Contents lists available at ScienceDirect

Tetrahedron Letters

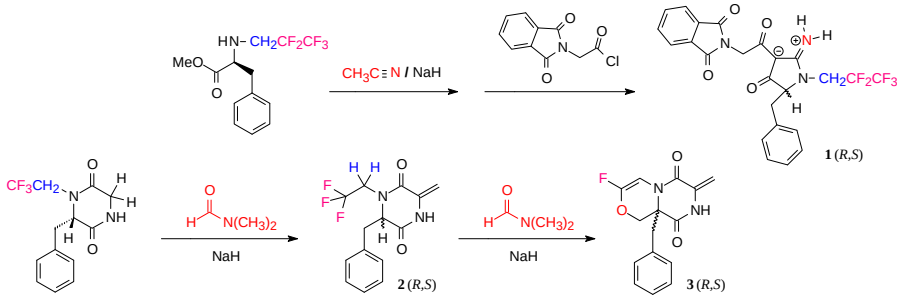
journal homepage: www.elsevier.com/locate/tetlet

# Tetrahedron Letters Vol. 50, No. 27, 2009

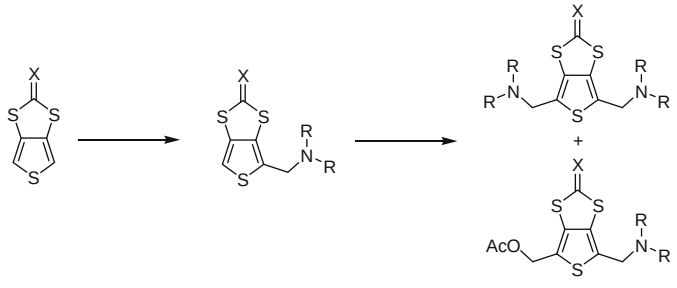
# Contents

## COMMUNICATIONS

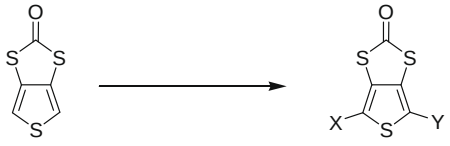


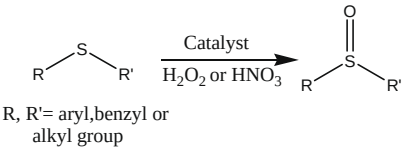




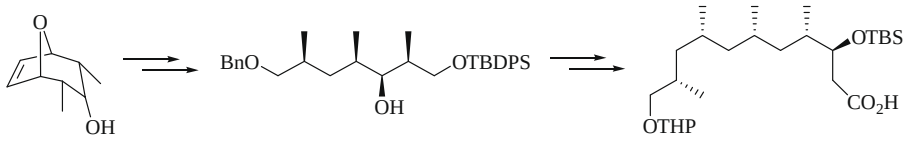


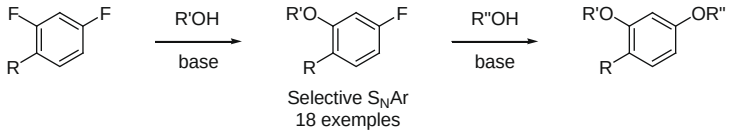



Various organic sulfides containing different oxidizable groups such as –OH, –CHO, and –CN have been chemoselectively oxidized to the corresponding sulfoxides separately by $H_2O_2$ or $HNO_3$ using a solid catalyst composed of 84.5% of $TiO_2$ and 15 .5% of $[Ti_4H_{11}(PO_4)_9]$. $nH_2O$ ($n$ = 1–4).

