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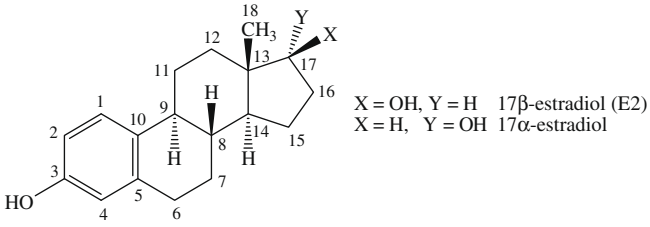
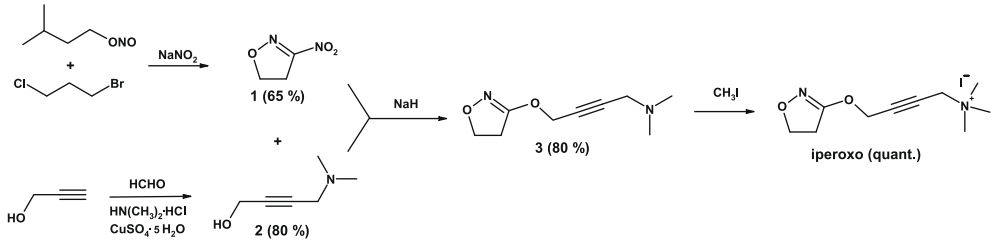
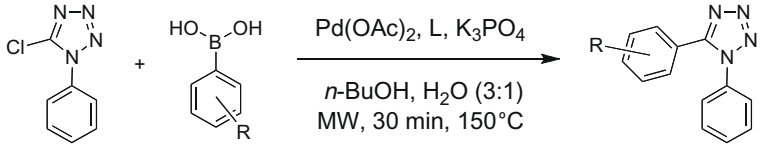
Tetrahedron Letters Vol. 51, No. 27, 2010

Contents

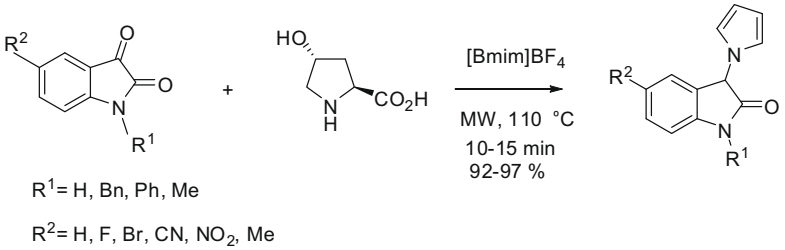
COMMUNICATIONS





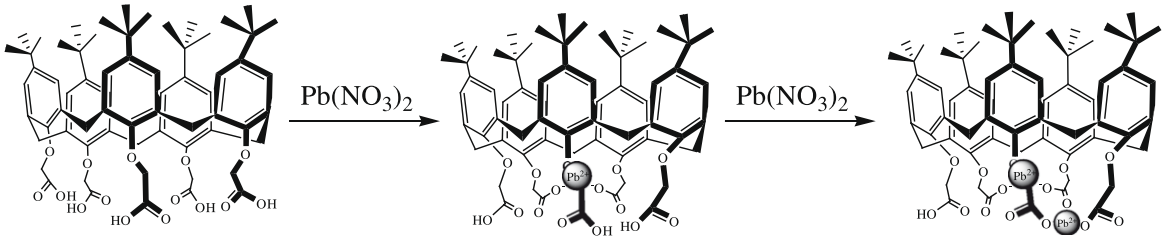


A catalyst and ligand screen for the Suzuki–Miyaura coupling of 1-phenyl-5-chlorotetrazole with a variety of aryl- and heteroaryl-boronic acids was conducted, which led to the identification of the optimal catalyst system for this transformation.

