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Study of the Fluorine- and Boron-¹⁰ Compounds toward MRI and

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Magnetic resonance imaging (MRI) and boron neutron capture therapy (BNCT) are quite attractive techniques for cancer, respectively. In order to develop compounds containing both F and B atoms in a single molecule were designed and synthesized. In this study, ¹⁹F NMR measurements are also reported.

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

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Introduction

According to our knowledge, 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.

From the standpoint of a treatment of brain tumors [1-3]. In order to develop practical tools for BNCT, the novel compounds containing both F and 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 ¹⁰B isotope seem to be good candidates for BNCT as the ¹⁰B carrier. In the present study we carried out the synthesis of

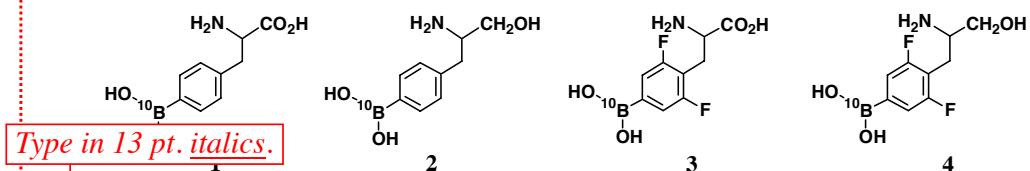
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two novel compounds containing both ^{19}F and ^{10}B atoms in a single molecule such as 3-(4-borono-2,6-difluorophenyl)alanine [$\text{Bpa}(\text{F}_2)\text{-}^{10}\text{B}$] (**3**) and 3-(4-borono-2,6-difluorophenyl)alaninol [$\text{Bpa}(\text{F}_2)\text{-}^{10}\text{B-ol}$]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

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

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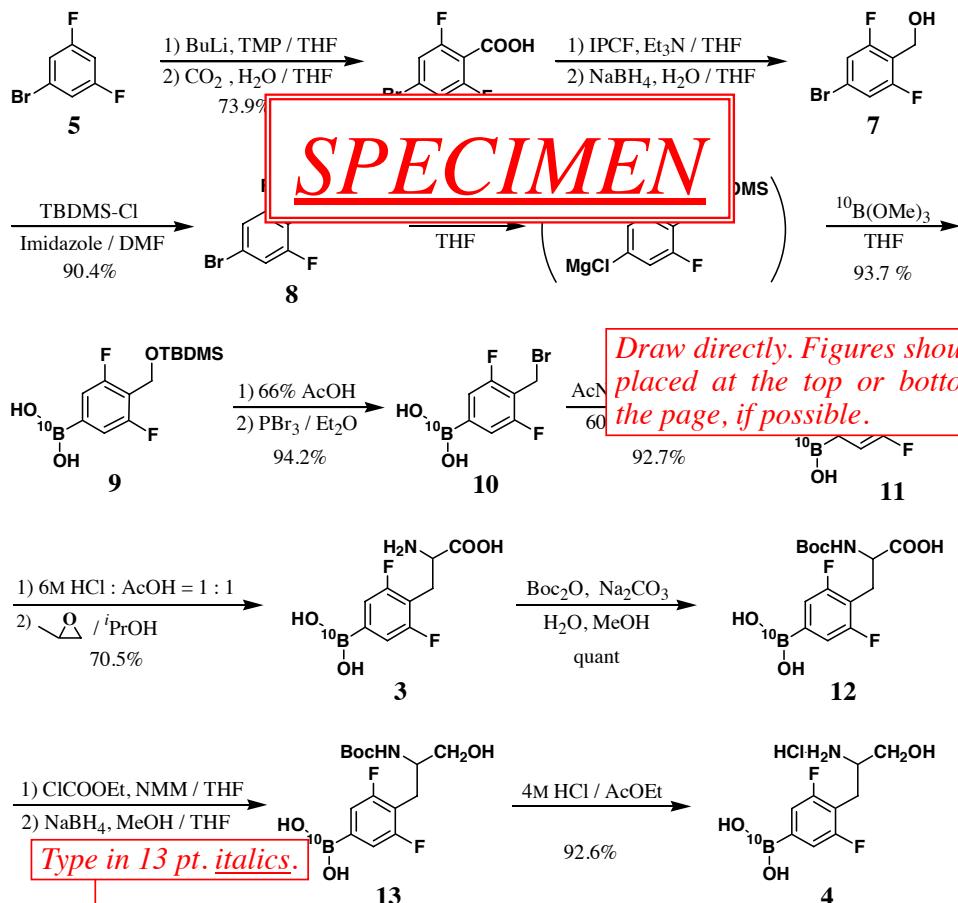


Fig. 2. Synthetic scheme of $\text{Bpa}(\text{F}_2)\text{-}^{10}\text{B}$ (**3**) and $\text{Bpa}(\text{F}_2)\text{-}^{10}\text{B-ol}$ (**4**).

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References

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