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Tetrahedron Letters

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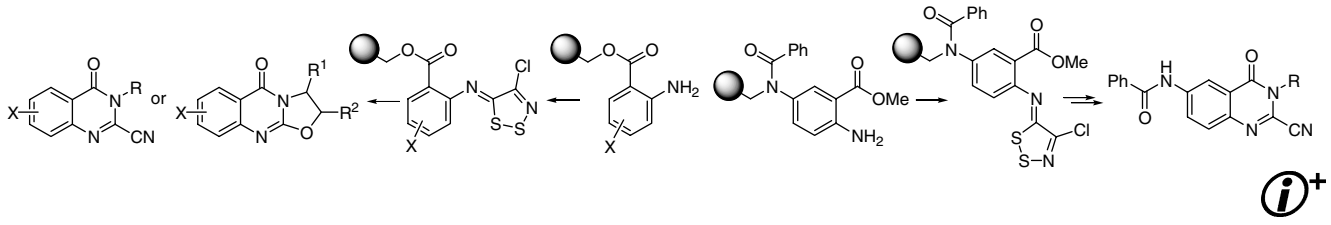
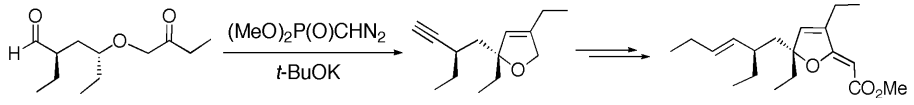
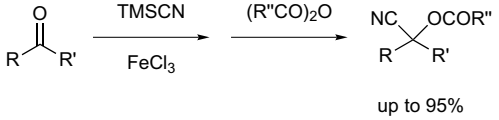
Contents

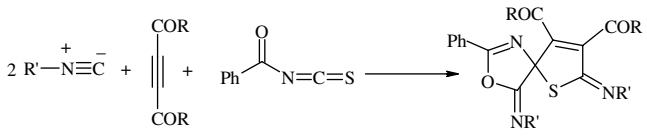
COMMUNICATIONS

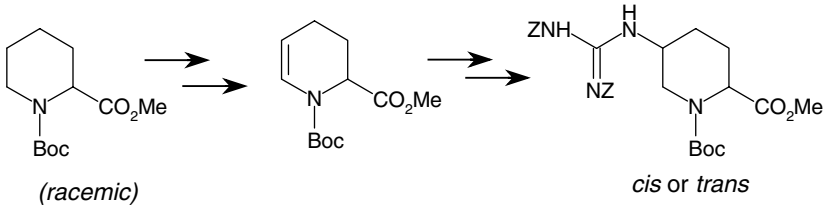




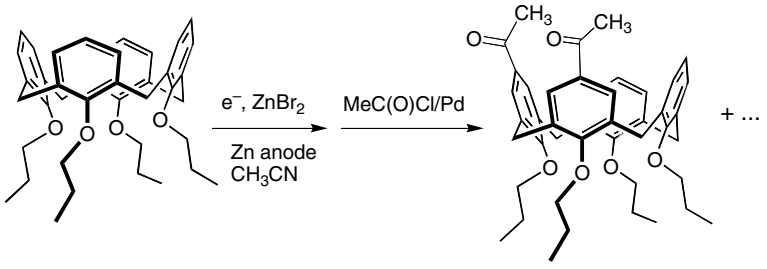


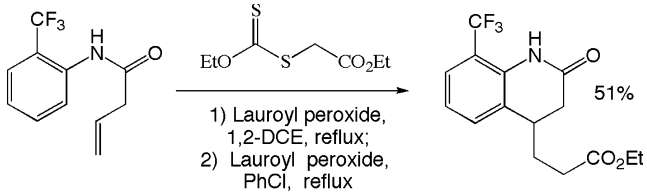
R = Alkyl, Aryl; R' = H, Alkyl; R" = Alkyl, Aryl

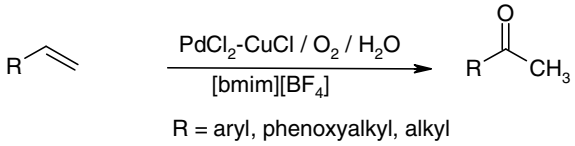


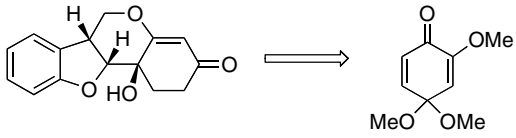


Two, orthogonally protected, constrained analogues of arginine have been synthesised in a diastereodivergent manner. The key step involved an electrophilic or radical functionalisation of methyl *N*-Boc-5,6-dehydropipecolate.

