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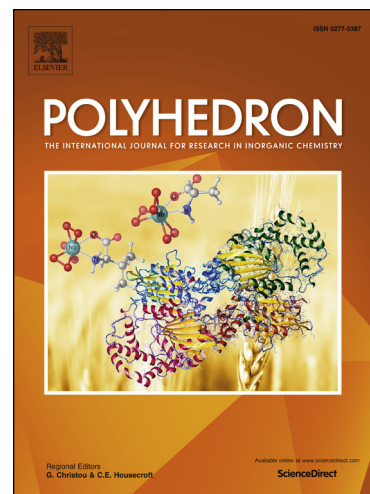
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Binuclear gadolinium(III) complex based on DTPA and 1,3-bis(4-aminophenyl)adamantane as a high-relaxivity MRI contrast agent

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ABSTRACT

A novel dimeric gadolinium(III) complex, bis(4-Gd-DTPA-aminophenyl)adamantane (**5**), was successfully synthesized using bis(4-aminophenyl)adamantane to link two Gd-DTPA units. All the intermediates and bis(4-Gd-DTPA-aminophenyl)adamantane (**5**) have been characterized by ¹H NMR, ¹³C NMR, ES-API-MS, HR-ESI-MS, FT-IR and elemental analysis (EA). The longitudinal relaxivity of bis(4-Gd-DTPA-aminophenyl)adamantane is 8.43 mM⁻¹Gd⁻¹s⁻¹ at 0.43 T (32 °C, pH 7.0), and 4.89 mM⁻¹Gd⁻¹s⁻¹ at 3.0 T (32 °C, pH 7.4). The T₁-weighted imaging in vitro showed that bis(4-Gd-DTPA-acetylaminophenyl)adamantane can enhance the contrast of images distinctly. But most of all, the kinetic inertness of bis(4-Gd-DTPA-aminophenyl)adamantane (**5**) was comparable to that of [Gd(DTPA)(H₂O)]²⁻ (Magnetvist[®]). Moreover, cytotoxicity tests indicated that the bis(4-Gd-DTPA-acetylaminophenyl)adamantane exhibited low toxicity to healthy liver cells (L-02 cells). These results demonstrate that the Gd(III) complex **5** may be a promising MRI contrast agent candidate.

Keywords: adamantane, DTPA, rigid linker, MRI contrast agent, high relaxivity

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