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Tetrahedron Letters

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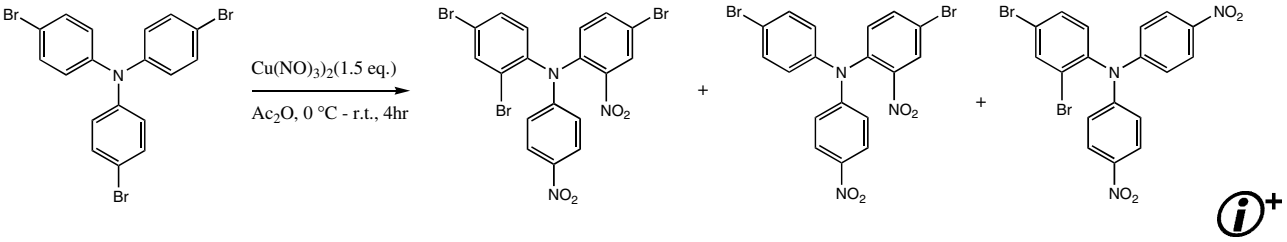
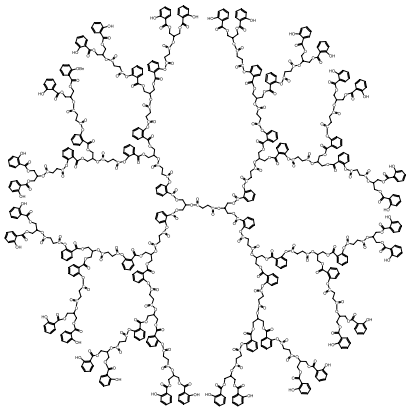
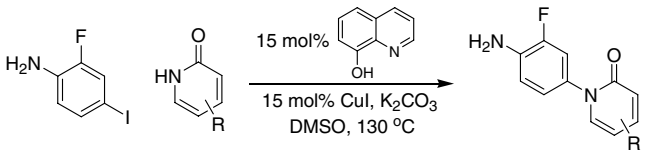
Contents

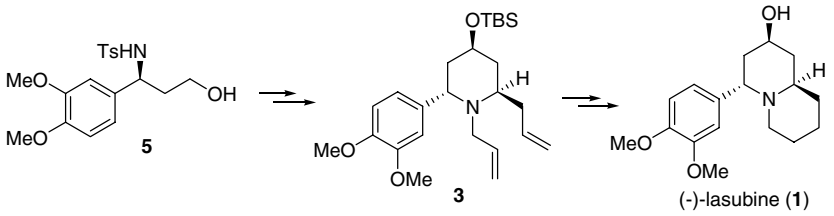
COMMUNICATIONS

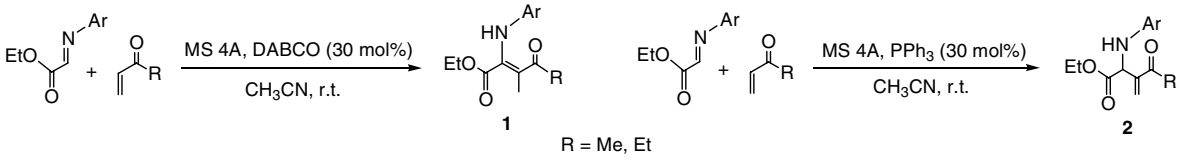




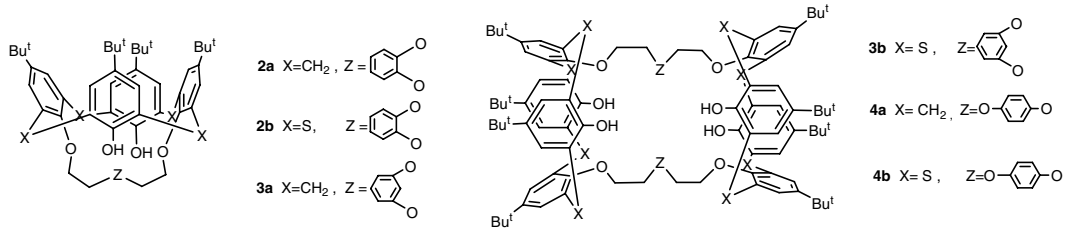
The structure of a third generation salicylate dendritic prodrug (G3).

