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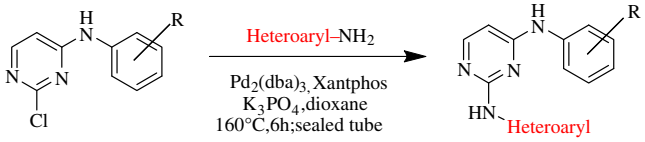
Contents

COMMUNICATIONS



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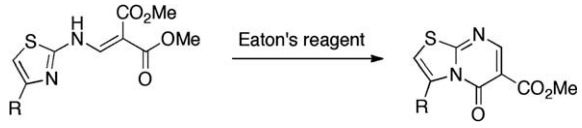
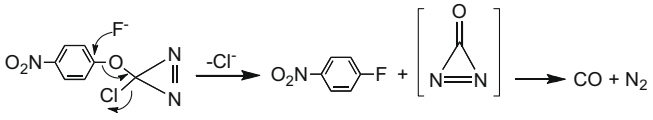
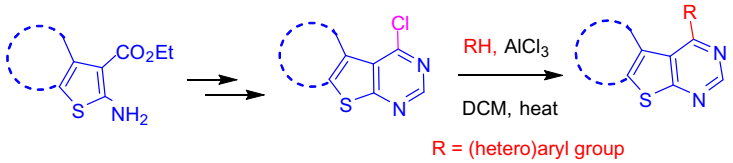
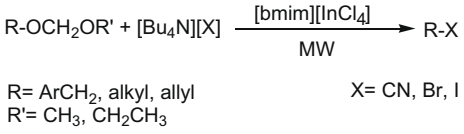
A method for the efficient assembly of novel 4-aryl- and 4-anilino-2-(heteroarylamino)pyrimidines via Buchwald–Hartwig N-arylations at elevated temperatures under sealed tube conditions is reported.

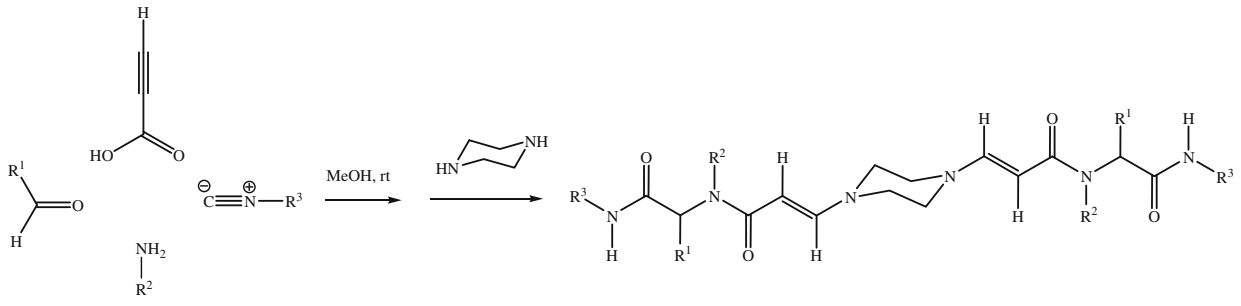


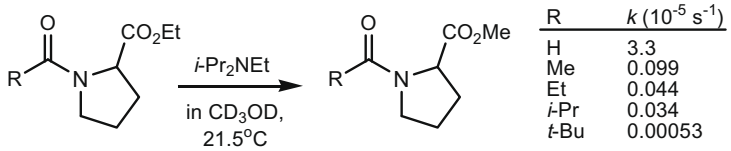


Computational studies indicate that the reaction of *p*-nitrophenoxyfluorodiazirine with fluoride ion should generate diazirinone. However, fluoride ion also catalyzes the decomposition of diazirinone to carbon monoxide and nitrogen, so that the diazirinone will be unstable to the conditions used to generate it.



