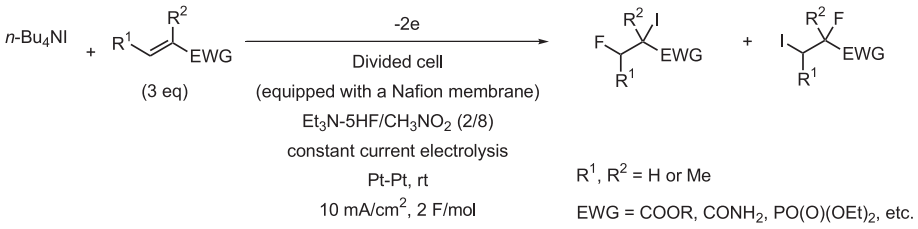


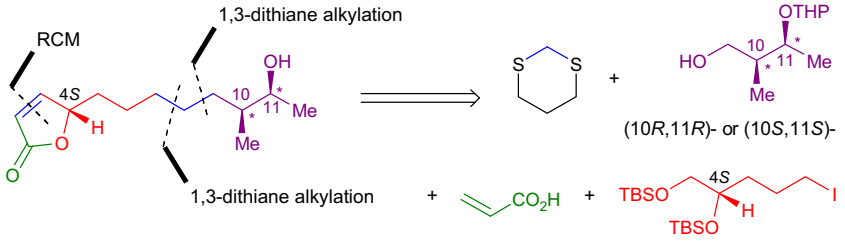


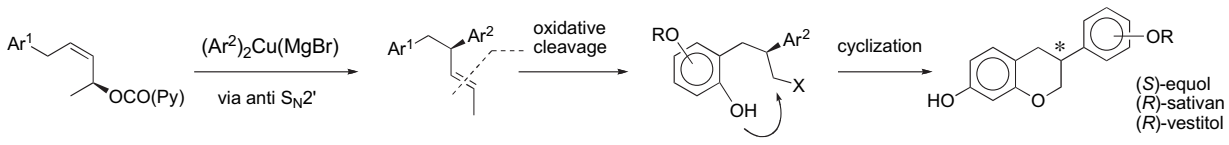


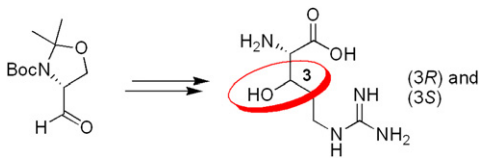
I: $R^1 + R^2 = (-CH=)_4$; **II**: R^1 = OMe, R^2 = H; **III**: $R^1 + R^2 = (CH_2)_4$; d. r. = 90: 10 ~ 97: 3
(R = Me, Et, *n*-C_5H_{11}, *n*-C_6H_{13}, *n*-C_7H_{15}, *i*-Bu, Ph)

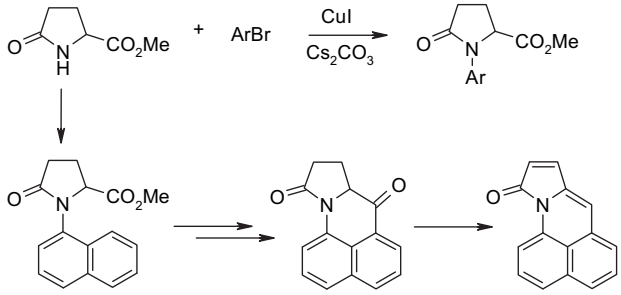


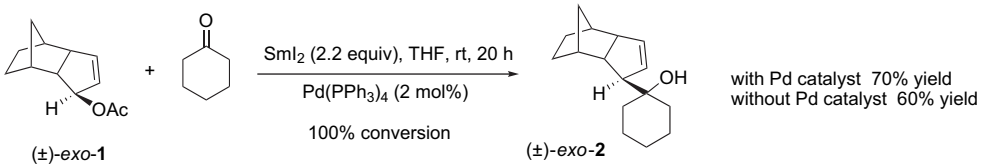


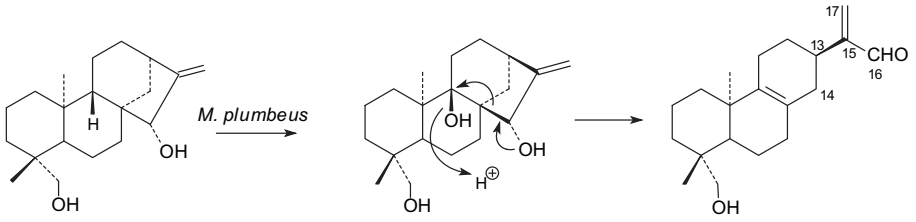


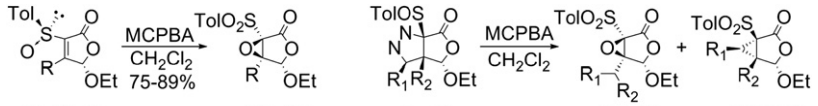


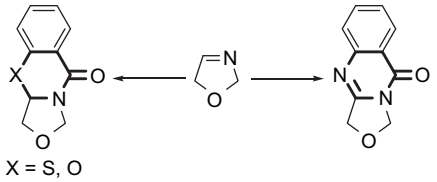


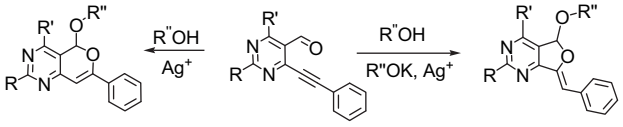


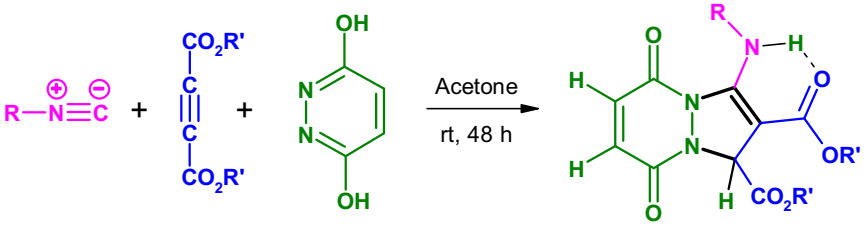


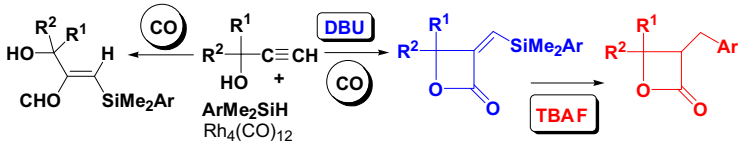


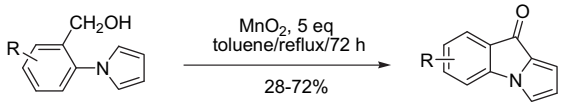




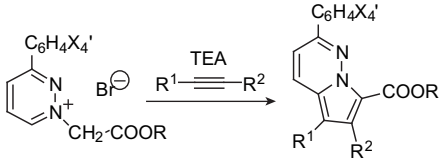


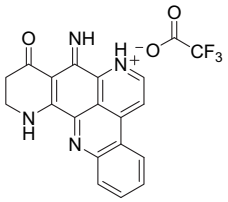




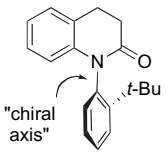


A series of 9*H*-pyrrolo[1,2-*a*]indol-9-ones have been prepared via in-situ sequential oxidation of [2-(1H-pyrrol-1-yl)phenyl]methanols promoted by active manganese dioxide, under mild conditions.

