Tetrahedron 66 (2010) 543–551

Contents lists available at ScienceDirect

Tetrahedron

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Tetrahedron Vol. 66, Issue 3, 2010

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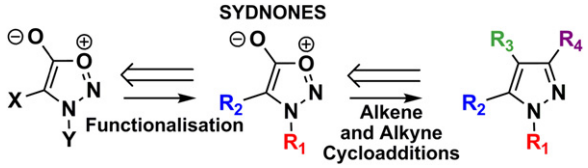
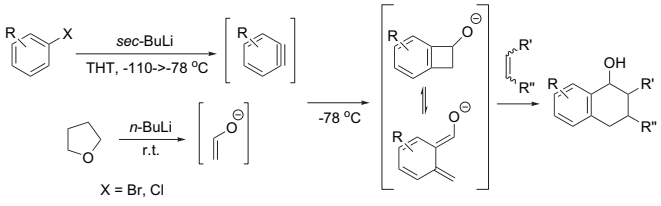
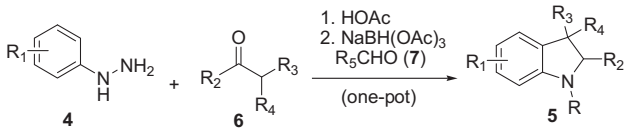
REPORT

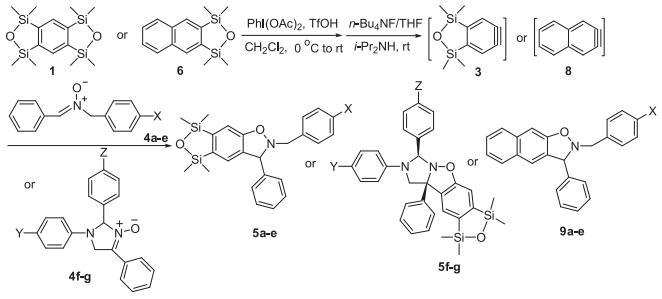


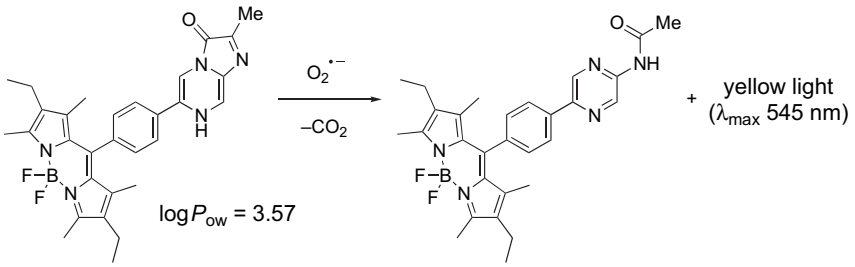
ARTICLES

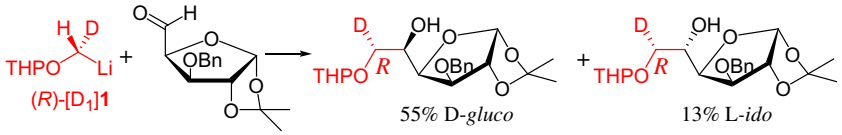


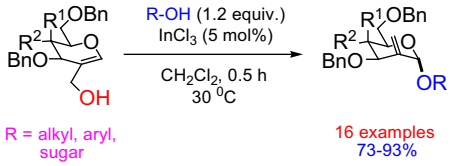


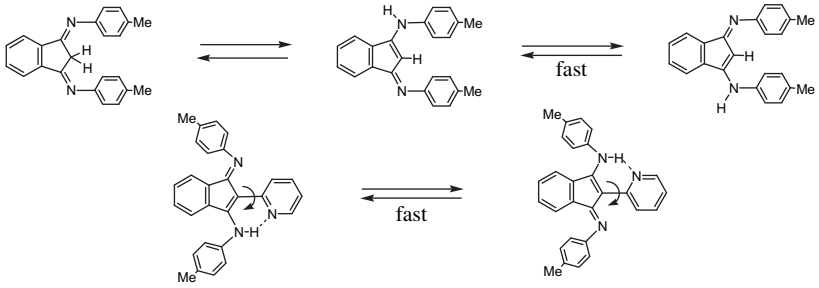
A general and convenient one-pot synthesis of highly substituted indolines from aryl hydrazines and aldehydes is reported.

