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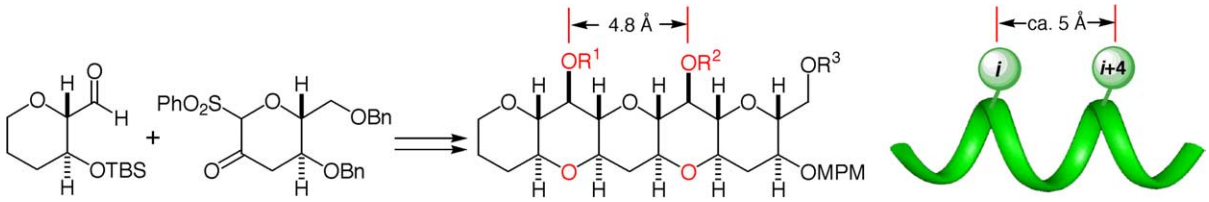
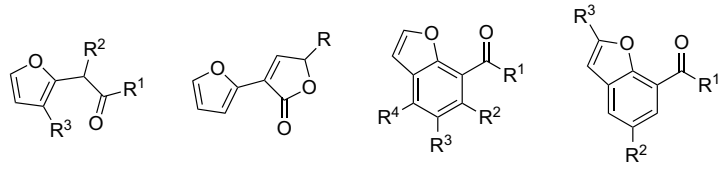
Tetrahedron Letters

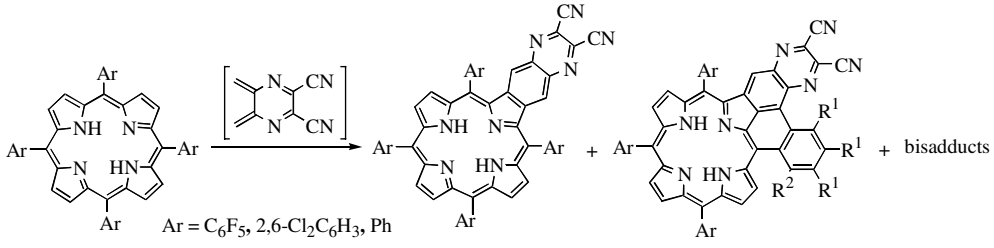
Tetrahedron Letters Vol. 46, No. 13, 2005