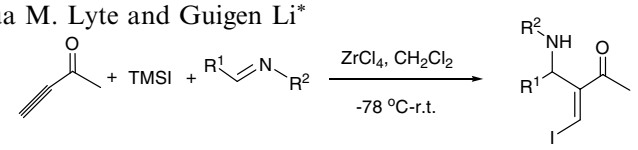






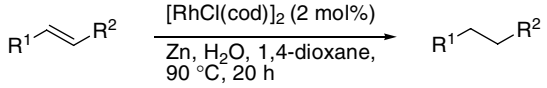
The aza-Morita–Baylis–Hillman (aza-MBH) reaction of ethyl (arylimino)acetate with methyl vinyl ketone and ethyl vinyl ketone has been investigated. We found that aza-MBH adducts **1** could be formed in the presence of DABCO (30 mol %) and the corresponding adducts **2** could be obtained in the presence of PPh_3 (30 mol %) in moderate to good yields in acetonitrile, respectively, under mild conditions.



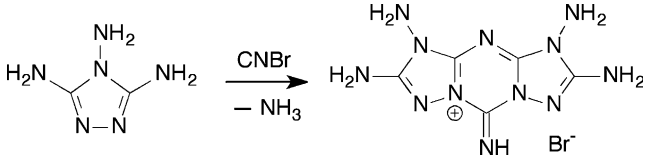


up to 97%, >99% *E*

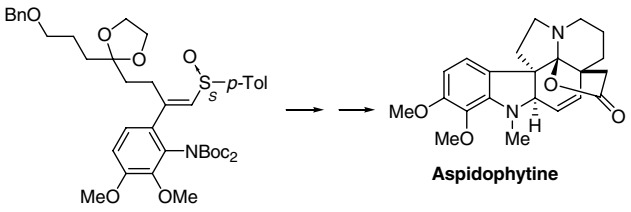
A geometric selective synthesis of (*E*)-β-iodo and β-alkyl vinyl ketones (MBH amino adducts) has been developed through a three-component Mannich-type reaction. The reaction was conveniently conducted by generating 3-iodo allenolate intermediates via the α,β-unsaturated addition of TMS-I to 3-butyn-2-one followed by a carbonyl addition onto *N*-aryl imines in the presence of $ZrCl_4$ catalyst. The resulting β-iodo allylic amines can be readily converted into β-alkyl Morita–Baylis–Hillman adducts by performing Suzuki and Kumada cross-couplings.

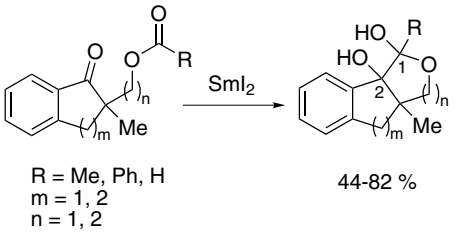


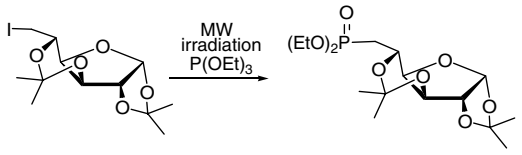
The hydrogenation of olefins using H_2O or D_2O as a hydrogen source and zinc metal as a reducing agent has been found to be catalyzed by a rhodium complex. α,β-Unsaturated ketones also underwent hydrogenation, affording the corresponding saturated ketones selectively.

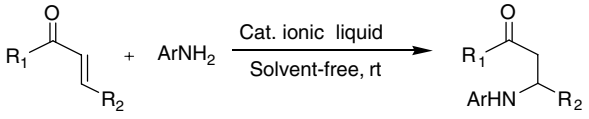


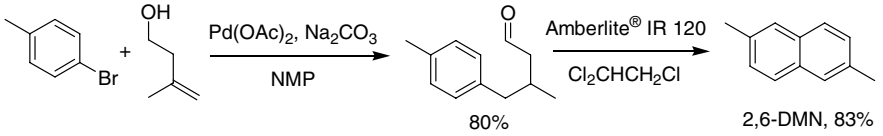
A facile, one-pot reaction between guanazine and cyanogen bromide provides a new high-nitrogen example of the title system, a 2,3,5,6-tetraamino-9-imino derivative as a quaternary bromide salt.

