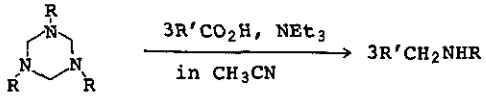
NEW REACTION OF TETRACYCLIC HEXAHYDRO-1,3,5-TRIAZINES WITH CARBOXYLIC ACID DERIVATIVES ——APPROACH TO NEW SYNTHESIS OF β-LACTAMS

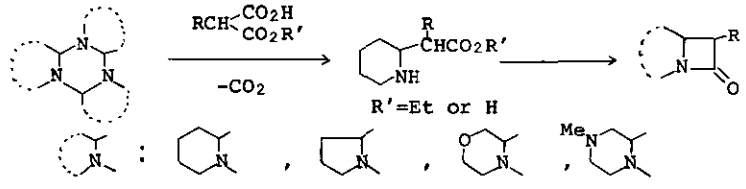
Kiyoshi Ikeda, Hidemichi Fukawa, Yoshiyasu Terao,
Kazuo Achiwa and Minoru Sekiya
Shizuoka College of Pharmacy
2-2-1 Oshika, Shizuoka-shi, Japan

In a continuing investigation on the reaction of hexahydro-1,3,5-triazines (HTA), we have found that certain carboxylic acids susceptible to decarboxylation react with HTA in the presence of NEt_3 as in the following way.



R': CCl_3, $NCCH_2$, HO_2CCH_2 , EtO_2CCH_2, $HO_2CCH_2\overset{O}{\overset{\|}{C}}CH_2$, $EtO_2C\overset{CH_3}{\overset{|}{C}}H$

Tetracyclic HTA, which are easily prepared by dehydrogenation of alicyclic secondary amines, react in the same way, providing an introduction of decarboxylated residues of carboxylic acids at 2-position of alicyclic amines. By the use of malonic acid derivatives were obtained 2-carboxymethyl- or 2-carbethoxymethyl-substituted alicyclic amines, which can be converted into bicyclic β-lactams.



Thus, the reaction offers a new, simple route to introduction of various carbon-containing groups at 2-position of alicyclic secondary amines, which is applicable for synthesis of bicyclic β-lactam.