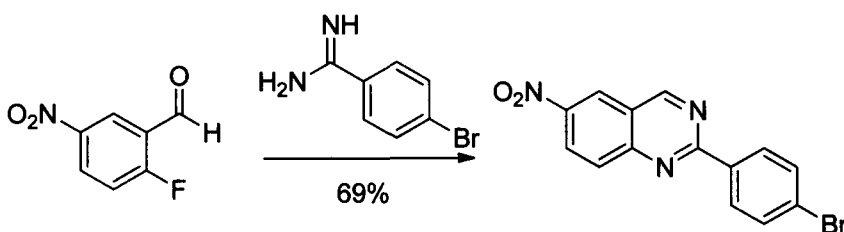
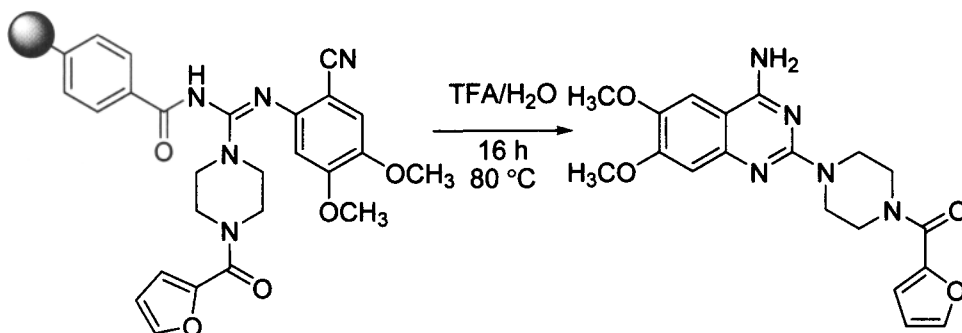


Kotsuki and co-workers used amidines to synthesize 2-substituted quinazolines.³¹ Reaction of 2-fluoro-5-nitro-benzaldehyde with 4-bromo-benzyl amidine gave the corresponding quinazoline in modest yield. This approach was subsequently used by Kotsuki and co-workers to generate a number of 5-nitro- and 5-cyanoquinazolines in modest yields.



Wilson used a traceless solid-phase approach for the synthesis of the 2,4-quinazoline system of prazosin, an α -1b receptor antagonist.³² In the final step, treatment of a highly substituted resin-bound guanidine with TFA under thermal conditions gave the desired quinazoline, prazosin, with simultaneous cleavage from the resin. Overall, prazosin was produced cleanly and in 70% yield over five steps using this method.



14.3.3 Cyclization and Rearrangement Approaches

Cyclization and rearrangement reactions such as the Dimroth rearrangement have played an important role in the synthesis of quinazoline-containing compounds. For example, Reddy and co-workers³³ applied the Dimroth