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Tetrahedron Letters

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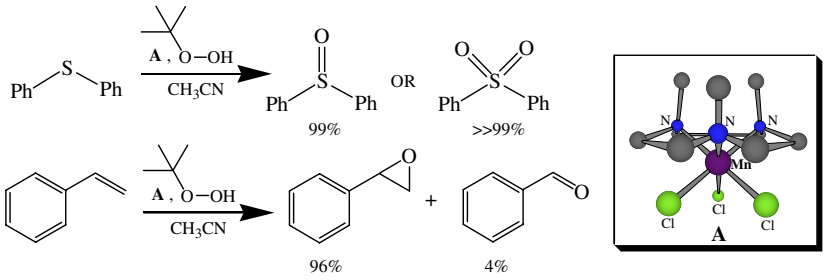
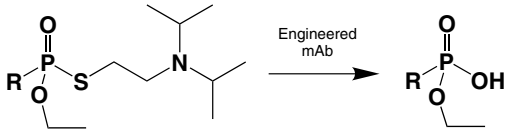
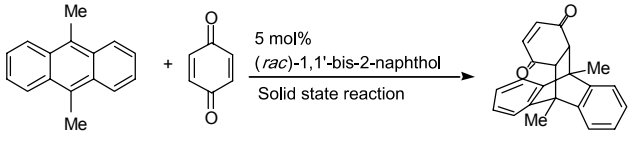
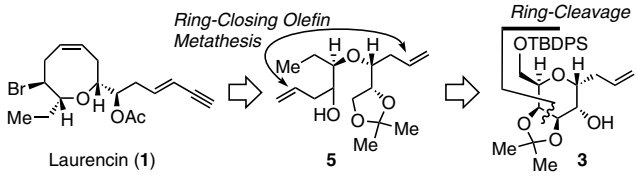
Contents

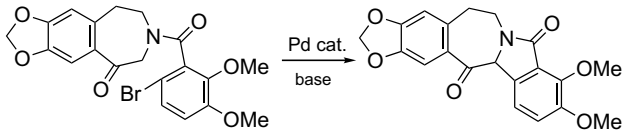
COMMUNICATIONS



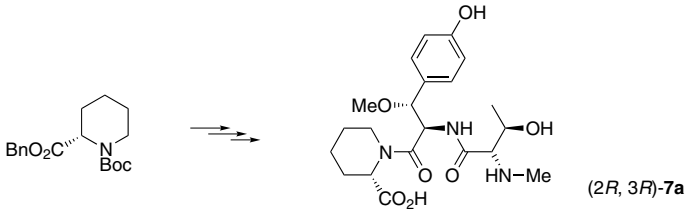


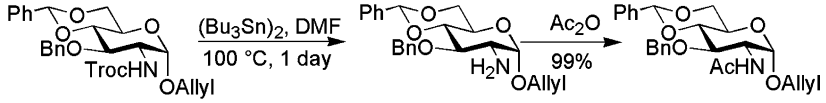


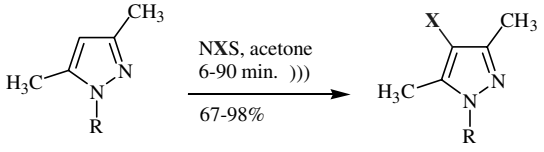




A facile synthetic path to isoindolobenzazepine alkaloids, lennoxamine, 13-deoxychilenine, and chilenine, was established by employing a palladium-catalyzed intramolecular α-arylation of the ketone, as the key step.

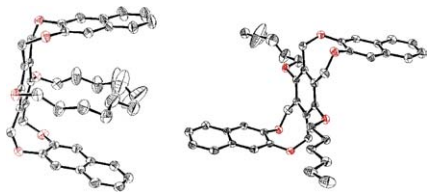


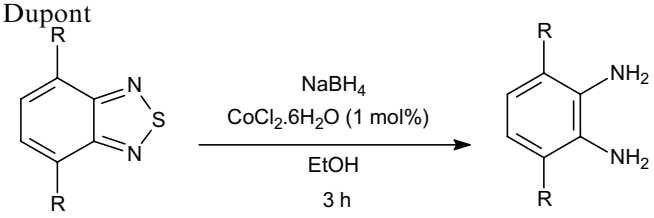




X = Cl, Br, I
R = H, Me, Ph, *p*-$NO_2C_6H_4$, C_6F_5

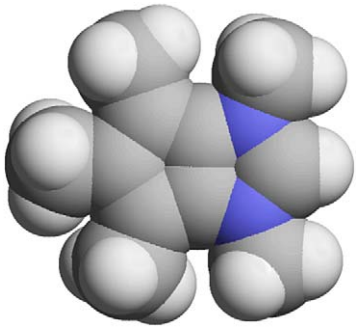
The 4-halo-3,5-dimethyl pyrazoles have been synthetisized in good yields in short reaction times in the absence of a catalyst by reaction of 3,5-dimethyl pyrazoles with *N*-halosuccinimides (NBS, NCS and NIS) under ultrasound irradiation. Finally, the halogenation of pyrazoles with Br_2, ICl and I_2 was showed in similar conditions.

