

NAKAMURA-1: Boron Delivery Agents for BNCT: Current Status and Future Prospects

Hiroyuki Nakamura

Laboratory for Chemistry and Life Science, Institute of Innovative Research, Tokyo Institute of Technology
E-mail: hiro@res.titech.ac.jp

Currently clinical trials of BNCT are widely studied using accelerator- or reactor-based neutron sources with L-paraboronophenylalanine (L-BPA). On March 2020, accelerator-based boron neutron capture therapy (AB-BNCT) system as a new medical device and L-BPA-based boron delivery agent (Boropharan [^{10}B]) were approved by the Ministry of Health, Labour and Welfare of Japan for the treatment of locally unresectable recurrent or unresectable advanced head and neck cancer. Thus, the development of new boron delivery agents is an urgent requirement for the further development of BNCT.

Boron drugs used in BNCT must meet the following ideal requirements:

- (1) They must be able to maintain the ^{10}B -boron concentration in the tumor tissues at which an antitumor effect can be anticipated during neutron irradiation.
- (2) Their systemic toxicity must be low to ensure safety. Further, while achieving higher uptake into the tumor tissue than the normal tissue, the ratios “concentration in tumor tissue / concentration in normal tissue” and “concentration in tumor tissue / concentration in blood” must be high.
- (3) They should be rapidly cleared off from the normal tissues and blood after neutron irradiation.

Therefore, the tumor selectivity of these boron drugs should minimize their effect on normal tissues and maintain the ^{10}B -boron concentration in tumor tissues at which an antitumor effect can be anticipated during neutron irradiation. In order to satisfy these criteria, various boron delivery agents have been reported.

In this technical meeting, I will first analyze the characteristics of L-BPA which led to the success of BNCT, and then consider the future prospects with discussing recently developed boron delivery agents.