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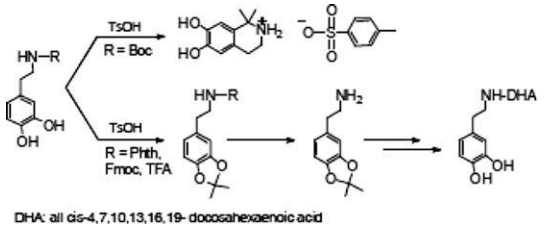
# Tetrahedron Letters Vol. 51, No. 18, 2010

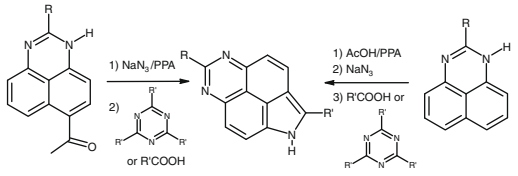
# Contents

## COMMUNICATIONS



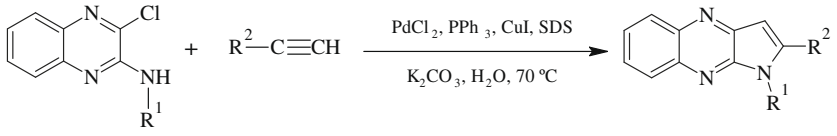

By pre-protecting the amino group, acetonide-protected dopamine was first synthesized. This derivative provided a convenient route to highly pure *N*-docosahexaenoyldopamine.






New methods for the synthesis of 1*H*-1,5,7-triazacyclopenta[*c,d*]phenalenes have been developed based on a sequence involving Schmidt reaction of keto-perimidines and acylation of the intermediate amides with 1,3,5-triazines or carboxylic acids. The same synthetic sequence starting from the corresponding alkylperimidine includes acylation with acetic acid as the first step.

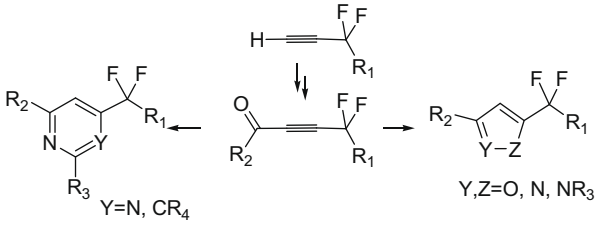




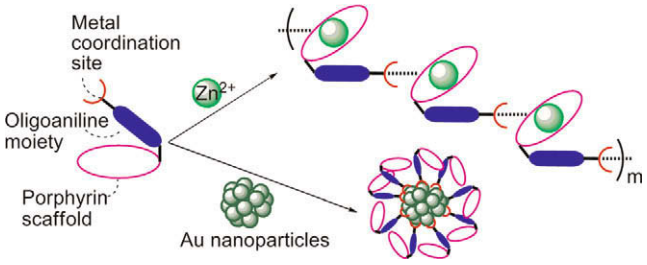

$R^1$ = Me, Bn, *i*-Bu, *n*-Pr
$R^2$ = Ph, *n*-$C_4H_9$, *n*-$C_3H_7$

The reaction of *N*-alkyl-3-chloroquinoxaline-2-amines with 1-alkynes, catalyzed by Pd–Cu, in the presence of sodium lauryl sulfate as the surfactant in water, leads to the one-pot formation of 1,2-disubstituted pyrrolo[2,3-*b*]quinoxalines in good-to-high yields.



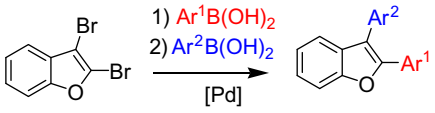



New pyrimidines, pyridines, pyrazoles, and isoxazoles with a $CF_2R$ side chain have been prepared efficiently from easily accessible new ynones with a difluoroalkyl side chain.

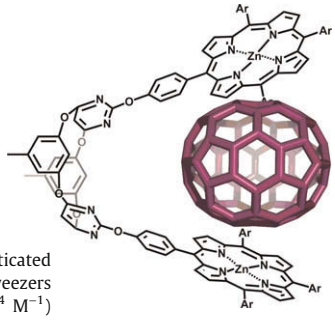



Synthesis and Zn(II)-directed self-assembly of the porphyrin-aniline trimer bearing the pyridyl group were demonstrated. Its Au nanoparticle hybrid was also synthesized.



