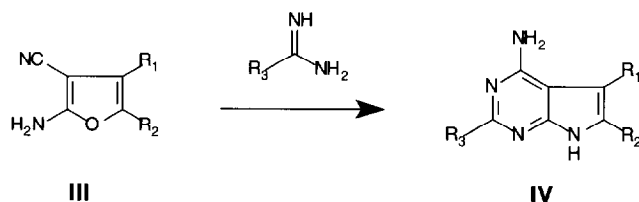
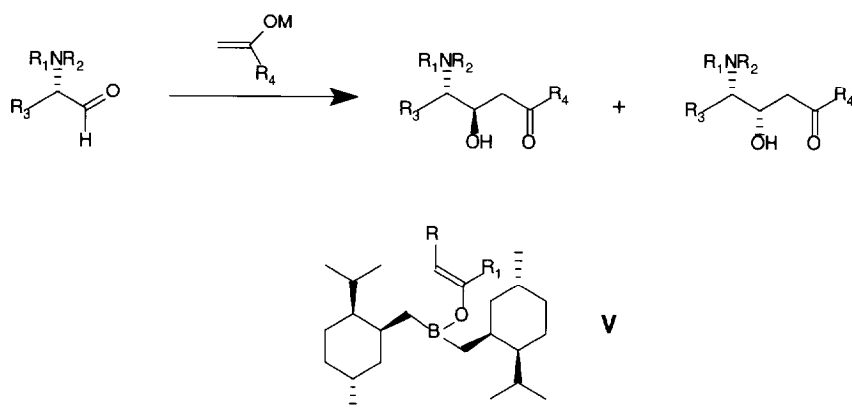


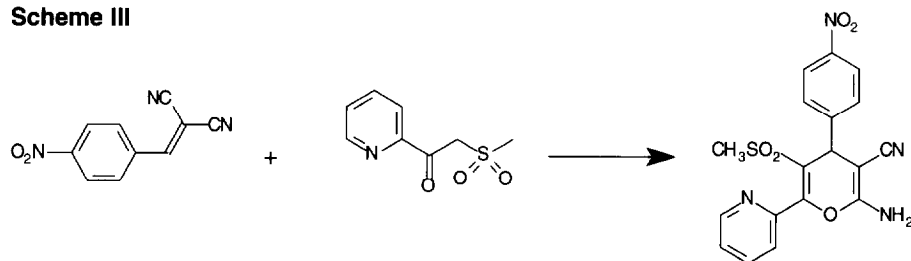
Scheme I



Scheme II



Scheme III



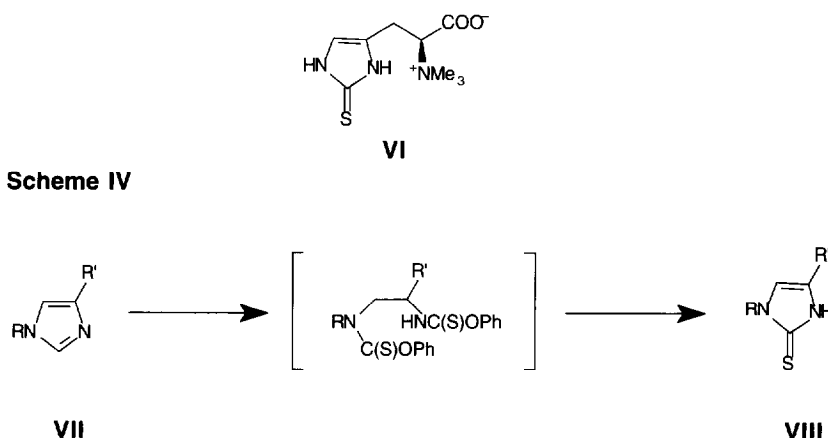
antimetabolites. Taylor, E.C., Patel, H.H. and Jun, J.G. [*J. Org. Chem.* (1995) 60, 6684–6687] have reported the condensation of amidines with 2-amino-3-cyanofurans to give 2-substituted-4-amino-pyrrolo[2,3-*d*]pyrimidines (Scheme I). The 2-amino-3-cyanofuran **III** undergoes a ring opening followed by a ring cyclization in which the 2-amino nitrogen becomes the pyrrole nitrogen and the amidine becomes incorporated into the pyrimidine ring of the product **IV**. The reaction may also be used with related amidines such as acetamidine and benzamidine.

Chiral boron enolates

Chiral α -amino aldehydes are important synthetic precursors for the synthesis of biologically-active molecules. The aldol condensation of these aldehydes with acetate-derived enolates leads to the formation of two possible

diastereomers (Scheme II). Gennari, C., Pain, G. and Moresca, D. [*J. Org. Chem.* (1995) 60, 6248–6249] describe the use of chiral boron enolates such as **V** for the enantioselective synthesis of (3*S*,4*S*)-statine, a component of

Scheme IV



pepstatin, which is a specific inhibitor of aspartic protease.

Michael addition of α -sulphinyl and α -sulphonyl carbanions

Marco, J.-L. and coworkers [*J. Org. Chem.* (1995) 60, 6678–6679] describe the first successful examples of Michael addition of β -keto sulfoxides and sulphones with highly stabilized Michael acceptors such as benzylidene cyanoacetate. An example of this reaction is shown in Scheme III.

Synthesis of L-(+)-ergothioneine

The rare natural amino acid L-(+)-ergothioneine **VI** has been shown previously to be an effective antioxidant that can protect isolated perfused heart against postischemic reperfusion. The problems of the synthesis of this molecule lie in the limited availability of starting materials for the preparation of the imidazole-2-thione moiety and the ease of racemization of the chiral centre as a consequence of the acidity of the α -carbon. Xu, J. and Yadan, J.C. [*J. Org. Chem.* (1995) 60, 6296–6301] describe the first synthesis of L-(+)-ergothioneine. The functionalized imidazole-2-thione **VIII** was prepared by cleavage and reformation of an imidazole **VII** ring with phenyl chlorothionoformate *via* a Banberger-type intermediate (Scheme IV). Using mild conditions, Xu and Yadan were able to conserve the asymmetric centre within the molecule.