CHAPTER IV
CONCLUSIONS

Benzotriazole (**1a**) could be prepared from *o*-phynylenediamine in 67 % yield. Recrystallization was carried out by using water as a cheap and nontoxic solvent as shown in Figure 4.1.

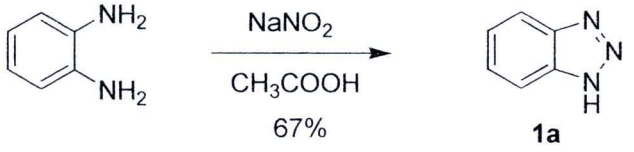


Figure 4.1 Preparation of benzotriazole (**1a**)

A simpler and milder method for the preparation of esters from *N*-acylbenzotriazoles has been developed. *N*-Propionylbenzotriazole (**2a**) and *N*-benzoylbenzotriazole (**2b**) were synthesized from benzotriazole and the corresponding acid chlorides in high yields as shown in Figure 4.2.

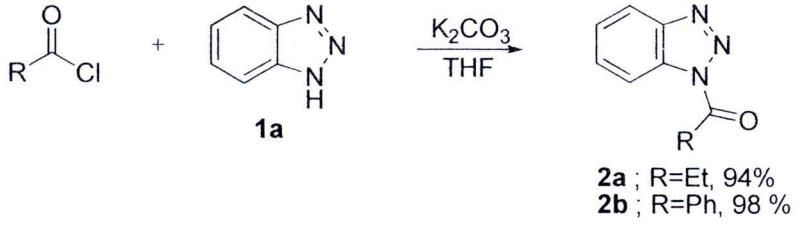
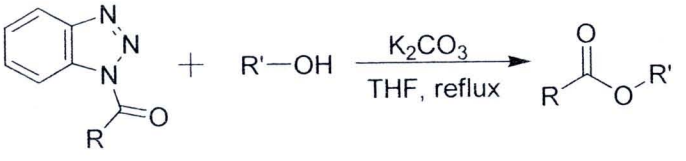


Figure 4.2 Preparation of *N*-propionylbenzotriazole (**2a**) and *N*-benzoylbenzotriazole (**2b**)

We also have developed a simple and efficient method for the preparation of esters from *N*-acylbenzotriazole in mildly basic condition. Esters of 1^{o} and 2^{o} aliphatic alcohols could be prepared using either *N*-propionylbenzotriazole or *N*-benzoylbenzotriazole in good to excellent yields (Figure 4.3). Esters of aromatic alcohols could also be prepared using *N*-benzoylbenzotriazole in high yields. While

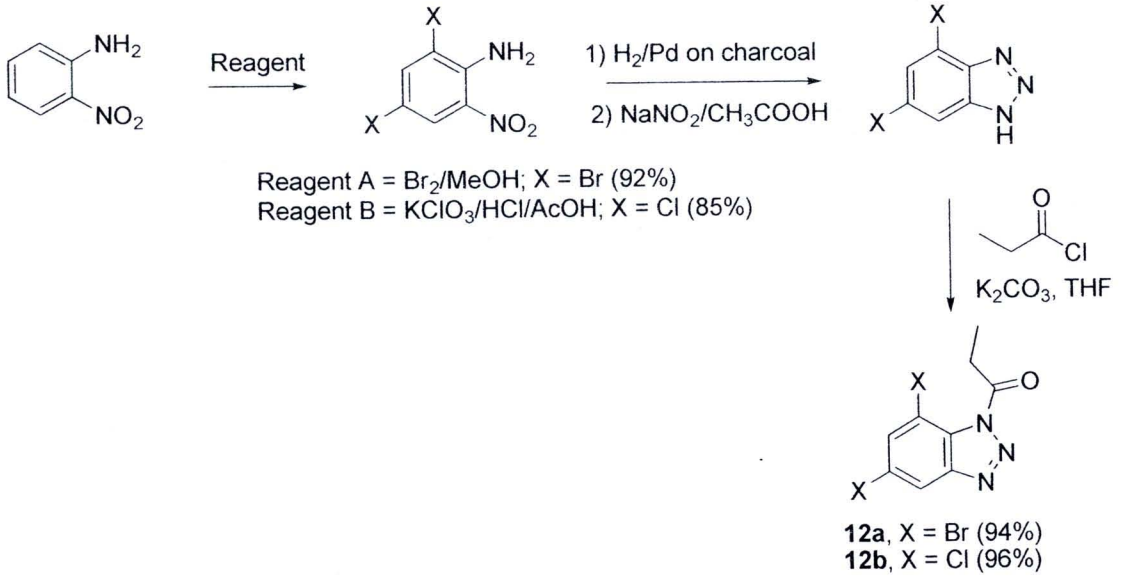
the conventional chromatographic separation can be applied routinely, we have been able to achieve good separation by using simple basic extraction.



