

マレイミド修飾型クロソドデカボレート-アルブミン複合体の開発とその高い中性子捕捉治療効果

(東工大化生研) 菊池俊介、佐藤伸一、中村浩之、(学習院大) 加納大輔、(京大炉) 櫻井良憲、鈴木実

Maleimide-functionalized *closo*-dodecaborate albumin conjugates(MID-AC): Unique ligation at cysteine and lysine residues enables efficient boron delivery to tumor for neutron capture therapy

Shunsuke Kikuchi, Daisuke Kanoh, Shinichi Sato, Yoshinori Sakurai, Minoru Suzuki, Hiroyuki Nakamura

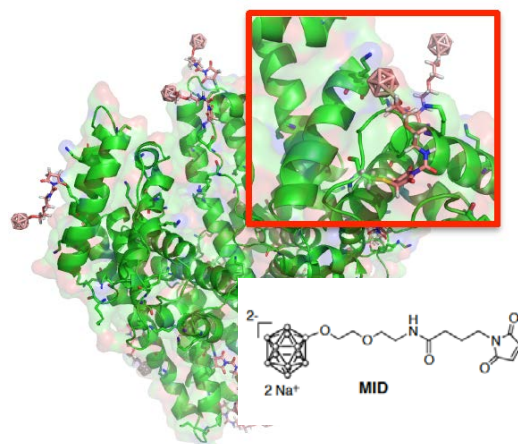
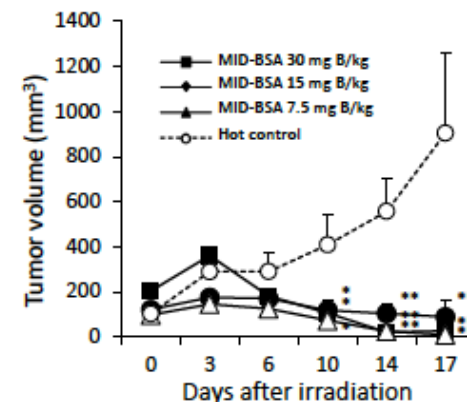


Figure1. Structure of MID-AC



Figure 2. Neutron irradiation of CT26 tumor-bearing mice at Kyoto University Reactor (KUR) and their BNCT effect.



生理的条件下でタンパク質のシステイン残基だけでなくリジン残基に結合するホウ素クラスター結合マレイミド (MID) の開発に成功した。血清アルブミンにMIDを導入後、がん移植マウスに投与し中性子照射を行なったところ、非常に高いがん細胞増殖抑制効果が得られた。

Maleimide-conjugating *closo*-dodecaborate (MID) was synthesized found to conjugate to free SH of cysteine and lysine residues in BSA under physiological conditions, forming highly boronated BSA that showed high and selective accumulation in tumor and significant tumor growth inhibition in colon 26 tumor-bearing mice subjected to thermal neutron irradiation.