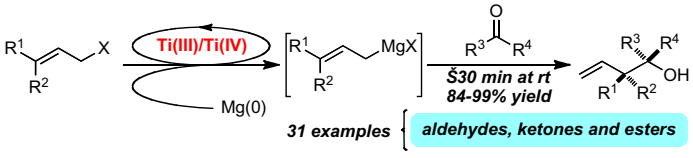


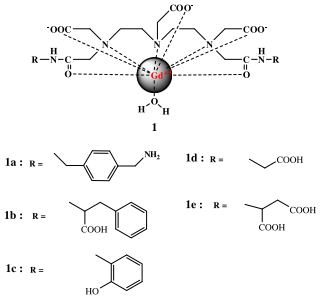


The versatility of oxacalix[*m*]arene[*m*]pyrimidines as building blocks for the construction of sophisticated supramolecular receptors has been illustrated by the synthesis of bisporphyrinoid molecular tweezers towards fullerene complexation. $^1$H NMR titrations revealed selective binding of $C_{70}$ ($K$ = 3.0 × 10$^4$ M$^{-1}$) for an oxacalix[2]arene[2]pyrimidine-bis[Zn$^{II}$-porphyrin] conjugate.

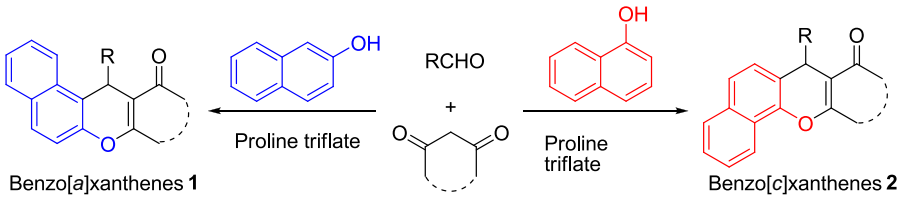




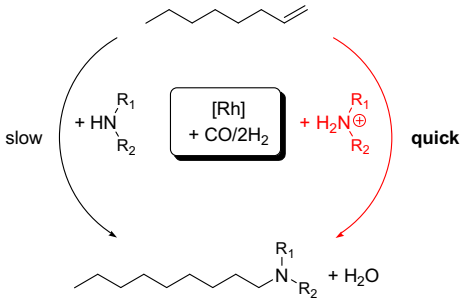



Gd–DTPA–bis-XDA (**1**) was prepared and evaluated as MRI contrast agent on the basis of $r_1$ value.



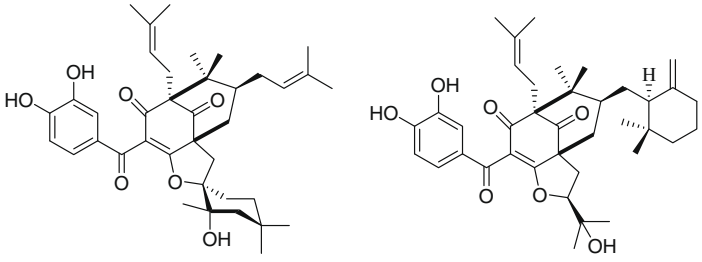


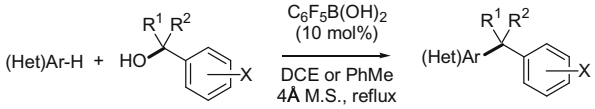



Under aqueous, biphasic conditions the use of salts of secondary and primary amines in hydroaminomethylation enables quantitative conversions of long-chain alkenes, high selectivities and short reaction times.

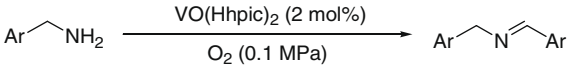




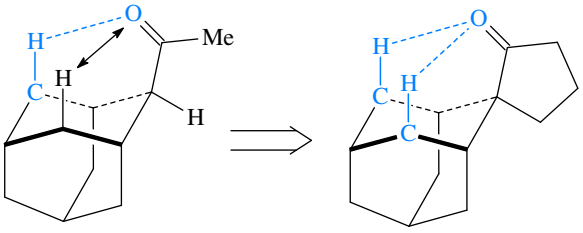



Commercially available pentafluorophenylboronic acid efficiently catalyses the coupling of electron-rich arenes and heteroarenes with benzylic alcohols to afford di-, tri-, and tetra-arylmethanes. The reaction is highly atom economical and produces water as the only byproduct. A Friedel–Crafts mechanism is proposed.