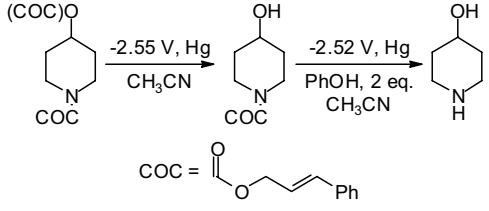


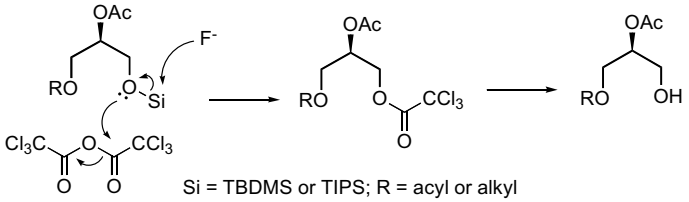


Eight aromatic diamines were prepared from 2,1,3-benzothiadiazole compounds in high yields using $NaBH_4/CoCl_2{\cdot}6H_2O_{(cat)}$ as the catalytic reducing system.

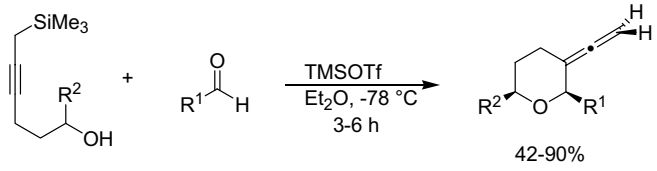
The first directly annulated cyclopentadienyl–imidazolium salt has been synthesized and fully characterized. The zwitterion arranges itself in a hydrogen-bridged head-to-tail trimer which optimizes ionic interactions. Substantial π–π interactions are absent. The zwitterion provides a convenient starting point for new architectures of imidazolylidene–metal complexes.

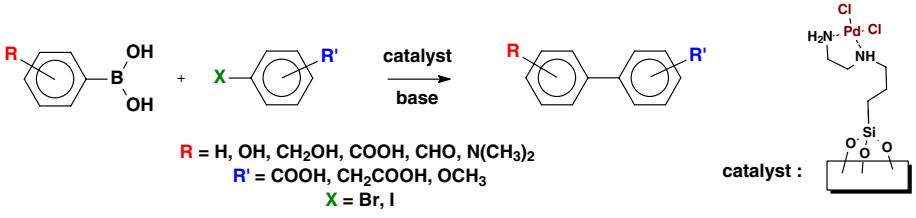


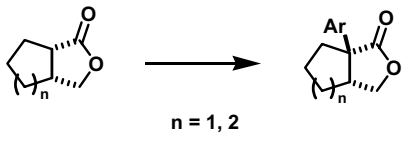


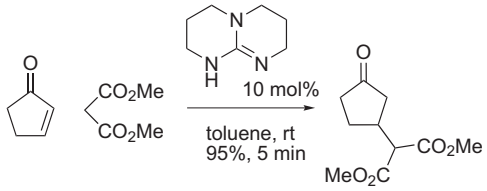


The title compounds can be obtained efficiently by making use of a direct replacement of silyl protecting groups by the trichloroacetyl, as a key synthetic step.

