Streszczenie w języku angielskim

The main goal of the research carried out as a part of the doctoral dissertation was the search for new reactions of known D-A cyclopropanes (Donor-Acceptor Cyclopropanes) in the syntheses of cyclic and acyclic organosulfur compounds by cycloaddition or addition reactions with such substrates as thioketenes, thioketones (tropothione) and enolizable azaheterocyclic thiones.

In the first part of the research, it was demonstrated that, analogously to the previously studied ferrocenyl thioketones, (3+2)-cycloadditions of D-A of cyclopropanes with thioketenes carried out under mild conditions, in the presence of scandium triflate Sc(OTf)3 as a catalyst, led to 5-membered thiolanes (tetrahydrothiophenes) functionalized with a methylidene group in the C(2) position. The products were formed in a moderately stereoselective manner, with the predominance of the diasteroisomer (Z)- at a level of ca. 65:35 [(Z)-: (E)-]. It was found that (8+3)-cycloadditions of D-A cyclopropanes with tropothione proceeded in a completely stereoselective manner and led to previously unknown bicyclic thiopyran derivatives in high yields.

In the second phase of the presented research, D-A reactions of cyclopropanes with enolizable and non-enolizable azaheterocyclic thions of different ring sizes were tested. It turned out that 1-substituted 5-mercaptotetrazoles reacted with D-A-cyclopropanes to give open-chain products formally formed via competitive insertions into S-H or N-H bonds of both tautomeric forms. The mechanisms of these reactions are discussed and a hitherto unknown rearrangement of initially formed products of the S-insertion in the terazole series is also possible. In contrast to 5-mercaptotetrazoles, other enolizable azaheterocyclic thiones, both 5-membered (imidazole-2-thiones, 1,2,4-triazole-2-thiones, 1,3-benzothiazole-2-thiones) and 6-thiones members (2-mercaptopyridine, 2-mercaptopyrimidine, etc.) reacted in a completely chemoselective manner and gave the corresponding sulfides as exclusive insertion products into the S-H bond.

The ambident reactivity leading to competatove formation of both S- and N-insertion producrs has been observed in a series of derivatives of 2-mercapto-1,3,4-thiadiazole. In a series of the test experiments with the non-enolizable imidazole-2-thiones, it was established that they do not react with D-A cyclopropanes to form stable sulfur heterocycles, but decomposition processes occured under the applied reaction conditions, leading to the formation of unidentified complex mixture of products. In the course of the multi-stage synthesis of hitherto unknown, non-enolizable imidazole-2-thiones, including derivatives of

naturally occurring imidazole alkaloids (so-called lepidilines A and C), biological activity of both precursors, i.e. imidazolium salts, and the thiones obtained therefrom, was examined. As a part of the same project, a series of fluorinated analogues of lepidilines A and C were also obtained and their biological activity was examined. These studies have shown that some of the tested, fluorinated imidazolium salts and imidazole-2-thiones display a remarkable anticancer activity.