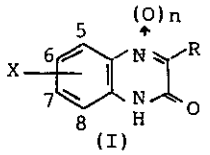
REGIOSELECTIVE SYNTHESES OF MONOSUBSTITUTED 2(1H)-QUINOXALINONE DERIVATIVES

Gozyo Sakata, Kenzi Makino, Katsushi Morimoto and Isao Hashiba

Central Research Institute, Nissan Chemical Ind., Ltd.,
Funabashi, 274 Japan

The monosubstituted derivatives of 2(1H)-quinoxalinone and its N-oxide (I) are recently applied as intermediates for syntheses of pharmaceutical and agricultural chemicals. [1) 2)]



(I)

X ; Halogen, CF_3, NO_2, Alkyl, etc.

R ; H, CH_3

n ; 0, 1

However, facile and efficient methods for the regioselective syntheses of (I) have not been reported and consequently it has been very difficult to introduce a substituent such as halogen, CF_3, NO_2 or alkyl group into a desirable position (5, 6, 7 or 8 position) of the benzene ring.

In this communication, we wish to report an extensive study of the regioselective syntheses of (I).

A) Condensation of mono-substituted o-phenylenediamine with α-ketoester.

B) Direct halogenation of 2(1H)-quinoxalinone.

C) Intramolecular cyclization of monosubstituted o-nitrophenylglycine followed by oxidation.

D) Intramolecular cyclization of monosubstituted α-acetyl-, α-chloro- and α-cyano-o-nitroacetoanilides.

These methods will be discussed in detail.

References :

1) Y. Ura, et al., Ger. Offen., 3,004,770

2) Victor J. Lotti, et al., J. Med. Chem., 24, 93 (1981)