

Abstract

The synthesis of biologically active proanthocyanidins was performed for better understanding of the fundamentals of structure-bioactivity relationship. In order to develop new agents for a more effective treatment of human diseases, the synthesis of the oligomeric flavonoids was investigated. These investigations could also open up new opportunities for other applications, such as targeted drug design and delivery.

Chapter 1 describes the synthesis of the bioactive oligomeric proanthocyanidins. The synthesis of the oligomeric procyanidins i.e. catechin dimer and catechin trimer from racemic catechin has been attempted but it was proved to be unsuccessful. The synthesis of the known catechin dimer and trimer was then performed from pure (+)-catechin. These oligomeric flavonoids will help us to investigate their role at molecular level in biomolecules. These oligomeric flavonoids can be used as reference compounds in HPLC analysis of different food stuffs. The total synthesis of the benzylated (–)-gallocatechin has also been done via different routes and it was planned to synthesize different oligomers of prodelphinidins like prodelphinidin B₃ and T₂ by using benzylated (–)-gallocatechin.

Chapter 2 gives an overview about the study of enantioselective epoxidation of chalcones by using different catalysts. Three types of catalysts have been used to epoxidize the chalcone.

i. Catalysts derived from (+)-cinchonine. **ii.** Catalysts derived from D-fructose. **iii.** Catalysts derived from polyamino acids. The aim of this work was to improve the total synthesis of (+)-myristinin A, which is a natural DNA polymerase β inhibitor and potent DNA damaging agent. The maximum 93 % enantioselectivity in case of the unsubstituted chalcone with more than 80 % conversion was achieved. But in case of the substituted chalcones, the results were not satisfactory. Some new catalysts from (+)-cinchonin were prepared which showed respectable enantioselectivity with simple chalcone at low temperature but with substituted chalcones there was no enantioselectivity observed.

Chapter 3 represents the asymmetric reduction of chalcones into allylic alcohols. The reductions were performed by NaBH₄ and CBS catalyst. The allylic alcohols formed were subjected to cyclization into flavene moieties. The main aim of this investigation was to find out an alternative and short route to the total synthesis of the (+)-myristinin A.