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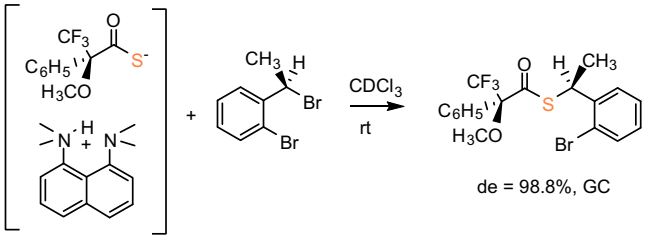
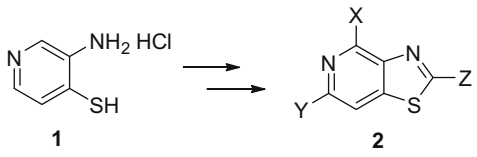
Tetrahedron Letters Vol. 51, No. 21, 2010

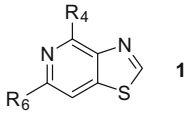
Contents

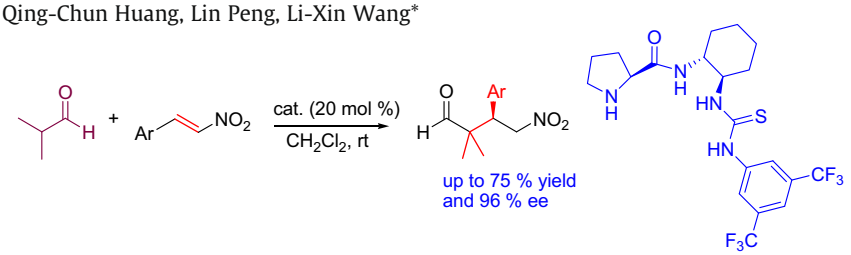
COMMUNICATIONS



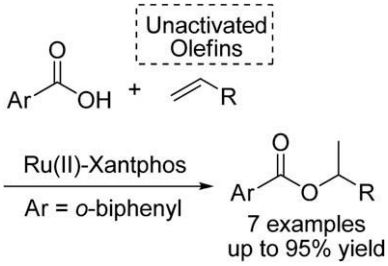


Novel substituted thiazole[4,5-*c*]pyridines have been synthesized in good yields from unsubstituted thiazole[4,5-*c*]pyridine.

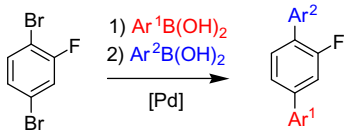


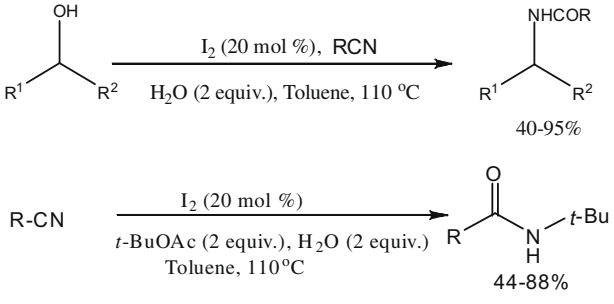


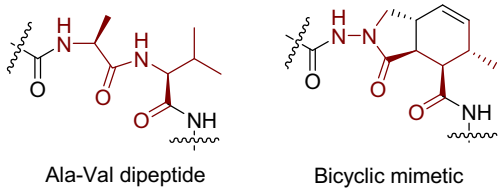
A series of secondary amine–thiourea catalysts derived from L-proline and chiral diamine were prepared and first applied to the Michael addition of α,α-disubstituted aldehydes to *trans*-β-nitroalkenes. Moderate yields (47–75%) and excellent enantioselectivities (up to 96% ee) were obtained for a variety of aryl and heteroaryl nitroalkenes.

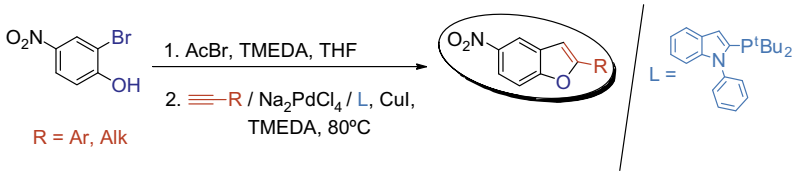


Xantphos showed high catalytic activity. Thus, Ru-catalyzed addition reaction of 2-phenylbenzoic acid with unactivated olefins using xantphos as a ligand provided the corresponding esters in good to excellent yields.

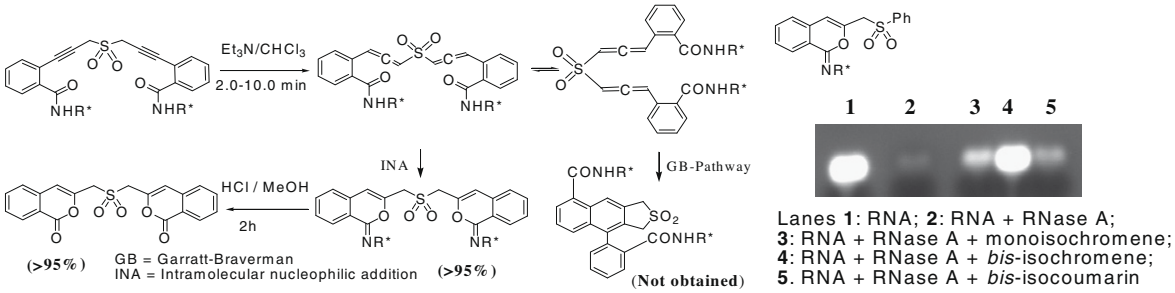


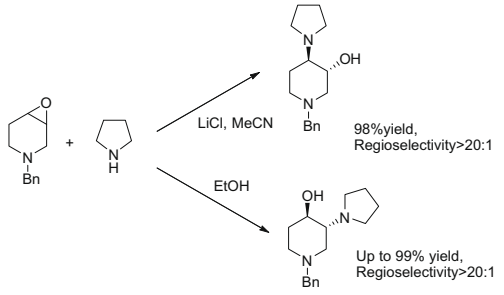


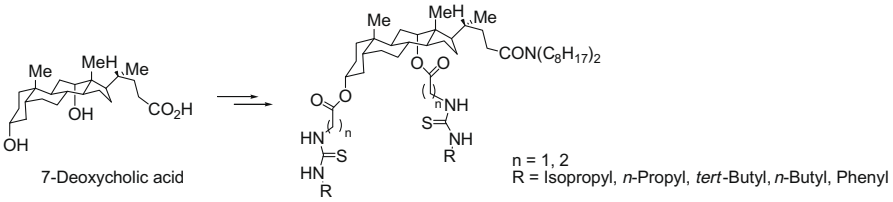


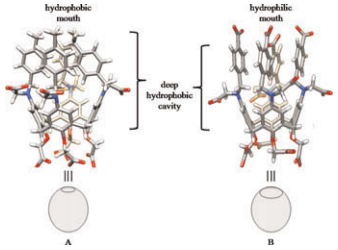


High efficiency was achieved by one-pot acetylation/Sonogashira cross-coupling/cyclization reactions of 4-NO_2-2-bromo-phenols and terminal acetylenes in the preparation of 5-nitro-2-substituted-benzo[*b*]furans.

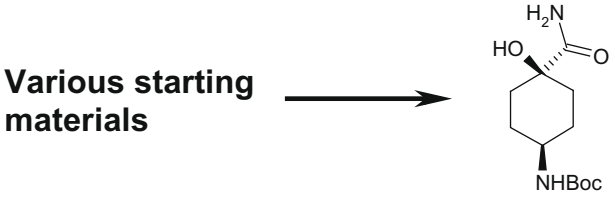






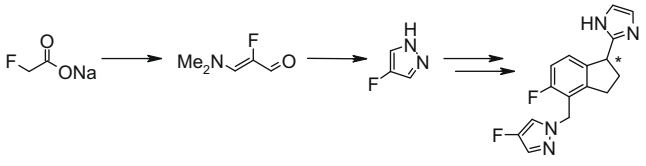


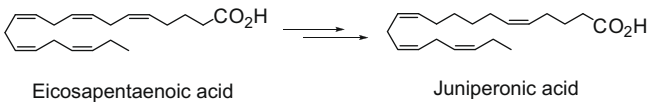
Water-soluble aminocalix[4]arene hosts, the one with hydrophilic function and the other with hydrophobic function on the top of the deep hydrophobic cavity representing hydrophobic mouth and hydrophilic mouth, respectively.

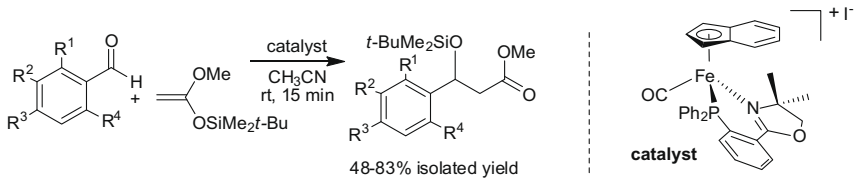


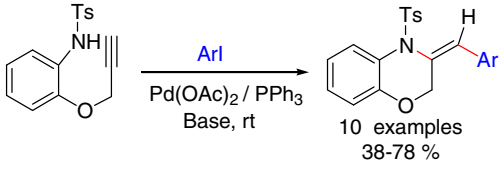
1

Five different synthetic routes were developed to address and ultimately overcome selectivity and yield issues to access the synthetically challenging subunit **1**. This was needed in bulk supplies for an anti-bacterial medicinal chemistry programme.

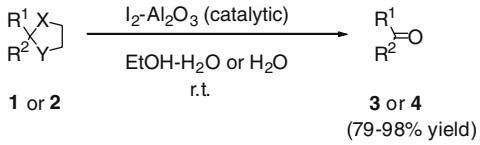




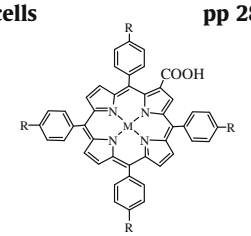




A new, one-pot palladium catalyzed reaction has been developed for the general synthesis of (*E*)-3-arylidene-3,4-dihydro-2*H*-1,4-benzoxazines at room temperature. The reaction procedure tolerates various functional groups. The method is characterized by regio- and stereoselectivity, operational simplicity, mild reaction conditions, and short reaction time.

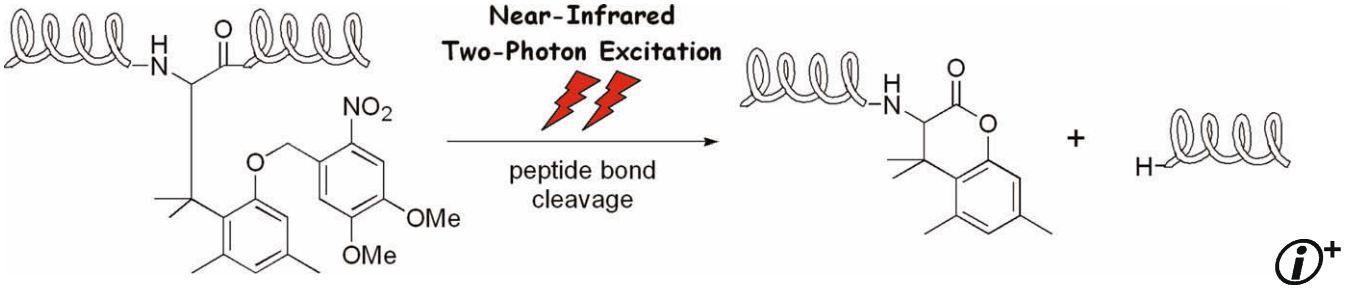


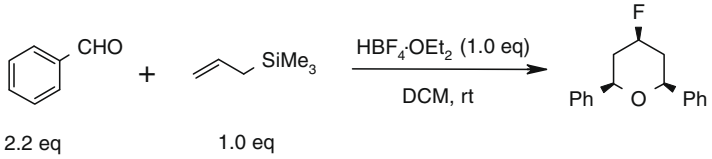
1: X = O; Y = S; R^1 = alkyl/aryl; R^2 = H, alkyl/aryl
2: X = Y = S; R^1 = aryl; R^2 = H; alkyl/aryl

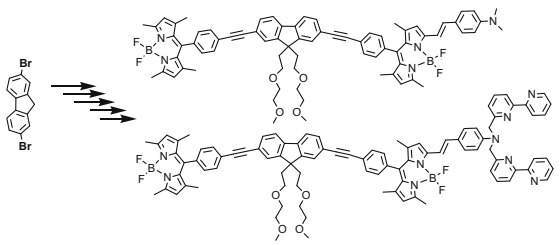


R = H and M = 2H, **1**; R = H and M = Zn(II), **Zn1**
R = -CH_3 and M = 2H, **2**; R = -CH_3 and M = Zn(II), **Zn2**
R = -OCH_3 and M = 2H, **3**; R = -OCH_3 and M = Zn(II), **Zn3**
R = *-tert*-butyl and M = 2H, **4**; R = *-tert*-butyl and M = Zn(II), **Zn4**