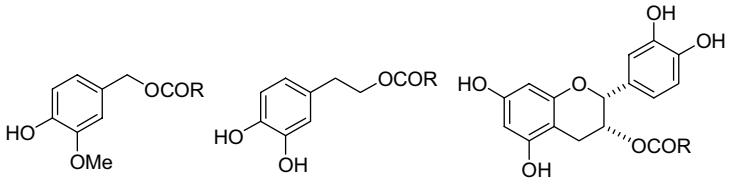
Contents

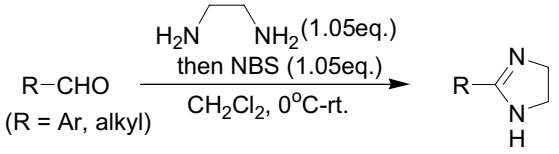
COMMUNICATIONS

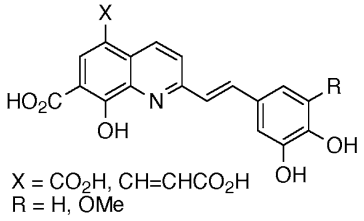




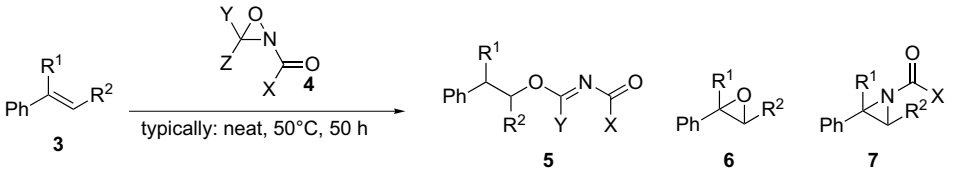


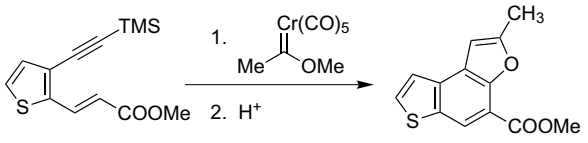


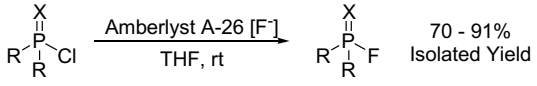


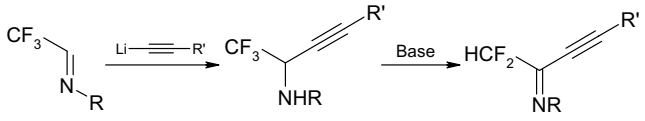


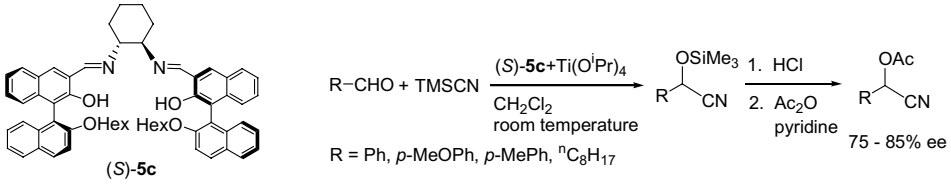
New HIV-1 replication inhibitors of the styrylquinoline class bearing an additional acid group at C-5 exhibit reinforced anti-integrase potency.

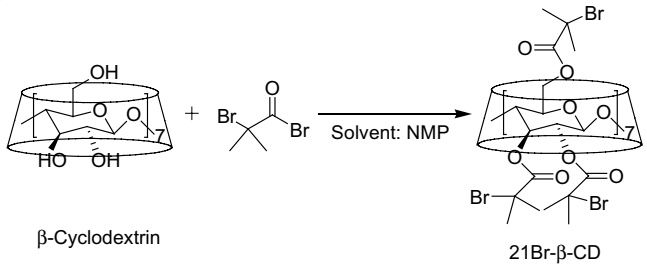








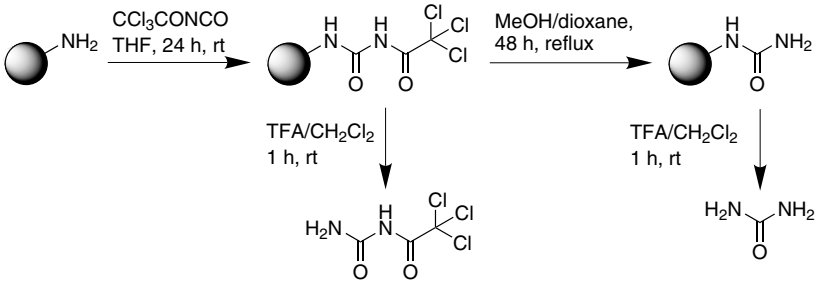


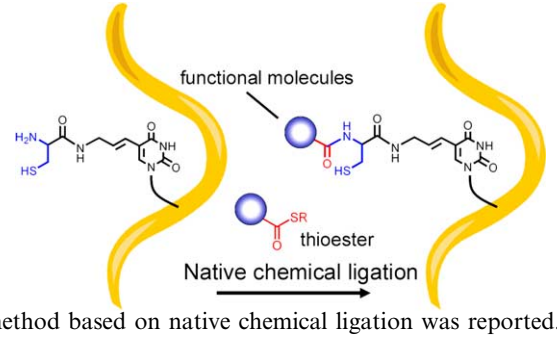


β-Cyclodextrin

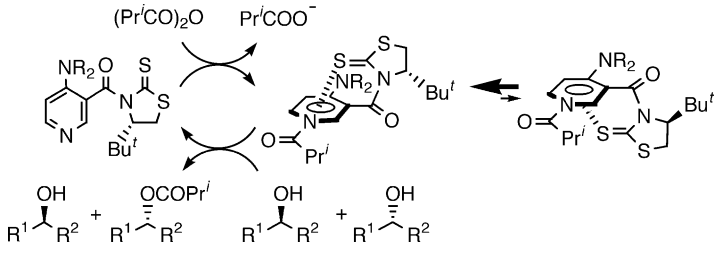
21Br-β-CD

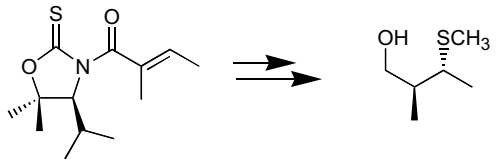
[2,3,6-Tri-*O*-(2-bromo-2-methylpropionyl]-β-cyclodextrin) (21Br-β-CD) was synthesized via reacting 2-bromoisobutyric bromide with β-cyclodextrin directly in 1-methyl-2-pyrrolidione solvent. This efficient synthetic-route led to much less complicated procedures and higher yield (up to 89.5%) compared with those reported previously (17% yield).