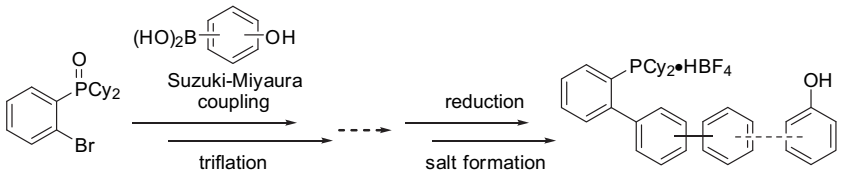


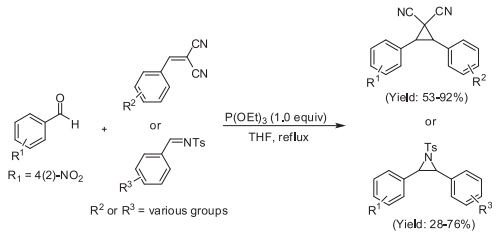


Ecionine A

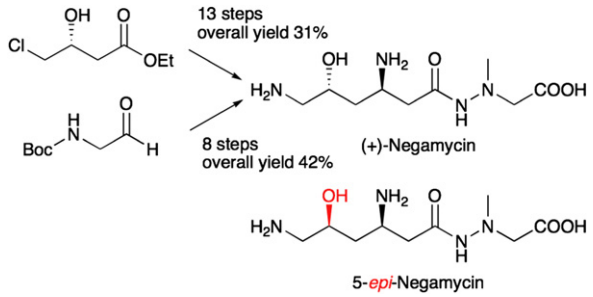


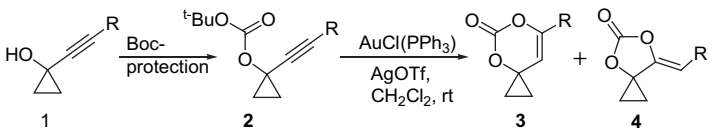
Catalytic Enantioselective Synthesis
Separation of Excess Enantiomers
Asymmetric Enolate Chemistry
Synthesis of NET Inhibitors

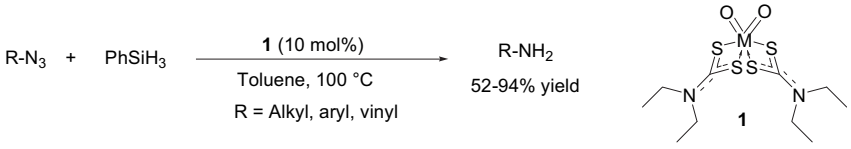


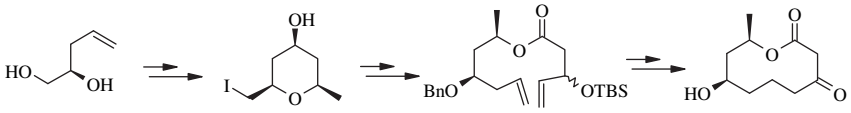


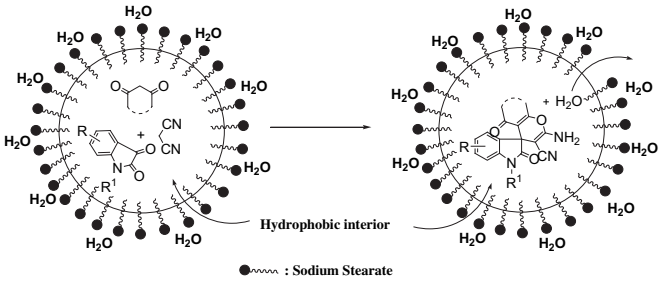
In the presence of phosphine or phosphite, the reaction between 4-, 2-nitrobenzaldehyde and methylidenemalononitriles proceeded smoothly to give the cyclopropane derivatives in high yields, while the reaction between 4-, 2-nitrobenzaldehyde, and *N*-tosylbenzaldimines giving the azidridine derivatives in moderate to high yields. A plausible mechanism was discussed and the strongly electron-withdrawing nitro-group is believed to play an important role in these transformations.