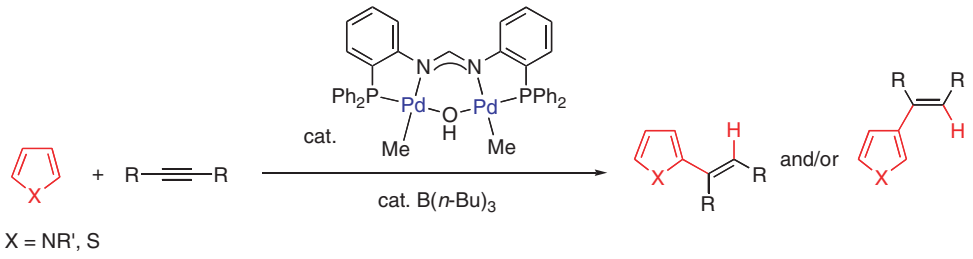


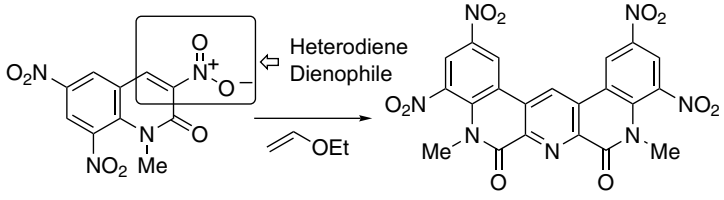


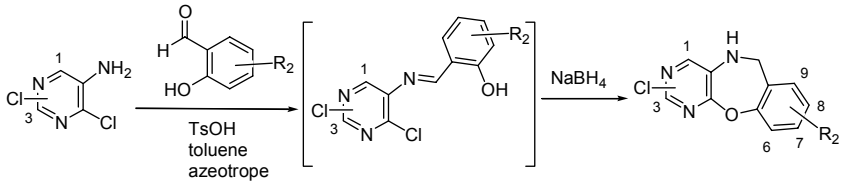




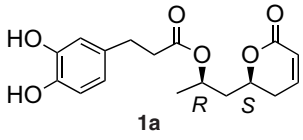
The synthesis of 6,9 di-demethoxy kushecarpin A has been achieved by the coupling of a benzofuran anion with a quinone monoketal followed by a regioselective cyclization and a stereoselective hydrogenation reaction.





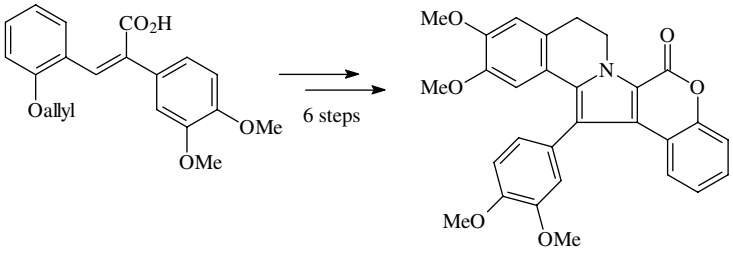


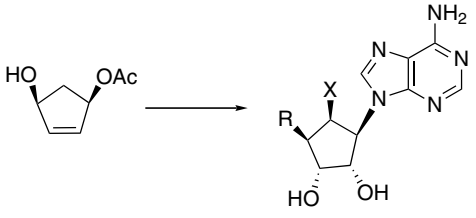
Novel synthetic approaches toward 5,6-dihydro-pyrimido[4,5-*b*][1,4]oxazepines were reported.



