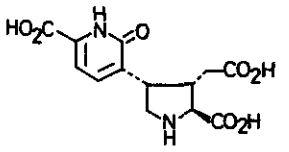
NEW APPROACHES TO SYNTHESES OF PYRIDINE AND PYRIDONE NUCLEUS —— SYNTHESIS OF ACROMELIC ACID A, A TOXIC PRINCIPLE OF CLITOCYBE ACROMELALGA

Katsuhiro Konno, Kimiko Hashimoto, Yasufumi Ohfune[+], Haruhisa Shirahama and Takeshi Matsumoto

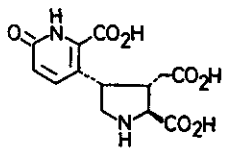
Department of Chemistry, Faculty of Science, Hokkaido University, Sapporo, 060, Japan

[+]Suntory Institute for Bioorganic Research, Shimamoto-cho, Mishima-gun, Osaka 618, Japan

We recently isolated new amino acids acromelic acid A and B as the toxic principle of the poisonous mushroom Clitocybe acromelelga Ichimura, and inferred their structures to be 1 and 2 respectively by spectral analyses. For establishing the structures and investigation of biological properties, our efforts were directed toward syntheses of 1 and 2. In the course of the studies, we required new and efficient method for the syntheses of pyridine and pyridone rings; (1) pyridine ring formation from α,β-unsaturated carbonyl compounds, (2) conversion of pyridine N-oxide to 2-pyridone, which could be operated under mild conditions. We report here the successful results of the investigation along this line and application of the method to the synthesis of acromelic acid A.



acromelic acid A 1



acromelic acid B 2