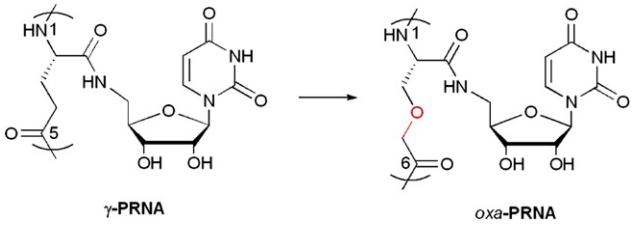


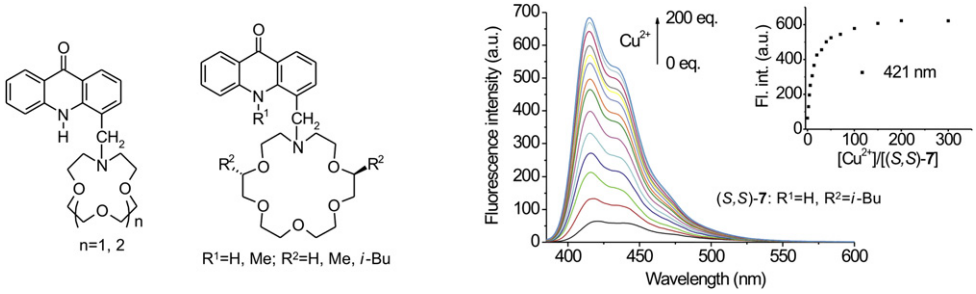


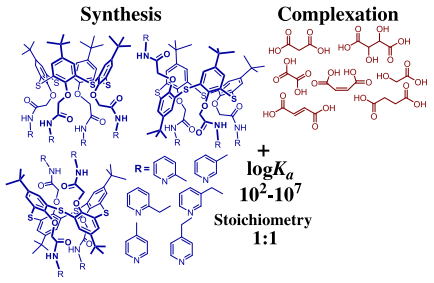


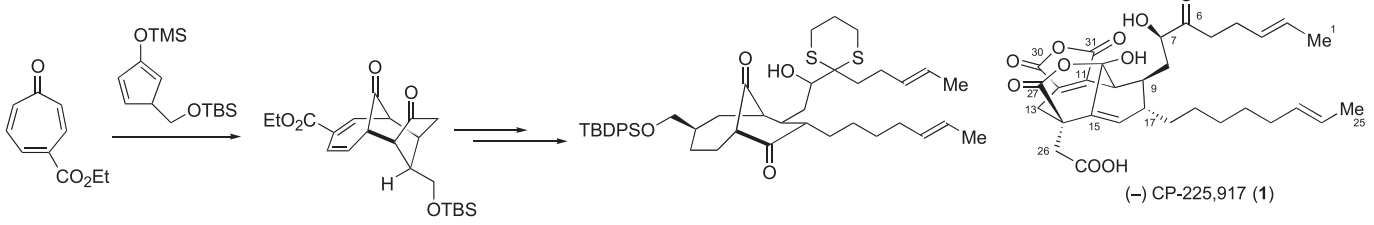


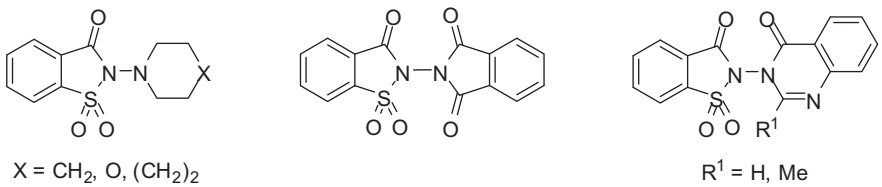


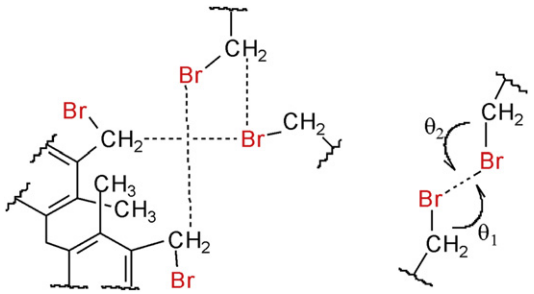


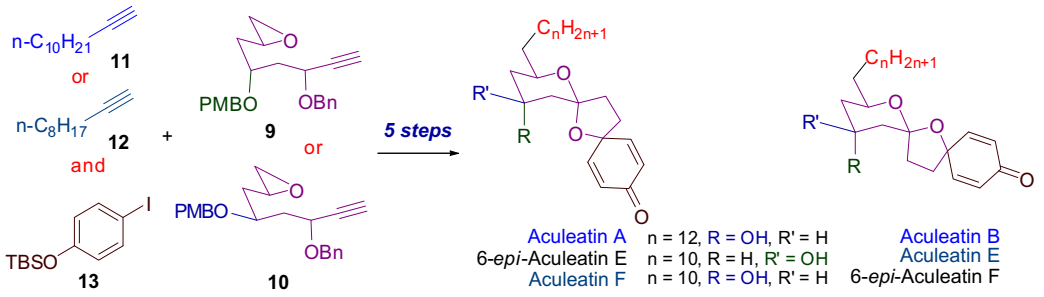


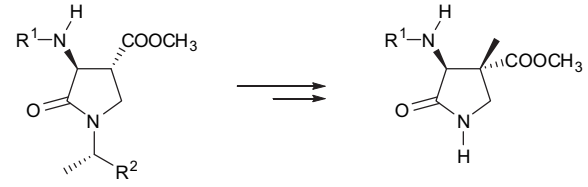












Tetrahedron 66 (2010) 407–411

Contents lists available at ScienceDirect

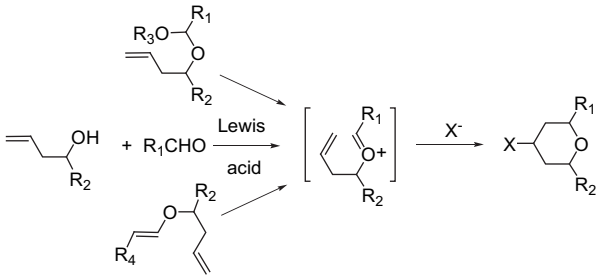
Tetrahedron

journal homepage: www.elsevier.com/locate/tet

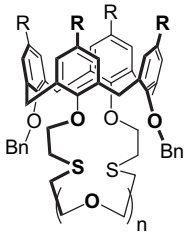
Tetrahedron Vol. 66, Issue 2, 2010

Contents

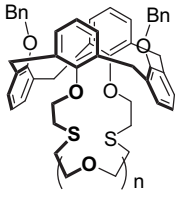
REPORT



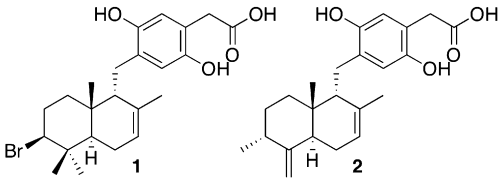
ARTICLES

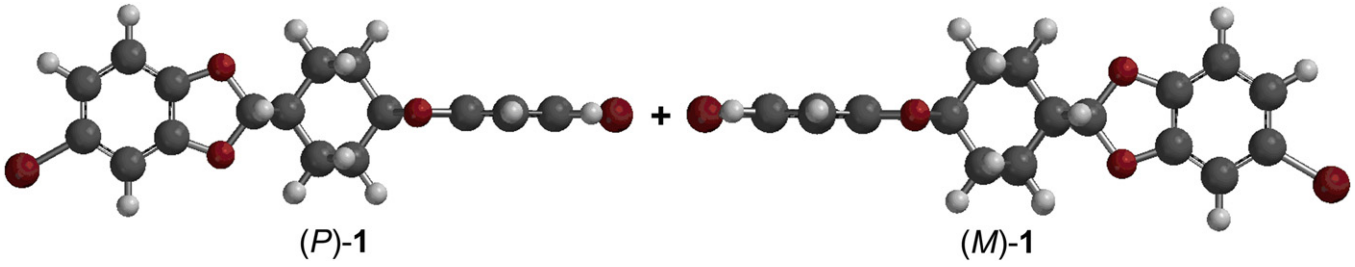


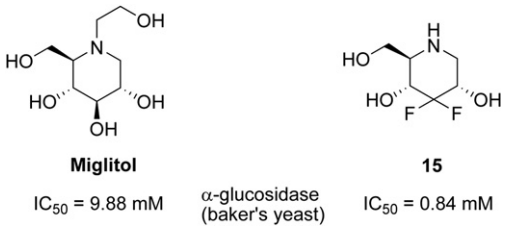
R = H, *t*-Bu
n = 1, 2

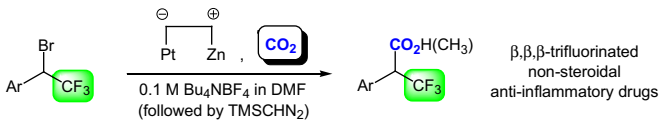


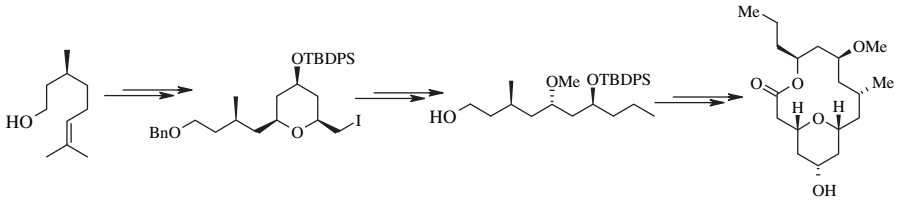
n = 1, 2

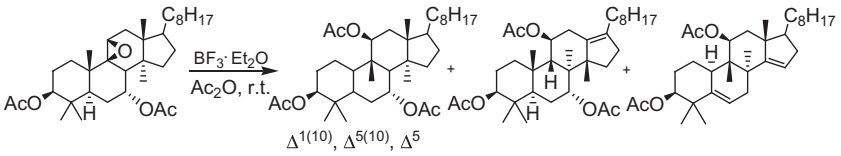


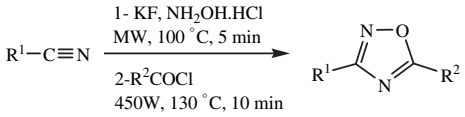


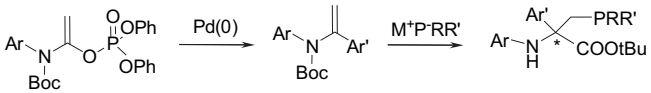


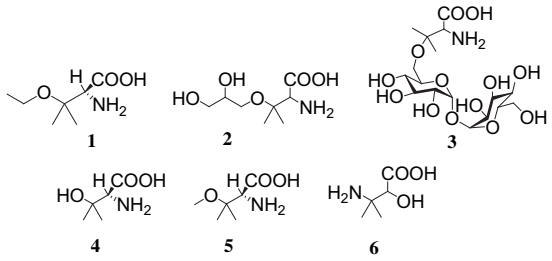


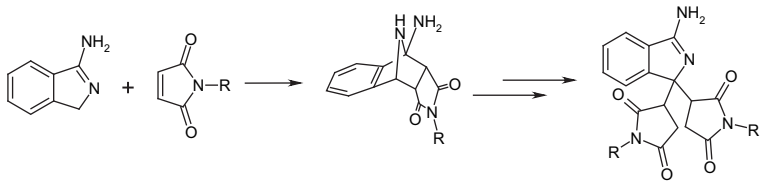


