〔Heterocycles, **27**, 2091 (1988)〕

Synthesis of a New Skeleton, 2,6-Epithio-3-benzazocine.

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1-(2-Ethoxycarbonylaminoethyl)-1,4,4-trimethylisothiochroman 2-oxide (**1**), a key intermediate for the synthesis of 2,6-epithio-3-benzazocine skeleton, was synthesized from 4,4-dimethylisothiochroman. Heating the isothiochroman sulfoxide **1** with acetic anhydride in Dowtherm A (a mixture of biphenyl and diphenyl ether) afforded 3-ethoxycarbonyl-1,1,6-trimethyl-2,6-epithio-3-benzazocine (**2**) (18%) together with the 3-acetoxyisothiochroman (**3**) (34.1%) and 3-acetoxy-*N*-acetylisothiochroman (**4**) (45.0%). In order to improve the yield of **2**, the sulfoxide **1** was led to the 3-acetoxy derivative **3** (88.6%) by refluxing in acetic anhydride and then **3** was heated in Dowtherm A at 200°C for 2.5 hr to give the desired epithiobenzazocine **2** (71.3%).

〔Chem. Pharm. Bull., **36**, 551 (1988)〕

Synthesis of 2-Aryl-2,3-dihydro-3-piperazinylmethyl-1,5-benzothiazepin-4(5*H*)-ones and Related Compounds.

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A series of *trans*-(**1**) and *cis*-2-aryl-2,3-dihydro-3-piperazinylmethyl-1,5-benzothiazepin-4(5*H*)-ones (**2**) and related compounds were synthesized. Optical resolution of the 2-phenyl-3-piperazinylmethyl (**2a**) and 2-phenyl-3-(4-methylpiperazinylmethyl) compounds (**2b**) afforded (−)-**2a**, an active metabolite of (−)-**2b**, and (−)-**2b** (hydrochloride: BTM-1086), a potent anti-ulcer agent with gastric antisecretory and gastric mucosal blood flow-increasing activities, respectively.

〔Chem. Pharm. Bull., **36**, 1698 (1988)〕

Synthesis and Thermal Reactions of Cyano-Stabilized Cyclic Sulfur Ylides, 2-Alkyl-1-cyano-3,4-dihydro-1*H*-2-thionianaphthalen-1-ides.

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1-Cyanoisothiochromans **1** were synthesized by the intramolecular Pummerer reaction of cyanomethyl phenethyl sulfoxides with acetic anhydride. Alkylation and deprotonation of **1** afforded 1-cyano-2-methyl-3,4-dihydro-1*H*-2-thionianaphthalen-1-ides **3** underwent the 1,2-rearrangement, dimerization or solvent-uptake reaction depending upon the solvent used. Mechanisms for dimerization and the solvent-uptake reaction were discussed.