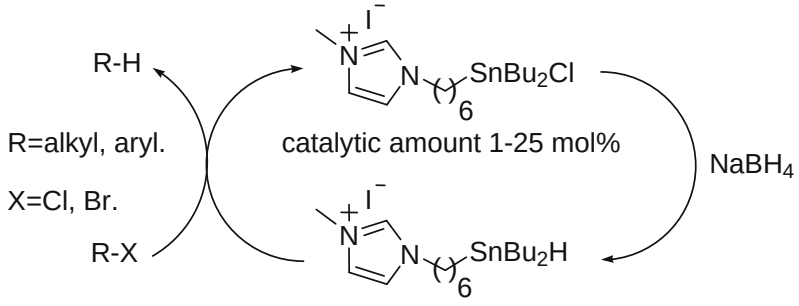


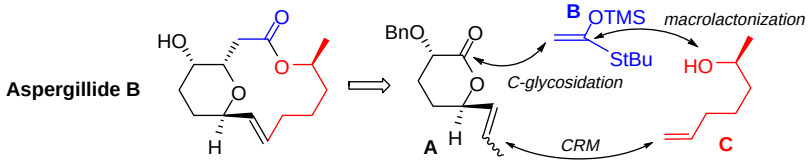




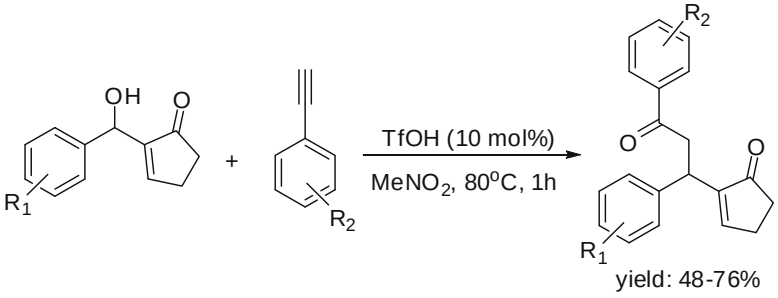


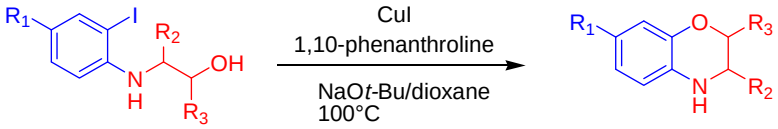



A stereoselective synthesis of the cytotoxic macrolide aspergillide B has been performed. The three key fragments **A**, **B** and **C** were connected with the aid of a cross metathesis (CRM), a Mukaiyama-type C-glycosidation and a macrolactonization.



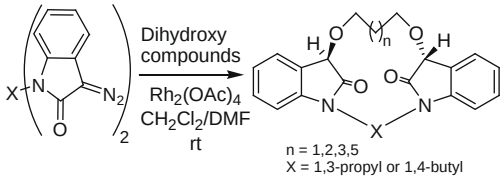




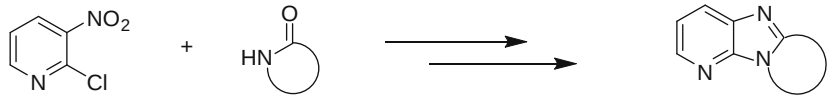




A highly efficient synthetic approach to 2,3-dihydro-1,4-benzoxazines is described. The method involves a mild intramolecular copper-catalyzed O-arylation of β aminoalcohol, which works well without N-protection of β aminoalcohol.

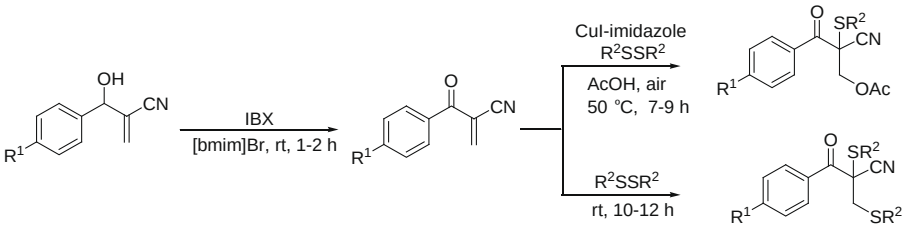




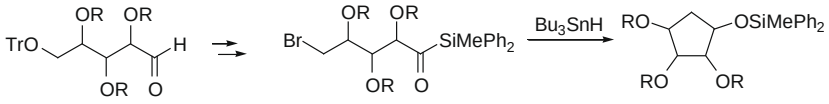

This facile double O–H insertion reaction protocol was successfully developed and applied to synthesize several $C_2$-symmetric macrocycles having oxindole units incorporated with complete diastereoselectivity.



