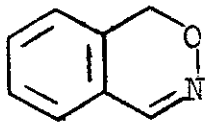
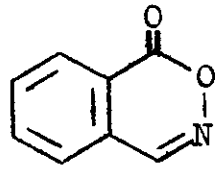
INTRODUCTION



2,3,1H-benzoxazine



2,3,1-benzoxazone

Synthesis of Benzoxazones.

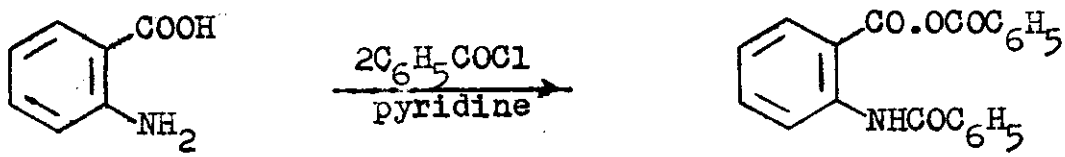
A) 3,1,4-benzoxazones :

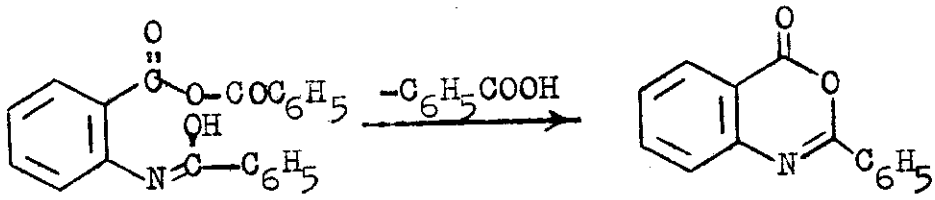
3,1,4-Benzoxazones comprise a relatively large group of substances which have come to be known as acylanthranils.

(i) Via the action of benzoyl chloride on anthranilic acid.

The first acylanthranil was prepared by the action of benzoyl chloride on anthranil,[1] but this method is not of general applicability because of instability of anthranil.

Recently,[2] 2-phenyl-3,1,(4H)-benzoxazin-4-one (I) was prepared by the action of 2 moles of benzoyl chloride on one mole of anthranilic acid in pyridine.





(I)

The benzoxazone (I) was also obtained by reaction of benzoyl chloride with anthranilic acid in presence of poly-phosphoric acid.[3]

(ii) Via the action of acetic anhydride on anthranilic acid.

2-Methyl-3,1,(4H)-benzoxazone (II) has been obtained by heating anthranilic acid with acetic anhydride.[4]

(II)

Similarly, N-acylanthranilic acids gave 2-alkyl-benzoxazones (III) when heated with acetic anhydride.[5] This procedure has been adapted[6] to the preparation of a series of benzoxazones.