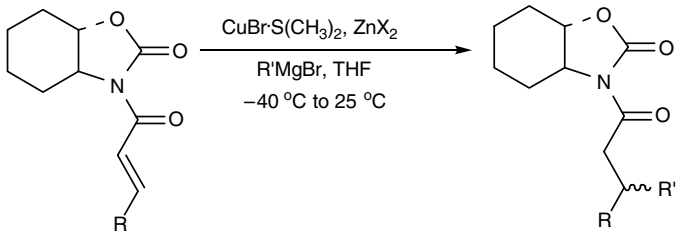
ELSEVIER

Tetrahedron Letters

Tetrahedron Letters Vol. 46, No. 50, 2005

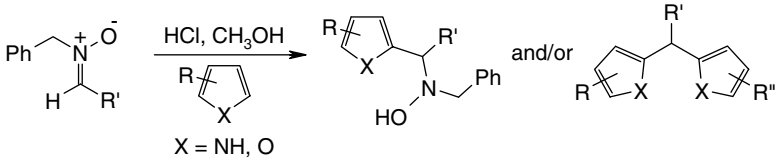
# Contents

## COMMUNICATIONS

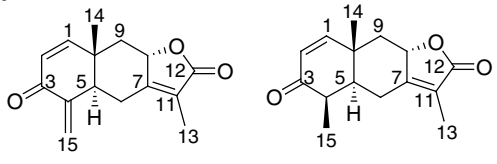



Stereoselective copper promoted conjugated additions of Grignard reagents to chiral *N*-enoyl amides **2** and **3** or ***ent*-2** and ***ent*-3** in the presence of Zn(II) salts afforded the 1,4-addition products **4**–**11** and the corresponding enantiomers.



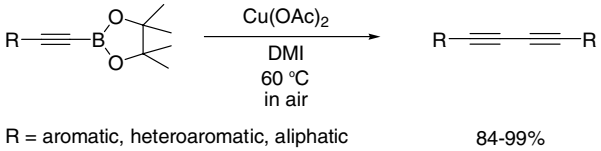




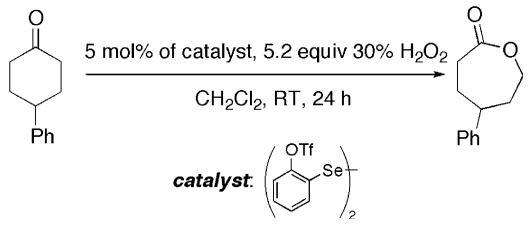




New sesquiterpene lactones (**1**) and (**2**) were isolated from the EtOAc soluble fraction of the water extract of Linderae Radix through bioassay-guided fractionation and isolation methods. Two isolates showed significant cytotoxicity against the human small cell lung cancer cell SBC-3, and lesser cytotoxicity against mouse fibroblast cell 3T3-L1.

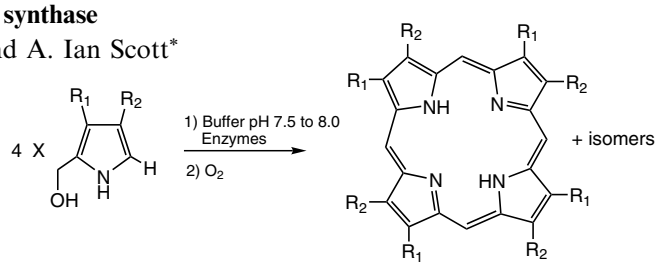





Various symmetrical 1,3-butadiyne derivatives are synthesized by a copper-mediated homocoupling reaction of alkynylboronates in good to excellent yields.

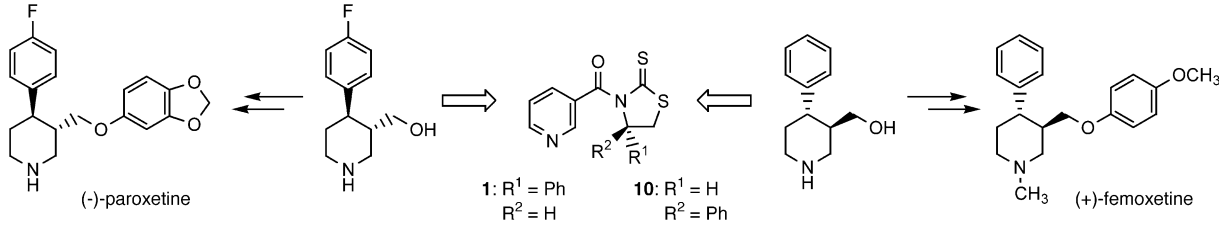




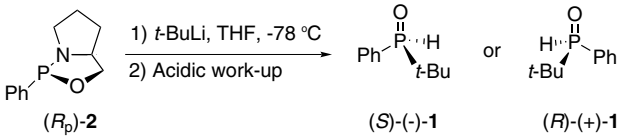




Preparation of porphyrins from pyrroles in buffer in presence of the enzymes PBG deaminase and/or Uro'gen III synthase is investigated.