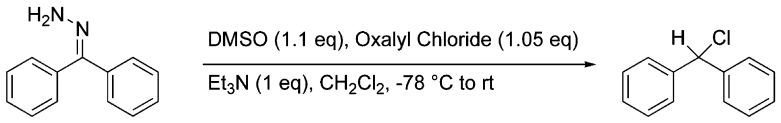




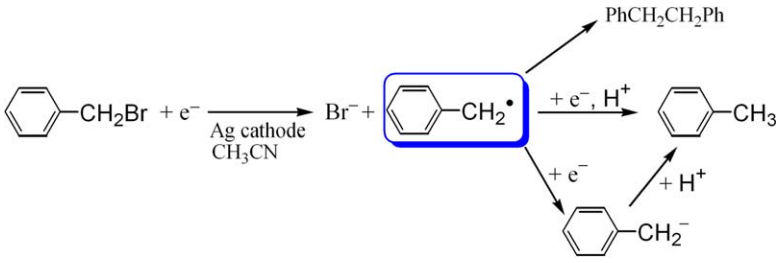


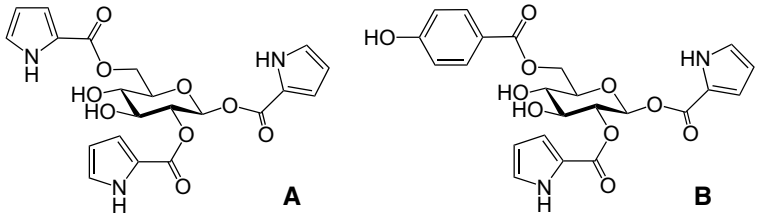


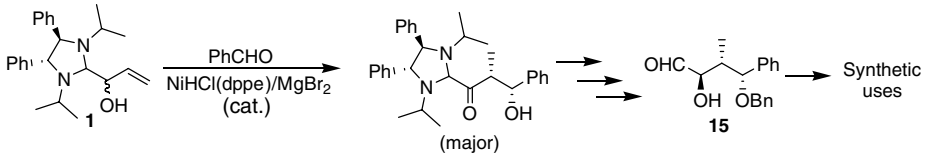


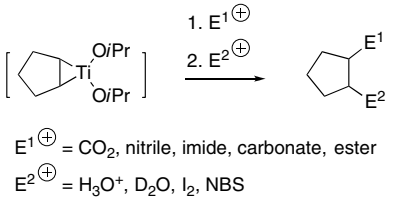


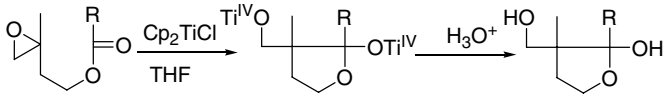
Unsubstituted hydrazones derived from aromatic ketones and aldehydes were converted in a high yield to the corresponding alkyl chlorides under Swern oxidation conditions. In this unusual oxidation/reduction sequence the substrate undergoes a net reduction under the well-established Swern oxidation conditions. Unsubstituted hydrazones derived from cyclohexyl ketones returned elimination products.

