A stereospecific synthesis of (±)-cocaine

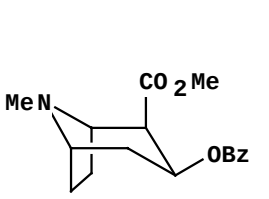
Prof. SHAIKH ASROF ALI

Dept. of Chemistry , College of Science ,
King Fahd University of Petroleum & Minerals

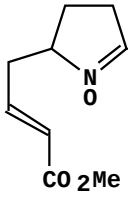
http://www.kfupm.edu.s

ABSTRACT

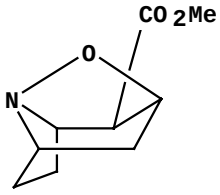
The synthesis of ( )-cocaine (I) was accomplished in 9 steps via the key intermediate nitrone ester II. The latter was prepd. by treating MeSO3(CH2)2CH:CHCO2Me with LiBr/Et2O, followed by treating the resulting bromo ester (80%) with AgNO2/MeCN to give O2N(CH2)2CH:CHCO2Me. Michael addn. with acrolein, protection of the aldehyde, followed by redn. and deprotection gave II, which was not isolated but cyclized directly to give 10% tricyclic ester III. Methylation with MeI gave the corresponding methiodide which after cleavage with Zn/AcOH gave 47% ecgonine Me ester, which after benzoylation gave I.



I



II



III