

NOVEL RING TRANSFORMATION OF α -MONO-SUBSTITUTED 1,2-BENZISOXAZOLE-3-ACETIC ACID ESTERS INTO 2H-AZIRINE DERIVATIVES

Shōzō Ueda, Shunsuke Naruto, Toyokichi Yoshida, Tadahiro Sawayama, and
Hitoshi Uno

Research Laboratories, Dainippon Pharmaceutical Co., Ltd.

33-94, Enoki-Cho, Suita, Osaka 564, Japan

Novel ring transformations of α -mono-substituted 1,2-benzisoxazole-3-acetic acid esters (1) are reported. It is found that on treatment with strong bases, such as NaH, *t*-BuOK, and EtONa, the esters (1) undergo three modes of reaction depending on the kind of the α -substituent as shown in Chart.

A) In the case of the esters (1) having α -alkyl, alkoxyl, phenoxy or phenylthio group, a Neber type rearrangement takes place to give 2H-azirine derivatives (2).

B) The esters (1) having α -dialkylamino group undergo a novel ring transformation to give 3-imino(2H)benzofuran derivatives (3).

C) Under similar conditions as above, the esters (1) having α -cyano or phenylsulfinyl group and a non-substituted ester (1, R=H) afford stable conjugated α -carbanions and are recovered unchanged.

These ring transformations giving 2H-azirine and benzofuran derivatives were the first example of a reaction concerning the nitrogen atom of 1,2-benzisoxazole ring. Several reactions of 2 and 3 are also reported.

