ELSEVIER

Tetrahedron

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REPORT

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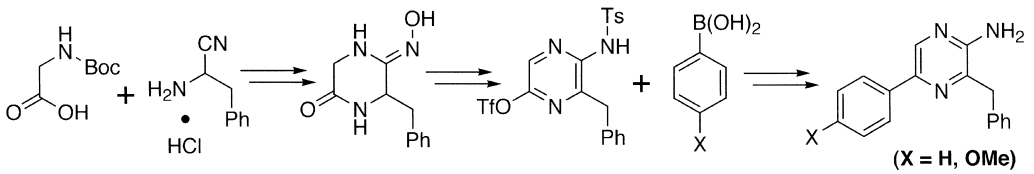
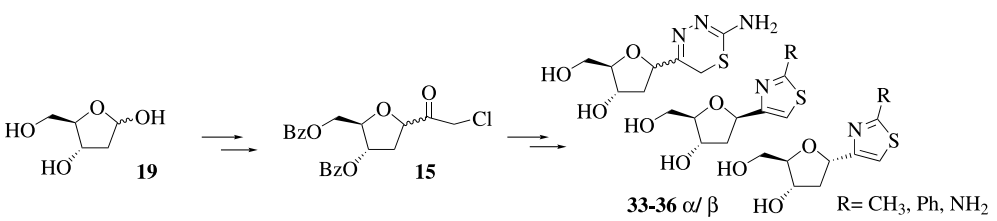
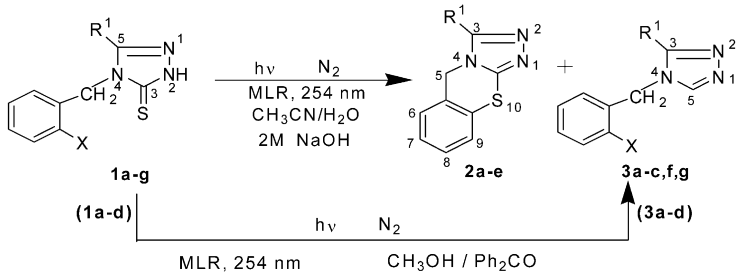
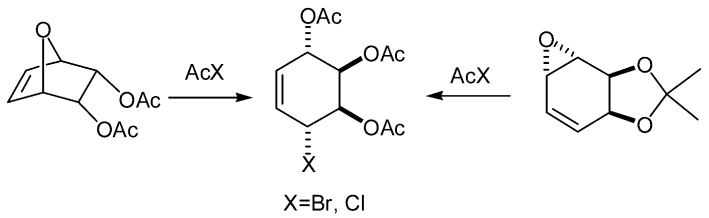
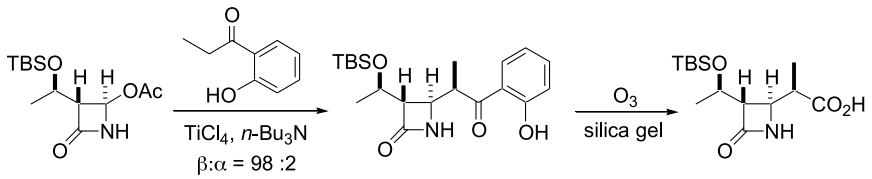
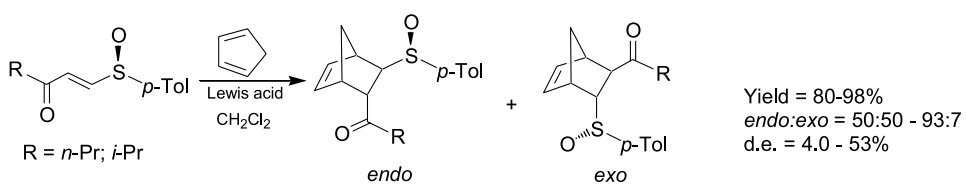


