A NEW METHOD FOR THE SYNTHESIS OF 7α-METHOXYCEPHALOSPORINS

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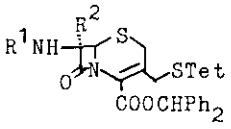
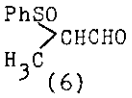
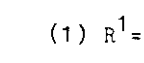
7β-(2-Benzenesulfinyl-1-propenyl)aminocephalosporin ester(1), which was obtained by the condensation of amino ester(2) with the aldehyde(6), was treated with NBS and sodium borate in MeOH successively to yield 7α-methoxycephalosporin(3) in good yield via intermediates (7) and (8). Removal of the side chain of the 7β-amino group of (3) was achieved by the successive treatment with PCl_5 and Girard T to give the 7α-methoxylated amino ester(4) via intermediate (9), which was converted to 7β-acylamino compound(5).



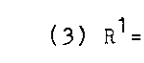
(1)～(5)



(6)

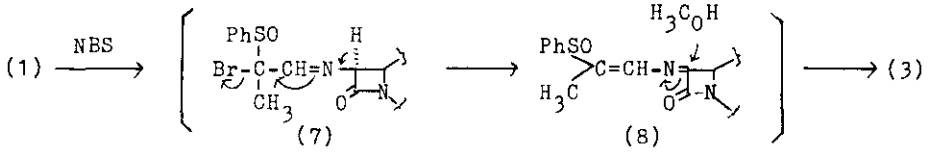
(1) R^1=  , R^2= H

(2) R^1= R^2= H

(3) R^1=  , R^2= OCH_3

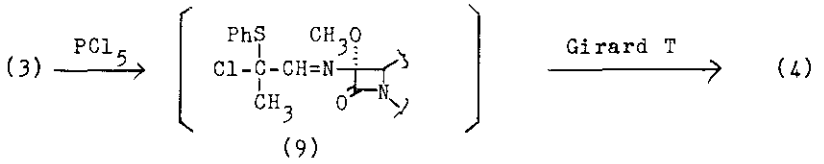
(4) R^1= H , R^2= OCH_3

(5) R^1= $BrCH_2CO$- , R^2= OCH_3



(7)

(8)



(9)