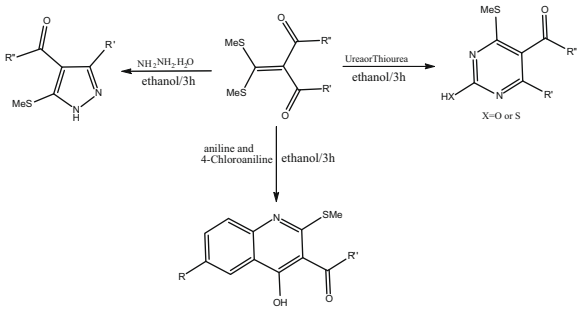


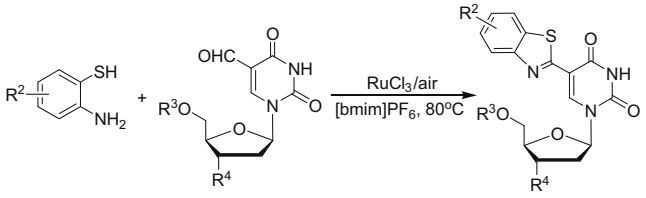
R^1= H, Bn, Ph, Me

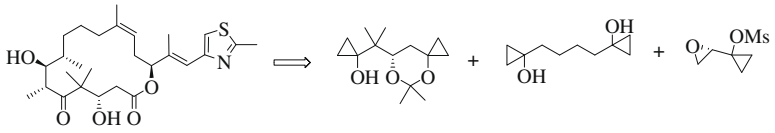
R^2= H, F, Br, CN, NO_2, Me

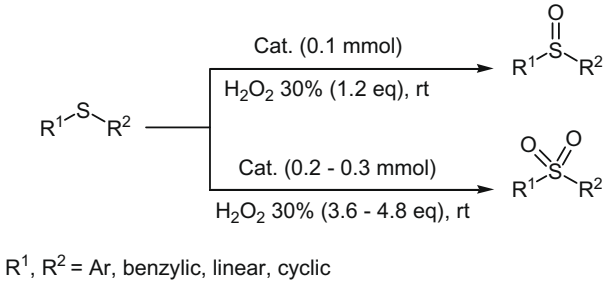


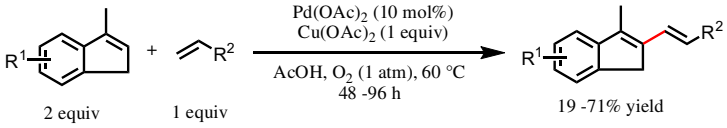
One molecule of calix[5]arene pentacarboxylic acid derivative extracts two lead ions in a stepwise manner.

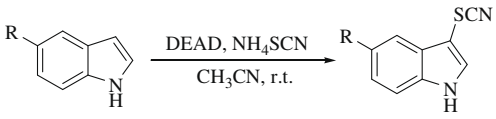


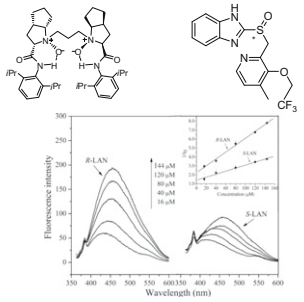




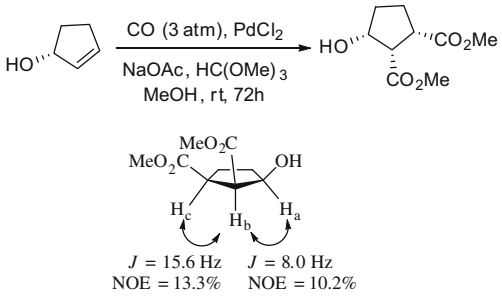




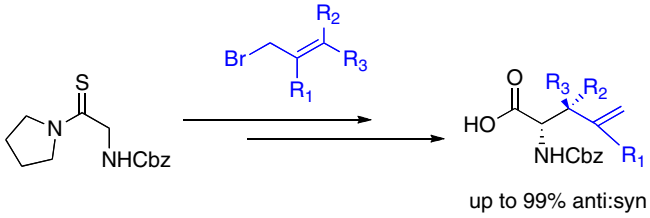




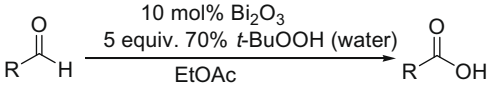
A good chiral discrimination of lansoprazole (LAN) enantiomers was realized by a chiral *N,N′*-dioxide–Sc(III) complex, which was based on a fluorescent method through an "off-on" process.



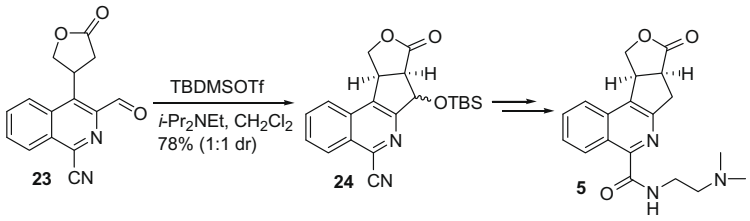
Pd-catalyzed olefin dicarbonylation of chiral allylic alcohols with chirality transfer affords the chiral alcohol diesters contiguous chiral centers, in good to excellent diastereoselectivities (78–98%).



