CHEMISTRY OF 3-H-1-CARBACEPHEM COMPOUNDS.

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Among 1-carbacephem compounds,nuclear analog of cephalosporin, 3-H-1-carbacephem nucleus 1 is the simplest and yet exerts quite potent antimicrobial activity upon acylation with selected acyl groups. Having been prepared by practical process developped, 3-H-1-carbacephem compound is now available in quantity and its chemistry has been extensively studied.

By virtue of the latent chemical reactivity, 3-H-1-carbacephem nucleus could be furnished with diversified substituents at C-2 and C-3 in relatively stable form. The chemical manipulation mainly at C-2 will be presented along with substitution at C-3.

Allylic bromination of 1 followed by substitution of the resulting bromine group in 2 with various nucleophiles give rise to 2-substituted-carbacephem compounds 3 with α and/or β configuration depending on the reaction condition employed. 2-Acetoxy compoud 4 could also serve as parent for versatile substitution, which might be analogously compared with the substitution at C-3' in cephem system, the important modification of cephalosporins.

Stereochemistry of the substitution at C-2 will also be discussed.