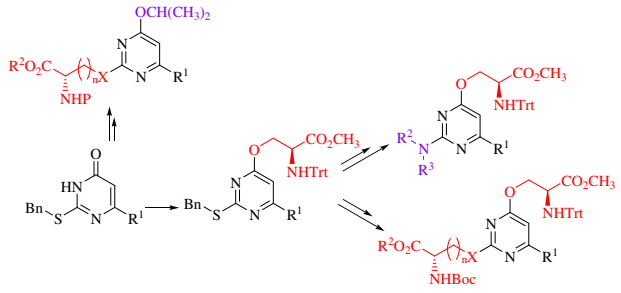


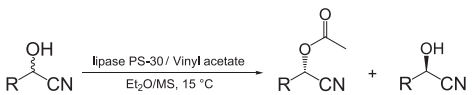




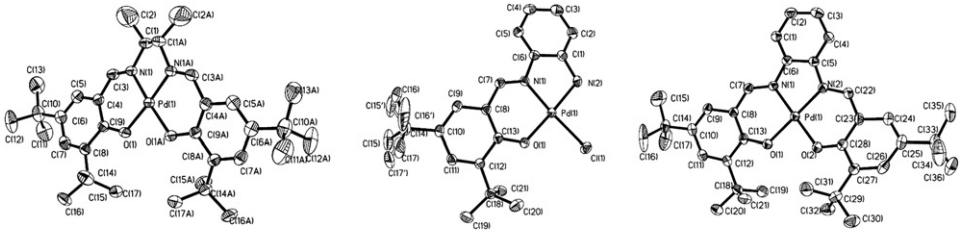


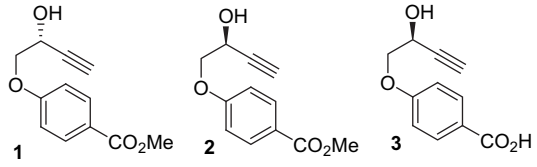


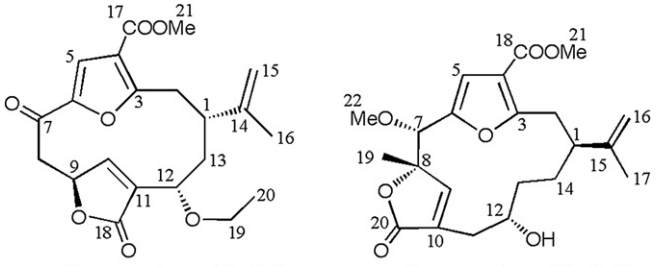


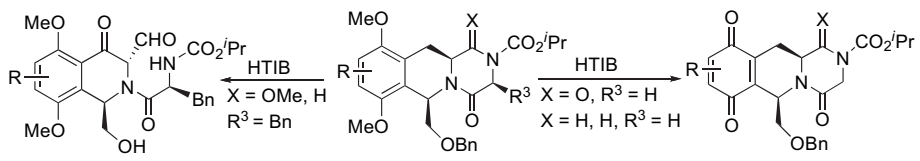


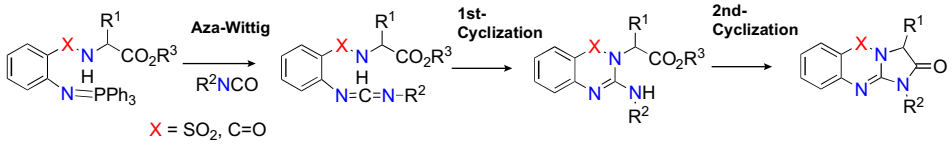
Twenty-three examples. When R=phenyl, 4-MeO-phenyl, 4-MeS-phenyl, 3-F-phenyl and phenethyl, the kinetic enantiomer ratio (*E*) reaches up to 314.

