Synthesis and Characterization of the Binding of a 5-aminobenzo[c][2,6]naphthyridine-8-Carboxylic Acid and Peptoid Conjugate to Protein Kinase CK2

Simson, Andreas (School: Tallinn Secondary School of Science)

The aim of this work was to develop a more effective biligand inhibitor for protein kinase CK2, an effective synthesis for it and characterize its binding ability to CK2. This was accomplished using analytic research methods to determine the successfulness of the synthesis and to analyze the inhibitive abilities of the synthesized inhibitors. The target compound of the research was labeled as ARC-1533, but during the synthesis, three side products were extracted, which all showed biological activity and had inhibitive properties. These were labeled ARC-1531, ARC-1532, and ABNC-Oca. The binding ability to CK2 of all four inhibitors was measured and only the inhibitive properties of ARC-1533 exceeded that of reference compound CX-4945. Although all the side products showed less activity in inhibiting CK2, the results displayed a correlation between the length of the peptoid chain in ARC-inhibitors and their inhibiting capability. Due to ARC-1533 being a more effective inhibitor than CX-4945 it can also be inferred that the structural modifications made to the inhibitor in the given work had beneficial effects on the inhibiting abilities. The results presented in the work can be expanded upon by optimizing the synthesis to produce larger yields and a decrease in cost. Additionally, the research into the effect of structural changes to ARC-inhibitors on their inhibiting capability can be extended to maximize the effectiveness of regulatory compounds of CK2. Finally, the relationship between the length of the peptoid chain and binding ability can be investigated to produce superior inhibitors and even possible drug candidates.

Awards Won: