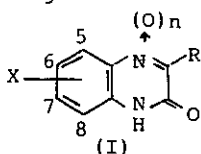


REGIOSELECTIVE SYNTHESSES OF MONOSUBSTITUTED
2(1H)-QUINOXALINONE DERIVATIVES

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)



X ; Halogen, CF₃, NO₂, Alkyl, etc.

R ; H, CH₃

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, CF₃, NO₂ 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)