PYRAZINOLS AND PYRAZINETHIOLS AS ACYL CARRIERS

A. Ohta, M. Shimazaki, M. Inoue and Y. Inagawa
Tokyo College of Pharmacy, Hachioji, Tokyo 192-03

2-Acyloxy-3,6-dialkylpyrazines, derived from amino acids via pyrazinols, were found to be convenient acylating reagents for amines. On the other hand, 3,6-dialkyl-2-pyrazinethiol esters were so unstable that they could not be obtained in pure forms. Accordingly, a convenient acylation method was invented, in which the preparation of pyrazinethiol esters and the acylation of amines and hydroxy compounds using the pyrazinethiol esters were carried out continuously in one pot.

A one pot reaction system, in which sodium pyrazinethiolates were treated successively with phosphoryl chloride and carboxylic acids, activated carboxylic acids, and the addition of amines and hydroxy compounds to this system afforded the amides and esters in good yields. The reaction of carboxylic-phosphoric anhydrides with pyrazinols gave acyloxypyrazines. Namely, this system was also available for acylation of amines.