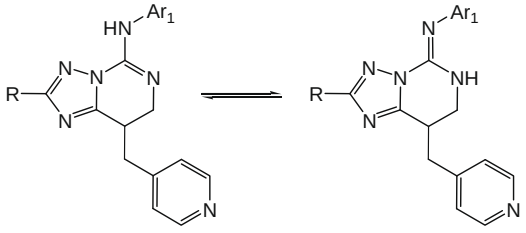


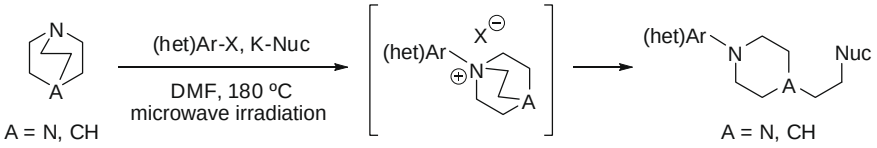





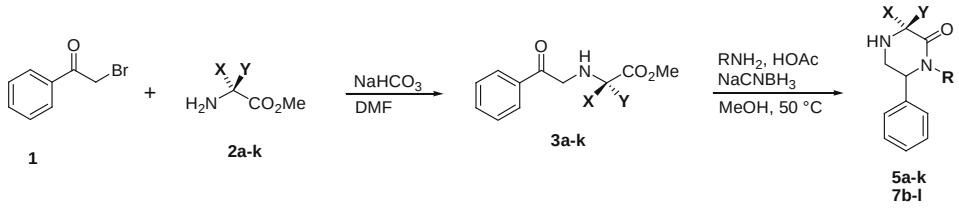





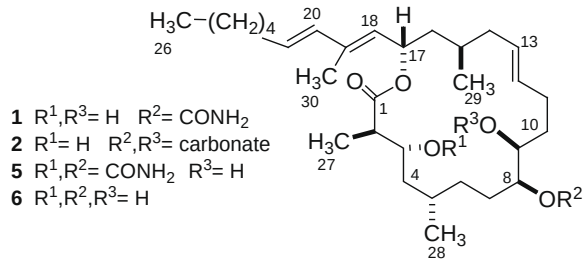





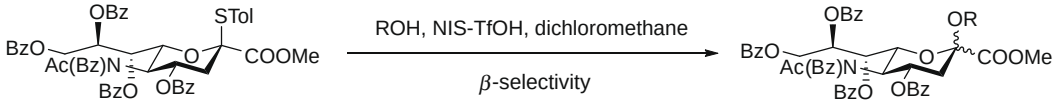


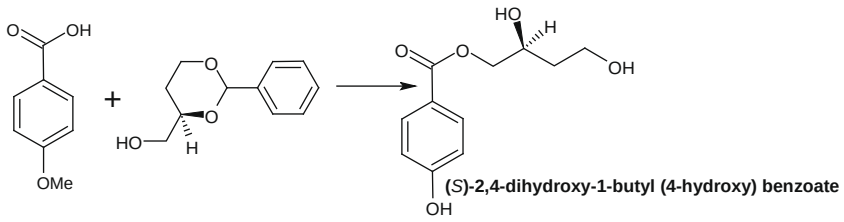





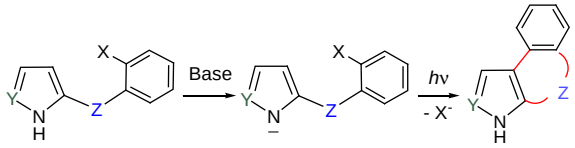





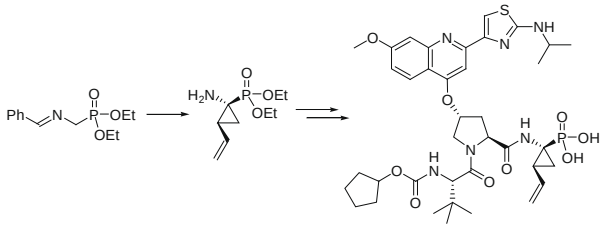







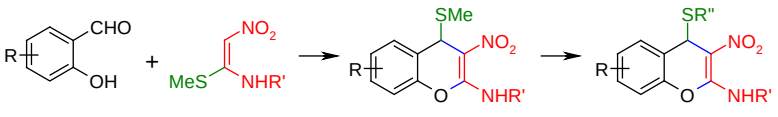



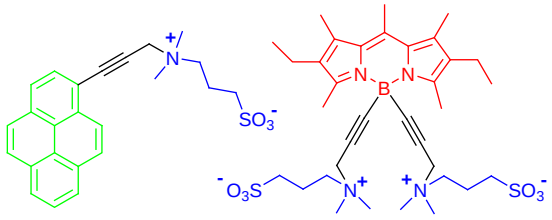


The synthesis and resolution of a phosphonate analog of (1*R*,2*S*)-1-amino-2-vinylcyclopropane-1-carboxylic acid are described. This fragment was then used in the synthesis of a potent tripeptide HCV NS3 protease inhibitor. X-ray crystal structure of the enzyme–inhibitor complex confirmed the absolute stereochemistry.