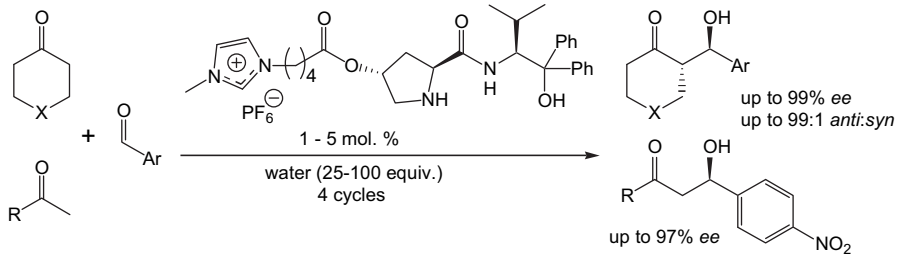


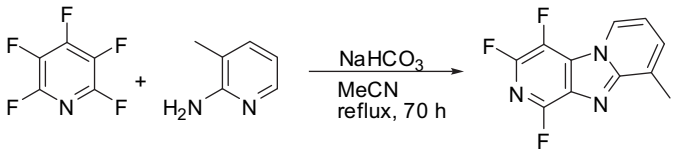


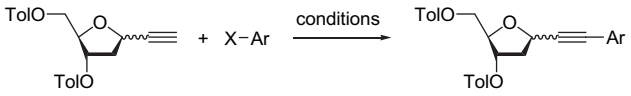


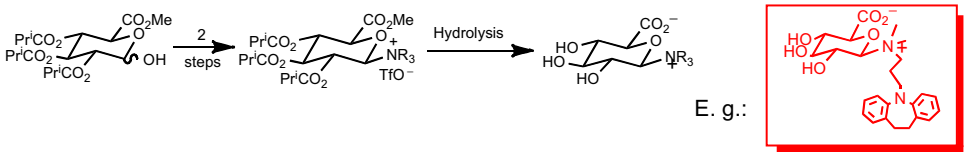












N-Glucuronides are important metabolites for a variety of drugs containing tertiary amino groups. We now report a new method for their synthesis using a readily prepared glucuronic acid hemiacetal, via glycosylamine formation, quaternisation and controlled hydrolysis. Both linear and cyclic amine examples are presented. We comment on the stability and isolation of both final products and intermediates as these are critical factors for effective synthesis.

*Corresponding author
Supplementary data available via ScienceDirect

Abstracted/indexed in: AGRICOLA, Beilstein, BIOSIS Previews, CAB Abstracts, Chemical Abstracts. Current Contents: Life Sciences, Current Contents: Physical, Chemical and Earth Sciences, Current Contents Search, Derwent Drug File, Ei compendex, EMBASE/Excerpta Medica, Medline, PASCAL, Research Alert, Science Citation Index, SciSearch. Also covered in the abstract and citation database SCOPUS®. Full text available on ScienceDirect®