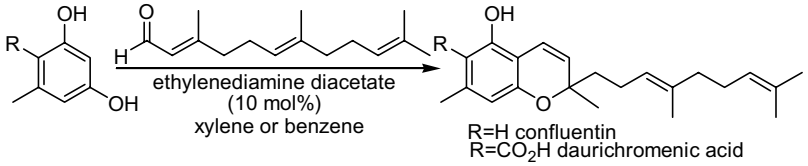
1a

Tarchonanthuslactone

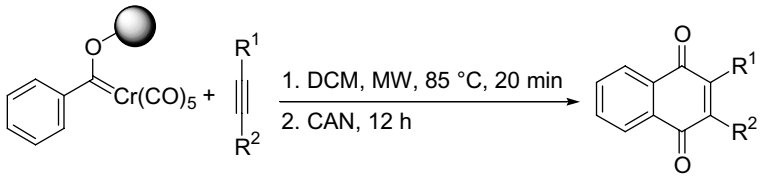


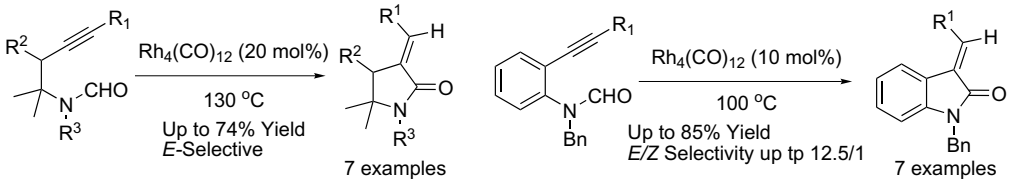


R=CH_2OH; X=F or H
R=OH; X=F (both enantiomers)

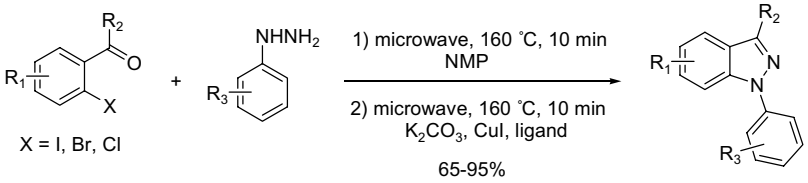


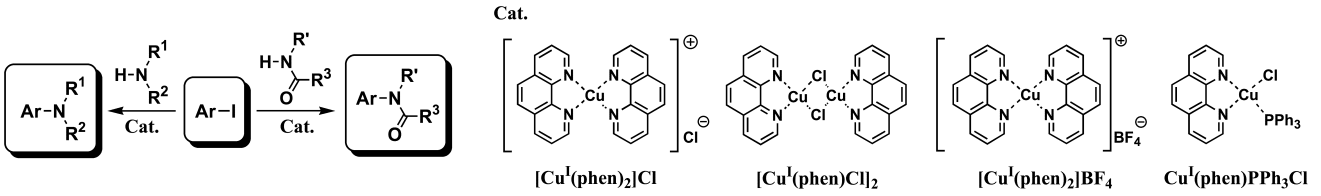
An efficient and general synthesis of benzopyrans is achieved by ethylenediamine diacetate-catalyzed reactions of resorcinols with α,β-unsaturated aldehydes in moderated yields. As an application of this methodology, biologically interesting confluentin and daurichromenic acid are synthesized as one step reaction.

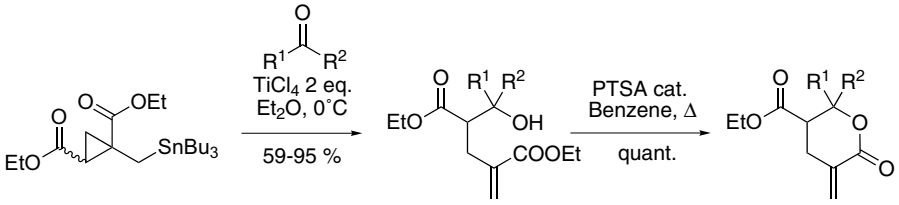


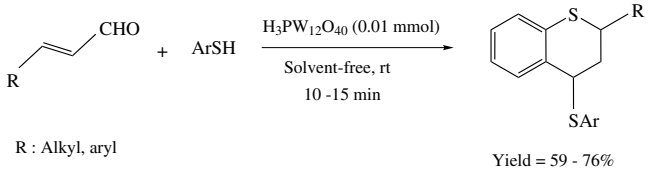


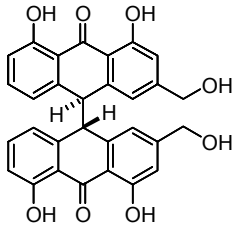
Intramolecular hydroamidation was achieved with high (*E*)-selectivity in the presence of $Rh_4(CO)_{12}$.



