Nowe aminoalkilofosfoniany o potencjalnej aktywności biologicznej

The wide spectrum of biological activity of aminophosphonic acids, their esters, and short phosphonopeptides has become an inspiration to design two series of enantiomeric propylphosphonates functionalized with additional pharmacophores – amino and hydroxy groups. The respective aziridinephosphonates were convenient substrates for the synthesis of designed propylphosphonates.

The key step in the synthesis of enantiomerically pure aziridinephosphonates, having amino group in the α position, was a one-pot three-component Kabachnik-Fields reaction of respective enantiomeric *N*-(1-phenylethyl)aziridine-2-carboaldehydes with benzylamine and triethyl phosphite. On the other hand, aziridinephosphonates without any substituent at α position have been obtained as enantiomerically enriched compounds in a two-step reaction sequence involving aziridine ring formation followed by the introduction of an electro-withdrawing or an electron-donating group on the nitrogen atom.

All aziridinephosphonates were subjected to the nucleophilic aziridine ring opening reactions leading to the formation of the designed propylphosphonates functionalized with amino and hydroxyl groups at C1, C2 and C3 (first series of compounds) as well as at C2 and C3 positions (the second series of derivatives). The influence of the nature of the protection group present at the nitrogen atom on the regioselectivity of the ring-opening reaction in aziridinephosphonates has been examined.

Attempts to determine the enantiomeric purity of all new enantiomerically enriched compounds have been made. The enantiomeric excess was established for four derivatives.

The enantiomerically pure aziridinephosphonates and aminopropylphosphonates were examined for antibacterial, antifungal, antiviral, and cytostatic activities. Aziridinephosphonate (1*S*,2*R*,1′*S*)-**27** showed the highest antibacterial, antiviral and cytostatic activities. Biological screening of all enantiomerically enriched compounds is currently in progress.