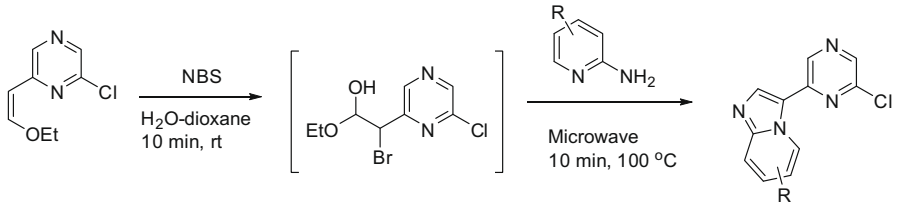
A significantly improved thio–Claisen rearrangement method was developed for preparing anti-β-functionalized γ,δ-unsaturated amino acids, which are extremely useful nonproteinogenic amino acids used in chemistry and biology research. The mild reaction condition successfully introduced base labile functional groups into the amino acids with excellent anti/syn selectivities.



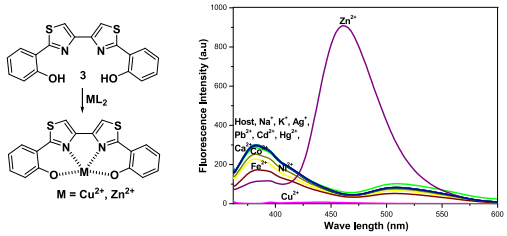
A cheap and efficient method for the oxidation of a variety of aromatic, aliphatic and conjugated aldehydes using 70% *t*-BuOOH as oxidant in the presence of catalytic amounts of Bi_2O_3 is described.



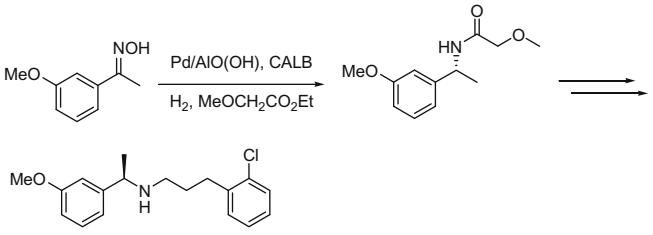
The total synthesis of a proposed 1,3,4-trisubstituted isoquinoline **5** with an unprecedented 6–6–5–5 tetracyclic ring system is described. One of the key steps is an intramolecular aldol reaction with a combination of a Lewis acid (TBDMSOTf) and a mild base (*i*-Pr_2NEt) to construct the central cyclopentane ring.

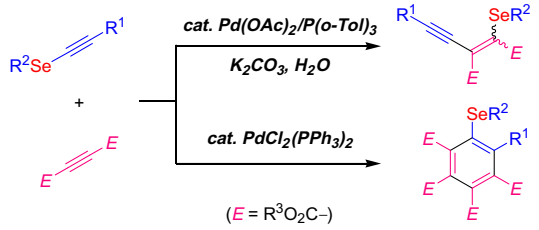


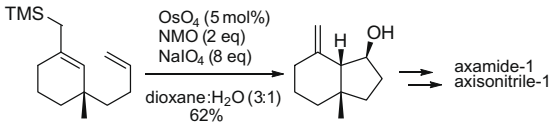
A new method has been developed for the synthesis of 3-pyrazinyl-imidazo[1,2-*a*]pyridines. 2-Chloro-6-[(*Z*)-2-ethoxyethenyl]pyrazine was treated with *N*-bromosuccinimide in dioxane–water to generate the 2-bromo-2-(6-chloropyrazin-2-yl)-1-ethoxyethanol intermediate. In a subsequent one-pot step, optional treatment with various 2-aminopyridines provided the cyclized 3-pyrazinyl-imidazo[1,2-*a*]pyridines in 31–76% yields.



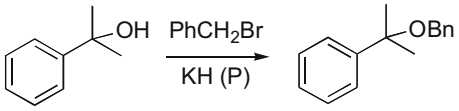
A new bisthiazole chemosensor (**3**) with phenolic substituents at the position 2 of the thiazole rings was prepared. The chemosensor **3** acts as a potential dual-function fluorescence chemosensor with Cu^{2+} and Zn^{2+} ions causing complete quenching and ratiometric change of fluorescence, respectively. The mechanism of fluorescence was based on the cation-induced inhibition of excited-state intramolecular proton transfer (ESIPT).