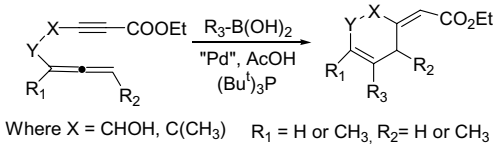




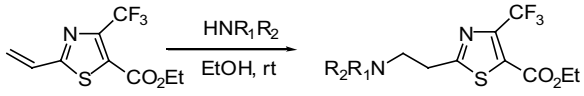
A postsynthetic DNA modification method based on native chemical ligation was reported.



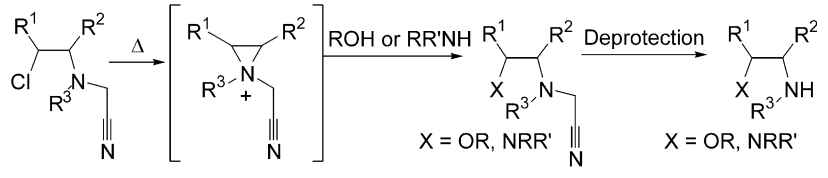


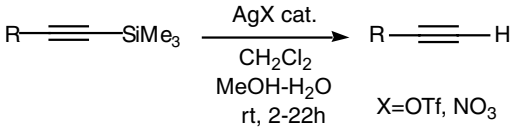


In contrast to the ene behavior of allenes in Pauson–Khand reactions and other cyclization reactions, 1,6-allenynes undergo carbocyclization followed by regioslective addition of organoboronic acids in the presence of $Pd(OAc)_2$ and tri-*t*-butylphosphine under mild reaction conditions.

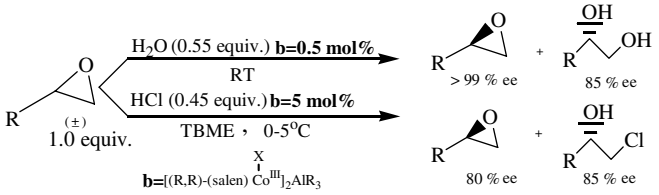


Ethyl 4-(trifluoromethyl)-2-vinylthiazole-5-carboxylate was utilized as a precursor to ethyl 4-(trifluoromethyl)-2-(aminoethyl)thiazole-5-carboxylate analogs via Michael-like addition of various secondary amines. Reactions employed 1.2 equiv of amine, and the products were isolated by solvent removal and acid/base extraction. Use of primary amines was also investigated.

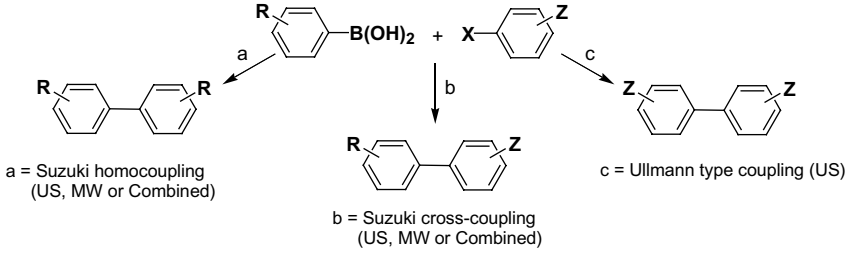


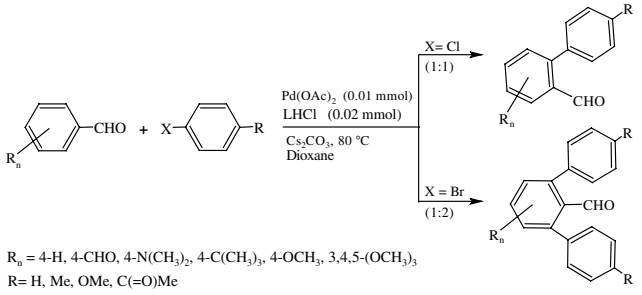


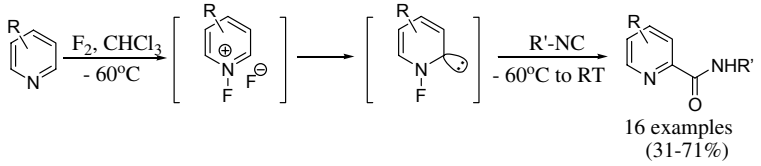
1-Trimethylsilyl-1-alkynes are selectively deprotected in the presence of catalytic amount of silver nitrate or triflate. Other protecting groups, especially silyl ethers, are unaffected.

