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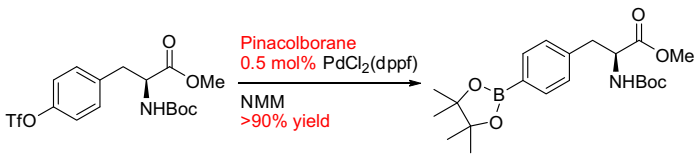
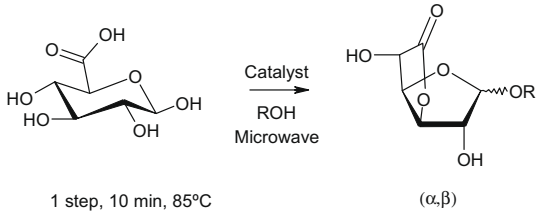
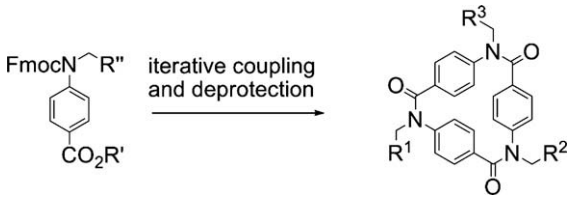
Contents

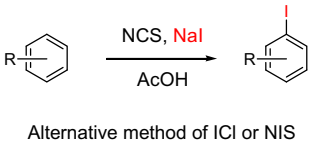
COMMUNICATIONS

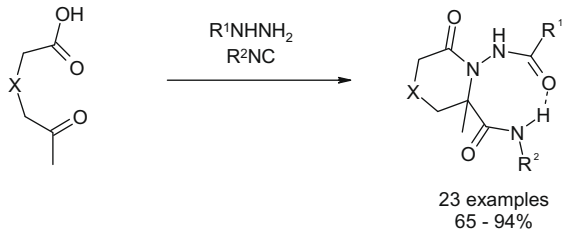




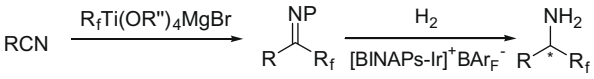


An iterative six-step synthesis of N-alkylated benzene tricarboxamide macrocycles derived from *p*-aminobenzoic acid is described.

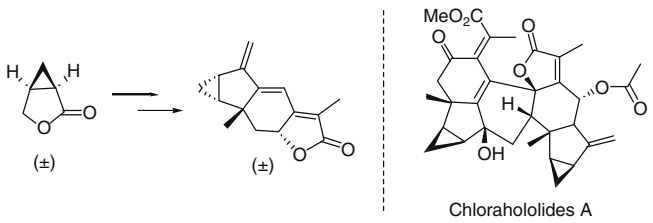




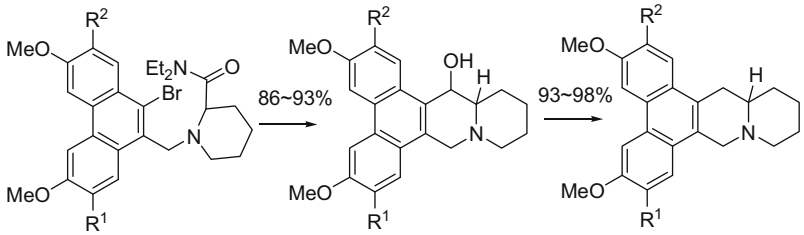
Perfluoroalkylation and Asymmetric Reduction of Nitriles Triggered with Perfluoroalkyl Titanates