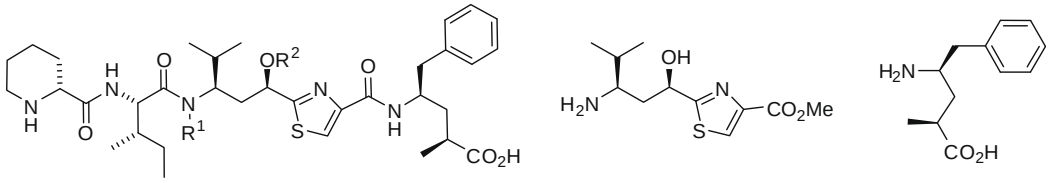






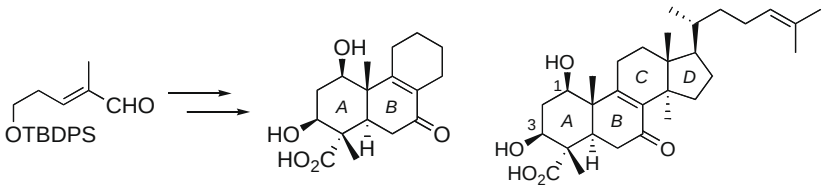
Water-soluble pyrene and boron dipyrromethene dyes have been engineered and their fluorescence properties investigated.





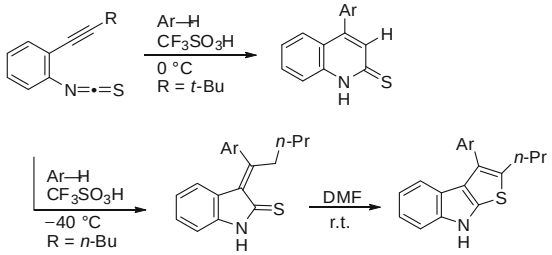
**Tubulysins (1)** **Tuv-Me (2)** **Tup (3)**



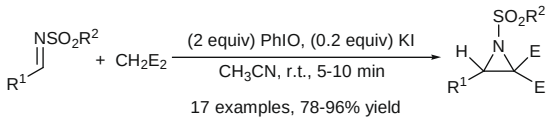


**Fomitellic Acid B**

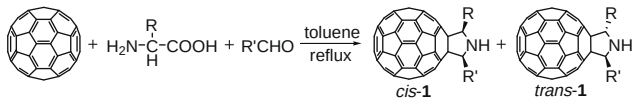




A variety of 4-arylquinoline-2-thiones and 3-arylthieno[2,3-*b*]indoles were synthesized in high yields via TfOH-promoted tandem Friedel–Crafts alkenylation–cyclization reactions of 2-alkynylphenyl isothiocyanates.

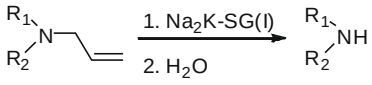




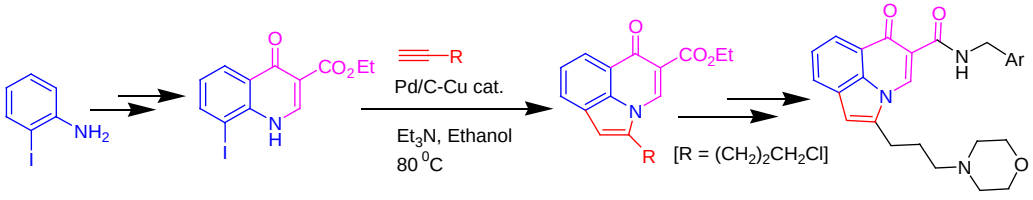

**1a**: R = $CH_3$, R' = Ph; **1b**: R = R' = $CH_3$; **1c**: R = R' = $CH_2Ph$; **1d**: R = R' = Ph

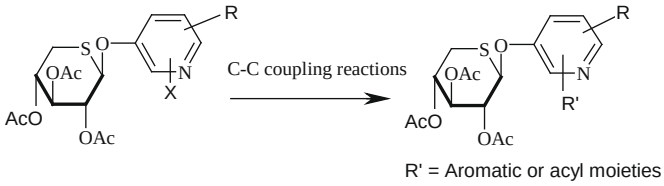





Alkali metals in silica gel (the M-SG materials) are effective reagents for reductive deallylation, debenzylation, debenzhydrylation, and detritylation of amines. As such, these reagents provide a convenient alternative to traditional metal ammonia solutions for this class of deprotections.