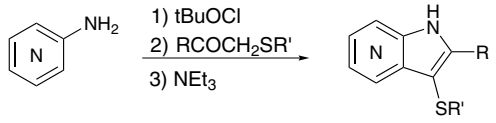


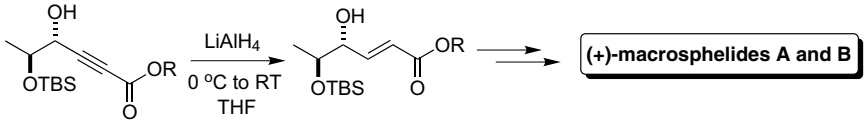
New easily synthesized chiral cobalt salen coordinated to Al provides a practical and straightforward method for the synthesis of enantiomerically enriched terminal epoxides.



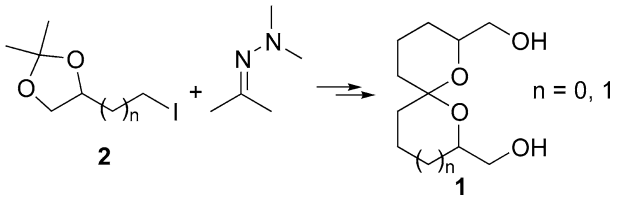




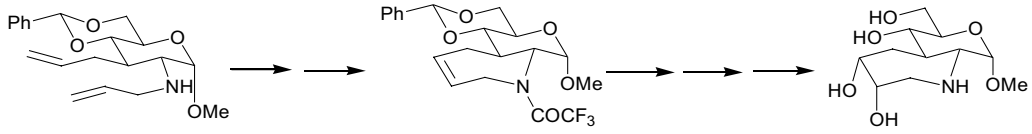




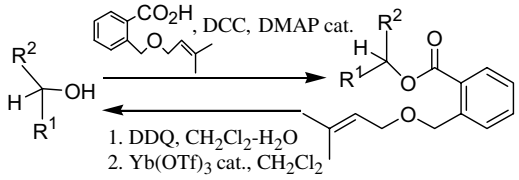
The synthesis of γ-hydroxy-α,β-alkenoic esters using $LiAlH_4$ is reported and then applied to the formal synthesis of (+)-macrosphelides A and B.

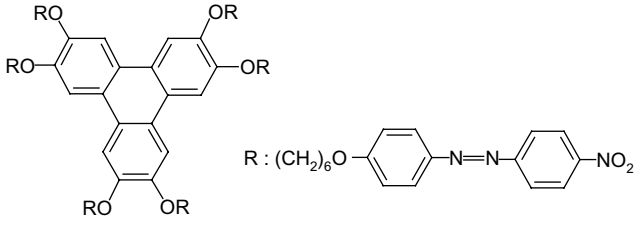


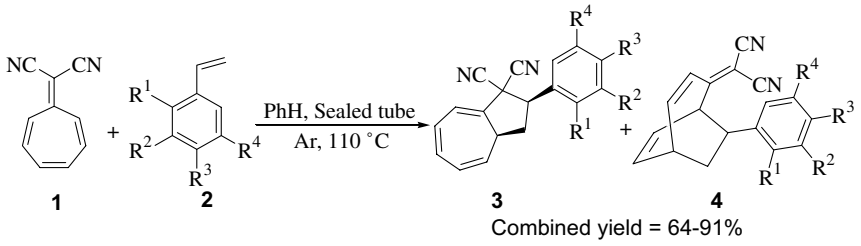
Spiroketals **1** were efficiently prepared from iodides **2**and acetone *N*,*N*-dimethylhydrazone using an acidic one-pot deprotection/spirocyclization sequence.



