CONVERSIONS OF BENZO[b]THIOPHEN-3(2H)-ONES AND THIOCHROMAN-4-ONES INTO 1,2-BENZOTHIAZEPIN-5-ONE AND 1,2-BENZISOTHIAZOLE SYSTEMS VIA SULFILIMINE INTERMEDIATES

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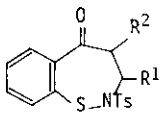
Novel ring transformations of thiochroman-4-ones and benzo[b]thiophen-3(2H)-ones to 2,3,4,5-tetrahydro-1,2-benzothiazepin-5-ones (1) and 3-vinyl-1,2-benzisothiazoles (2) via sulfilimine intermediates are described.

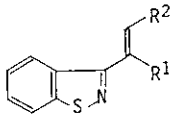
Reaction of thiochroman-4-ones with chloramine-T·trihydrate gave the corresponding N-tosylsulfilimines, along with the sulfoxides. The sulfilimines, when treated with triethylamine in chloroform, were smoothly converted into (1) in high yields. A similar transformation was achieved from benzo[b]thiophen-3(2H)-ones. For examples, reaction of 2,2-dimethylbenzo[b]thiophen-3(2H)-one with chloramine-T gave a mixture of the corresponding N-tosylsulfilimine and the sulfoxide. Refluxing the sulfilimine in benzene in the presence of triethylamine gave (1, R^1=Me, R^2=H) in quantitative yield.

Treatment of thiochroman-4-ones with O-mesitylenesulfonylhydroxylamine in methylene chloride gave the S-aminosulfonium salts, which were treated with aqueous sodium hydroxide at room temperature to give 3-vinyl-1,2-benzisothiazoles (2). The 1,2-benzisothiazole (2, R^1=Me, R^2=H) was also obtained from 2,2-dimethylbenzo[b]-thiophen-3(2H)-one by a similar sequence of the reactions.

Reaction pathways for the formation of (1) and (2) are discussed.



(1)



(2)