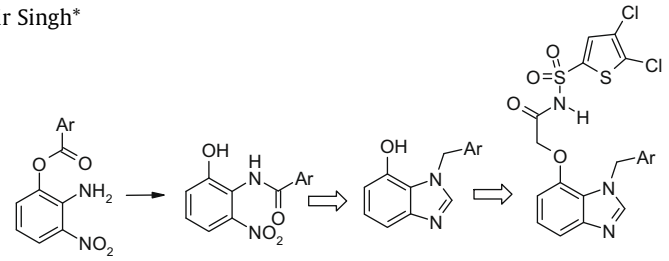


Highly enantio-enriched perfluoroalkyl amines are shown to be synthesized by perfluoroalkylation and asymmetric reduction of nitriles. Perfluoroalkylation of nitriles can be attained by the Lewis acidic perfluoroalkyl titanate reagents to give *acyclic* ketimines. Catalytic asymmetric hydrogenation of the *acyclic* ketimines affords the perfluoroalkyl amine products in up to 93% ee.

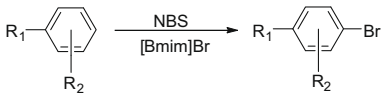


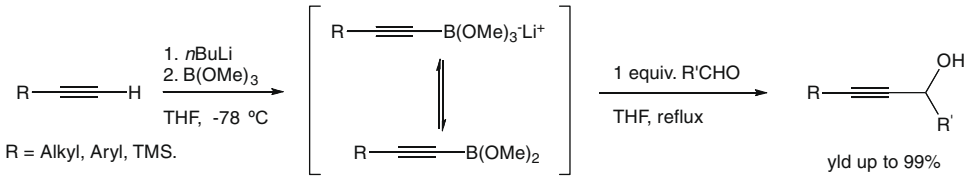
Towards Chloraholoides A: a lindenane-type sesquiterpenoid framework that contains a 5,6-double bond was synthesized from simple starting materials. The reductive cyclization of a 1,6-enyne and an unusual endo-type intramolecular Heck reaction was used as key steps for ring closure.



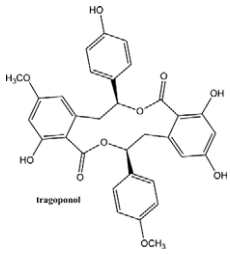


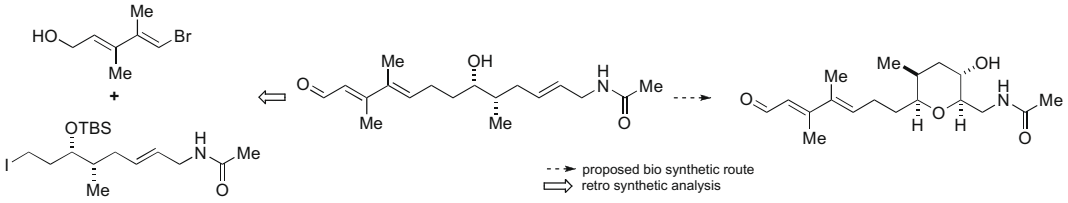
Regiospecific construction of 3-alkyl-4-hydroxybenzimidazoles is detailed. The synthetic route involves a novel *O*- to *N*-acyl transfer reaction to address the observed exclusive O-acylation of 2-amino-3-nitrophenol starting material. This efficient route provides the targeted 3-alkyl-4-hydroxybenzimidazoles in good yields, in five steps, without the use of chromatographic purification. These key intermediates were subsequently elaborated, as shown, to provide acylsulfonamide-derived potent EP_3 receptor antagonists.