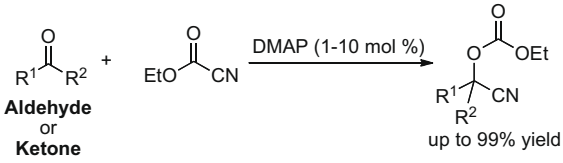


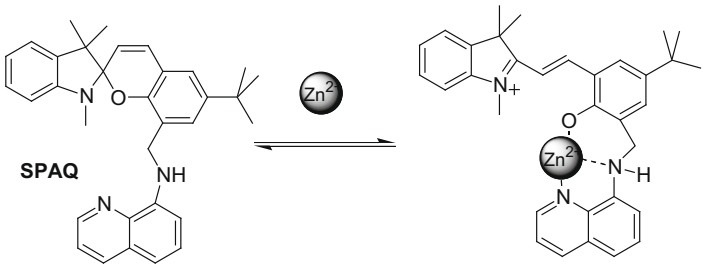




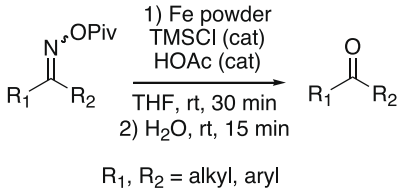
Efficient synthetic route to (±)-axamide-1 and (±)-axisonitrile-1 was established via an intramolecular Hosomi–Sakurai reaction of the corresponding allylsilane derivative, in very short steps.



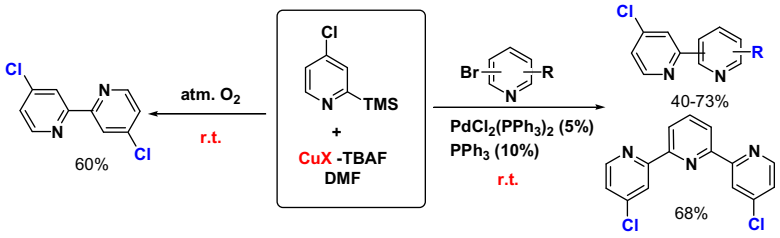




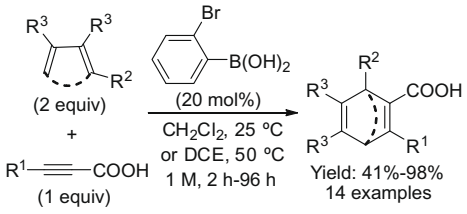
A FRET fluorescent chemosensor **SPAQ** was designed and synthesized for ratiometric detection of Zn^{2+} with high selectivity and sensitivity.



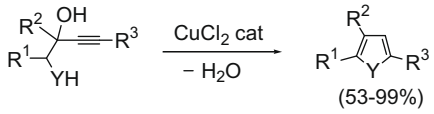
A wide array of readily prepared pivalates of ketoximes can be converted to their corresponding ketones in good yields by treatment with iron powder in THF containing catalytic amounts of both trimethylsilyl chloride and glacial acetic acid at room temperature for 30 min, followed by a brief aqueous workup.



An efficient room temperature copper-mediated coupling of chloropyridyltrimethylsilane for synthesis of functional polypyridines.

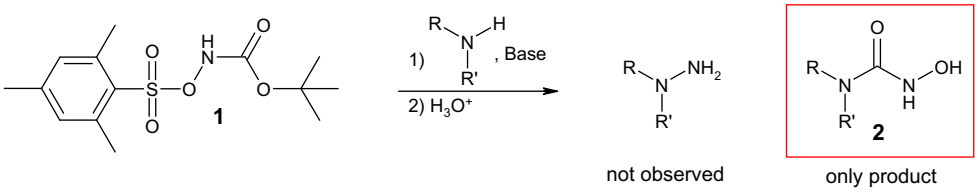


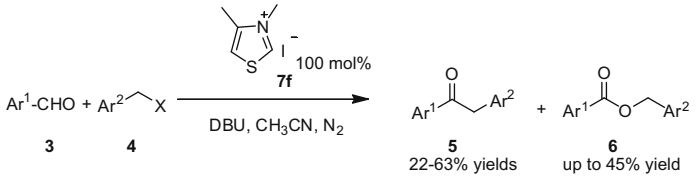
A new methodology based on boronic acid catalysis of Diels–Alder cycloadditions of 2-alkynoic acids was developed.