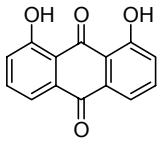




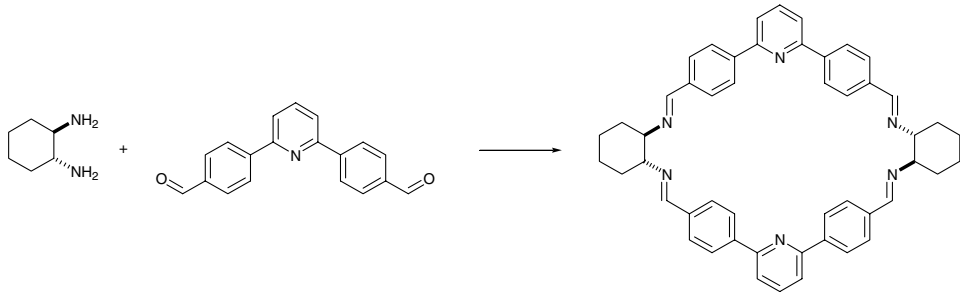


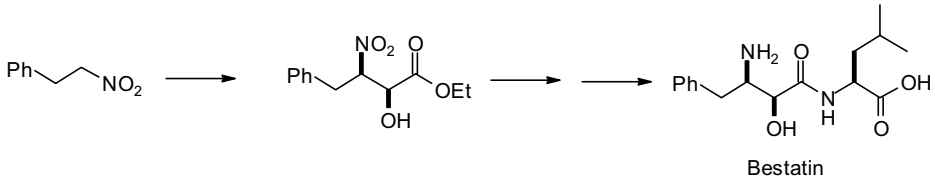


Sennoside C aglycon

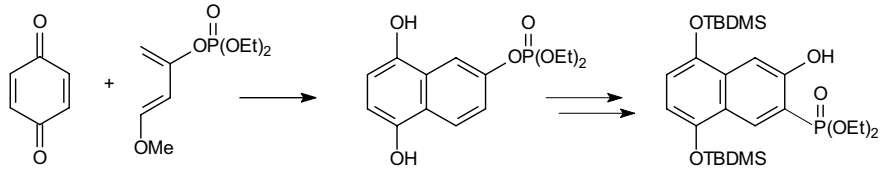


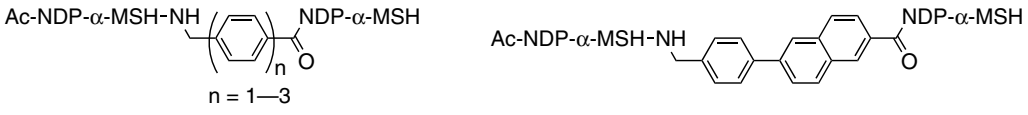
Chrysophanol

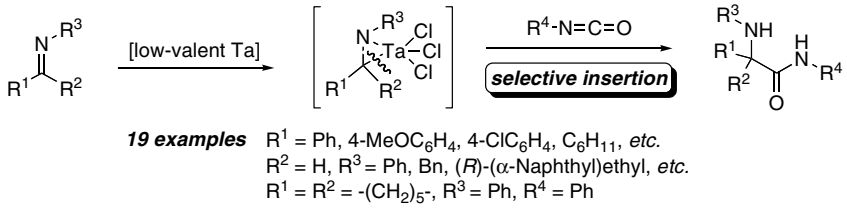


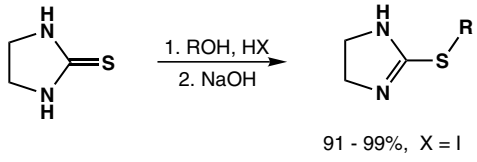


The potent aminopeptidase inhibitor (−)-bestatin has been synthesized in 26% overall yield. The key step is Shibasaki's asymmetric nitro-aldol reaction.



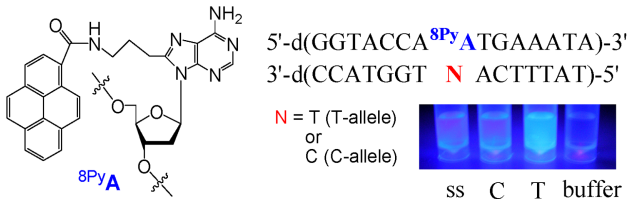


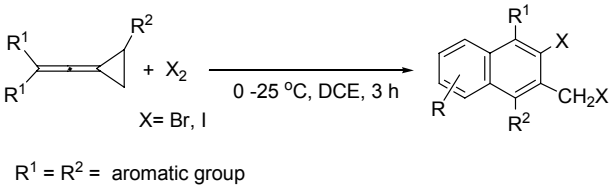




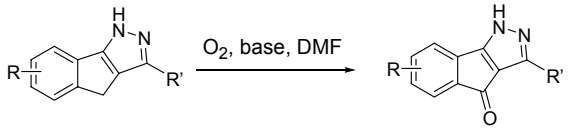
S-Alkyl isothioureas were obtained in high yields with alcohols replacing carcinogenic and toxic alkylating agents.