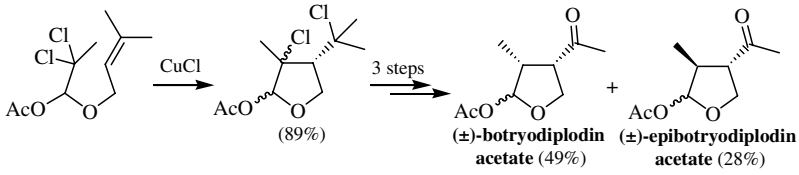


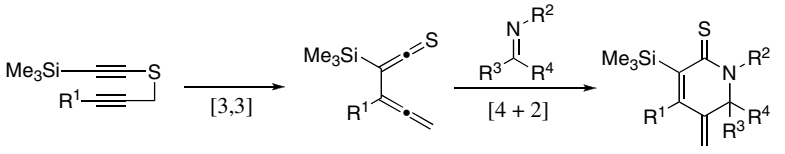


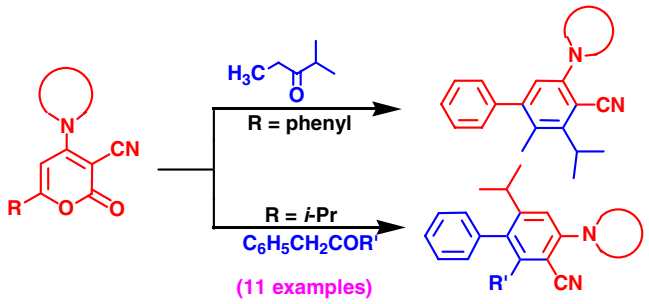


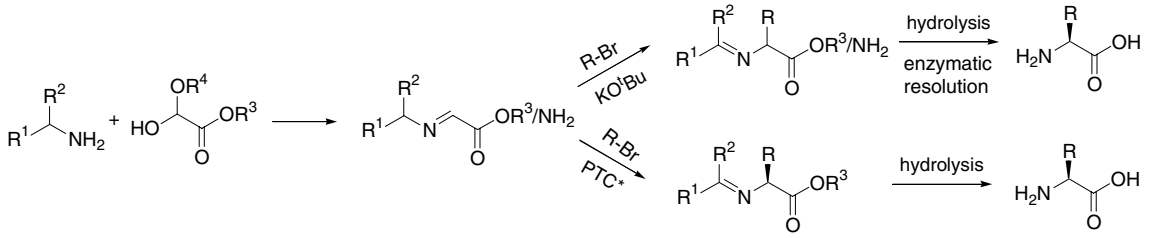




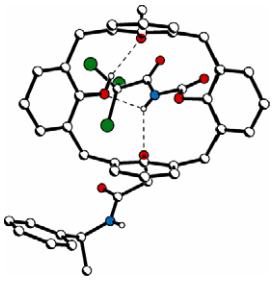


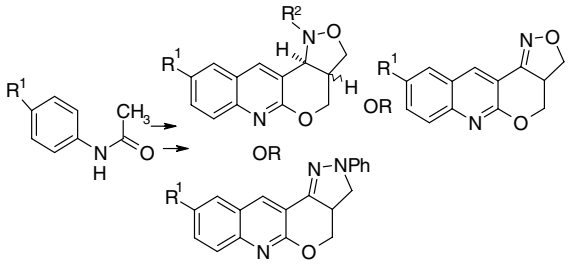


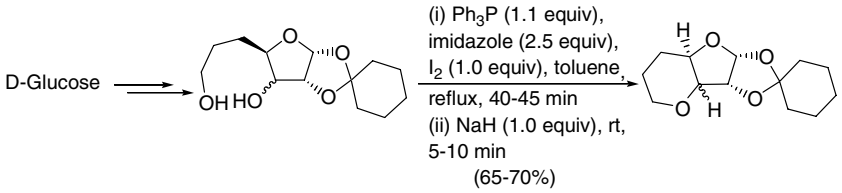


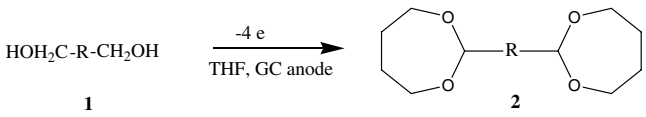


α-H-α-Amino acids are prepared by the (asymmetric) α-alkylation of iminoacetic acid esters or amides with various electrophiles under basic reaction conditions.