ELSEVIER

ISSN 0040-4020

Tetrahedron 66 (2010) 543–551

Contents lists available at ScienceDirect

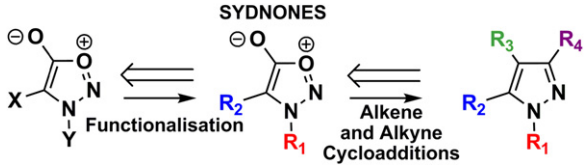
Tetrahedron

journal homepage: www.elsevier.com/locate/tet

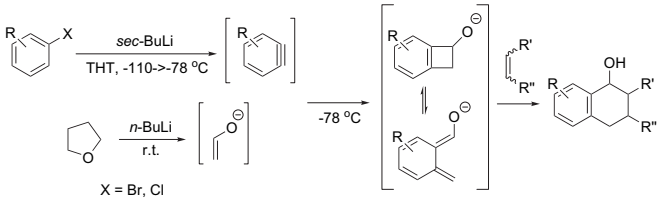
Tetrahedron Vol. 66, Issue 3, 2010

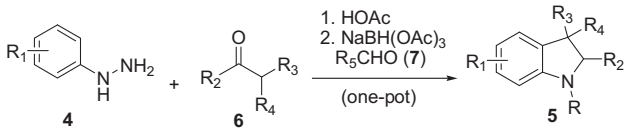
Contents

REPORT

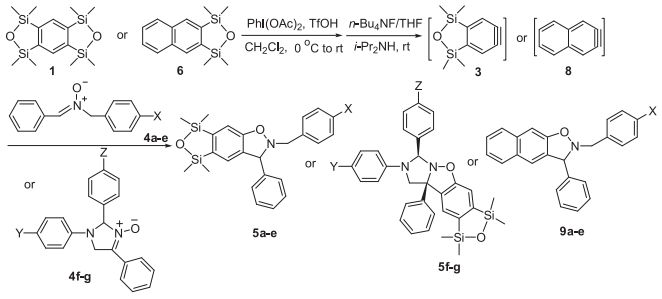


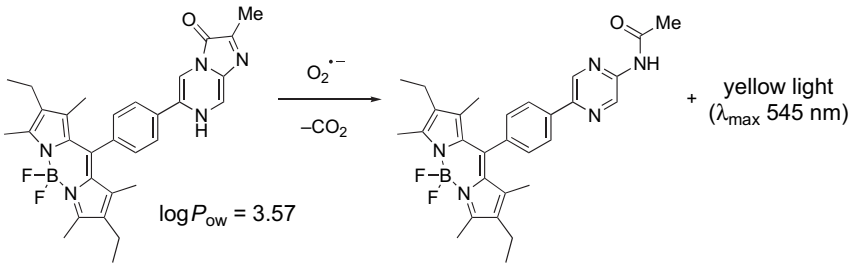
ARTICLES

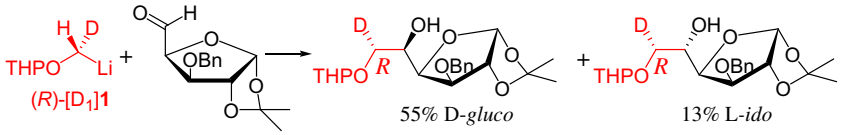


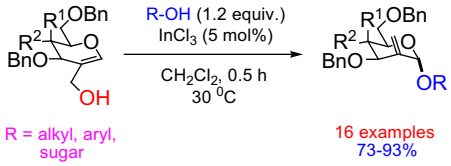


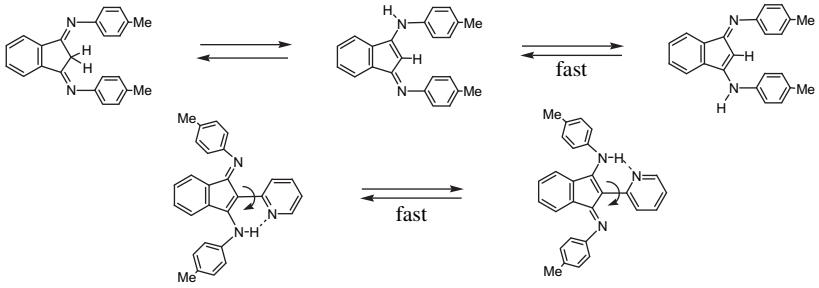
A general and convenient one-pot synthesis of highly substituted indolines from aryl hydrazines and aldehydes is reported.

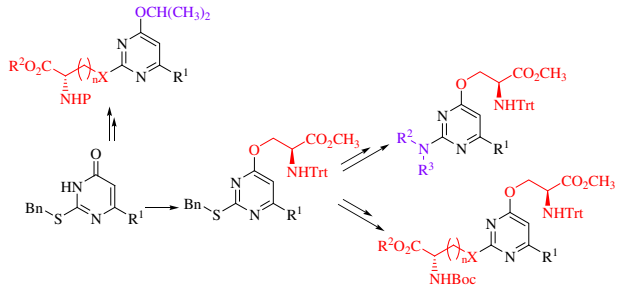


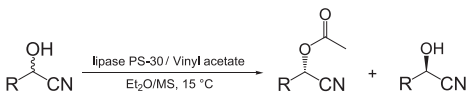




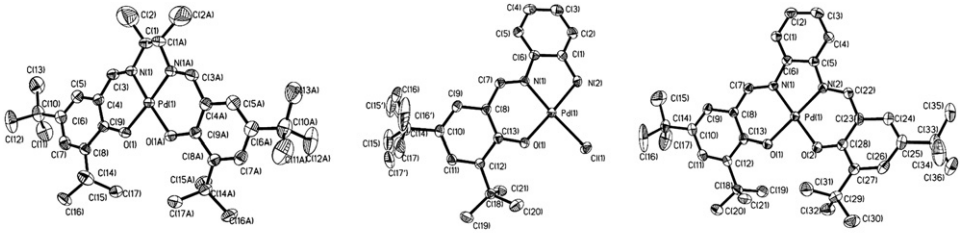


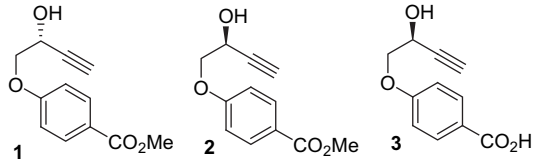


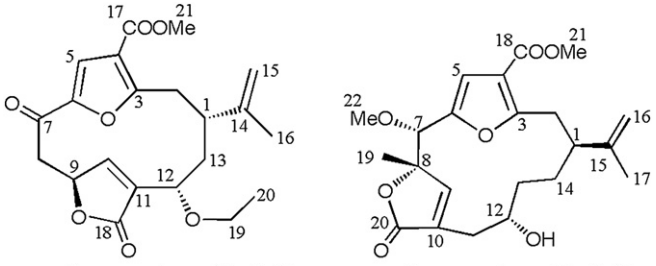


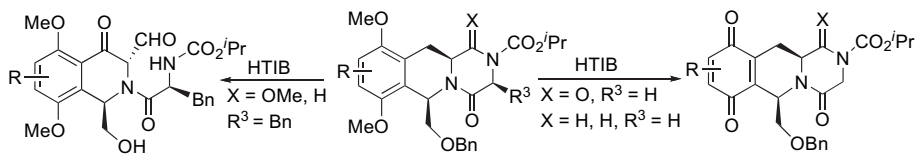


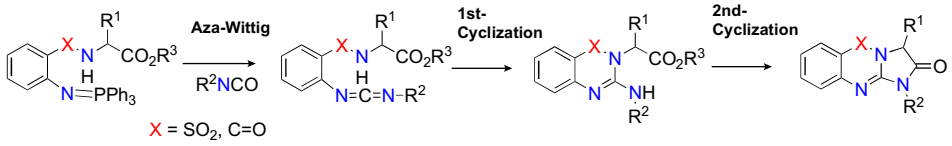
Twenty-three examples. When R=phenyl, 4-MeO-phenyl, 4-MeS-phenyl, 3-F-phenyl and phenethyl, the kinetic enantiomer ratio (*E*) reaches up to 314.

