

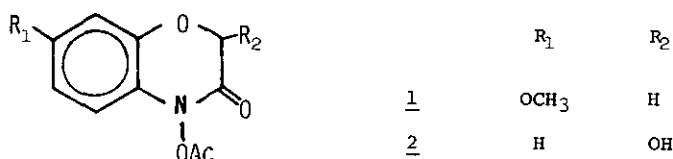
REACTIONS OF 4-ACETOXY-2H-1,4-BENZOXAZIN-3-ONES WITH SOME HETEROCYCLES

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Reactions of 4-acetoxy-7-methoxy-2H-1,4-benzoxazin-3-one (1) and 2-hydroxy-4-acetoxy-2H-1,4-benzoxazin-3-one (2) with some nucleophilic heterocycles were investigated. The reactive compound 1 smoothly reacted at room temperature with



pyrrole, indole, imidazole, pyridine, and guanine. The nucleophiles attacked predominantly on the 4- and 6-positions of the benzoxazine ring. Some interesting reaction products (3-10) were shown below. The compound 2 similarly reacted with pyrrole and indole but on the almost 4-position.

The reaction of 1 with calf thymus DNA gave the modified DNA, which after hydrolysis with nuclease P1 gave the modified nucleotide, 6: This covalent nucleic acid modification is a possible mechanism of the mutagenicity and other biological actions of these compounds.

