POLYNUCLEAR HETEROCYCLIC NH ACIDS – SYNTHESIS, REACTIVITY AND BIOLOGICAL ACTIVITY

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Heterocyclic compounds possessing acidic NH group have been intensively studied because of their possible interaction with biomolecules like nucleic acid or peptides. In our study we are actually focused on azaanalogs of pyrimidine bases like 6-azauracils, their thioderivatives, 6-azaisocytosines, 3-hydroxy-4(1H)-quinolinones and quinoxalin-2-ones. Although these types of derivatives are known [1], we have tried to use them as active parts of molecules having more these systems in their structure. So we have prepared novel heterocycles of type e.g. 1-5.

These compounds were subjected to in vitro cytotoxicity screening against leukaemic cell lines Cem and K562 and daunorubicin or paclitaxel resistant leukemic cell lines CEM-DNR bulk and K562-tax respectively, with activity IC₅₀ starting from 0,56 M. Some of them were tested in advanced test against 60 cancer lines. Intermediates of quinolinones synthesis then exhibited activity against Mycobacteria tuberculosis. The synthesis, properties and structure-biological activity relationship will be discussed.

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