

[Heterocycles, 38, 1733-1736 (1994)]

[Lab. of Pharm. Chemistry]

New Transformation of Lactam Sulfides to Tetrahydro-3,6-epithiobenzazocines via Bicyclic Sulfonium Salts with a Sulfonio Bridgehead.

TADASHI KATAOKA*, YOSHIHIDE NAKAMURA, HARUTOSHI MATSUMOTO,
TETSUO IWAMA, HIROSHI SHIMIZU

1-Methyl-3,5-dihydro-4,1-benzothiazin-2(1*H*)-one (1) was chlorinated with *N*-chlorosuccinimide to give 5-chloro derivative (2). The α -chlorosulfide (2) was treated with dienes in the presence of silver perchlorate to afford cyclic sulfonium salts (3). Reactions of 3 with sodium borohydride or sodium hydride provided 4-vinyltetrahydro-3,6-epithiobenzazocine derivatives in good yields.

[Synlett, 1017-1019 (1994)]

[Lab. of Pharm. Chemistry]

A New Synthesis of β -Keto and β -Formyl Sulfones by the Reactions of Imines and Sulfonyl Chlorides.

TADASHI KATAOKA*, TETSUO IWAMA

Reactions of imines (1, 2 equiv), easily obtained from corresponding ketones or aldehydes, with phenylmethanesulfonyl chloride (1 equiv) followed by hydrolysis with 3*N*-HCl provided β -keto and β -formyl sulfones in moderate to good yields under mild conditions, respectively. This reaction proceeds *via* the addition of an enamine isomerized from an imine (1) to a sulfene intermediate.

[Synthesis, 203-206 (1994)]

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Synthesis of 6- or 8-Carbon-Substituted 2-Oxopurines.

TATSUNORI IWAMURA, YOSHINORI OKAMOTO, MASAHARU YOKOMOTO,
HIROSHI SHIMIZU, MIKIO HORI, TADASHI KATAOKA*

Reaction of 3,7-dimethyl-6-methylthio-2-oxopurine (1) with Grignard reagents or organolithiums afforded 8-adducts 2, whereas the corresponding reaction of 3,7-dimethyl-2-oxopurine (3) gave 6-adducts 4. The adducts 2 and 4 were converted into 8-substituted theobromines 5 and 6-substituted 3,7-dimethyl-2-oxopurines 6, respectively. 8-Chlorotheobromine (7) reacted with Grignard reagents in the presence of a catalytic amount of NiBr₂(PPh₃)₂ to give 5 in good yields.