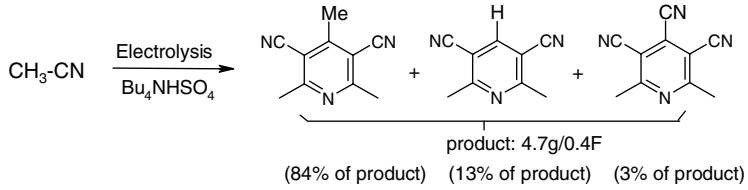




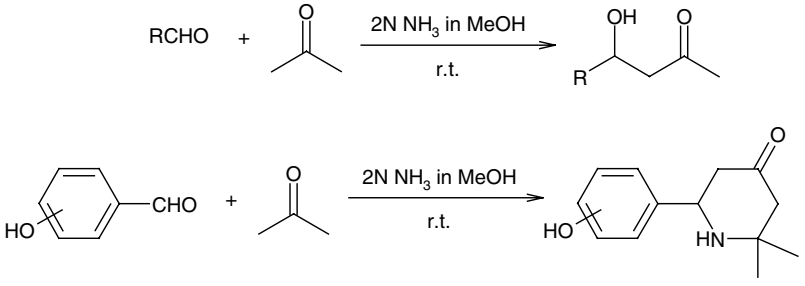


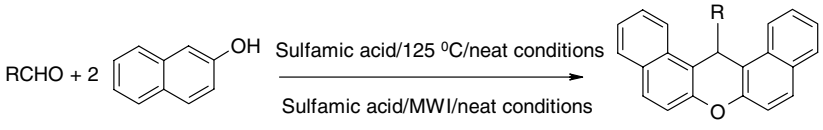

Both enantiomers of *tert*-butylphenylphosphine oxide can be easily synthesised starting from (*S*)-prolinol-based oxazaphospholidine.



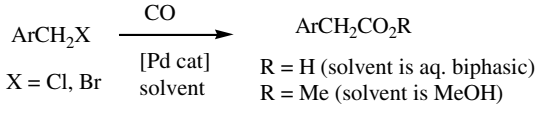


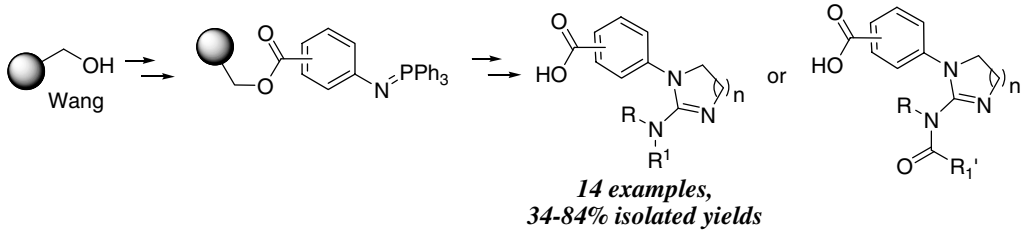


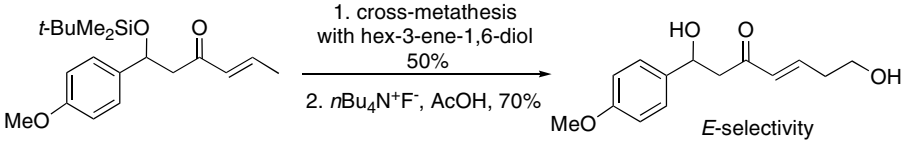


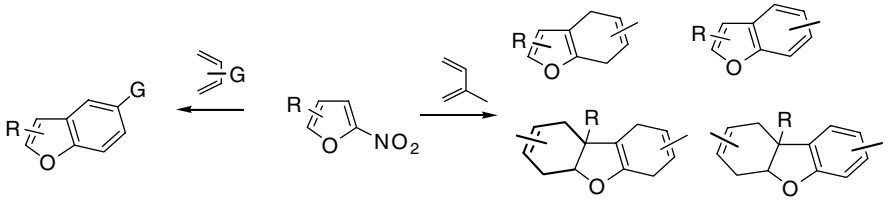

High yielding catalytic syntheses of arylacetic acids and esters have been achieved under mild conditions through the use of new types of organo-palladium compounds.