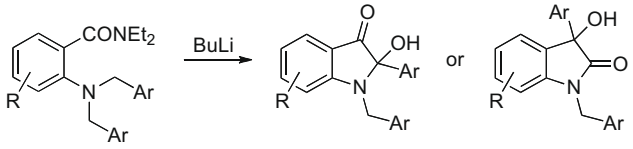




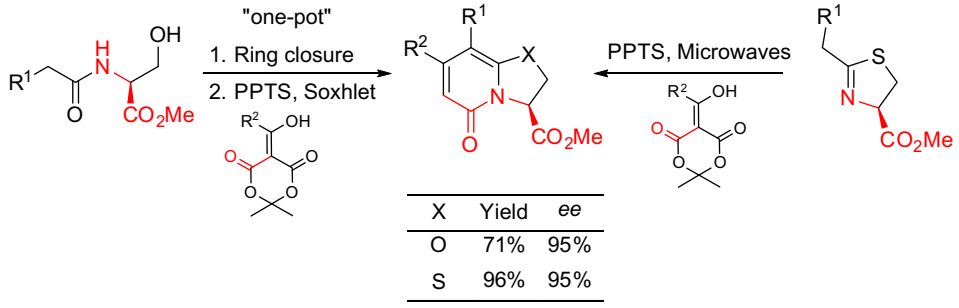




Stronger improper H-bonded cyclohexane C–$H_{ax}\cdots$O contacts cause an increase in the $^1$H NMR signal separation within the γ-$CH_2$s of cyclohexane rings.



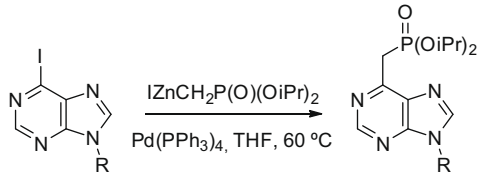



Lithiation of 2-(benzylamino)benzamides promotes cyclization to give 3-indolinones which undergo in situ oxidation and either protonation to 2-hydroxy-3-indolinones or rearrangement to 3-hydroxy-2-indolinones.

