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STUDY OF THE FLUORINE AND BORON-10 CONTAINING COMPOUNDS TOWARD MRI

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Yoshihide Hattori[1], Yoshihiro Yamaguchi[1], Hitoshi Yamamoto[2], Tomohiro As[illegible] Masao Takagaki[4], and Tateaki Wakamiya[1]

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Dipeptides containing 3-(4-fluorophenyl)alanine [Phe(F)] (**1**) seem to be transferred i[illegible] of tumor cells through the oligopeptide transporter. Furthermore, [illegible] the dipeptide containing 3-(2,3,4,5,6-p[illegible]**2**) was certified to be detectable by ^{19}F NMR up to μM order concentration. These facts suggest that magnetic resonance imaging (MRI) based on 19F NMR measurement of the Phe(F_5)-containing peptides internalized into the tumor cells may be accessible as a promising means for diagnosis of cancer.

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From the standpoint of the treatment of brain cancer or melanoma, the boron neutron capture therapy (BNCT) based on the interaction of ^{10}B isotope and neutron has been highly noted in recent years [1]. In order to develop the practical tools for MRI and BNCT, we designed and s[illegible]ng both fluorine and boron-10 atoms such as [illegible]pa(F_2)-10B] (**3**) and 3-(4-borono-2,6-difluorophenyl)alaninol {[Bpa(F_2)-^{10}B]-ol} (**4**). In the present paper we focus on ^{19}F NMR measurement and tumor cell killing effect of various compounds containing both fluorine and boron-10 atoms.

SPECIMEN

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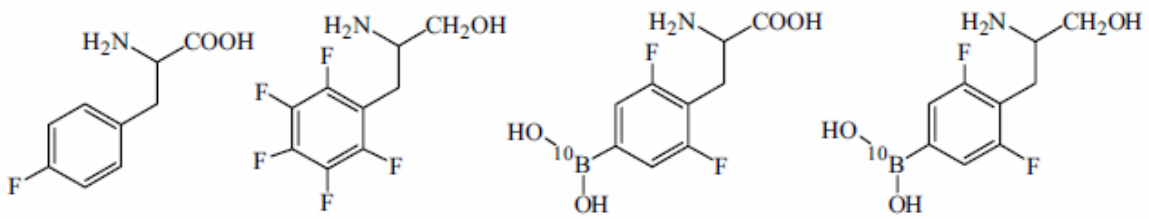

Phe(F) (**1**) Phe(F_5) (**2**) Bpa(F_2)-^{10}B (**3**) Bpa(F_2)-ol-^{10}B (**4**)

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[1] Soloway, H. A., Tjarks, W., Barnum, A. B., R[illegible]I., Wilson. J. G. (1998) *Chem. Rev.*, **98**, 1515-1562.

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