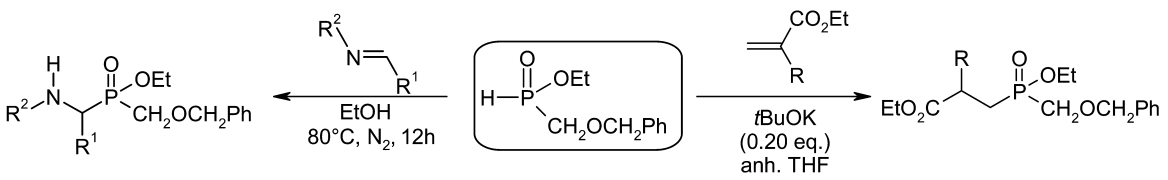


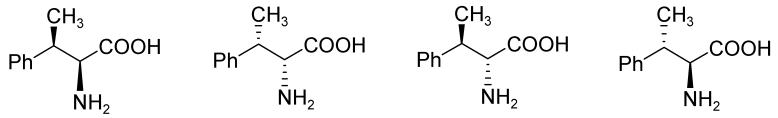


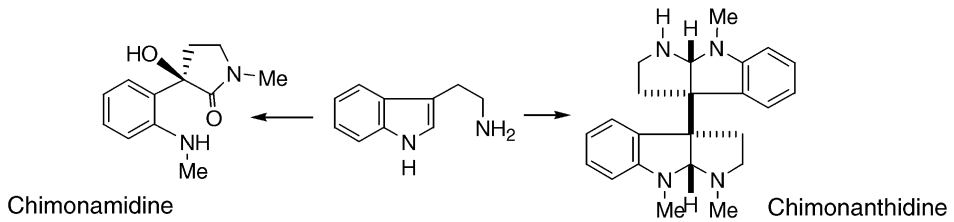


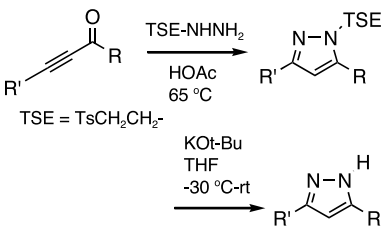




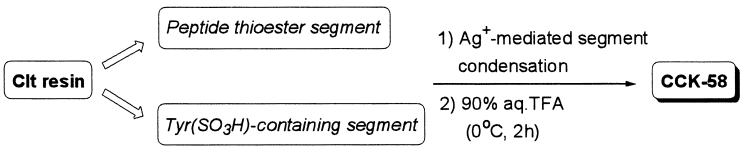


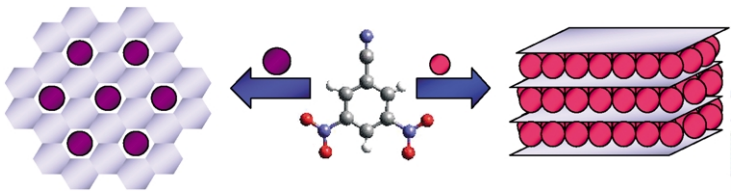


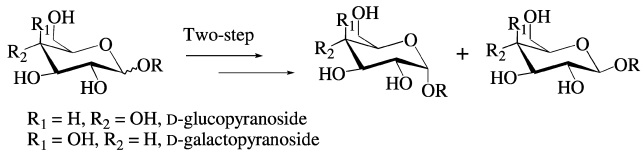


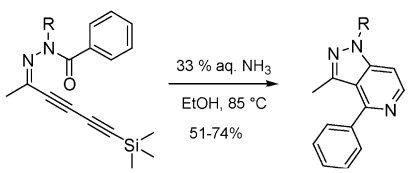


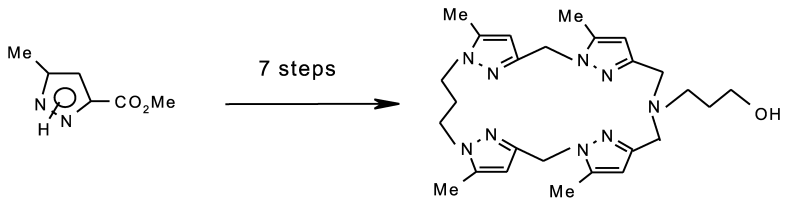
β-Tosylethylhydrazine, prepared from *p*-tolyl vinyl sulfone and hydrazine hydrate, reacts with both 1,3-diketones and conjugated ynones to provide N-tosylethyl-protected (TSE) pyrazoles in good yields. The TSE group can be removed from the pyrazoles using potassium *t*-butoxide in THF.



