

REACTION OF CEPHALOSPORIN 3'-TRIPHENYLPHOSPHONIUM YLIDE.

SYNTHESIS OF NOVEL TRICYCLIC CEPHALOSPORIN DERIVATIVES

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The reaction of cephalosporin 3'-triphenylphosphonium ylide (i) with aldehyde is a useful method for the carbon-elongation at C-3' of cephalosporin. However, utilization of the ylide in the synthesis of cephalosporin derivatives is considerably limited due to its poor reactivity and attendant formation of the C₂- and C₄-substituted products. Here we report regioselective reaction of the ylide with bifunctional aldehydes, such as glyoxal and acrylaldehyde, leading to unique cephalosporin derivatives bearing tricyclic skeletons. Glyoxal or methyl glyoxal reacted with the ylide to give the compound (ii) which was bridged by a cyclopentene ring between C₂ and C₃. The ylide also reacted with acrylaldehyde to give the C₃, C₄-tricyclic compound (iii), while the 1-sulfoxide of the ylide afforded the C₂, C₃-tricyclic compound (iv) upon similar treatment.

Furthermore, the compound (iv) could be converted by treatment with (CF₃CO)₂O-pyridine into the ring-fused analogue (v) of the monocyclic β -lactam antibiotics, nocardicins. Desulfuration of this compound with Raney nickel furnished a transformation of cephalosporin to the nocardicin nucleus.

