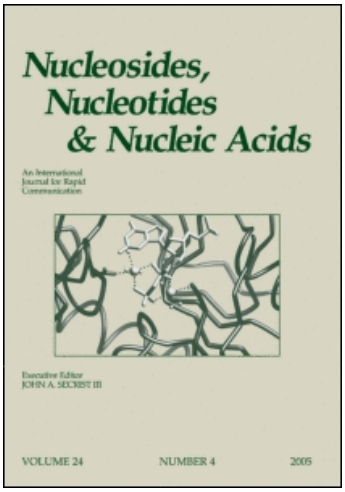

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Trichloro-tert.-butyl-cyclic-enediol-phosphate (TCB-CEP), Proposed Reagent for the Syntheses of Oligonucleotides Using the Triester Approach

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TRICHLORO-TERT.-BUTYL-CYCLIC-ENEDIOL-PHOSPHATE (TCB-CEP), PROPOSED REAGENT FOR THE SYNTHESES OF OLIGONUCLEOTIDES USING THE TRIESTER APPROACH

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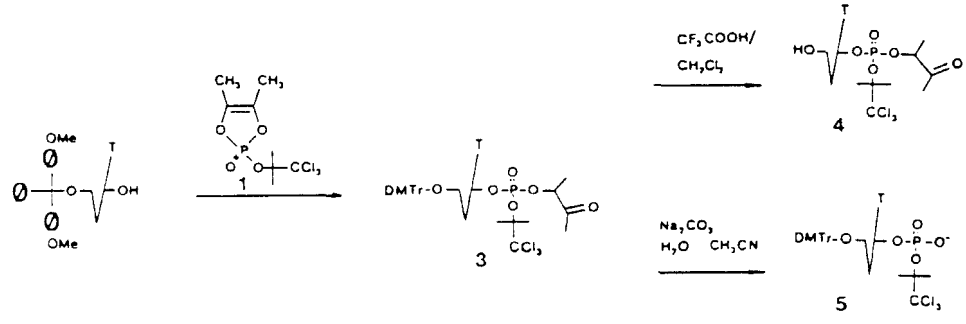
Summary: Protected nucleosides, phosphorylated using 4,5-dimethyl-2-oxo-2-trichloro-tert.-butyl-1,3,2λ^5-dioxaphospholene, can be cleaved to yield either 5'- or 3'-building blocks for triester syntheses.

Reaction of the title compound **1** (**1** is prepared and reacts in analogy to its methyl analog[1]) with 5'-DMOTr-nucleosides yields 3'-trichloro-tert.-butyl-acetoinyl-phosphates. They can be selectively either detritylated in acidic medium or the acetoinyl group cleaved in basic medium. So 3'- and 5'-building blocks for oligonucleotide syntheses following a triester strategy[2] are at hand. Coupling proceeds with benzene sulfonyl tetrazole. Because of their lipophilic nature all intermediates can easily be purified on silica gel. The phosphate protecting trichloro-tert.-butyl group can be cleaved by reductive fragmentation[3].



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