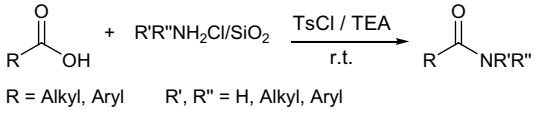


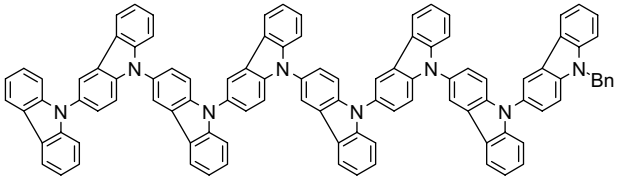




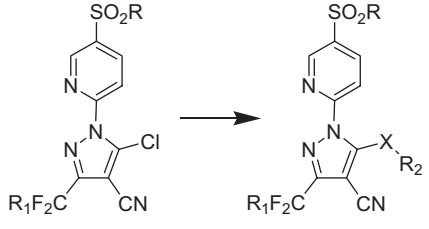


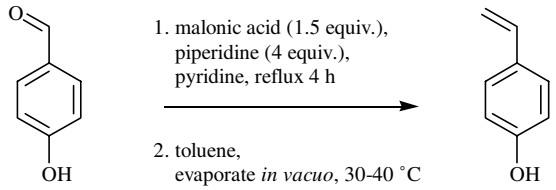
1,5,7-Triazabicyclo[4.4.0]dec-5-ene (TBD), a bicyclic guanidine base, has been found to be an excellent catalyst for Michael and Michael-type reactions. A wide variety of Michael donors and acceptors can participate in these reactions using 10–20 mol % of TDB. These reactions are mild, fast, easy to perform, produce excellent yields and can occur in several solvents without the need for strictly anhydrous conditions.

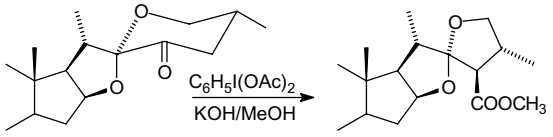




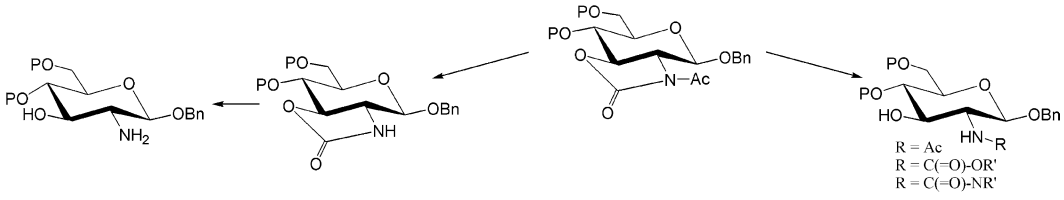
Fluoride-mediated nucleophilic substitution reactions of 1-(4-methyl-sulfonyl (or sulfonamido)-2-pyridyl)-5-chloro-4-cyano pyrazoles with various amines and alcohols occur under mild conditions to provide the 5-alkyl amino and ether pyrazoles in moderate to high yields.

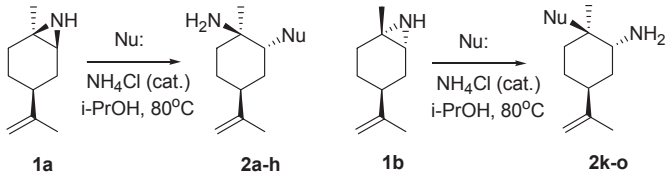


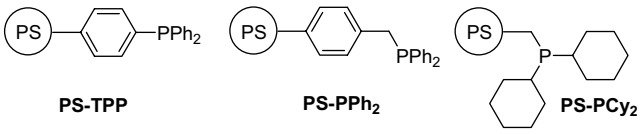




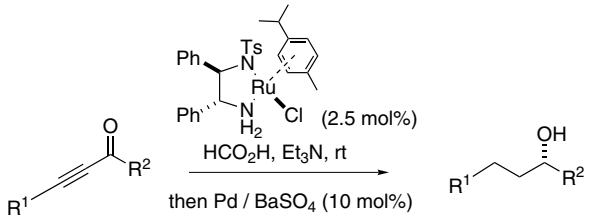
Treatment of (25*R*)-23-oxosapogenin with diacetoxyiodobenzene in KOH/MeOH led to F-ring contraction via Favorskii rearrangement.

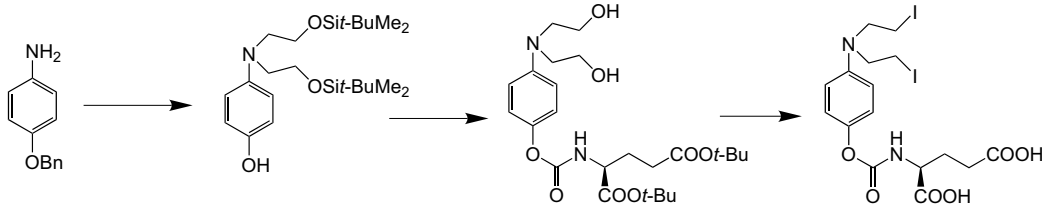






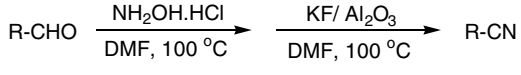
The use of three phosphine-functionalised polymer resins as scavengers of palladium catalysts from Buchwald–Hartwig aryl amination reactions was investigated.



