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

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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 practical BNCT, the novel compounds containing both <sup>19</sup>F and <sup>10</sup>B atoms were designed and synthesized. In this study, we report the synthesis and internalization rates of these compounds into tumor cells of mice. Furthermore, their <sup>19</sup>F 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-ol], magnetic resonance imaging (MRI), and boron neutron capture therapy (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 brain tumor, boron neutron capture therapy (BNCT) is a promising method. The interaction of <sup>10</sup>B isotope and thermal neutrons [1-3]. In order to develop practical tools for BNCT, we designed and synthesized the novel compounds containing both <sup>19</sup>F and <sup>10</sup>B atoms in a single molecule.

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## Results and Discussion

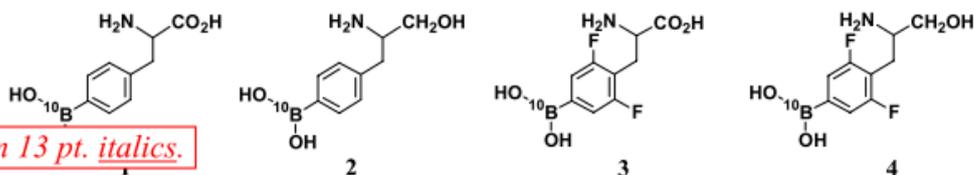
At present 3-(4-boronophenyl)alanine (Bpa) (1) [4] and 3-(4-boronophenyl)alaninol (Bpa-ol) (2) [5] enriched with <sup>10</sup>B isotope seem to be good candidates for BNCT as the <sup>10</sup>B carrier. In the present study we carried out the synthesis of

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two novel compounds containing both  $^{19}\text{F}$  and  $^{10}\text{B}$  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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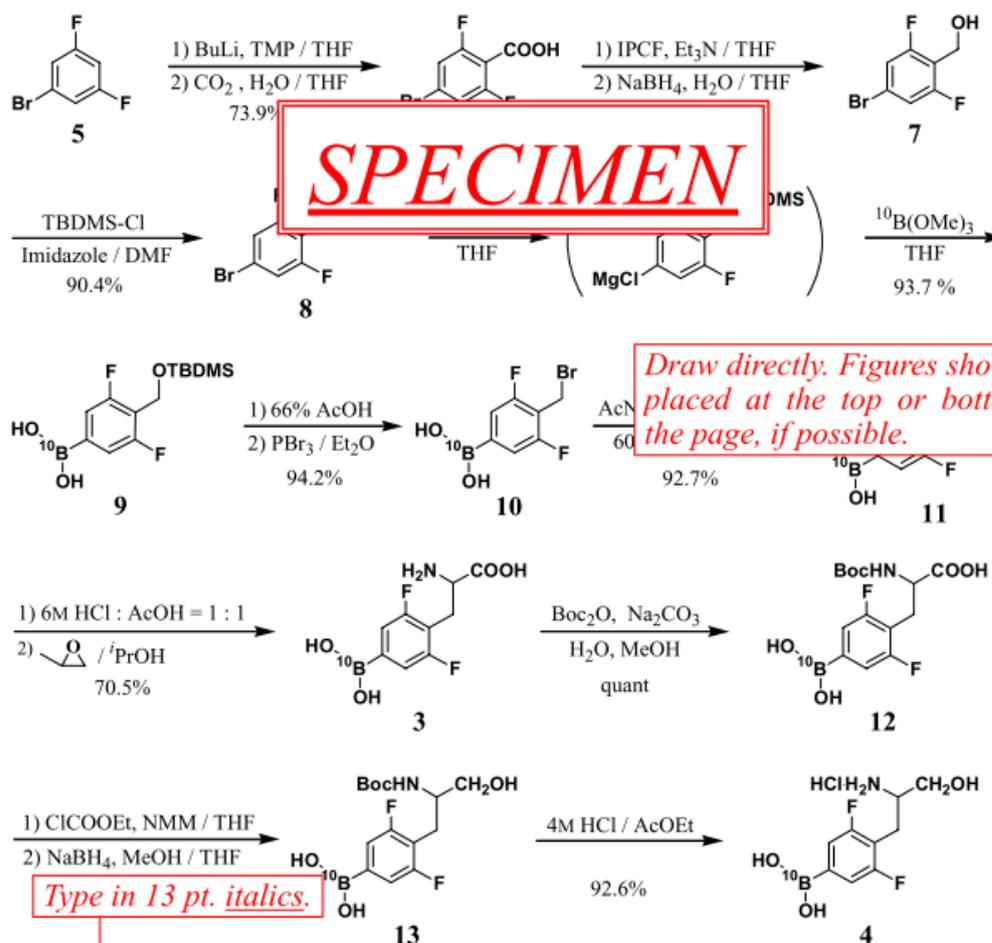


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Fig. 1.  $\text{Bpa-}^{10}\text{B}$  (1) and the related compounds 2 ~ 4.

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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

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*References should follow the numerical system starting with reference No. 1, indicated as [1] in the text. The layout style for citing journal and book references should conform with those in the sample above. Citation of articles "in preparation" or "submitted for publication" is not permitted.*

*All the manuscripts should be 2 pages.*

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