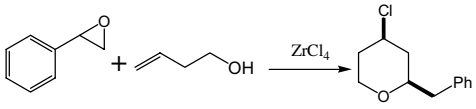
Azepane rings have been constructed diastereoselectively upon a carbohydrate derivative utilising reductive amination and RCM. The stereochemistry of the ring junctions was confirmed by X-ray crystallography and NMR. Diastereoselective dihydroxylation gave a tetrahydroxylated azepane carbohydrate derivative.

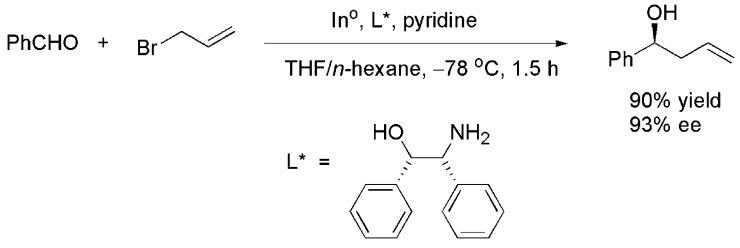


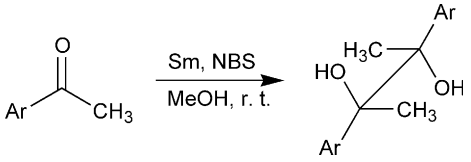


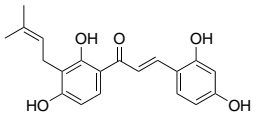


A facile cycloaddition reaction of 8,8-dicyanoheptafulvene with styrenes leading to the corresponding [8+2] and [4+2] adducts in excellent yields is described.



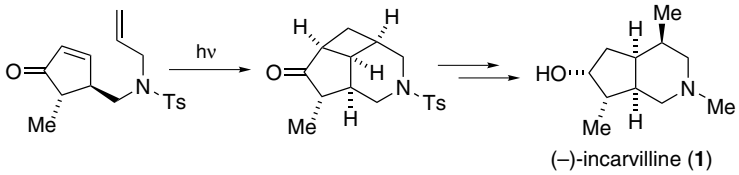


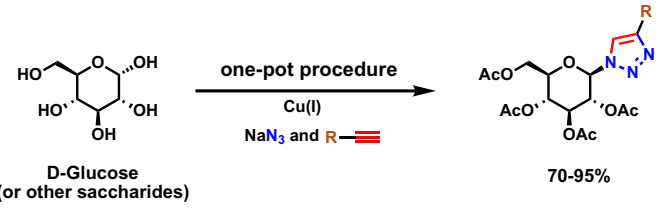


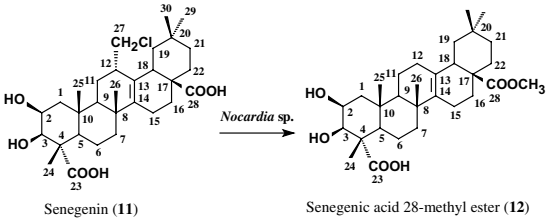


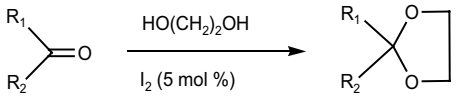
Morachalcone A

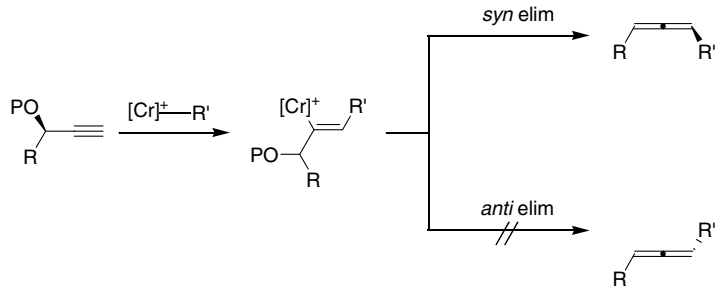
Advanced *C*-prenylated intermediates for three aromatase inhibitors, including morachalcone A, can be synthesized through a Claisen–Schmidt condensation followed by Florisil®-catalyzed [1,3]-sigmatropic rearrangement of a prenyl phenyl ether.











OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

New easily synthesized chiral cobalt salen coordinated to Al provides a practical and straightforward method for the synthesis of enantiomerically enriched terminal epoxides. *Tetrahedron Letters* **2005**, *46*, 2263–2266.

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