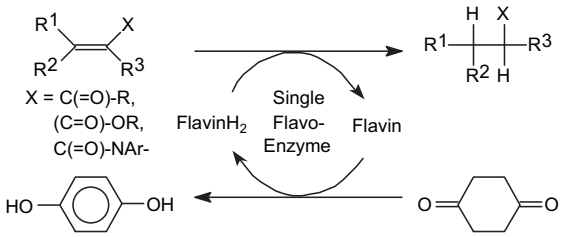


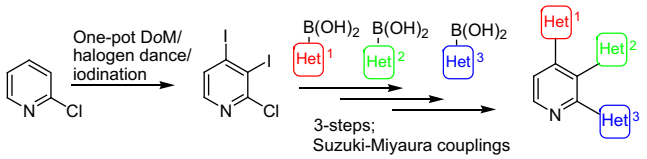


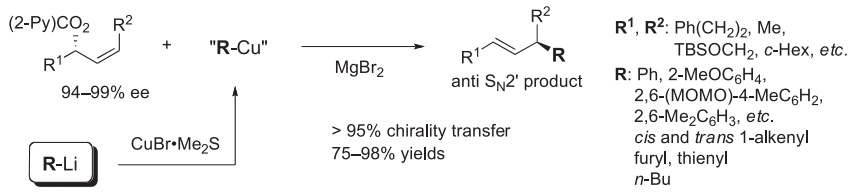


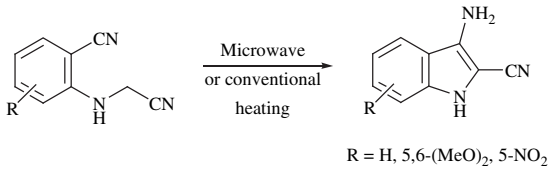


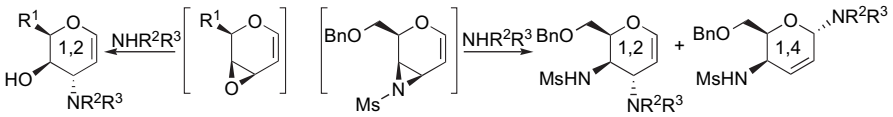


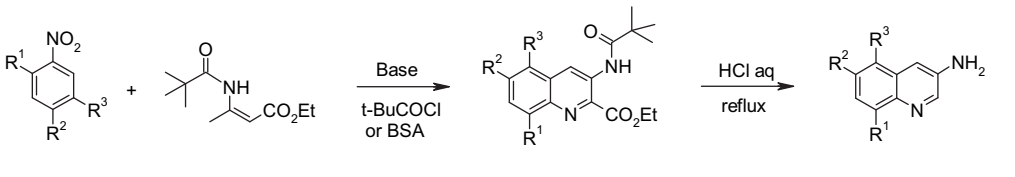


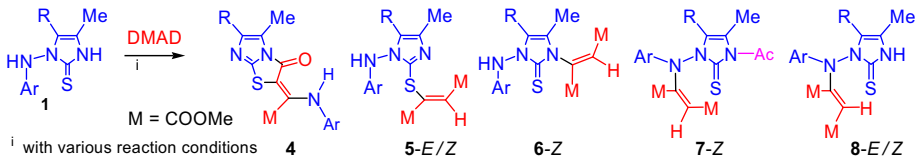




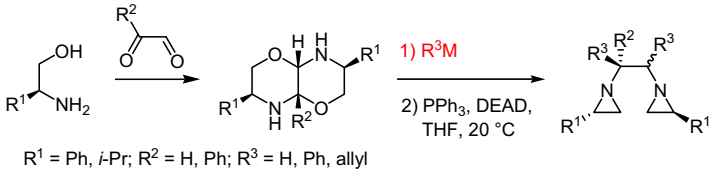


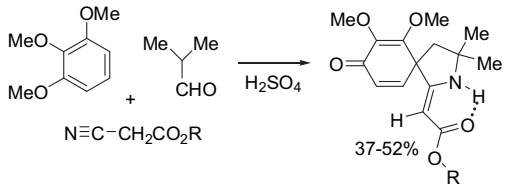


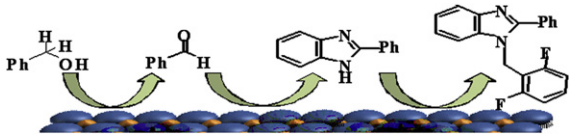


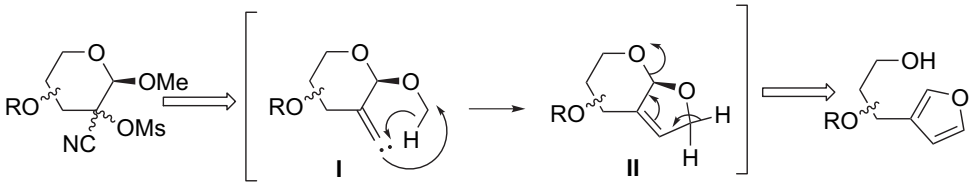


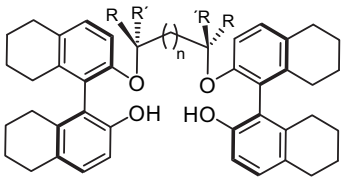
Upon reaction of 1-arylamino-imidazole-2-thiones **1** with DMAD only the S-substituted products **5** were formed, whereas in the presence of 2.2 equiv of NaH imidazo[2,1-*b*][1,3]thiazoles **4** were exlusively formed. Compounds **5** could be converted either to **6** by heating in benzene, or to **8** upon reaction with 1.1 equiv of NaH, and also to **7** upon reaction with acetic anhydride.

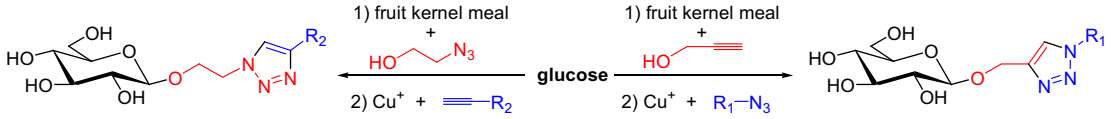




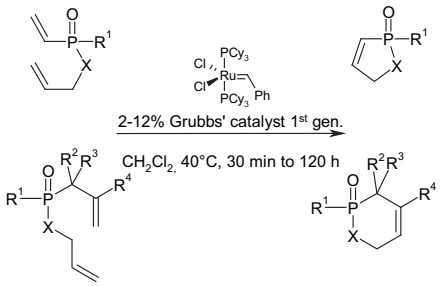


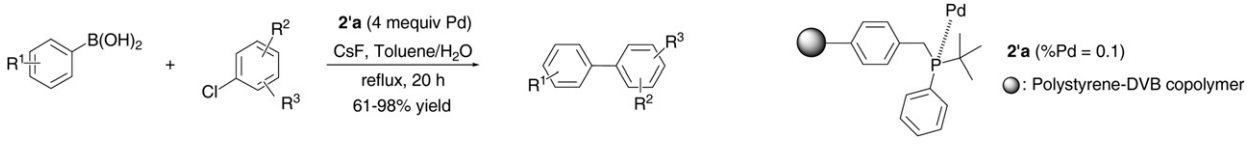


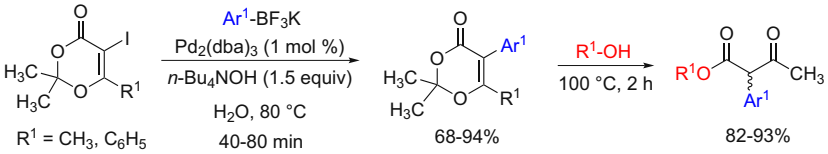




Glycosidase-mediated glycosylation of alkynyl alcohols and azide-containing alcohols was followed by a click reaction, affording various types of triazole glycosides. The activities of triazole glycosides detected in subsequent bioassays show that this procedure is a feasible approach to the development of anti-fungal drugs.







*Corresponding author
Supplementary data available via ScienceDirect

Abstracted/indexed in: AGRICOLA, Beilstein, BIOSIS Previews, CAB Abstracts, Chemical Abstracts. Current Contents: Life Sciences, Current Contents: Physical, Chemical and Earth Sciences, Current Contents Search, Derwent Drug File, Ei compendex, EMBASE/Excerpta Medica, Medline, PASCAL, Research Alert, Science Citation Index, SciSearch. Also covered in the abstract and citation database SCOPUS®. Full text available on ScienceDirect®