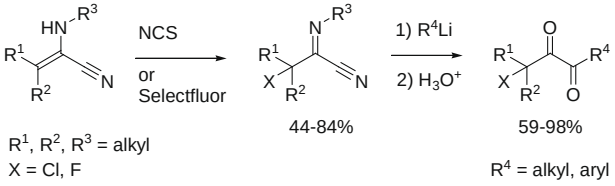




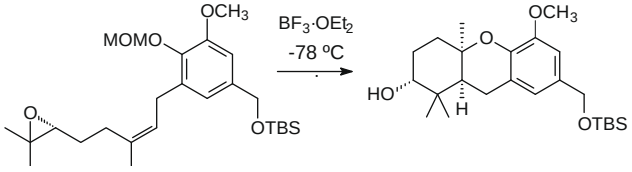



Suzuki and Stille coupling reactions were first used to prepare derivatives of pyridinyl 5-thio-β-D-xylopyranosides.

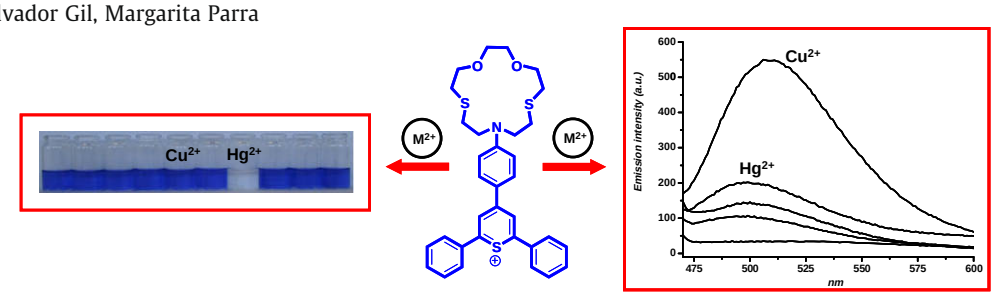




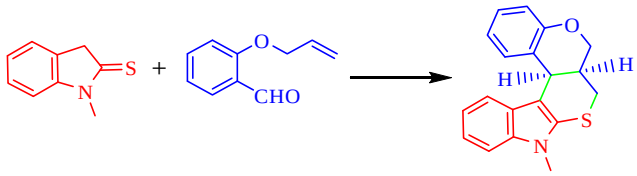


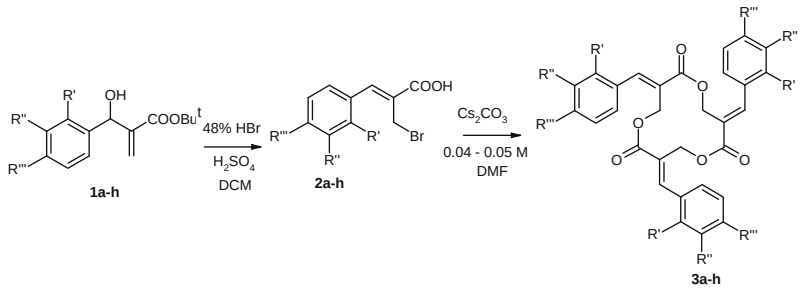


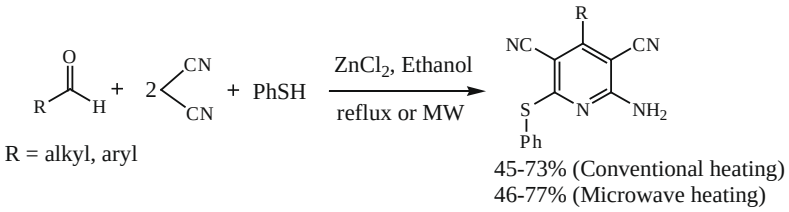

2,4,6-Triphenylthiopyrylium functionalized with an aza-oxa-thia macrocycle is able to selectively recognize $Hg^{2+}$ cation by a color change and $Cu^{2+}$ cation by a remarkable significant emission enhancement.



