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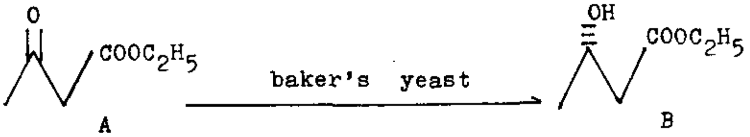
SYNTHESIS OF PARASORBIC ACID, THE COMPONENT OF <u>SORBUS AUKUPARIA</u> L.

Tsuguo Satō

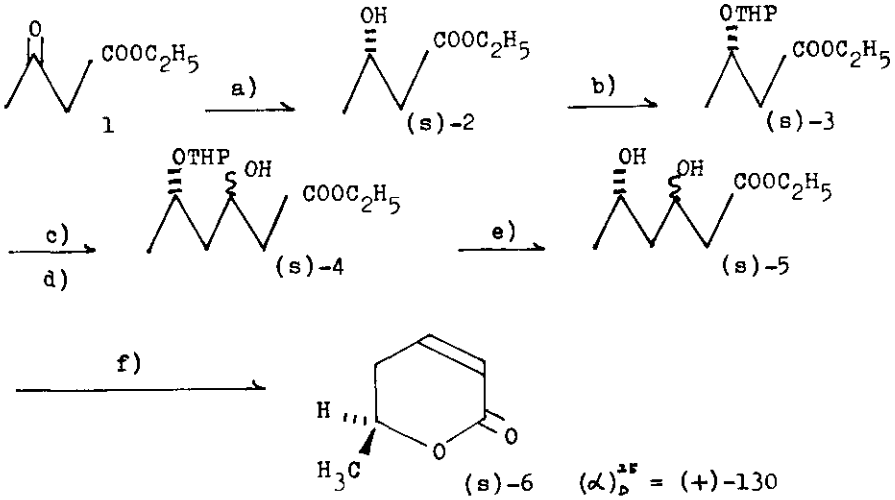
Tohoku College of Pharmacy, Komatsushima-4,
Sendai, Miyagi, Japan

Abstract- Parasorbic acid ((5s)-(+)-hexen-5-olide) was synthesized from ethyl acetoacetate by utilizing its baker's yeast reduction.

Parasorbic acid has been isolated by Hofmann[1] and synthesized by Kuhm and Jerchel[2] and its compound of chiral center was determind as (5s)-(+)- configuration by Kuhm and Kum.[3] Recently utilizing of biochemical system has been reported as one of the effective methods in asymmetric synthesis. For example, baker's yeast reduces ethyl acetoacetate A to the (S)-enantiomer of ethyl 3-hydroxybutanoate B.[4,5]



In this communication, I wish to report a total synthesis of parasorbic acid ((5s)-(+)-2-hexen-5-olide), the synthesis of which is simple as shown in Scheme 1.



a)baker's yeast b)THP c)DIBAL-H/-78°C,THF d)LDA,$CH_3COOC_2H_5$/-78°C,THF
e)PPTS/60°C,EtOH,1 h f)PTS/90°C,benzene,1 h

Scheme 1

As the natural parasorbic acid was shown to possess (5s)-stereochemistry, the chiral starting material in my synthesis was ethyl (s)-(+)-3-hydroxybutanoate (s)-2. Protection of the OH group of (s)-2 as a tetrahydropyranyl (THP)ether in the conventional manner gave (s)-3. This was reduced with DIBAL-H and then condensed with ethyl acetate treating with LDA to give (s)-4. Removal of the THP protective group of (s)-4 with pyridinium-p-toluenesulfonate(PPTS) yielded (s)-5. Then (s)-5 was treated with p-toluenesulfonic acid to give the desired (s)-lactone 6.

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