ELSEVIER

ISSN 0040-4020

Tetrahedron 66 (2010) 781–787

Contents lists available at ScienceDirect

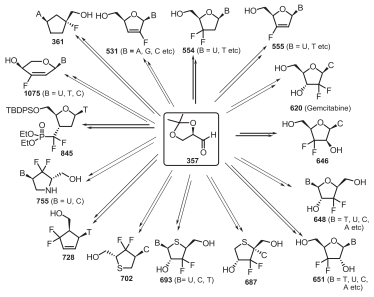
Tetrahedron

journal homepage: www.elsevier.com/locate/tet

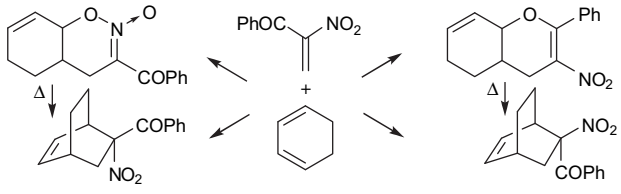
Tetrahedron Vol. 66, Issue 4, 2010

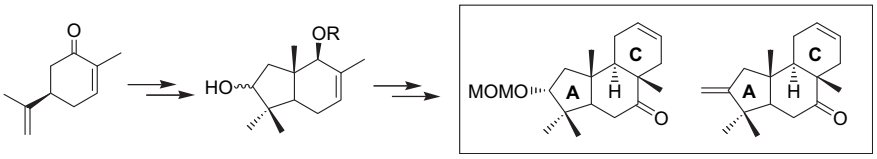
Contents

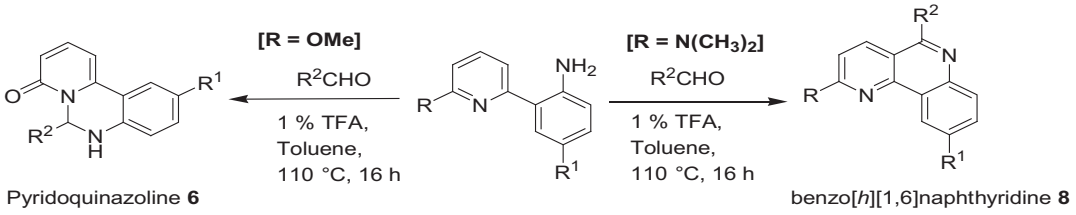
REPORT

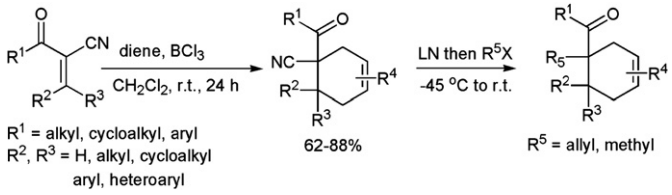


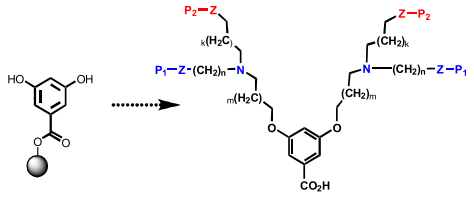
ARTICLES

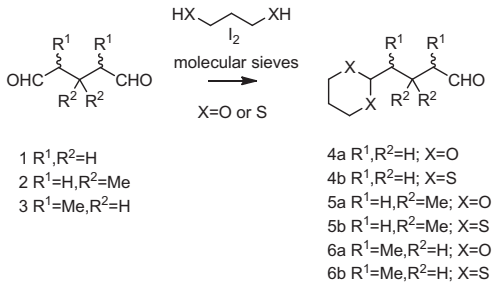


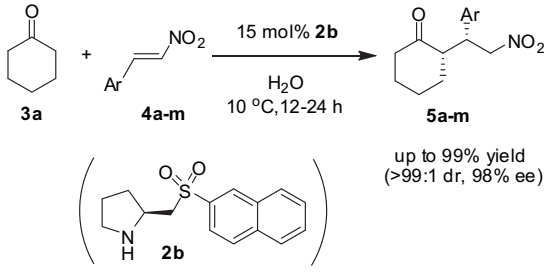


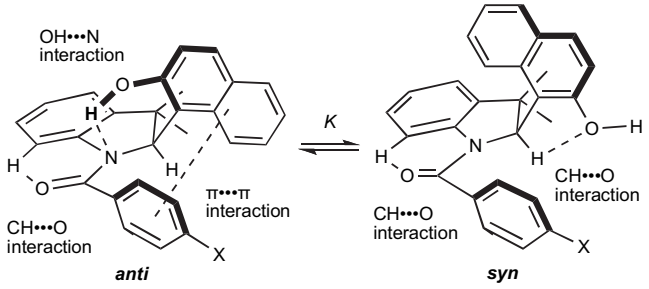


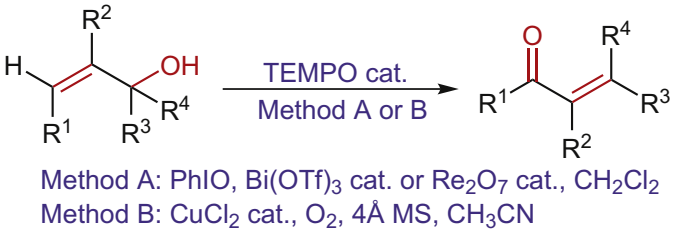


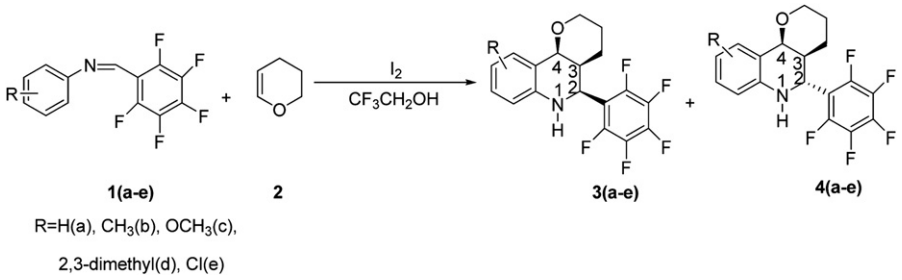


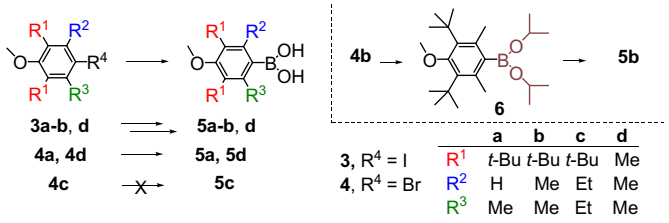


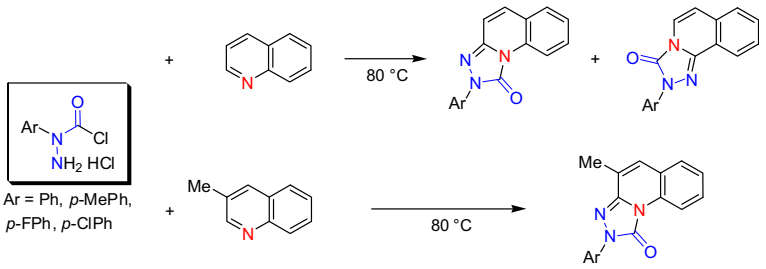


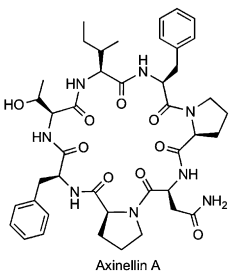


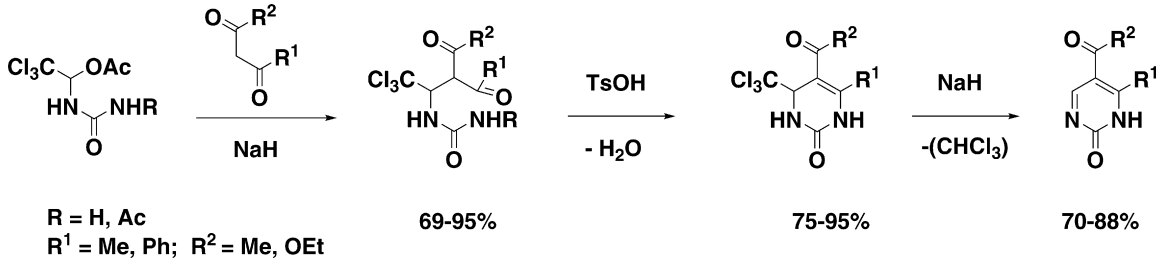


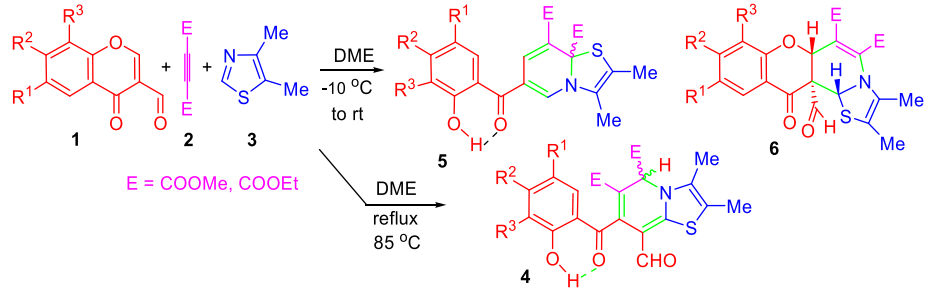




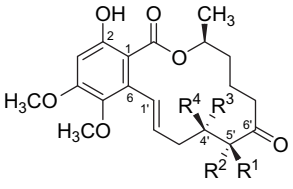




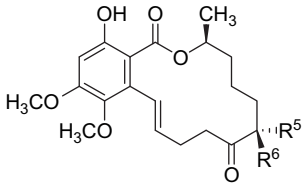




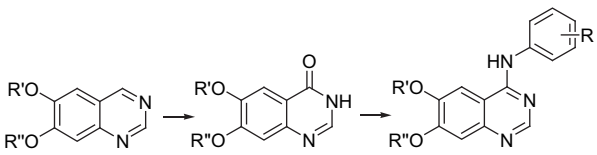
4,5-Dimethylthiazole and acetylene react at low temperature with 3-formylchromones **1** resulting in thiazolo[3,2-*a*]pyridine derivatives **5** and in tetracyclic chromenothiazolopyridines **6**. At higher temperature, after 1,2-aroyl migration, 8-formyl-5*H*-[1,3]thiazolo[3,2-*a*]pyridines **4** are formed as a mixture of two rotamers.

