

SYNTHESES OF TRICYCLIC COMPOUNDS USING
2(1H)-QUINOXALINONE DERIVATIVES

Kenzi Makino, Gozyo Sakata, and Katsushi Morimoto
Central Research Institute, Nissan Chemical Ind., LTD.

Funabashi, Chiba 274, Japan

Yoshinori Ochiai

Biological and Chemical Research Laboratory, Nissan Chemical Ind.,
LTD. Shiraoka, Saitama 349-02, Japan

Quinoxaline derivatives are widely used as pharmaceutical and agricultural chemicals. In connection with our biological studies, we synthesized new tricyclic compounds (Type I, II, III) as shown in Fig. 1. They can be regarded as 2(1H)-quinoxalinone derivatives and quinoxaline analogues of Pyroquilon, PP-389 and Tricyclazole.

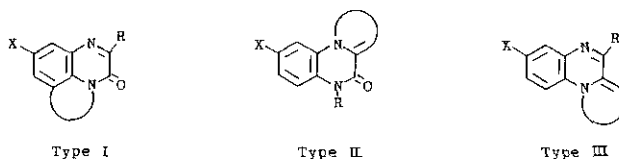


Fig. 1

1) In the first, compound (1) was synthesized from 8-nitro-1,2,3,4-tetrahydroquinoline by the reaction of diketene. 1 could be converted into compound (2), (3) and (4) using sodium hydrogensulfite, ethyl acetoacetate and phosphoryl chloride, respectively (Type I compounds). 2) Compounds (5) and (6) were synthesized from 3-chloro- and 3-hydrazino-1,2-dihydro-1-methyl-2-oxoquinoxaline derivatives by the reaction of sodium azide and ethyl orthoformate or ethyl orthoacetate, respectively (Type II compounds). 3) 2-Chloroquinoxaline and 2-hydrazinoquinoxaline derivatives could be converted into compounds (7) and (8), respectively (type III compounds). Reaction products are summarized in Fig. 2.

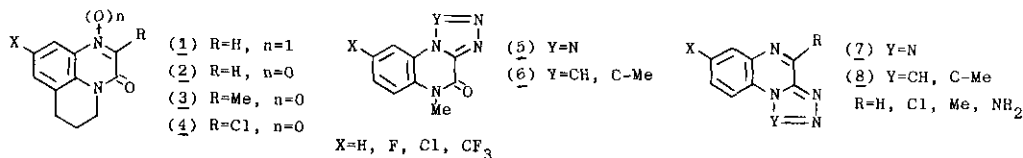


Fig. 2