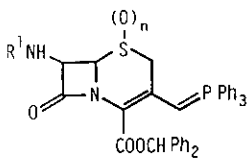
# REACTION OF CEPHALOSPORIN 3'-TRIPHENYLPHOSPHONIUM YLIDE. SYNTHESIS OF NOVEL TRICYCLIC CEPHALOSPORIN DERIVATIVES

Minoru Hatanaka, Yuichi Yamamoto, Toshiyasu Ishimaru, and Yoshio Takai
Institute of Industrial and Scientific Research, Osaka University,
Mihogaoka, Ibaraki, Osaka 567

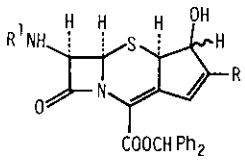
The reaction of cephalosporin 3'-triphenylphosphonium ylide (**i**) with aldehyde is a useful method for the carbon-elongation at C-3' of cephalosporin. However, utilization of the ylide in the synthesis of cephalosporin derivatives is considerably limited due to its poor reactivity and attendant formation of the $C_2$- and $C_4$-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 $C_2$ and $C_3$. The ylide also reacted with acrylaldehyde to give the $C_3$, $C_4$-tricyclic compound (**iii**), while the l-sulfoxide of the ylide afforded the $C_2$, $C_3$-tricyclic compound (**iv**) upon similar treatment.

Furthermore, the compound (**iv**) could be converted by treatment with $(CF_3CO)_2O$-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.

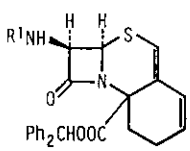



(**i**) n=0, 1

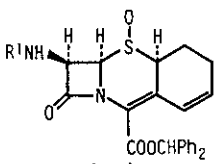
$R^1 = C_6H_5CH_2CO$



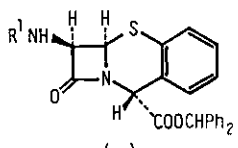

(**ii**)




(**iii**)




(**iv**)




(**v**)