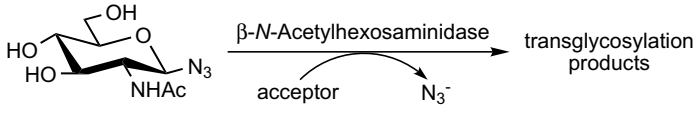


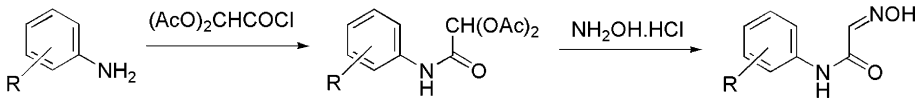





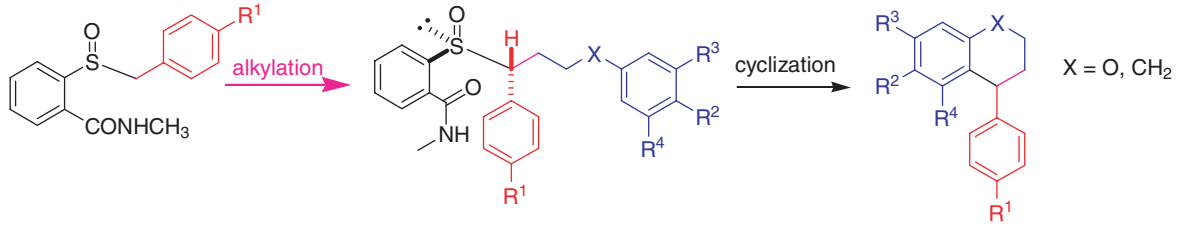


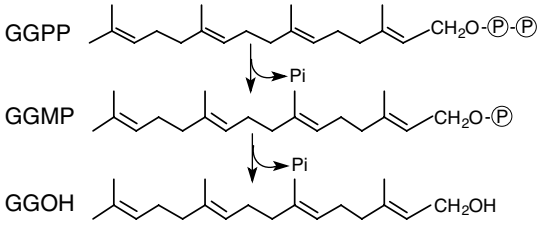



A novel two-step synthesis of isonitrosoacetanilides provides efficient access to compounds not readily available using traditional procedures.



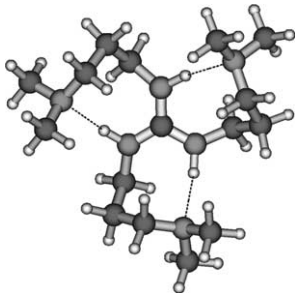


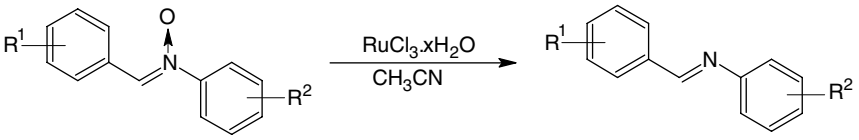




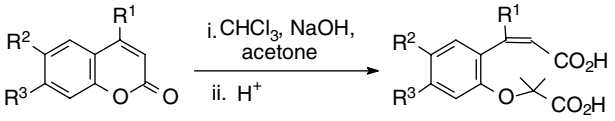

The synthesis of novel *N,N′,N″*-tris(3-dimethylaminopropyl)-guanidine **1** and X-ray structure of its hexafluorophosphate salt are described. The hydrogen bonding in protonated **1** is also discussed.



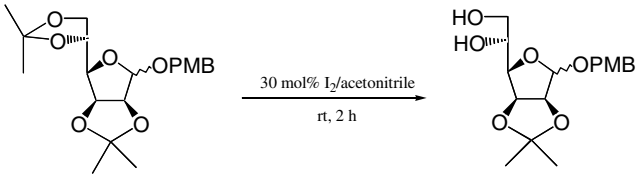



An efficient, simple and selective method for the deoxygenation of aromatic *N*-oxides, such as *N*-arylnitrones, azoxybenzenes, *N*-heteroarene *N*-oxides using ruthenium(III) chloride to afford deoxygenated products in excellent yields, is described.