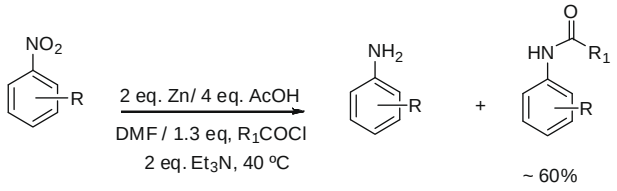




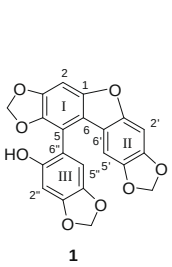




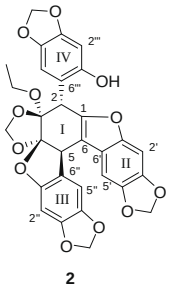




A simple and practical approach for the one-pot conversion of nitroarenes into amide derivatives using zinc and acetic acid as a reducing agent, and acyl chloride and triethylamine as the acylating agent is described with an application to manzamine alkaloids.



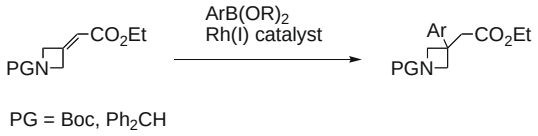
**1**



**2**

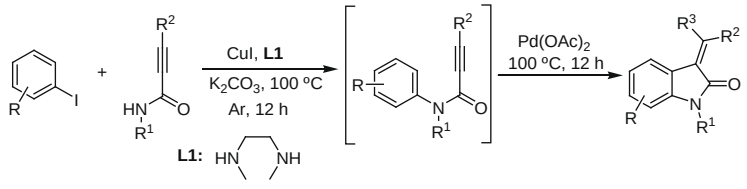
Two cytotoxic oxidation products of sesamol, a potent antioxidant in sesame, was isolated. Their structures were determined to be a new sesamol trimer and tetramer.



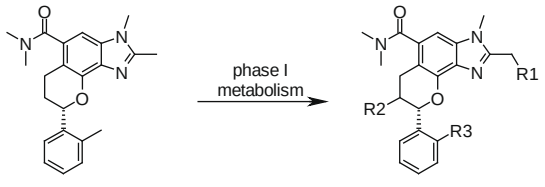



A practical route to 3-aryl-3-azetidinyl acetic acid esters is developed. The key step involves the rhodium(I)-catalysed conjugate addition of an organoboron reagent to an α,β-unsaturated alkene. Elaboration of one conjugate addition product to give a novel spiroazetidine ring system is also described.



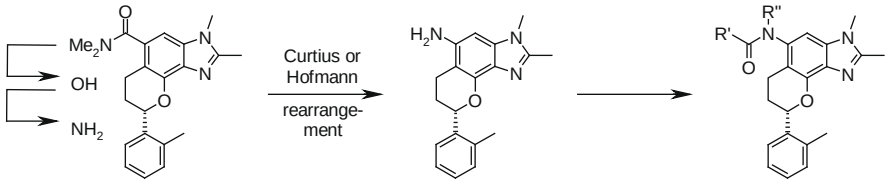




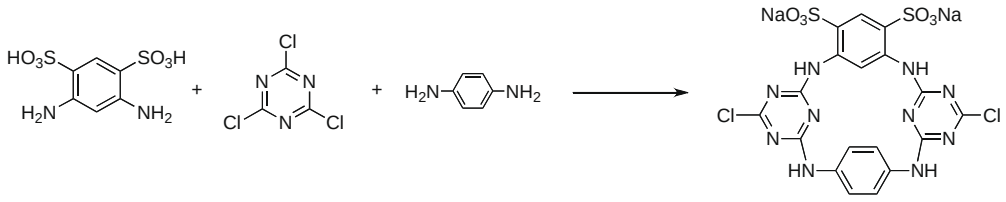




BYK 405879 (**1**) potential metabolites

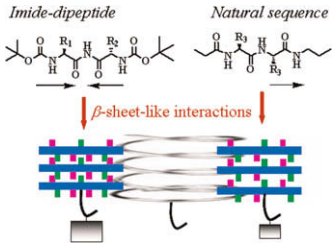
| Structure | R1 | R2 | R3 |
|---|---|---|---|
| **6** | OH | H | Me |
| **14** | H | OH | Me |
| **20** | H | H | $CH_2OH$ |
| **21** | H | H | CHO |





