Synthesis and Some Transformations of Biscarborane Chelate Ester of Boric Acid

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The most important problem of neutron-capture therapy of malignant neoplasms with the use of reaction ${}^{10}B(n, \alpha, \gamma)$ Li(B-MCT) is the design of boroorganic compounds capable of selective accumulation in tumor tissues. Special interest present compounds of carborane series containing 10 and more atoms of boron, which are the precursors for the construction of new effective compounds for B-MCT.

In this connection, we synthesized biscarborane chelate ester of boric acid by reaction of to 1,2-bis(oxymethyl)-*o*-carborane with boric acid and investigated its properties and transformations.

$$2B_{10}H_{10} \underbrace{\bigcirc}_{CCH_2OH}^{CCH_2OH} + H_3BO_3 \xrightarrow{\frown}_{B_{10}H_{10}} \underbrace{\bigcirc}_{CCH_2O}^{CCH_2O} \underbrace{\xrightarrow}_{B_{10}H_{10}}^{H^+OCH_2C} B_{10}H_{10}$$

Chelate ester synthesized is stable under storage conditions and acid-resistant. It demonstrates the properties of ionites and easily enters the reactions of acylation, alkylation, aminolysis, etc. It is a suitable precursor for synthesis of B-MCT. This is confirmed by the reactions below which gave previously unknown carboranyl-containing compounds that might possess antitumor activity and other valuable properties.



The structure of compounds synthesized was confirmed by IR-, NMR¹H and ¹¹B and mass-spectrometry data.