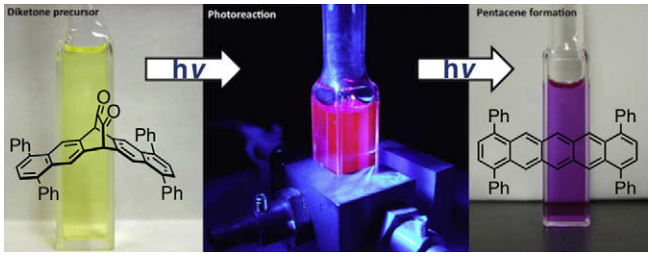


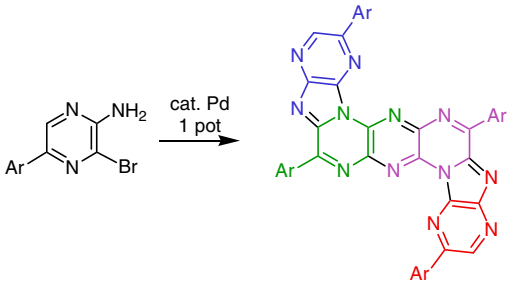
A phytochemical re-investigation of *Tragopogon porrifolius* L. (Asteraceae) yielded (7*S*,15*S*)-2,4,12-trihydroxy-7-(4-hydroxyphenyl)-10-methoxy-15-(4-methoxyphenyl)-7,8,15,16-tetrahydrodibenzo[*c*,*i*][1,7]dioxacyclododecine-5,13-dione, named tragoponol, a dimeric dihydroisocoumarin. The compound, which represents the first of its kind, is comprised of two different monomethoxylated dihydroisocoumarins, scorzocreticin and hongkongenin, which are connected via two ester bonds to form a macrolide with two lactone moieties featuring a 12-membered ring. The structure of the nearly symmetrical compound was established by HR mass spectrometry, CD measurements, and extensive 1D and 2D NMR experiments.

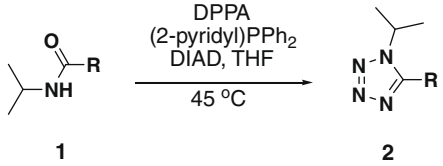




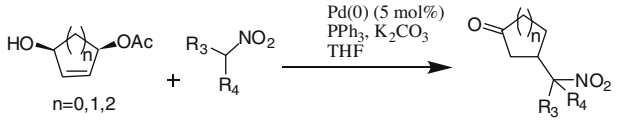
A proposed biosynthetic intermediate of brevisamide comprising a linear backbone with an *E*-olefin functionality was synthesized for biosynthetic studies on the marine ladder-frame polyethers.



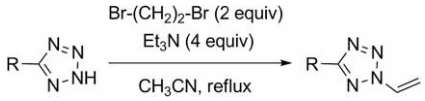




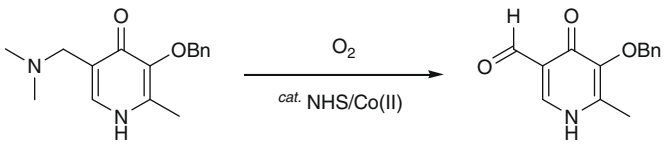
Improved conditions for converting amides into 1,5-disubstituted tetrazoles are described. The optimum reaction conditions [diisopropyl azodicarboxylate (DIAD), diphenylphosphoryl azide (DPPA), and diphenyl-2-pyridyl phosphine in THF at 45 °C] converted sterically hindered amides to their corresponding tetrazoles in good yield.



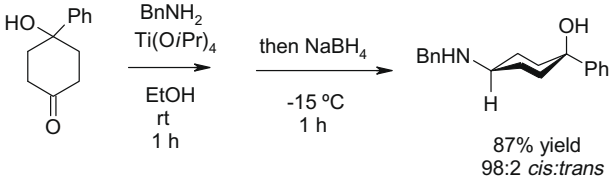
A hitherto unknown palladium-catalyzed reaction of nitroalkanes with hydroxy allylic acetates is reported. The reaction led to the formation of γ-nitrocarbonyl compounds instead of the usual unsaturated nitroalcohol expected upon displacement of the allylic acetate group.

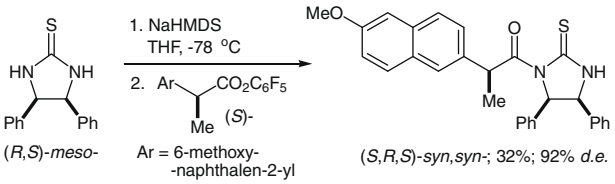


The one-pot regioselective preparation of 5-aryl/alkyl-2-vinyl-2*H*-tetrazoles from 5-substituted tetrazoles via a very simple procedure using 1,2-dibromoethane and triethylamine without the need of any catalyst is described.

