

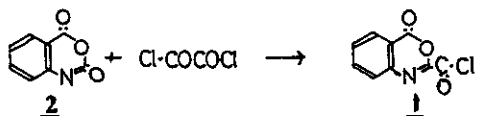
SYNTHESES AND REACTION OF 2-CHLOROFORMYL 4H-3,1-BENZOXAZIN-4-ONE

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2-Chloroformyl 4H-3,1-benzoxazin-4-one(**1**) has been synthesized from 1,2-dihydro-2H-3,1-benzoxazin-2,4-dione(**2**) and the availability of **1** for the preparation of heterocyclic compounds is demonstrated by the condensation of **1** with amino compounds.

The preparation of **1** was carried out in the manner that **2**—synthesized from anthranilic acid and trichloromethyl chloroformate in the presence of N,N-dimethylaniline— was refluxed in the benzene solution with oxalyl chloride using anhydrous aluminum chloride or 4-dimethylaminopyridine as an additive.



The reaction of **1** with water or ethylamine underwent ring cleavage of **1** to afford the corresponding dicarboxylic acid(**3**) or dicarboxamide(**4**). The reaction of diamine, such as ethylenediamine or *o*-phenylenediamine, with **1** gave the piperazino-3,4-dihydroquinazoline derivative(**5**) or (**6**). This dihydroquinazoline ring formation may proceed via attacking of one amino group of diamine at position 2 of **1** and then dehydrating. N-Substituted urea(**7**) was obtained from **1** and urea at room temperature. This compound **7** was heated in DMF or chlorobenzene to give both a ring expanded compound(**8**) and a fused heterocycle, imidazolidino-3,4-dihydroquinazoline derivative(**9**).