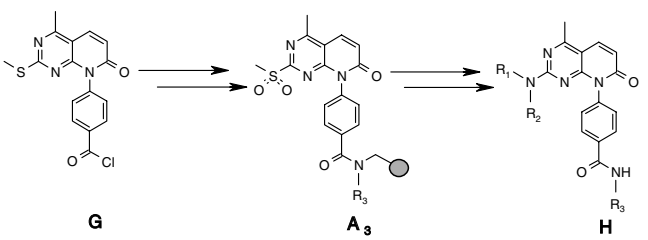


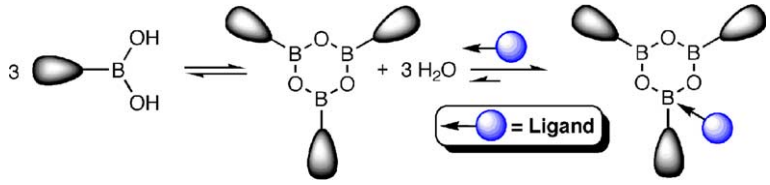


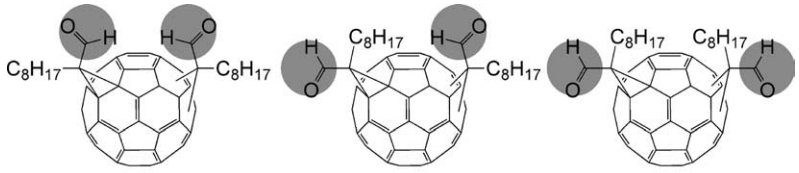



A novel solid-phase method for the synthesis of 4-methyl-pyrido[2,3-*d*]pyrimidin-7-one compounds with two diversity points is described. The polymer supported methylsulfonyl derivatives $\mathbf{A_3}$, achieved by coupling compound **G** with different resin-bound amines $\mathbf{A_1}$ followed by oxidation with MCPBA, are substituted with several amines $R_1R_2NH$. Final cleavage affords 126 compounds having formula **H** in good yield and purity.



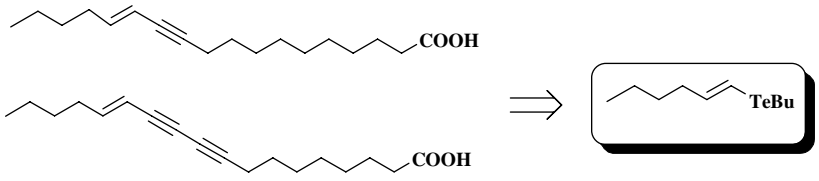




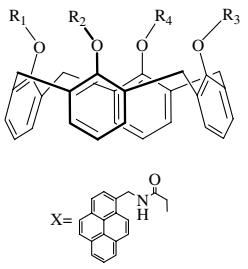




**Separation and characterization of regio- and diastereo-isomers**

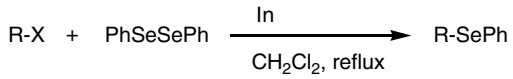




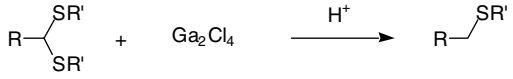


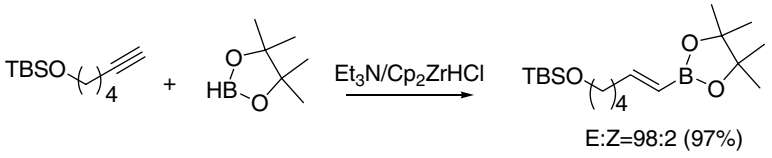

**1** $R_1$=$R_3$=H, $R_2$=$R_4$=X
**2** $R_1$=$CH_2CO_2Et$, $R_2$=$R_3$=$R_4$=H
**3** $R_1$=$R_2$=$R_3$=$R_4$=$CH_2CO_2Et$
**4** $R_1$=$R_2$=$R_3$=$R_4$=$CH_2CO_2H$
**5** $R_1$=$R_2$=$R_3$=$R_4$=$CH_2COCl$
**6** $R_1$=$R_3$=$CH_2CO_2Et$, $R_2$=$R_4$=X
**7** $R_1$=$CH_2CO_2Et$, $R_2$=$R_3$=$R_4$=X
**8** $R_1$=$R_2$=$R_3$=$R_4$=X





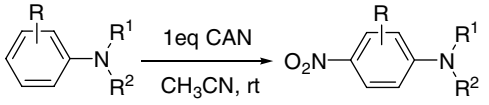



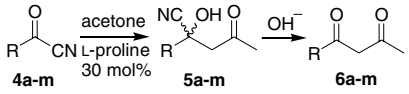



An improved process for the preparation of (*E*)-vinylboronic esters via a Zr-mediated hydroboration of alkynes, especially oxygen-containing alkynes, is described.

