

REACTIONS OF PYRIDINE N-OXIDES
WITH ENAMINES OF N-SUBSTITUTED 4-PIPERIDONE
IN THE PRESENCE OF ACYLATING AGENTS

Michio Nakanishi and Masahiro Yatabe

Research Laboratories, Yoshitomi Pharmaceutical Industries, Ltd.

Yoshitomi-cho, Chikugo-gun, Fukuoka 871

Pyridine N-oxide reacts readily with 1-morpholinocyclohexene in the presence of an acylating agent to give 2-(2-pyridyl)cyclohexanone in a high yield. While there are many reports of related reactions which involve heterocyclic enamines of the 1(10)-dehydroquinolizidine series, the reaction with enamines of N-substituted 4-piperidone was described to be unsuccessful.

We reexamined the reaction of pyridine N-oxide with the morpholine enamine of N-benzoyl-4-piperidone in the presence of benzoyl chloride and succeeded in the isolation of N-benzoyl-3-(2-pyridyl)-4-piperidone by treatment of the reaction mixture with conc. hydrochloric acid instead of the generally used 20 % hydrochloric acid. Further, enamines of N-ethoxycarbonyl-4-piperidone were found to react more smoothly to give N-ethoxycarbonyl-3-(2-pyridyl)-4-piperidone in high yields. Some derivatives of pyridine and quinoline N-oxides also underwent similar reactions.