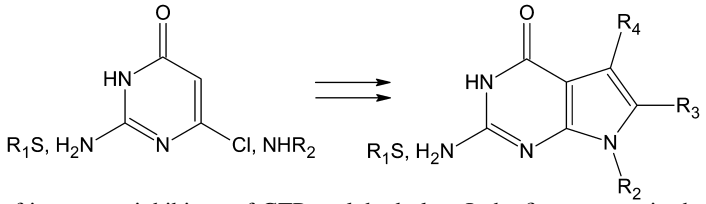




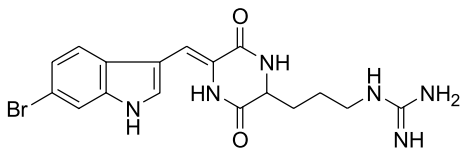


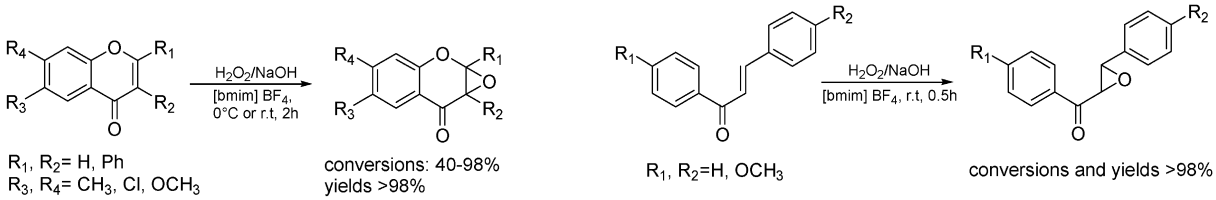


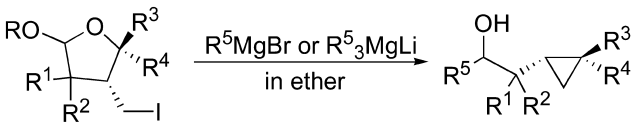
Li^+, Na^+, K^+, Cs^+, Ca^{2+}, Cd^{2+}, Pb^{2+} and Hg^{2+}

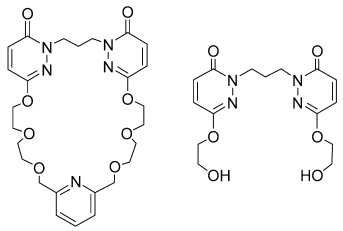


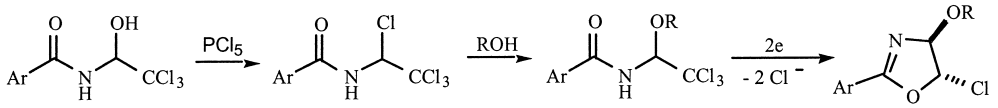
Substituted 7-deazaguanines are of interest as inhibitors of GTP cyclohydrolase I, the first enzyme in the biosynthetic pathway leading to dihydrofolate and tetrahydrobiopterin. Methods are described for the synthesis of 7-deazaguanines substituted at positions 2, 6 and 9 (purine numbering) such that a wide diversity of compounds can be prepared. Several compounds show inhibitory activity with respect to GTP cyclohydrolase I, and some show evidence for chemical transformation by that enzyme.

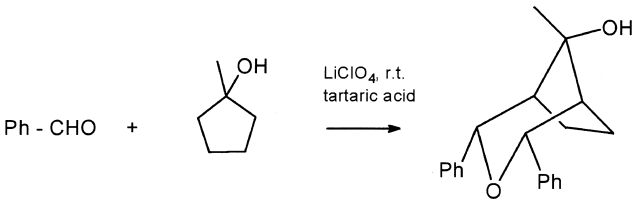




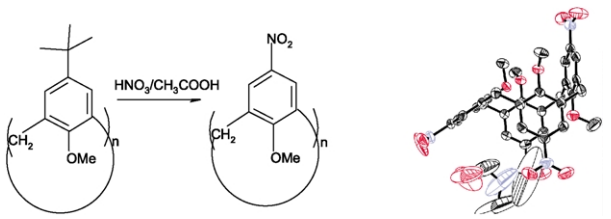








An example of unusual and mild alkylation of aldehydes by $LiClO_4$-activation in the presence of acids.



OTHER CONTENTS

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ⓘ+ Supplementary data available via ScienceDirect

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