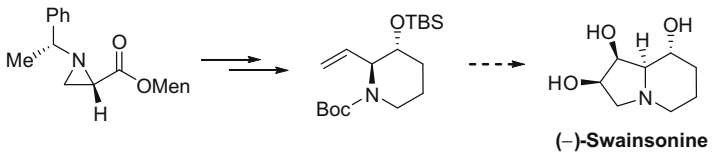


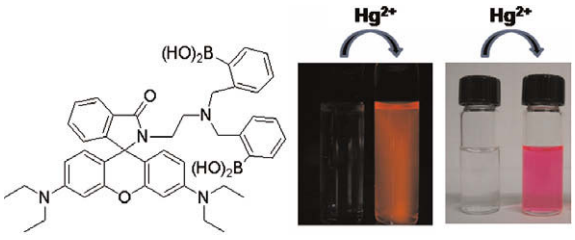


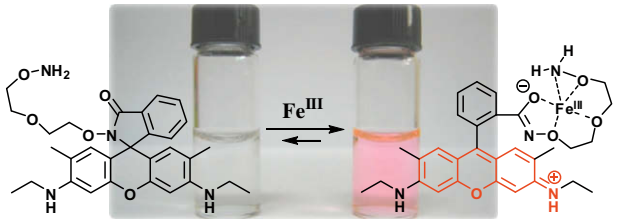


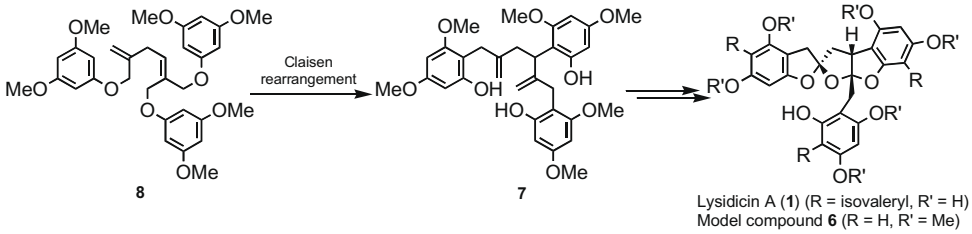
R	k (10^{-5} s^{-1})
H	3.3
Me	0.099
Et	0.044
i-Pr	0.034
t-Bu	0.00053

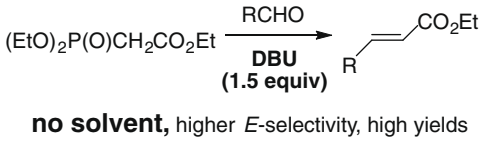
Seventeen *N*-acyl amino acid esters were solvolyzed while monitoring by ^{1}H NMR. The pseudo-first-order rate constant varies up to 6200-fold. The nature of the structure–reactivity relationship is discussed.



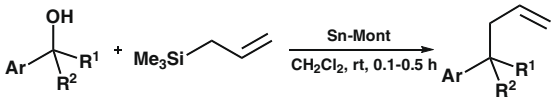




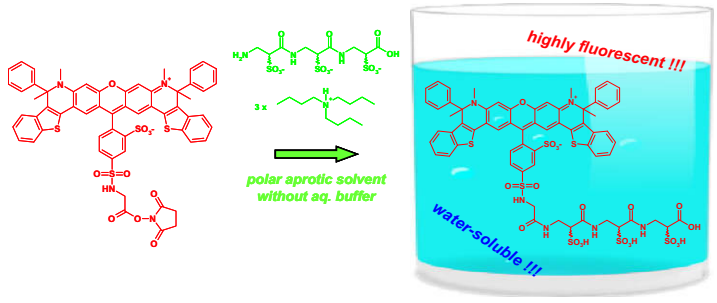


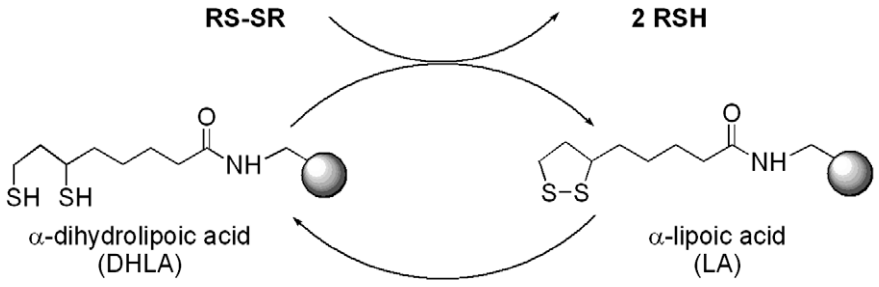


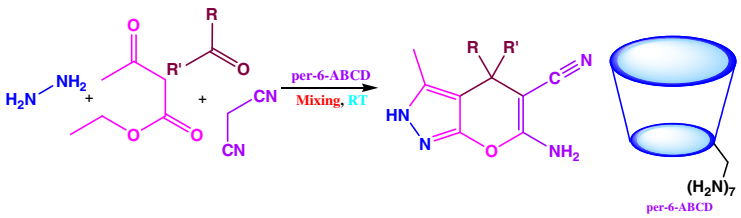
The solvent-free Horner–Wadsworth–Emmons reaction with a variety of aldehydes using 1.5 equiv of DBU gave *E*-α,β-unsaturated esters and ketones in high yields. The *E*-selectivity was high and the used DBU was recovered.



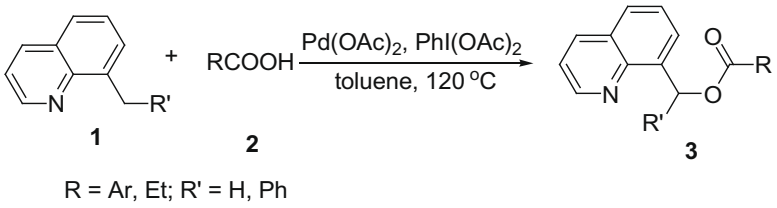
The direct allylation of α-aryl alcohols with allyltrimethylsilane efficiently proceeded in the presence of tin ion-exchanged montmorillonite under mild conditions according to the proper addition order of reactants and a catalyst.

