SYNTHESIS OF SYDNONE COMPOUNDS WITH HETEROCYCLIC SUBSTITUENTS

Hsien-Ju Tien, Mou-Yung Yeh*, Takushi Goto*, Toshio Fuchigami*,
and Tsutomu Nonaka*

Department of Chemistry, National Cheng-Kung University,
Tainan, Taiwan, Republic of China

*Department of Electronic Chemistry, Tokyo Institute of
Technology, 4259 Nagatsuta, Midori-ku, Yokohama, 227, Japan

Sydnone is a typical mesoionic compound and its chemical and physical properties are very unique. Recently, some sydnone derivatives have been found to have physiological activities. Some types of carbon-nitrogen alternate and heterocyclic compounds are well known to show biological activities. In this viewpoint, new kinds of sydnone derivatives with carbon-nitrogen alternate(1) and heterocyclic(2) substituents at the 4-position were sythesized in this work.

First, N-[4-(3-arylsydnonyl)carbonyl]aryl(1a), N-[4-(3-arylsydnonyl)carbonyl]-N',N'-dimethylform(1b), N-[4-(3-arylsydnonyl)thiocarbonyl]-N',N'-dimethylform(1c) amidines were prepared from the corresponding carbonyl chlorides, carboxamides, and carbothioamides. The cyclization of 1a-c gave the sydnone derivatives(2a-c) attached by heterocyclic groups such as 1,2,4-oxadiazolyl, 1,2,4-triazolyl, and 1,2,4-thiadiazolyl, respectively. Sydnones substituted by thiazole, thiophene, benzothiazine, dihydroquinoxaline, and benzoxadine neuclei were also sythesized by the reaction of 4-bromoacetyl-3-arylsydnones(3) with doubly fuctionalized neucleophiles. Sydnones with 1,2,4-oxadiazole and Δ^2-oxadiazoline substituents were synthesized *via* amidoximes derived from 3-arysydnone-4-carbonitriles(4) and also the 1,2,3-triazole derivatives were prepared *via* phosphorous ylids(5) derived from 3.

Based on synthetic results obtained, reactivities and properties of sydnone compounds will be discussed.