SYNTHESIS OF HETEROCYCLIC SYSTEMS BY PHOTOCYCLIZATION OF ANILIDES

Yuichi Kanaoka, Yasumaru Hatanaka, Kunio San-nohe, and Sadao Nakao

Faculty of Pharmaceutical Sciences, Hokkaido University, Sapporo, 060

Heterocyclic Anilides undergo photocyclization in the presence of oxygen to afford the heterocyclic-condensed quinolones, whereas in the absence of oxygen nonoxidative photocyclizations take place to give the corresponding dihydroquinolone derivatives.

As representative examples, nonoxidative photocyclization of indole-2-carboxanilides yielded mainly the 6_a-11_b *cis* isomers of indolo[2,3-c]dihydroquinolin-6-one. By contrast, from the N-methyl homologs only the *trans* isomers were obtained. The reaction courses were examined by isotope techniques and the mechanism was explained in terms of the dual pathways involving a sigmatropic 1,5-hydrogen shift and a competing "solvent-mediated" course. Thus several quinolone derivatives were obtained by the reaction of thiophene-2-carboxanilides and indole-2-carboxanilides. The oxidative photocyclization of amides derived from aminopyridines and heterocyclic acids such as thiophene-2-, N-methylpyrrole-2-, and N-methylindole-2-carboxylic acids readily afforded the expected quinolone systems possessing multi-heteroatoms. Utility of this reaction in synthesizing various heterocycles was discussed.