Prostate cancer is the most common neoplasia in men. The therapy of progressed tumor is usually not efficient and early diagnosis is therefore crucial for successful treatment. Glutamate carboxypeptidase II (GCPII) is an established marker for prostate cancer imaging and therapy as the neoplastic transformation of prostate tissue is accompanied by the substantial increase of GCPII expression levels. Currently used GCPII-specific diagnostic and therapeutic reagents can be broadly categorized as small-molecule ligands or macromolecules. Antibodies are preferred macromolecules used in clinic. At the same time, however, protein engineering is regularly applied to modify natural antibodies to enhance their utility in biomedicine applications. This thesis summarizes the current knowledge about the GCPII structure and function and its role in diagnosis and therapy of prostate carcinoma.