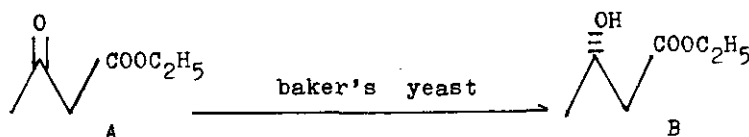


SYNTHESIS OF PARASORBIC ACID, THE COMPONENT OF
SORBUS AUKUPARIA L.

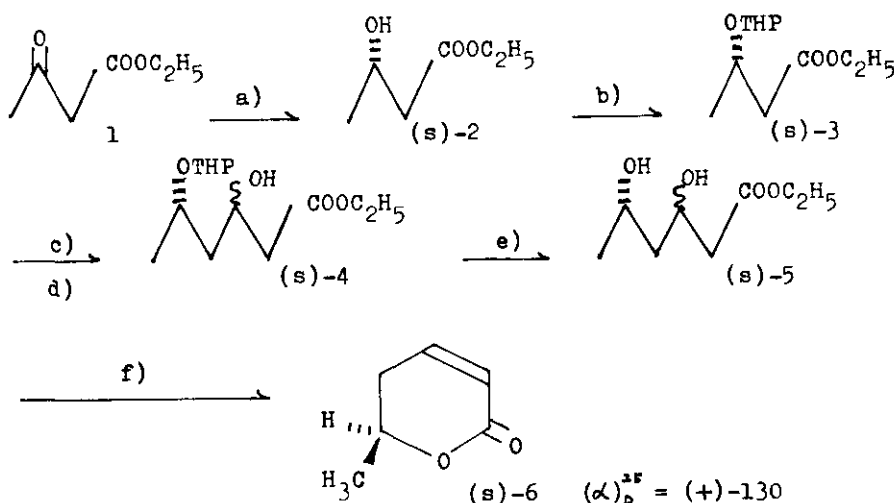
Tsuguo Satō

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.² Parasorbic acid has been isolated by Hofmann¹ and synthesized by Kuhm and Jerchel and its compound of chiral center was determined 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, CH₃COOC₂H₅/-78°C, THF
 e) PPTS/60°C, EtOH, 1 h f) PPTS/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.

ACKNOWLEDGEMENT

I am grateful to Dr. Masahiro Hirama, for useful discussion, and to Professor Shō Itō, Tōhoku University, for generous support.

REFERENCES

1. A.W. Hofmann, Liebigs Ann. Chem., 110, 129 (1859).
2. R.Kuhm and D.Jerchel, Ber., 76, 413 (1943).
3. R.Kuhm and K.Kum, Chem. Ber., 95, 2009 (1962).
4. M.Hirama, M.Shimizu, and M.Iwashita, J.Chem. Soc. Chem. Comm., 599 (1983).
5. T.Sugai, M.Fujita, and K.Mori, Nippon Kagaku Kaishi (J. Chem. Soc. Jpn.), 1315 (1983).

Received, 21st April, 1986