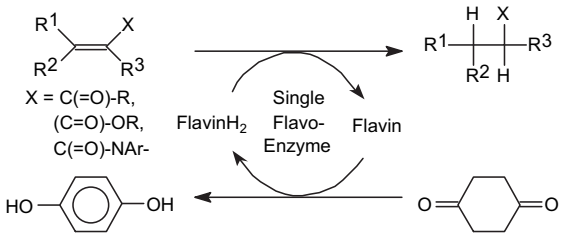


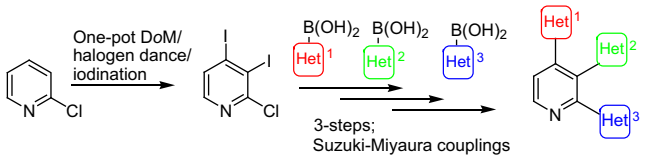


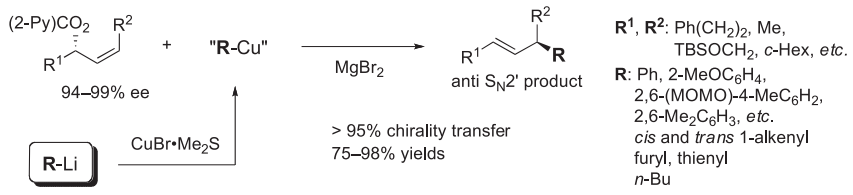


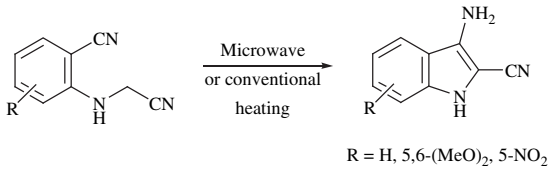


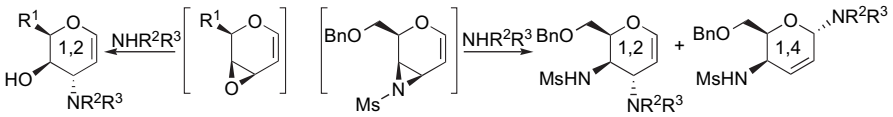


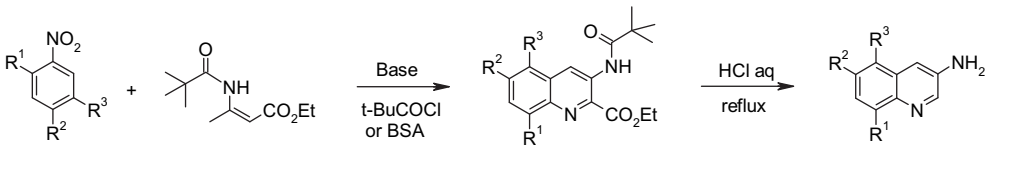


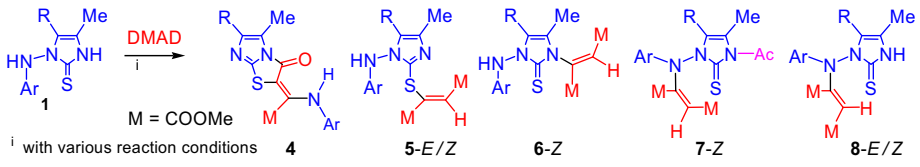




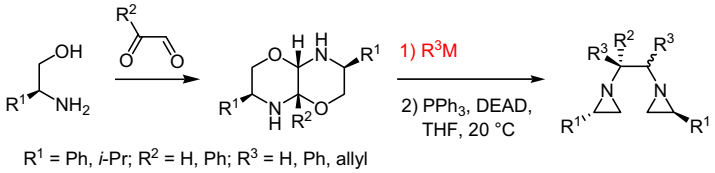


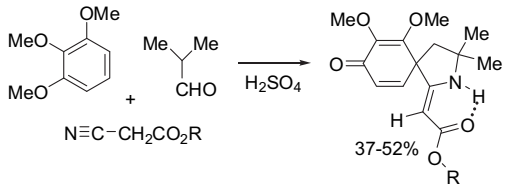


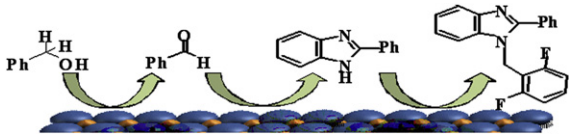


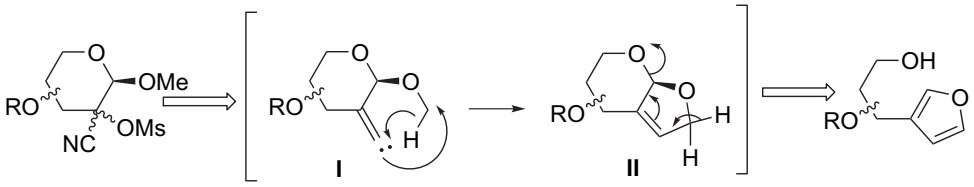


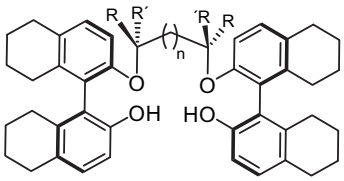
Upon reaction of 1-arylamino-imidazole-2-thiones **1** with DMAD only the S-substituted products **5** were formed, whereas in the presence of 2.2 equiv of NaH imidazo[2,1-*b*][1,3]thiazoles **4** were exlusively formed. Compounds **5** could be converted either to **6** by heating in benzene, or to **8** upon reaction with 1.1 equiv of NaH, and also to **7** upon reaction with acetic anhydride.