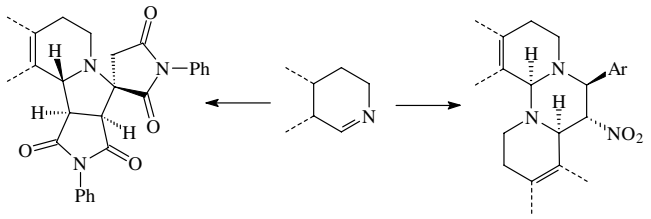


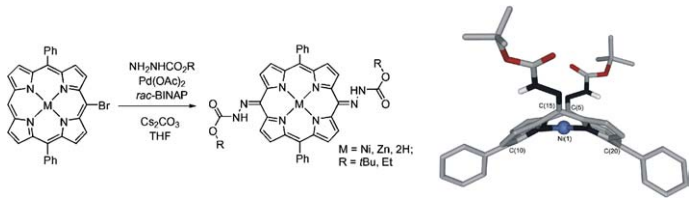
Overall yield 45%

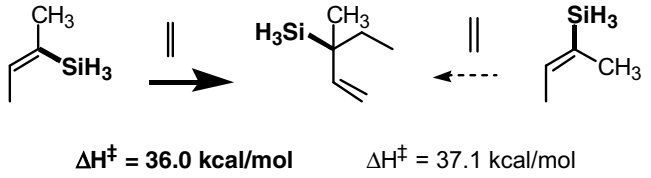
A novel synthesis is described of the clinical trial prodrug ZD2767P that improves the overall yield from 13% to 45%.

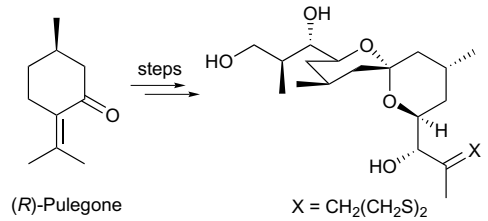


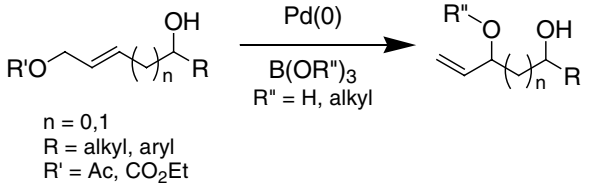
Various aldehydes are converted into the corresponding nitriles using hydroxylamine hydrochloride and KF/Al_2O_3 in one pot.

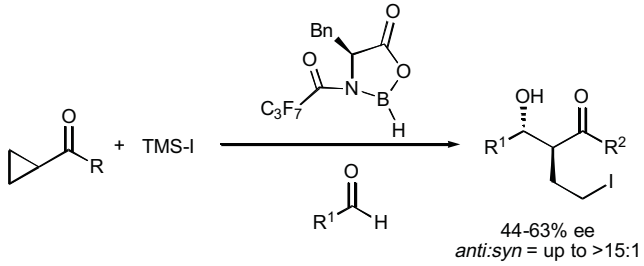


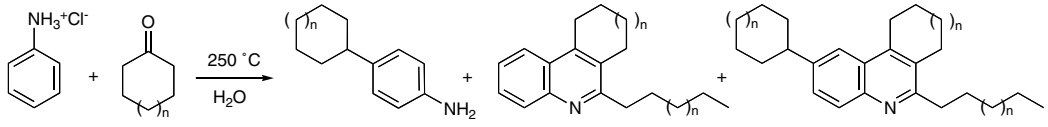


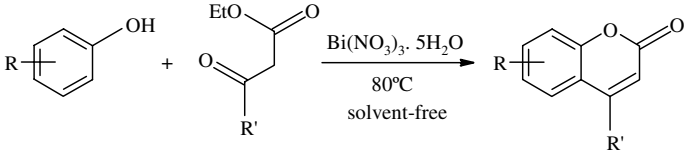


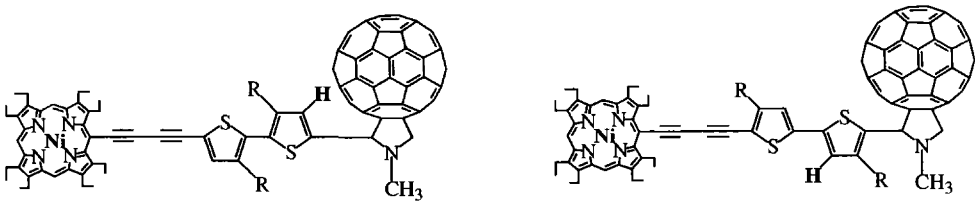












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*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

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