Tumors, next to diseases of the cardiovascular system, are the most serious diseases of civilization. Currently, the effectiveness of cancer treatment depends on early diagnosis and the development of modern drugs which are capable to eliminate the individual tumor cells while minimizing the side effects on normal body cells. Therefore, more and more popular in research are natural compounds or their derivatives. Flavonoids belong to a group of phenolic compounds found in plants. The relatively low cost of obtaining these compounds in combination with their anticancer properties make flavonoids attractive targets studied by many research centers. Unfortunately, due to poor penetration across cell membranes and the relatively poor aqueous solubility, their usefulness in therapy is extremely limited. In the present research project we intend to focus on structural modifications of the three most important biologically active hop flavonoids: xanthohumol, isoxanthohumol and 8-prenylonaryngeniny and their selected structural analogues. Xanthohumol is an active ingredient of multiple preparations and stimulate the health-promoting action. 8-prenylnaringenin is the most potent phytoestrogen and is used in many preparations to alleviate the menopause symptoms. It was found that isoxanthohumol is a proestrogen with similar action as 8-prenylnaringenin. All compounds possess anticancer properties. During tests, derivatives of these compounds will be prepared and characterized in terms of solubility in water and stability under varying conditions of pH and susceptibility to enzymatic degradation. Their ability to penetrate the lipid membranes in the calorimetric and spectroscopic studies also will be examined. In the next step we will investigate their biological activity including antitumor, antioxidant and antidiabetic action. On the basis of the proposed tests, the compounds with the strongest biological activity will be selected. In the last stage of the project the molecular mechanism of action of the compounds on tumor cells will be investigated. The study will help to enrich knowledge in both the physicochemical and biological properties of the tested compounds and their structural chemistry. Selected from experiments derivatives of the strongest antitumor and antidiabetic activity will be used to develop the formulation of new, effective drugs. The mechanisms of their actions also will be explained.