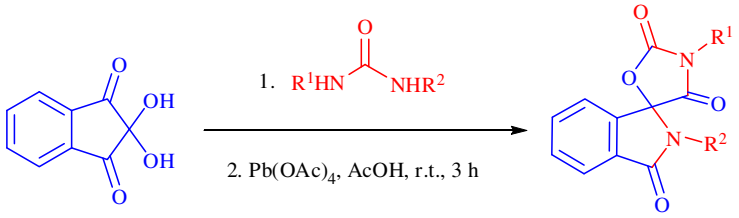



| X | Yield | *ee* |
|---|---|---|
| O | 71% | 95% |
| S | 96% | 95% |

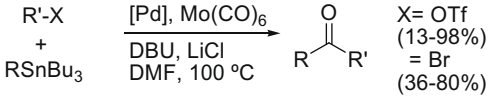


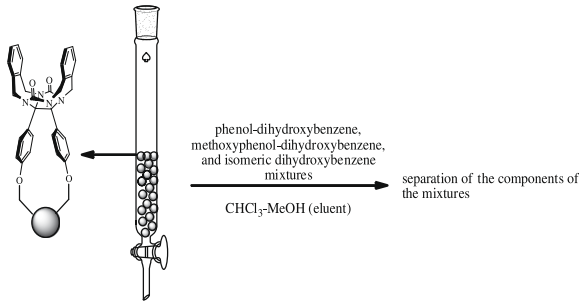




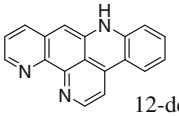





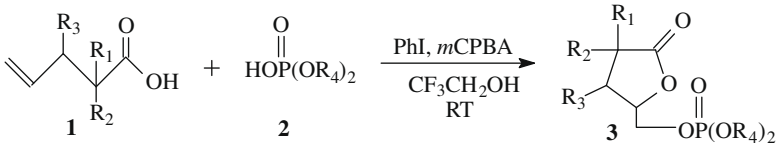




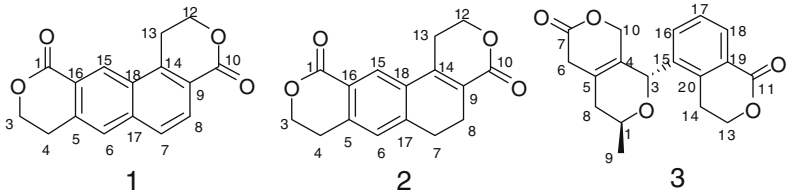
12-deoxyascididemin
$IC_{50}$=77 nM (*Trypanosoma brucei brucei*)



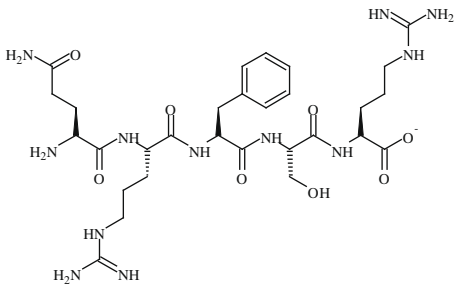



**1** **2** **3**



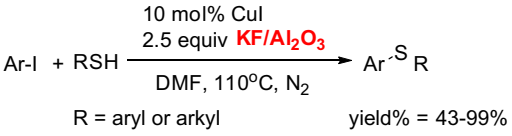


1 2 3

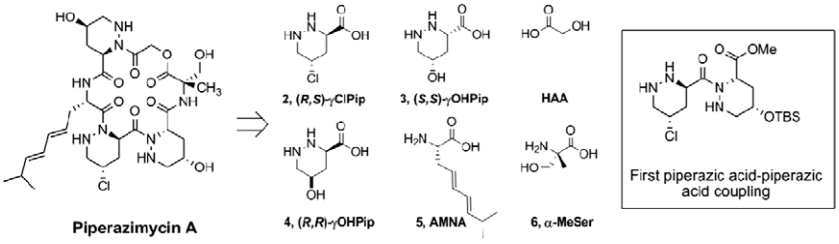


The schematic structure of the opiorphin (Gln-Arg-Phe-Ser-Arg).