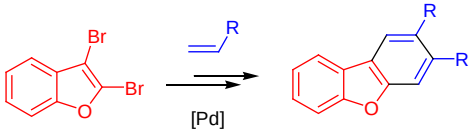



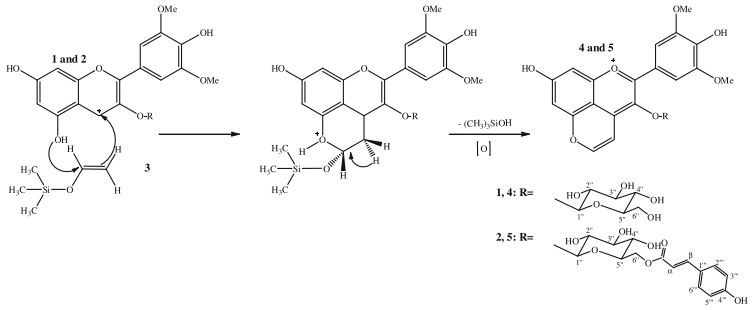


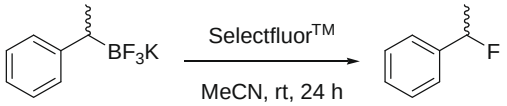

Solution behavior of two imide-dipeptides containing non-natural sequences shows that both of them have different H-bonding patterns from natural sequence in chloroform and possess strong and stable β-sheet-like interactions compared with the natural sequence.



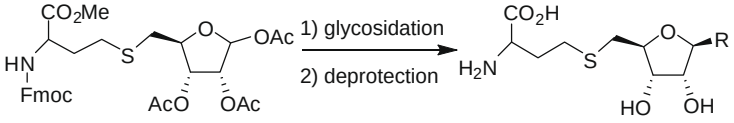






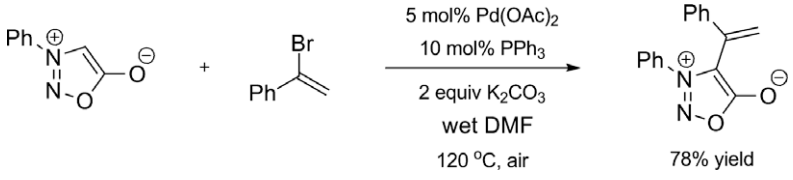



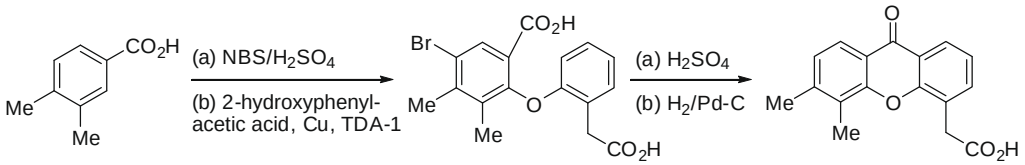



An efficient method for the preparation of base-substituted *S*-adenosyl-DL-homocysteine analogues as well as of 2-chloro-$N^6$-alkylated *S*-adenosyl-DL-homocysteine analogues is described. The method uses a convergent strategy that employs a common intermediate late in the overall synthesis and allows small libraries of SAH analogues to be prepared in a relatively short period of time.

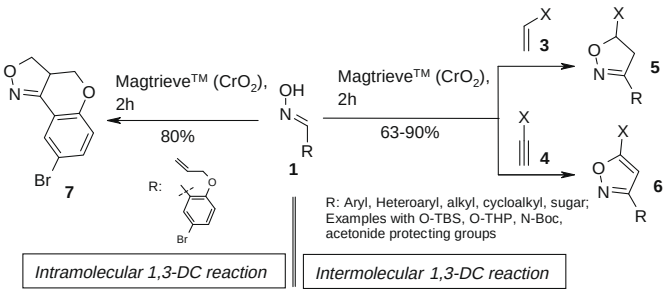




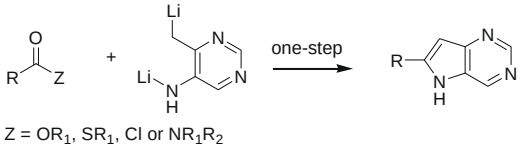



A new four-step synthesis (>50% overall yield) of the drug ASA404 via 2,5-dibromo-3,4-dimethylbenzoic acid is described.

