*Boron compounds*

# New Approaches to the Synthesis of Boron-containing Biologically Active Compounds for Boron Neutron Capture Therapy

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At the present time the main field of application of boron compounds in medicine is Boron Neutron Capture Therapy for Cancer (BNCT). In this presentation the principles of BNCT and main boron-containing biologically active compounds used for BNCT will be shown [1,2]. The successful treatment of tumors by BNCT requires selective delivery of the boron moiety into the tumor cells. One of the ways to solve this problem is attachment of boron fragment to different tumor-specific targeting molecules.

Literature data of novel boronated amino acids, and our recent results on the preparation and design of different conjugates of boron-containing biologically active compounds with tumor-seeking molecules, like cholesterol [3], curcumine [4], acridine [5] will be presented. Conjugates of cholesterol with *closo*-dodecaborate and cobalt bis(dicarbollide) can be used as liposome precursors for the selective delivery of boron into tumor cells for boron neutron capture therapy for cancer. Boronated curcumines are considered to be potential BNCT candidates because they can accumulate in the tumor cells, therefore, the report will show the synthesis of curcumin derivatives with cobalt bis(dicarbollide), as well as their antiproliferative activity and intracellular accumulation. Recently, researchers have paid attention to DNA-binding BNCT agents, such as acridine, so the report will consider examples of the synthesis of conjugates of acridine with cobalt bis(dicarbollide) and will present biological studies of the resulting new derivatives.

The synthesis of boron-containing biologically active compounds as potential candidates for BNCT was mainly carried out via the Cu(I)-catalyzed 1,3-dipolar [3 + 2] cycloaddition reaction of alkynes to azides (“click” reaction) and the ring-opening reactions of the cyclic oxonium derivatives of polyhedral boron hydrides with various nucleophiles.

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