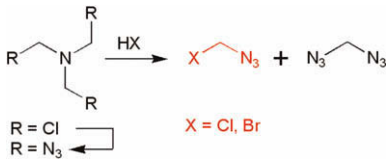
A facile one-pot mild reaction condition for the conversion of 2-formyl-5,10,15,20-tetra aryl-substituted porphyrins and their zinc derivatives to the corresponding 2-carboxy-5,10,15,20-tetra aryl-substituted porphyrins was achieved for the first time by using hydroxylamine hydrochloride and phthalic anhydride.



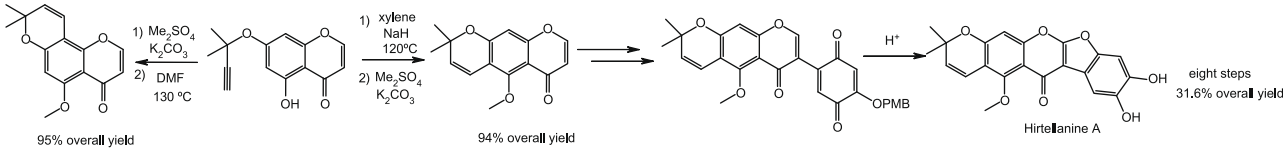




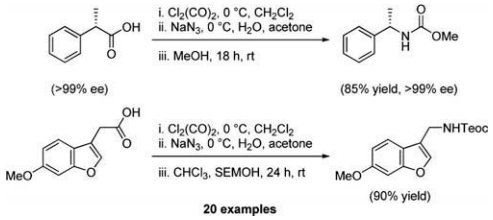
Mixed Bodipy dyes appended to a soluble fluorene platform have been prepared, one Bodipy was constructed with a bis-bipyridine complexation pocket.



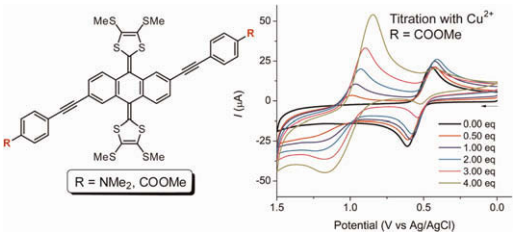
Azidochloromethane, which could not be prepared from dihalomethanes, was synthesized as shown and isolated as a colorless liquid.



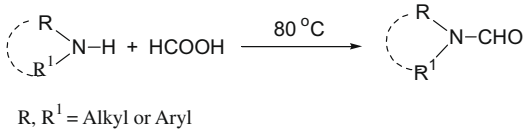
The first total synthesis of hirtellanine A was achieved in a high overall yield via the regioselective Claisen rearrangement as the key reaction.



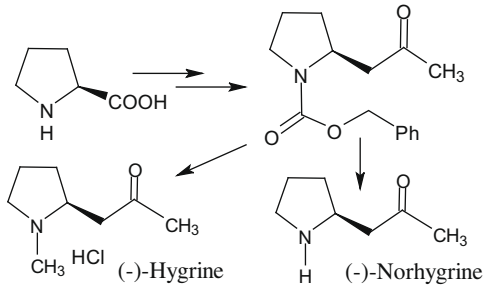
A step-wise, room temperature method for the Curtius rearrangement is described that proceeds in the absence of additives to provide benzylic and heteroarylmethyl amines.



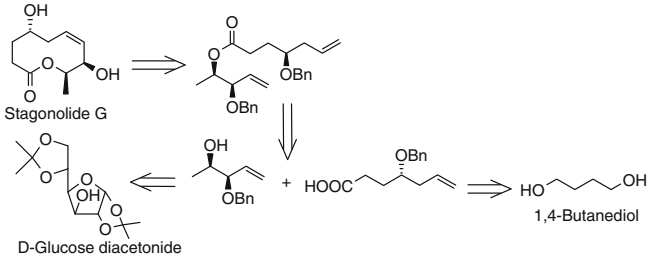
Two anthraquinone-type π-extended tetrathiafulvalene (TTFAQ)-cored D/A triads were synthesized and characterized by UV–vis absorption and cyclic voltammetric analyses. Electronic substituent effects were unraveled by making a comparison with analogous TTFAQ derivatives previously reported. Electrochemical titrations of the two TTFAQ D/A triads with selected transition metal cations (Ag^+ and Cu^{2+}) were examined by cyclic voltammetry, and the results suggest potential application of such TTFAQ derivatives as electrochemical sensors for transition metal ions.