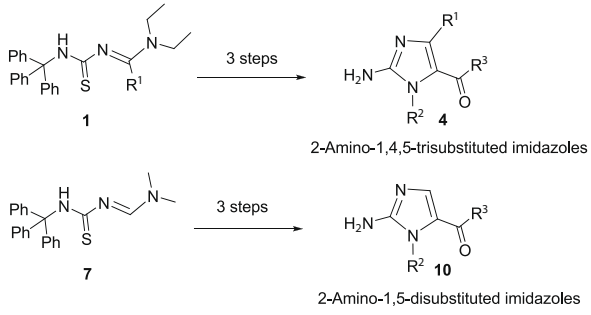


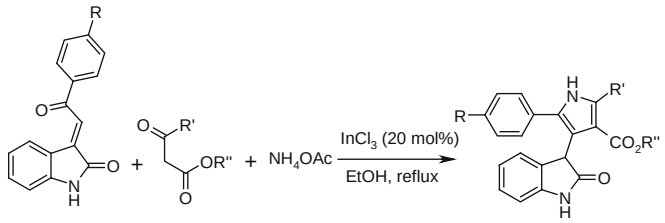




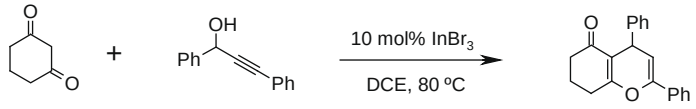


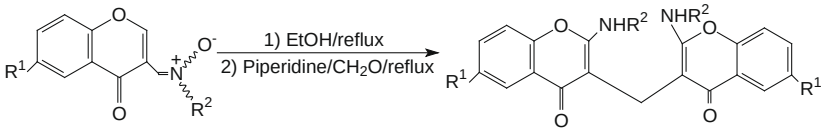



An $InCl_3$-catalyzed one-pot synthesis of 2-pyrrolo-3′-yloxindoles was achieved via three-component reaction of 3-phenacylideneoxindole, β-keto ester, and ammonium acetate at reflux by a sequential Michael addition followed by Paal–Knorr condensation.

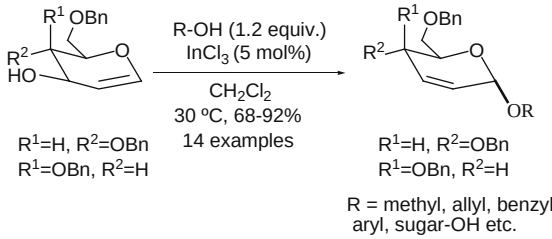




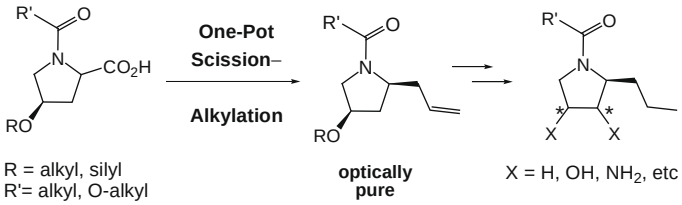




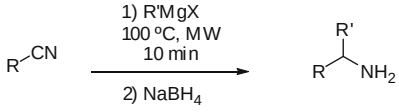




$InCl_3$-catalyzed direct allylic substitution of the hydroxyl group at *C*-3 position of glycals affording the corresponding 2,3-unsaturated glycosides in high yields is reported.

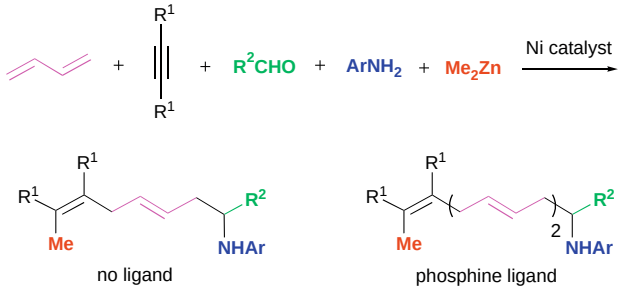



In a few steps, enantiopure alkaloid analogues and iminosugars were obtained from inexpensive hydroxyproline derivatives. As postulated by Woerpel, 2,4-*cis*-pyrrolidines were formed in high de due to stereoelectronic effects.

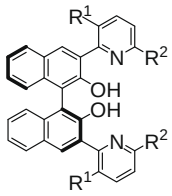








Microwave-assisted Suzuki cross-coupling between 2,2′-bis(methoxymethyl)-3,3′-bis(potassium trifluoroboronato) BINOL and a series of 2-bromo- or 2-chloropyridines provides efficient access to 3,3′-dipyridyl BINOL ligands.

*Corresponding author
Supplementary data available via ScienceDirect

Abstracted/indexed in: AGRICOLA, Beilstein, BIOSIS Previews, CAB Abstracts, Chemical Abstracts, Chemical Engineering and Biotechnology Abstracts, Current Biotechnology 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

Available online at www.sciencedirect.com

ScienceDirect

ISSN 0040-4039