2a ; R=Et
2b ; R=Ph

Figure 4.3 Preparation of esters from *N*-acylbenzotriazoles and alcohols

Dibromopropionylbenzotriazole (**12a**) and dichloropropionylbenzotriazole (**12b**) were synthesized in a similar fashion in 59 % and 61 % over all yields (Figure 4.4). Both give esters in good to excellent yields when being treated with a variety of alcohols. However, their reactions take longer time to completion than the benzotriazole itself.



12a, X = Br (94%)
12b, X = Cl (96%)

Figure 4.4 Synthesis of dibromopropionylbenzotriazole (**12a**) and dichloropropionylbenzotriazole (**12b**)

Ethylpropionylbenzotriazolcarboxylate (**22b**) was synthesis in 58 % overall yield (Figure 4.5). Esters could be obtained from this reagent in good to excellent yields with a very short reaction time.

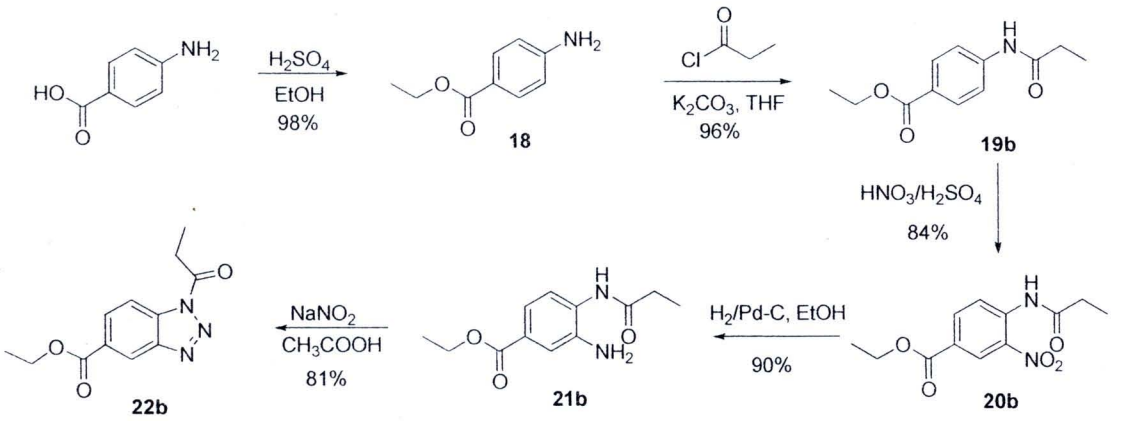


Figure 4.5 Synthesis of ethyl propionylbenzotriazolcarboxylate (**22b**)

In conclusion, a series of novel acylbenzotriazole derivatives have been synthesized and tested for esterification reactivity. A mild, flexible and efficient alternative method for esterification has been developed. The major advantage of this methodology lies in the synthesis of aliphatic and aromatic esters wherein the reagents *N*-acylbenzotriazoles are stable isolable solids that can be treated under very mild basic conditions with the appropriate alcohols.