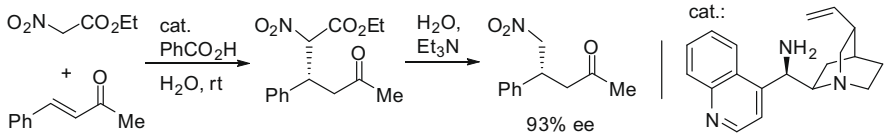


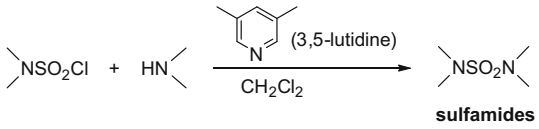
A simple and efficient protocol for N-formylation of aliphatic and heterocyclic amines has been described with formic acid in the absence of catalyst and solvent.



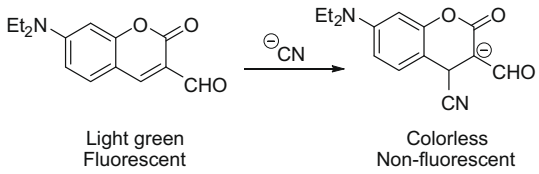
Hygrine is an important biosynthetic intermediate for tropane alkaloids. A synthesis of (−)-hygrine starting from L-proline is described. The acetonyl side chain of hygrine was fashioned through the Wittig reaction followed by regioselective Wacker oxidation. In addition, this Letter provides the first synthesis of (−)-norhygrine.



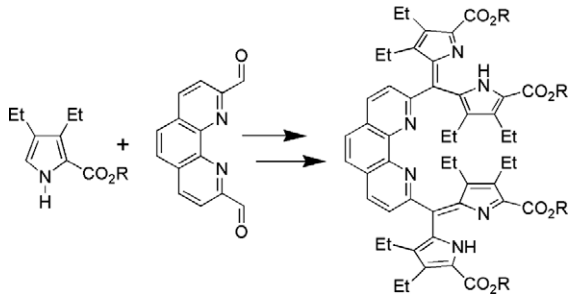




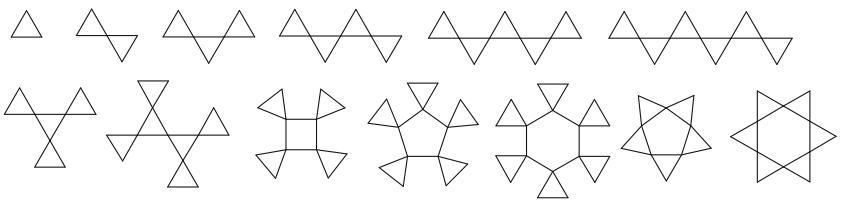
A mild and efficient method for sulfamide synthesis was developed during our research efforts toward discovery of novel FKBP-12 inhibitors.



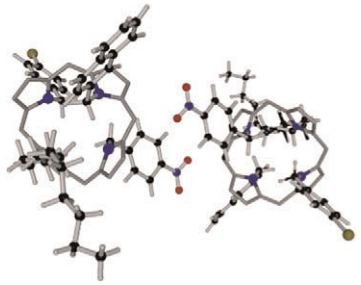
A coumarinyl aldehyde shows a selective fluorescence response to cyanide through a Michael addition to the doubly activated coumarin in water.



The synthesis of novel angular building blocks based on dipyrromethenes and the 1,10-phenanthroline nucleus is reported.



Strain in [n]triangulanes



*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

The cover image shows the atom-economical addition of carboxylic acid onto olefins catalyzed by Ru-Xantphos catalysis. Olefins can be converted into alcohols via the present catalytic reaction and hydrolysis in one-pot with quantitative recovery of starting carboxylic acid.
Tetrahedron Letters **2010**, 51, 2806–2809.

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®

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