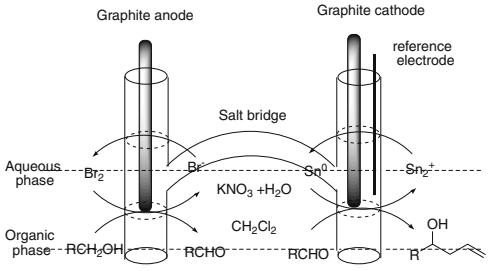


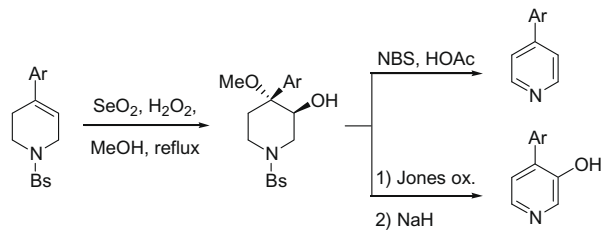
A successful introduction of the formyl group at position 5 of 3-hydroxypyridin-4-one was achieved by aerobic oxidation, catalysed by NHS/Co(II). To obtain a practical yield, the reaction conditions were optimised.

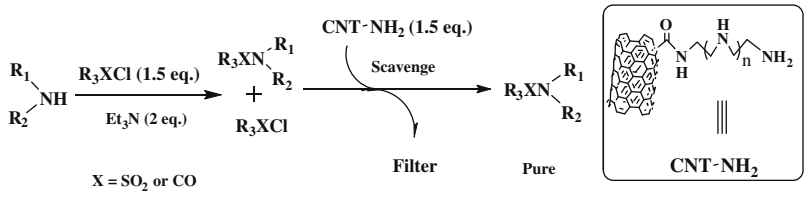




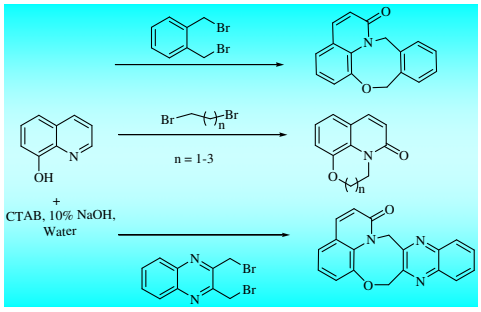
An aqueous paired electrosynthesis is studied in a divided cell. On graphite anode the generated Br_2 oxidized alcohol to the corresponding carbonyl compounds while on graphite cathode Sn^{2+} was reduced to Sn^0. Then the produced metallic tin mediated the allylation of the carbonyl compounds with allyl bromide to generate the corresponding homoallylic alcohol. In the reaction the mediators (Sn and Br_2) were generated in situ and could be reused via the electrolysis. Both working electrode and the counter electrode were utilized to generate the products simultaneously without the sacrifice of electrode materials.

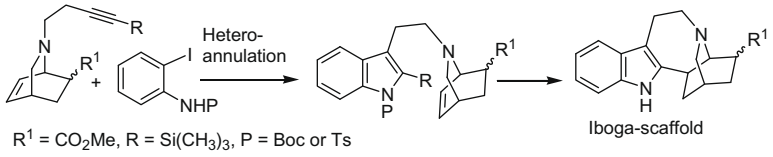






A versatile method for fast scavenging a variety of electrophiles using carbon nanotubes functionalized by amino groups (CNT–NH_2) is reported. Following the scavenging event, CNT–NH_2 can be easily separated from the reaction mixture by filtration, leaving the desired products in excellent yields and purities.





An interesting application of Larock's indole annulations methodology to create indoles suitable for conversion to iboga scaffolds' using Trost methodology.

*Corresponding author
Supplementary data available via ScienceDirect

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