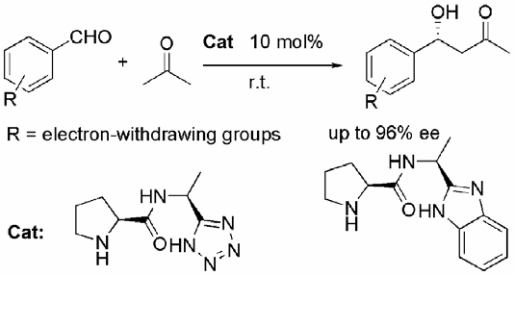


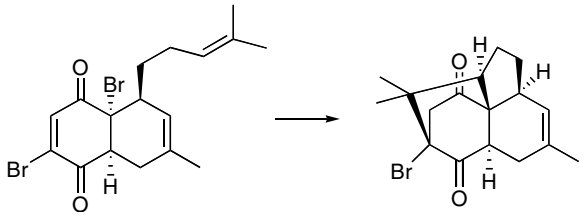




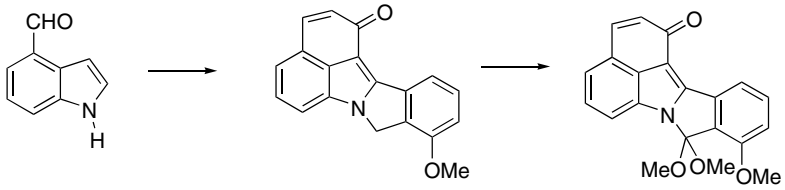


1/2	R
a	-
b	CH_2
c	CH=CH
d	C≡C-C≡C

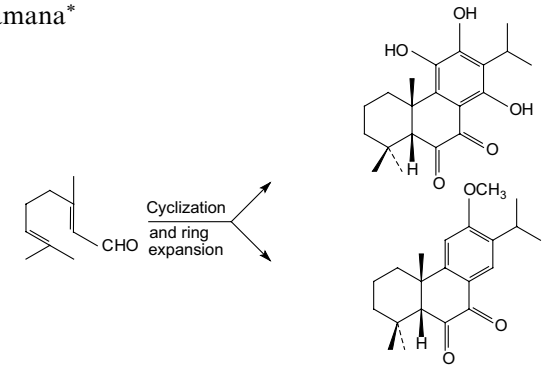


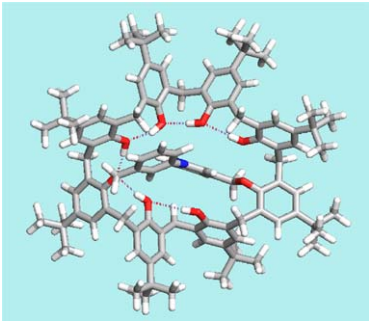


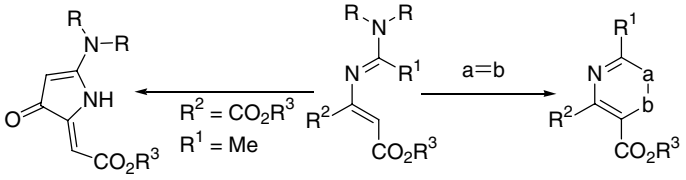
The tetracyclic ring system of cumbiasin was synthesized by a Diels–Alder reaction followed by tandem ring forming reactions from an alpha-keto radical.

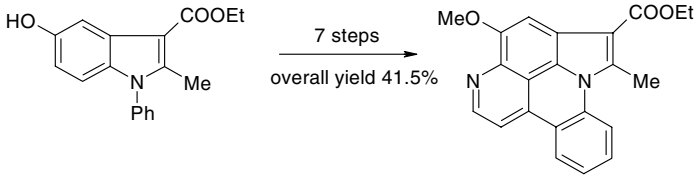


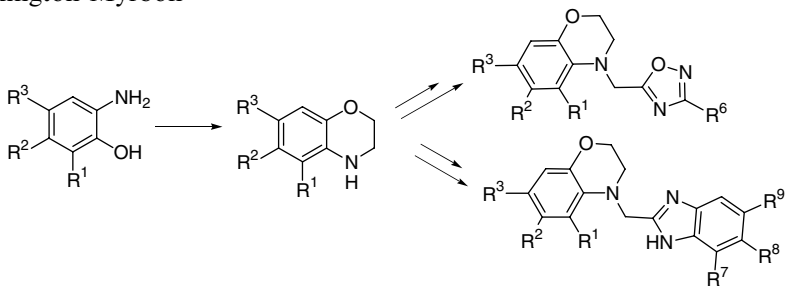
The pentacyclic skeleton of HKI 0231A and HKI 0231B was synthesized by a novel radical cyclization/oxidation followed by DDQ oxidation to introduce the methoxyl groups. This is the first synthetic pathway to both the HKI 0231A and the HKI 0231B series.











*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

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