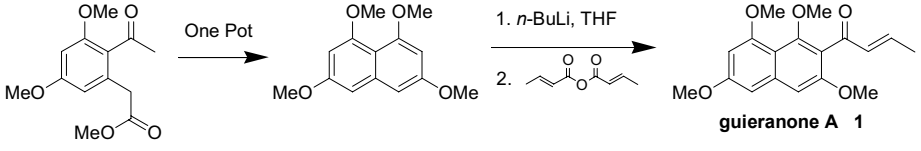
Zinc in protic medium promotes aminomethylation of organic halides via a radical process.

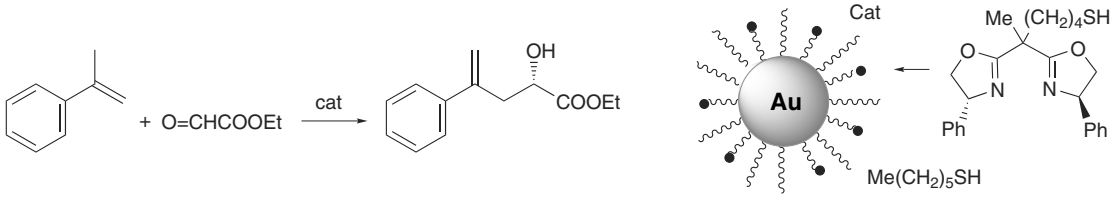


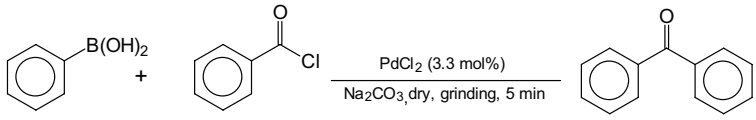


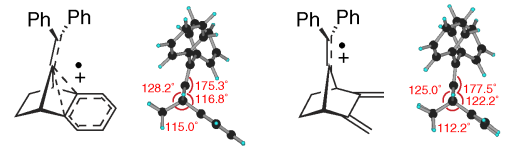
Diarylvinylidenecyclopropanes undergo a novel ring-opening reaction upon treatment with excess amounts of iodine or bromine to give the corresponding iodinated or brominated naphthalene derivatives in good to high yields within 3 h.

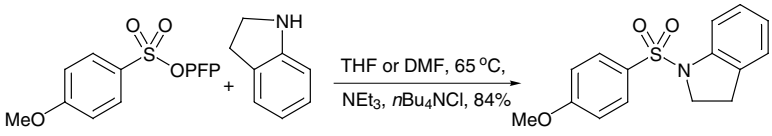




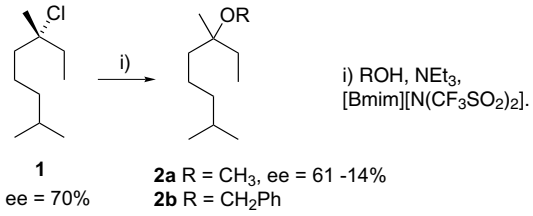




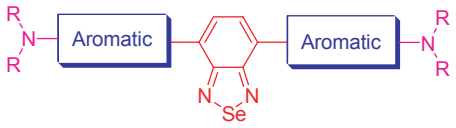




A method of accelerating the rate of aminolysis of PFP sulfonates to yield sulfonamides using tetrabutylammonium salts is described. We demonstrate the advantages of combining the existing methodology with a revised protocol which allows the diversity within both the sulfonate ester and the amine to be extended.

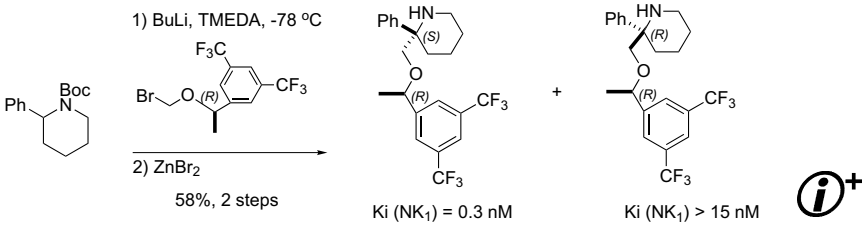


The effect of ionic liquid concentration on the rate and the enantiomeric excess of a substitution reaction is investigated and discussed.



New diamines and tetramines bridged by an electron-deficient chromophore benzo[1,2,5]selenadiazole were synthesized.

Boc-phenyl piperidine and Boc-phenyl pyrrolidine are selectively lithiated at the 2-position and trapped with a variety of electrophiles. The chemistry is used to make a sub-nanomolar inhibitor of the human neurokinin 1 receptor.



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*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

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