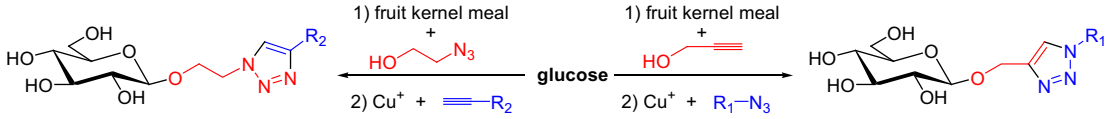




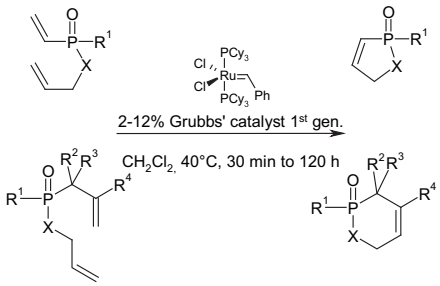


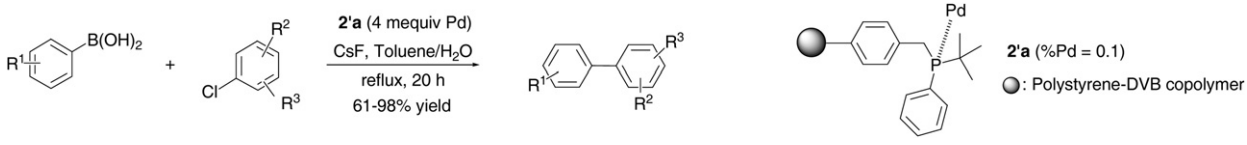


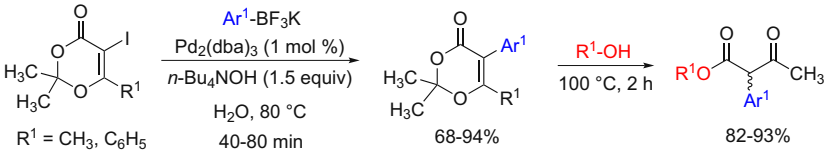




Glycosidase-mediated glycosylation of alkynyl alcohols and azide-containing alcohols was followed by a click reaction, affording various types of triazole glycosides. The activities of triazole glycosides detected in subsequent bioassays show that this procedure is a feasible approach to the development of anti-fungal drugs.







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Supplementary data available via ScienceDirect

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ISSN 0040-4020