[S2-1] [4/19/2001(Thur) 14:00-14:30 / Lecture Hall B]

Asymmetric Synthesis of Chiral Intermediates and their Applications

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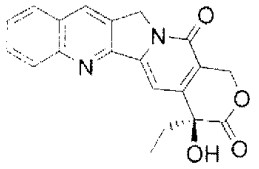
Organic compounds play an important role in the area of pharmaceutical, agrochemical, and other materials, which possess useful biological activities. Generally, such biological activities are come from the interaction of the organic compounds with the receptors in biological system, such as enzymes. Such receptors are composed of the chiral building blocks such as amino acid or carbohydrate, which means the biological active sites of receptors are chiral. The chirality of receptors has the ability to differentiate between two enantiomers of organic compounds that possess more than one chiral center. The two enantiomers may have different level of biological activity or may show quite different type of activity. Usually, one enantiomer is far more active than the other one. The inactive enantiomer may give little or no activity, but only provides undesirable side effect. In addition, the enantiomers can be metabolized ether different rate or different pathway because the metabolizing enzymes are also chiral. To overcome the potential problems is to use single enantiomer.

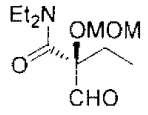
There are several method to get single enantiomeric compounds: 1) Resolution of racemate; 2) Using chiral starting material; 3) asymmetric synthesis. The first two methods are currently use now but both are not popular as a general method. The unwanted enantiomer from resolution method usually raise the cost of production and evoke environmental pollution problem. Also there are not enough available chiral starting material for all interested biologically active compounds.

Within the past 20 years asymmetric synthesis has been the forefront of organic chemistry. A massive of new synthetic methods has been reported so far. There is a lot efficient enantioselective synthetic method for practical application. In this symposium, a few applications of the efficient asymmetric synthetic methods to prepare some useful chiral intermediates performed in the last few years in our laboratory will be discussed.

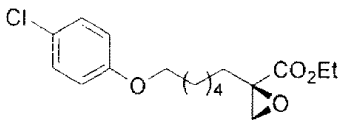
A. Asymmetric Halolactonization



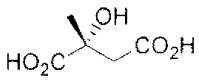
Camptothecin



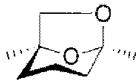
Intermediate for Camptothecin



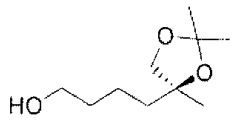
(R)-(+)-etomoxir



(R)-(-)-Citramalic acid

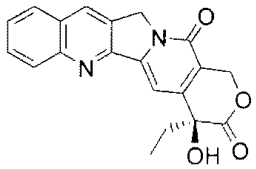


(1S,5R)-(-)-Frontalin

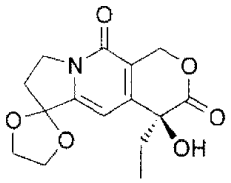


Intermediate for frontalin

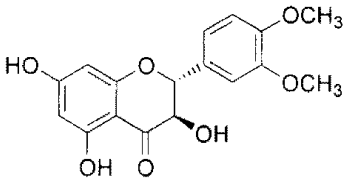
B. Asymmetric Dihydroxylation



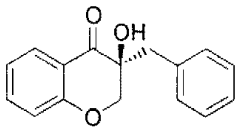
Camptothecin



Intermediate for Camptothecin

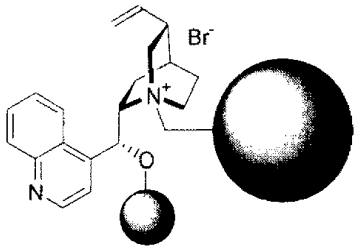


(3R,4R)-O-dimethyltaxifolin



(S)-Eucomol

C. Asymmetric Phase-transfer Catalytic Reaction.



New Phase-transfer catalysts