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Study of the Fluorine- and Boron-Compounds toward MRI and BNCT

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Magnetic resonance imaging (MRI) and boron neutron capture therapy (BNCT) are quite attractive technologies for the diagnosis and treatment of cancer, respectively. In order to develop novel contrast agents and BNCT, the novel boron-10 containing compounds containing both ¹⁹F and ¹⁰B atoms were designed and synthesized. In this study, we report the synthesis, purification, and internalization rates of these compounds into tumor cells of mice. Furthermore, their ¹⁹F NMR measurements are also reported.

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Keywords: boron neutron capture therapy (BNCT), 3-(4-boronophenyl)alanine [Bpa], 3-(4-boronophenyl)alaninol [Bpa(F₂-ol)], magnetic resonance imaging (MRI), and BNCT.

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Introduction

According to our previous study, magnetic resonance imaging (MRI) based on the dipeptides containing 3-(4-fluorophenyl)alanine [Phe(F)] internalized into tumor cells may be accessible as a promising means for diagnosis of cancer.

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From the standpoint of a treatment of boron neutron capture therapy (BNCT), the interaction of ¹⁰B isotope and thermal neutrons [1-3]. In order to develop practical tools for BNCT, we designed and synthesized the novel compounds containing both ¹⁹F and ¹⁰B atoms in a single molecule.

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Results and Discussion

At present 3-(4-boronophenyl)alanine (Bpa) (1) [4] and 3-(4-boronophenyl)alaninol (Bpa-ol) (2) [5] enriched with ¹⁰B isotope seem to be good candidates for BNCT as the ¹⁰B carrier. In the present study we carried out the synthesis of

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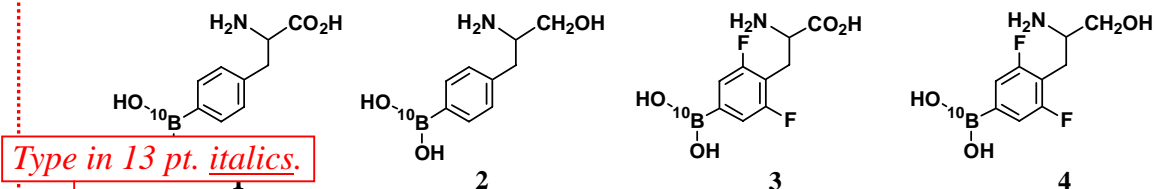
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two novel compounds containing both ^{19}F and ^{10}B atoms in a single molecule such as 3-(4-borono-2,6-difluorophenyl)alanine [$\text{Bpa}(\text{F}_2)\text{-}^{10}\text{B}$] (**3**) and 3-(4-borono-2,6-difluorophenyl)alaninol [$\text{Bpa}(\text{F}_2)\text{-}^{10}\text{B-ol}$] (**4**); these compounds may be useful for not only MRI but also BNCT (Fig. 1).

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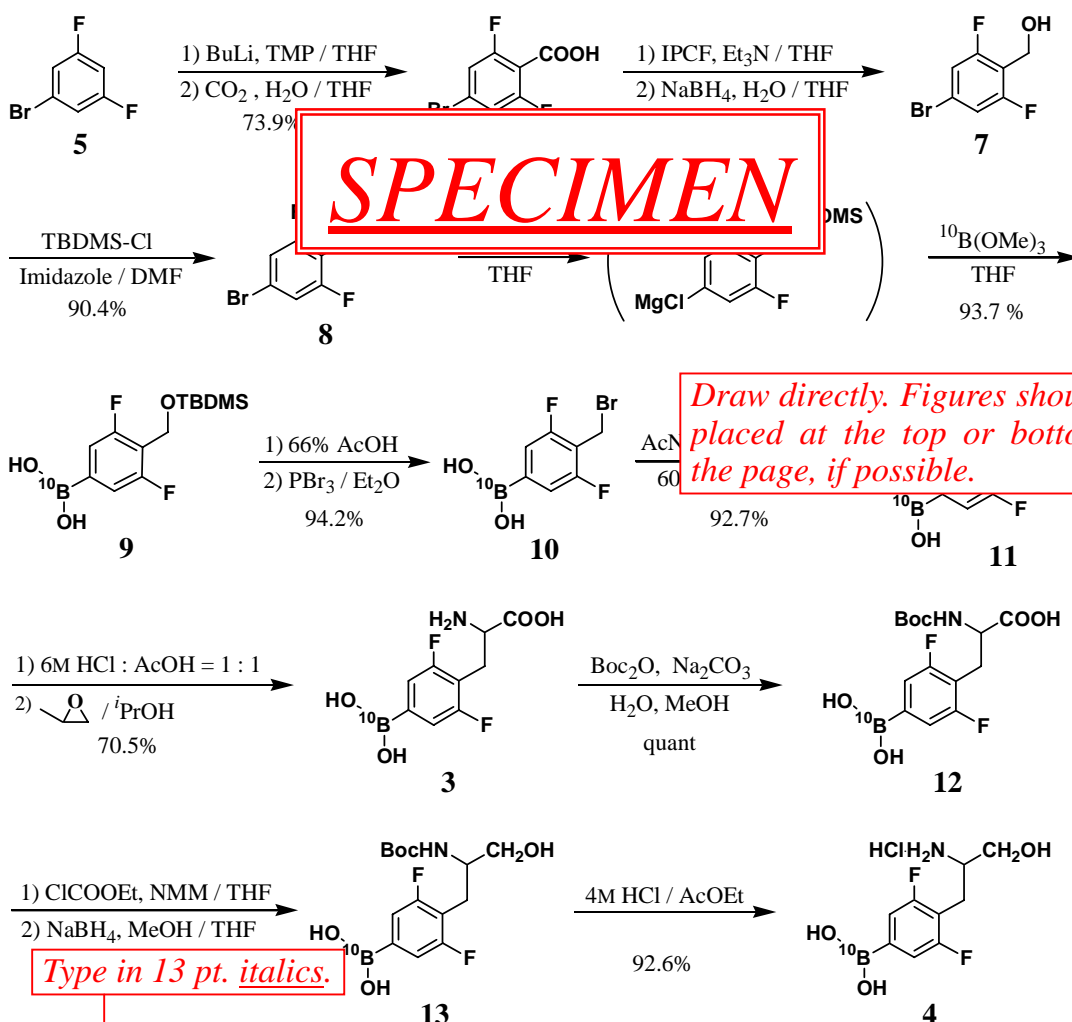


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Fig. 1. $\text{Bpa}\text{-}^{10}\text{B}$ (**1**) and the related compounds **2** ~ **4**.

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Fig. 2. Synthetic scheme of $\text{Bpa}(\text{F}_2)\text{-}^{10}\text{B}$ (**3**) and $\text{Bpa}(\text{F}_2)\text{-}^{10}\text{B-ol}$ (**4**).

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References

1. Kato, I., Ono, K., Sakurai, Y., Ohmae, M., Maruhashi, A., Imahori, Y., Kirihata, M., Nakazawa, M., and Yura, Y. (2004) *Appl. Radiat. Isot.*, **61**, 1083-1087.
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