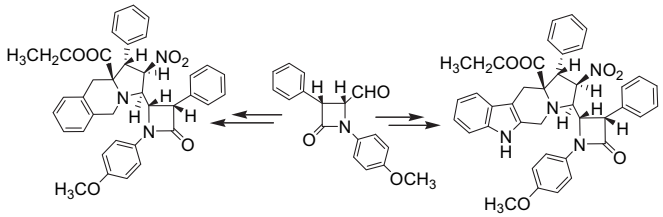


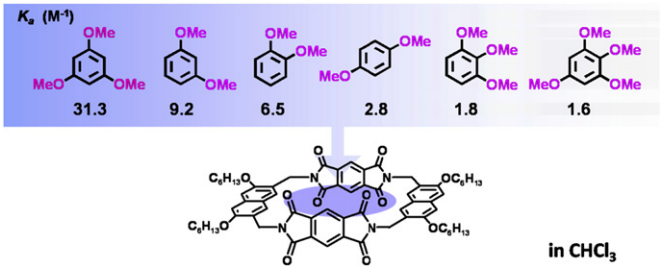
hamigeromycin A : R^1 = OH, R^2 = H, R^3 = OH, R^4 = H
hamigeromycin C : R^1 = OH, R^2 = H, R^3 = H, R^4 = OH
hamigeromycin D : R^1 = H, R^2 = OH, R^3 = OH, R^4 = H
hamigeromycin E : R^1 = H, R^2 = OH, R^3 = H, R^4 = OH

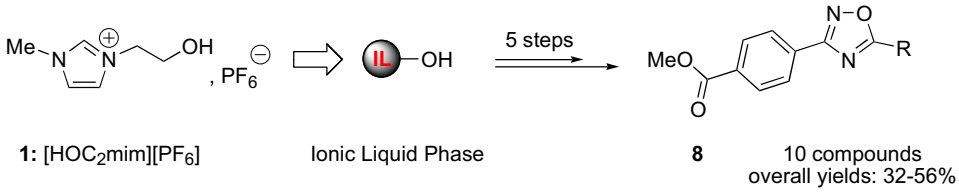


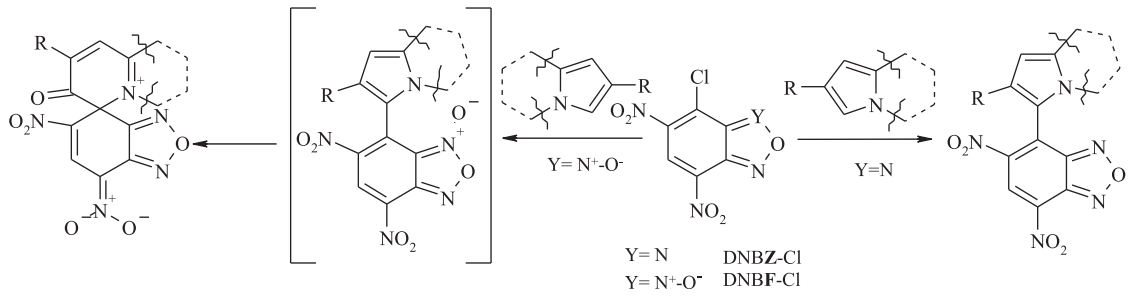
hamigeromycin F : R^5 = OH, R^6 = H
hamigeromycin G : R^5 = H, R^6 = OH

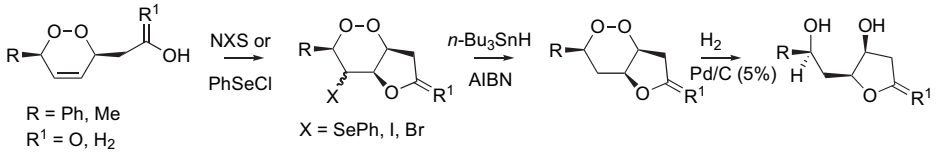












*Corresponding author
Supplementary data available via ScienceDirect

Abstracted/indexed in: AGRICOLA, Beilstein, BIOSIS Previews, CAB Abstracts, Chemical Abstracts. Current Contents: Life Sciences, Current Contents: Physical, Chemical and Earth Sciences, Current Contents Search, Derwent Drug File, Ei compendex, EMBASE/Excerpta Medica, Medline, PASCAL, Research Alert, Science Citation Index, SciSearch. Also covered in the abstract and citation database SCOPUS®. Full text available on ScienceDirect®

ELSEVIER

ISSN 0040-4020