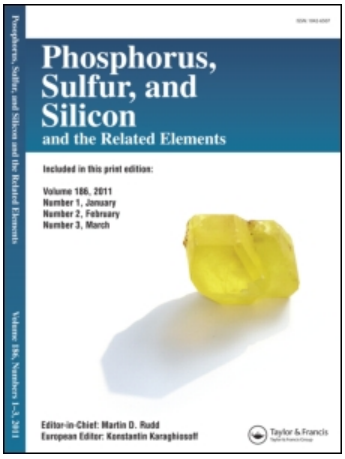

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Synthesis of Dodeca(Thymidine Phosphate) Containing (o-Carboran-1-yl)Methylphosphonate Internucleotide Linkage

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SYNTHESIS OF DODECA(THYMIDINE PHOSPHATE) CONTAINING (*o*-CARBORAN-1-YL)METHYLPHOSPHONATE INTERNUCLEOTIDE LINKAGE

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Abstract The synthesis of dodeca(thymidylic phosphate) containing 3'-end (*o*-carboran-1-yl)methylphosphonate group instead of natural phosphodiester internucleotide linkage is described.

The use of boron-containing compounds in the treatment of malignancies is based on the property of boron-10 nuclei delivered to neoplastic cells in the form of a boron carrying drug, to absorb low-energy neutrons. The ensuing micronuclear reaction releases about 100 million times more energy than that of the neutron used, resulting in cancer cell destruction. Boronated oligonucleotides were designed as specific boron rich trailers for boron neutron capture therapy (BNCT) and antisense oligonucleotide technology (AOT).[1,2,3] A solid phase synthesis of oligonucleotides containing modified (*o*-carboran-1-yl-methyl)phosphonate groups at 3'-end of the oligonucleotide chain was accomplished. A standard β-cyanoethyl cycle and automated DNA synthesizer was used for the unmodified phosphodiester linkage formation. The phosphotriester method using the monomer 5'-O-monomethoxytritylthymidine 3'-O-[O-methyl(*o*-carboran-1-yl)methylphosphonate][1] was successfully applied to produce modified *o*-carboran-1-yl-methylphosphonate internucleotide linkage.

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