

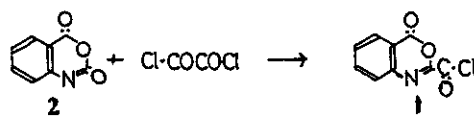
SYNTHESES AND REACTION OF 2-CHLOROFORMYL 4H-3,1-BENZOXAZIN-4-ONE

Motohiro Tsubota, Motome Hamashima

Faculty of Engineering, Utsunomiya University, Ishii-machi, Utsunomiya 321

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).

