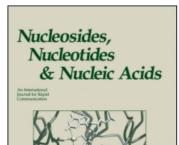
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Trichloro-tert.-butyl-cyclic-enediol-phosphate (TCB-CEP), Proposed Reagent for the Syntheses of Oligonucleotides Using the Triester Approach Peter Lemmen^a

^a Organisch-Chemisches Institut der Techn. Universität München, Garching

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

Peter Lemmen

Organisch-Chemisches Institut der Techn. Universität München, Lichtenbergstraße 4, D-8046 Garching

Summary: Protected nucleosides, phosphorylated using 4,5-dimethyl-2-oxo-2-trichloro-tert.-butyl-1,3,2 $^{\lambda 5}$ -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 analog¹⁾) 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 strategy²⁾ 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 fragmentation³⁾.

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