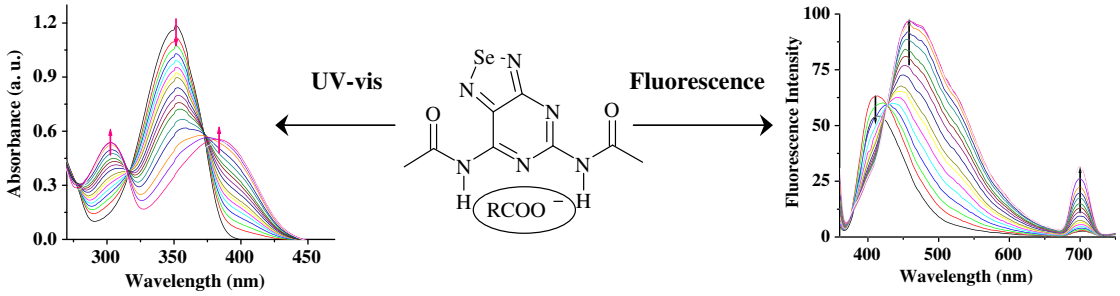


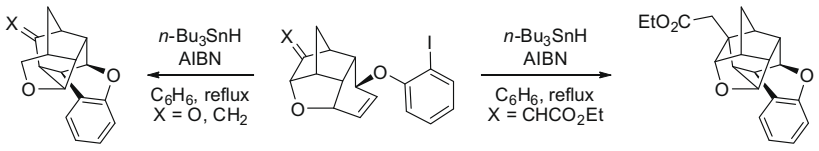




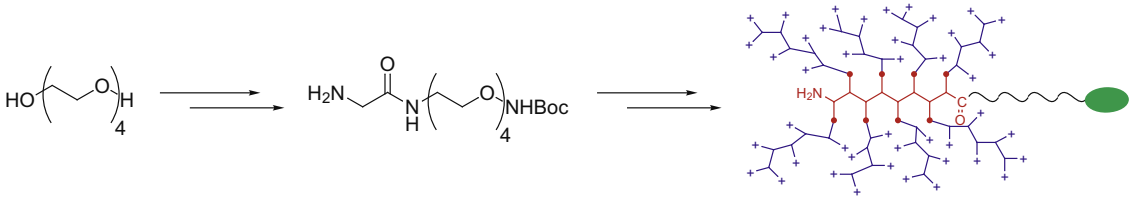
A simple, green and efficient protocol is developed with per-6-amino-β-cyclodextrin (per-6-ABCD) which acts simultaneously as a supramolecular host and as an efficient solid base catalyst for the solvent-free syntheses of various dihydropyrano[2,3-*c*]pyrazole derivatives involving a four-component reaction. This atom-economical protocol, reported for the first time with ketones also, includes a much milder procedure, does not involve any tedious work-up or purification, avoids hazardous reagents/byproducts and results in near quantitative yields. The catalyst can be reused at least six times without any change in its catalytic activity.



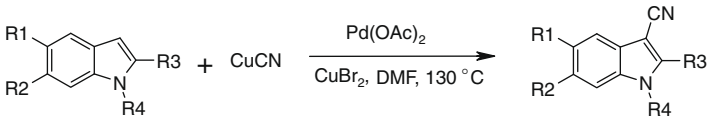




Tandem radical cyclizations involving two successive radical cyclizations result in the formation of the oxa- and aza-cage compounds. Cyclization with the ketones or olefins without stabilizing groups led to oxa-cages via a fragmentation reaction.

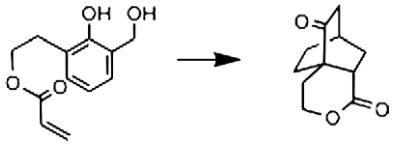


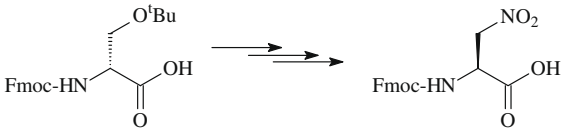
A bifunctional linker was prepared and used as an initiator for the synthesis of dendrigraft poly-L-lysine generations and shown to undergo a selective ligation with aldehydes.



R1= H, Cl, Br, OMe, NO_2
R2= H, OMe; R3= H, Me, CO_2Me;
R4= H, Bn

Yield: 60-85%





Stereoselective synthesis of (*S*)-Fmoc-β-nitroalanine was accomplished from (*R*)-Fmoc-Ser(^{t}Bu)-OH in a total of six steps via oxidation of oxime.

*Corresponding author
Supplementary data available via ScienceDirect

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