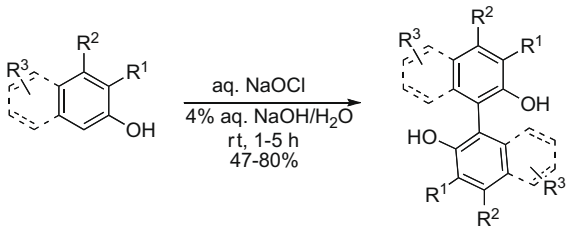


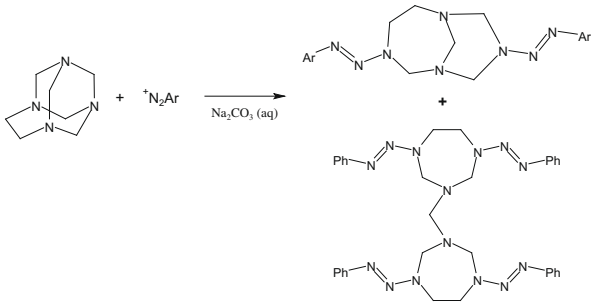




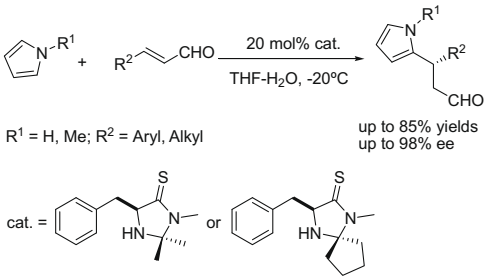





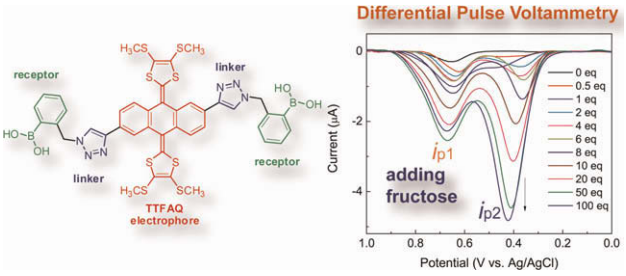




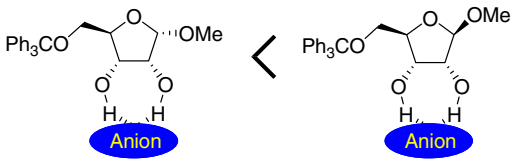

Imidazolethiones organocatalyzed the asymmetric Friedel–Crafts alkylation of pyrroles with α,β-unsaturated aldehydes was achieved to afford the corresponding adducts in moderate to good yields and good to excellent enantioselectivities. The possible mechanism was proposed.

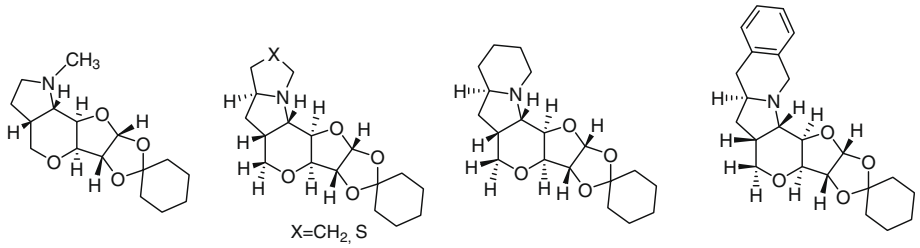




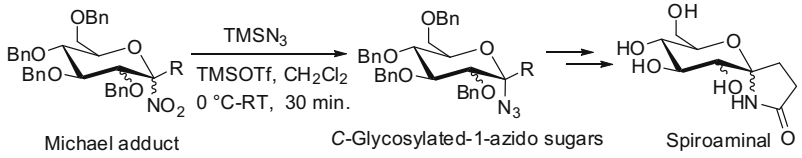

A phenylboronic acid-functionalized π-extended tetrathiafulvalene (TTFAQ) derivative was prepared through an efficient Cu-catalyzed alkyne-azide [3+2] cycloaddition reaction (click reaction). This boronic acid-TTFAQ hybrid system shows different electrochemical redox behavior upon titration with various saccharides in DMSO/$H_2O$ at pH 8.75, suggesting potential use in saccharide sensing and recognition.



