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

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Magnetic resonance imaging (MRI) and boron-neutron capture therapy (BNCT) are quite attractive techniques for diagnosis and treatment of cancer, respectively. In order to develop the practical tools both for MRI and BNCT, the novel compounds containing both fluoride and boron-10 atoms in a single molecule were designed and synthesized. In the present paper synthesis and the internalization rates into tumor cells of these compounds are elucidated. Furthermore, their 

Keywords: boron neutron capture therapy (BNCT), 3-(4-boronophenyl)alanine (Bpa), 3-(4-borono-2,6-difluorophenyl)alanine [Bpa(F2)], 3-(4-borono-2,6-difluorophenyl)alaninol [Bpa(F2)-ol], magnetic resonance imaging (MRI)

Introduction

According to our preliminary elucidation, the magnetic resonance imaging (MRI) based on the fluoride NMR measurement of 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 cancer or melanoma, the boron-neutron capture therapy (BNCT) based on the interaction of boron-10 isotope and thermal neutron has been highly noted in recent years [1-3]. In order to develop practical tools for BNCT, the novel molecules were designed and synthesized the novel compounds containing both F and B atoms in a single molecule.

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

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

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

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