

Figure 18.37.

ment with concentrated sulfuric acid resulting in cyclization and dehydration to **amide isoxazole (96)**. Reduction and *N*-methylation yielded ABT-418 (97). The binding affinity of ABT-418 at neuronal cholinergic channel receptors was measured to be one order of magnitude greater than the corresponding R-enantiomer ( $K_i = 4.2$  versus  $44 \text{ nM}$ ) (138).

## 6.2 Chiral Auxiliary

In this approach the substrate is attached to a chiral, non-racemic unit that controls the formation of one or more new chiral groups. Reaction of the coupled unit with a reagent or prochiral substrate is designed to produce one diastereomeric product in excess. The auxil-

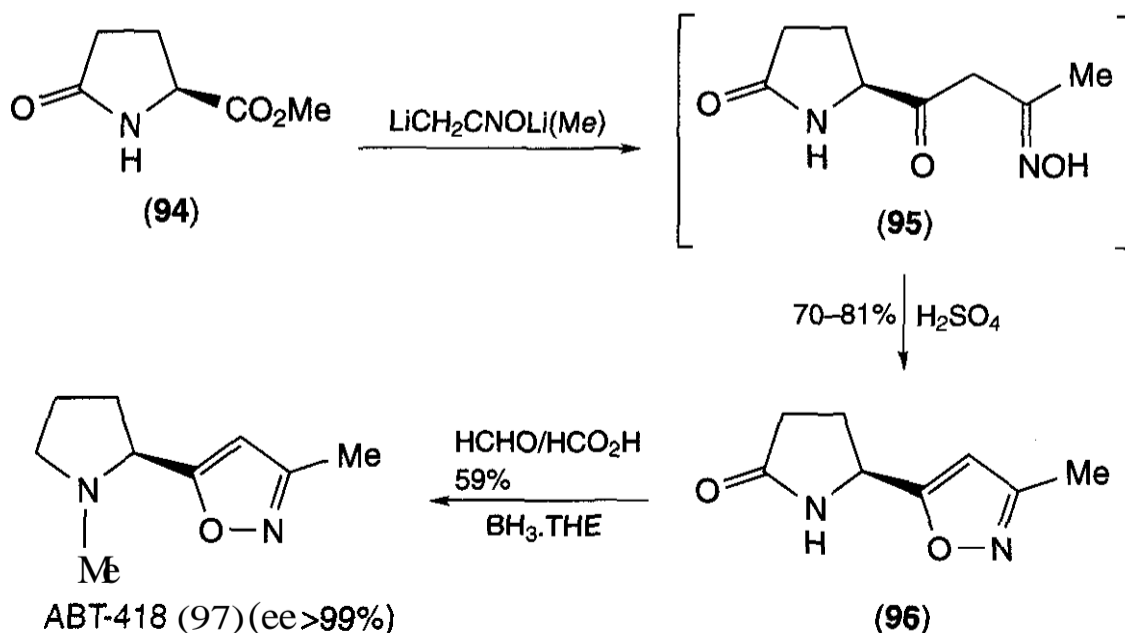


Figure 18.38.