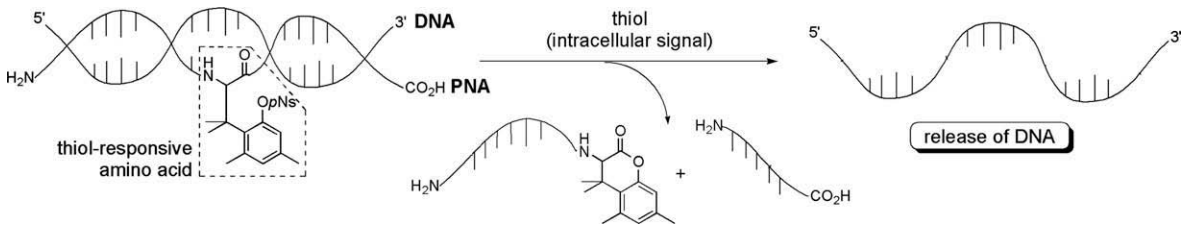




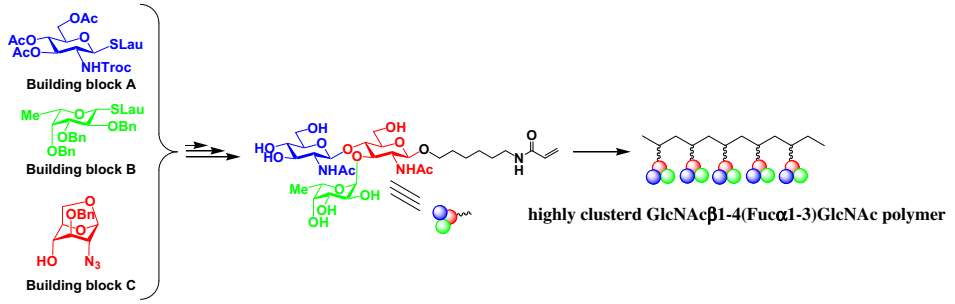


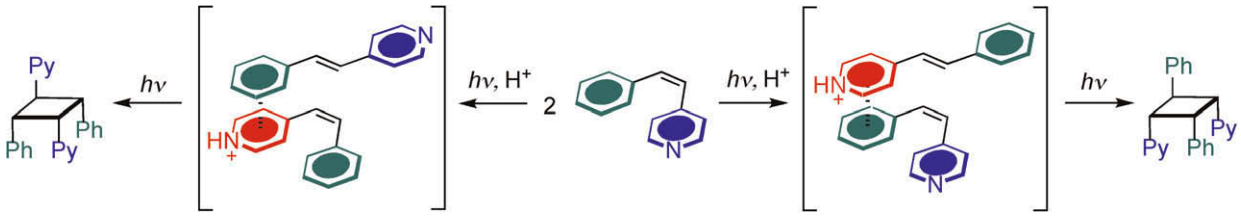





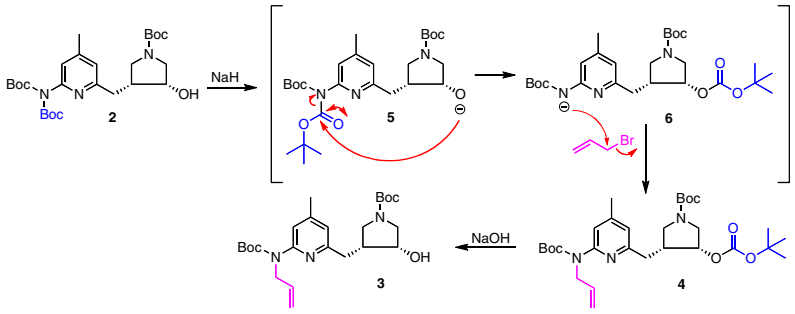





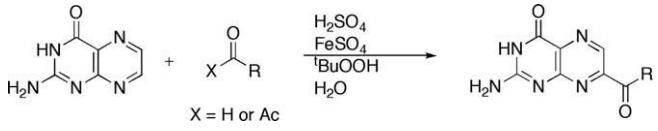







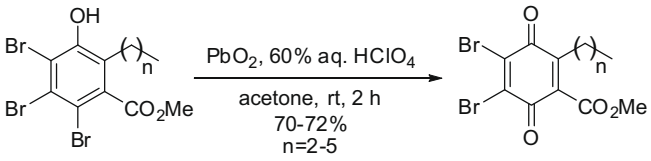



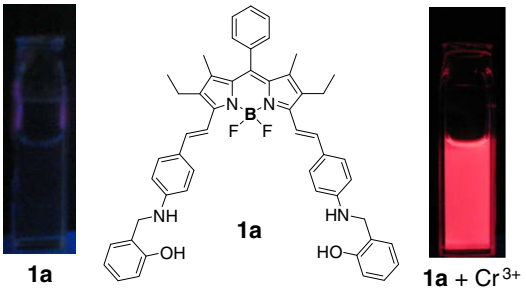


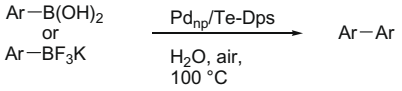

A variety of pterin molecules were synthesized via an under-utilized acyl radical insertion, using aldehydes and α-keto esters as the acyl source. These reactions gave complete regiospecificity for the 7-isomer, with reaction times ranging in minutes, often with instantaneous product precipitation. This approach led to the construction of new pterin analogs unaccessible via traditional Friedel–Crafts acylation. The compounds were characterized by NMR spectroscopy and high-resolution mass spectroscopy.



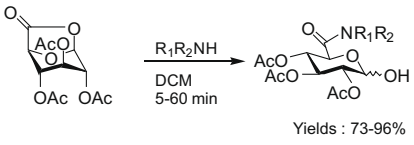








*Corresponding author
Supplementary data available via ScienceDirect

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