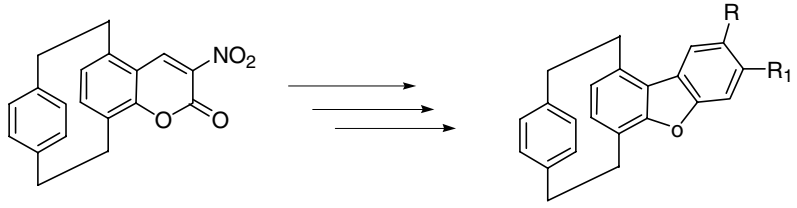






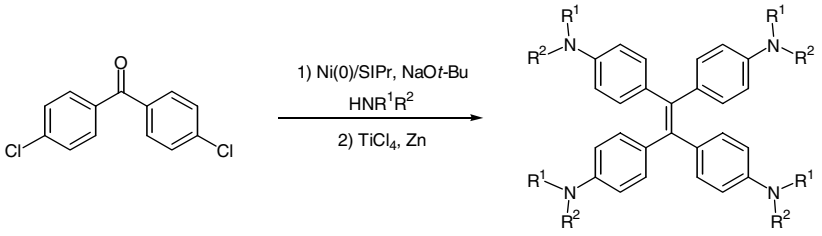

**a**: R = Ph; **b**: R = 4-$CH_3$-$C_6H_4$; **c**: R = 2-$CH_3$-$C_6H_4$; **d**: R = 4-$CH_3O$-$C_6H_4$; **e**: R = 2-F-$C_6H_4$; **f**: R = 4-F-$C_6H_4$; **g**: R = 2-Cl-$C_6H_4$; **h**: R = 4-Cl-$C_6H_4$; **i**: R = 2-Br-$C_6H_4$; **j**: R = 4-Br-$C_6H_4$; **k**: R = 4-$NO_2$-$C_6H_4$; **l**: R = Ph-CH=CH; **m**: R = furan-2-yl





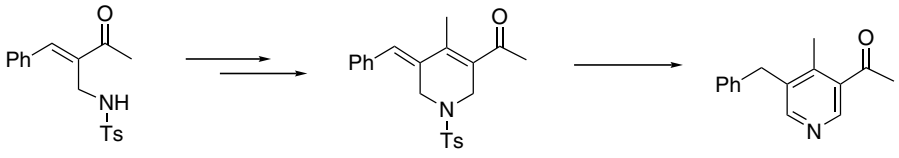
R=$R_1$=Me; R=Me, $R_1$=H

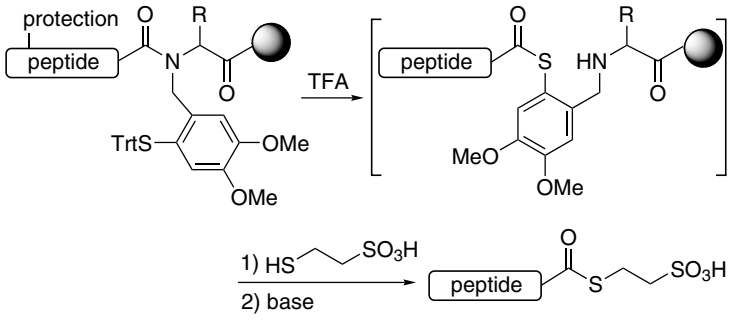





Four new tetrakis(4-aminophenyl)ethenes have been prepared and characterized by cyclic voltammetry, coulometry, electrolysis, UV–vis absorption, and EPR spectroscopy. The stability of (radical cation)s generated by oxidation depends on the substitution of the $NR^1R^2$ group.








## OTHER CONTENTS



*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

## COVER

Regioselective construction method of polysubstituted pyridine skeleton has been developed starting from Baylis–Hillman adducts. The method involved sequential introduction of tosylamide, Michael reaction, aldol condensation, and elimination of TsH. *Tetrahedron Letters* **2005**, *46*, 8799–8803.

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ISSN 0040-4039