

INTRAMOLECULAR CYCLIZATION REACTIONS OF CYCLIC AMINE N-IMIDES  
HAVING UNSATURATED SUBSTITUENTS

Haruki Sashida, Masato Hasebe, Masanobu Kato, Jyoji Kurita, and  
Takashi Tsuchiya  
School of Pharmacy, Hokuriku University, Kanagawa-machi, Kanazawa,  
920-11, Japan

Both aliphatic and aromatic azaheterocyclic N-imides are expected highly useful as intermediates in synthetic heterocyclic chemistry. Intermolecular cycloaddition of unsaturated cyclic amine N-imides (1) including aromatic heterocycles to 1,3-dipolarophiles have been well examined. Otherwise, only intramolecular cyclization reactions of cyclic amine N-imides having unsaturated substituents on the imino nitrogen atom were studied. Therefore, we studied the intramolecular cyclization reactions of the cyclic amine N-imides (2-7) having multiple bonds on the ring in order to examine the reactivity and utility of the N-imide salts.

The aliphatic N-imide salts (2,3) proceeded [2,3]-sigmatropic rearrangement to give ring expanded nine-membered cyclic compounds by treatment with bases. The aromatic amine N-imide salts (4,5) with a triple bond cyclized to give the corresponding 3-azaindolizine derivatives by a simple treatment with bases.

Whereas this type of cyclization was generally catalyzed by base, heating of the N-imide salts (6,7) with a double bond in the absence of base produced the corresponding cyclized compounds. In the cases of the imide salts (4,6), cupric chloride was found to accelerate the cyclization reactions without base.

The Schiff bases (8,9) reacted with N-aminating reagents in a different way.