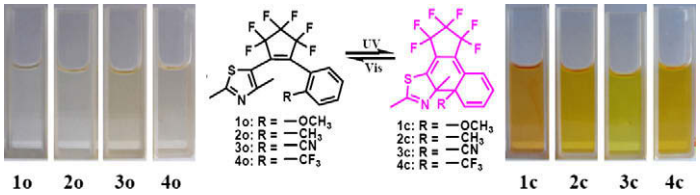


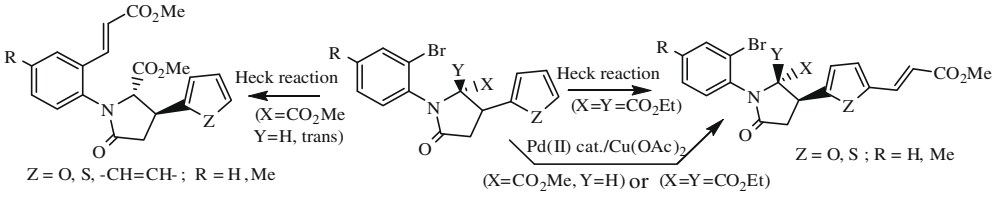
(R^1 = H, alkyl; R^2 = H, alkynyl, aryl; R^3 = alkyl, aryl; Y = O, NR, R = Boc or Ts)

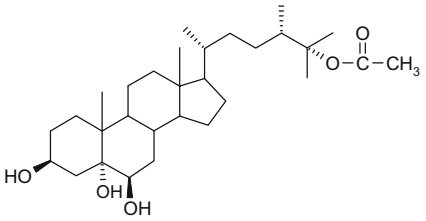
A simple and convenient synthesis of substituted furans and pyrroles, by ligand-free $CuCl_2$-catalyzed heterocyclodehydration of 3-yne-1,2-diols and *N*-Boc- or *N*-tosyl-1-amino-3-yn-2-ols, respectively, is reported. Reactions are carried out in MeOH at 80–100 °C for 1–24 h and afford the corresponding heterocyclic derivatives in 53–99% yields.



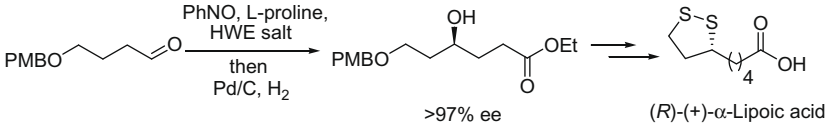


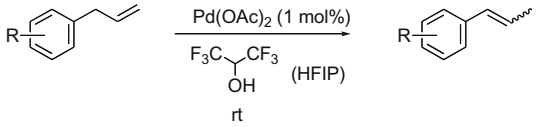


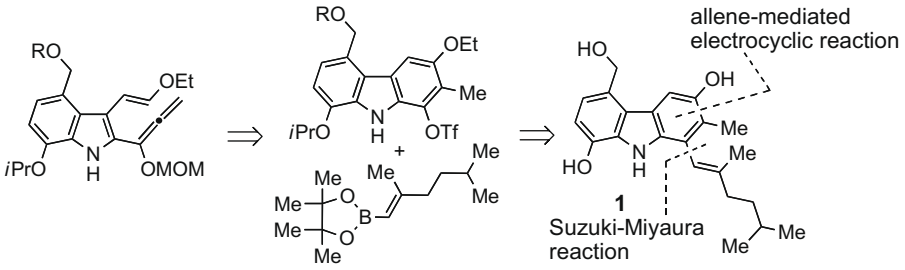


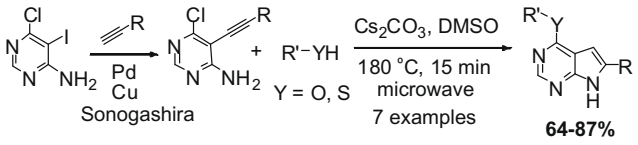


Four new polyhydroxylated sterols and two known sterols are isolated from marine sponge *Callyspongia fibrosa* collected from the Gulf of Mannar, western Bay of Bengal (India). The sterols contain 3β,6β-dihydroxy system and 25-*O*-acetate as common features. The hydroxylation pattern is without a precedent in sponge sterols although known in coral sterols. The major steroid **4a** showed antimalarial activity against *Plasmodium falciparum* on the chloroquine-resistant stain better than on the chloroquine-sensitive strain.









*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

The cover figure shows the structure fragments of epothilone D which were created by cleavage of activated three-carbon ring of the indicated cyclopropanol intermediates.
Tetrahedron Letters **2010**, 51, 3497–3500.

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