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The 9-Fluorenylmethoxycarbonyl (Fmoc) Group and Its Use in Oligonucleotide Synthesis

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THE 9-FLUORENYLMETHOXYCARBONYL (Fmoc) GROUP AND ITS USE IN OLIGONUCLEOTIDE SYNTHESIS

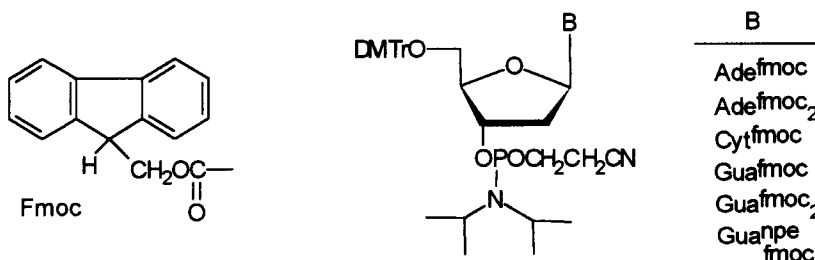
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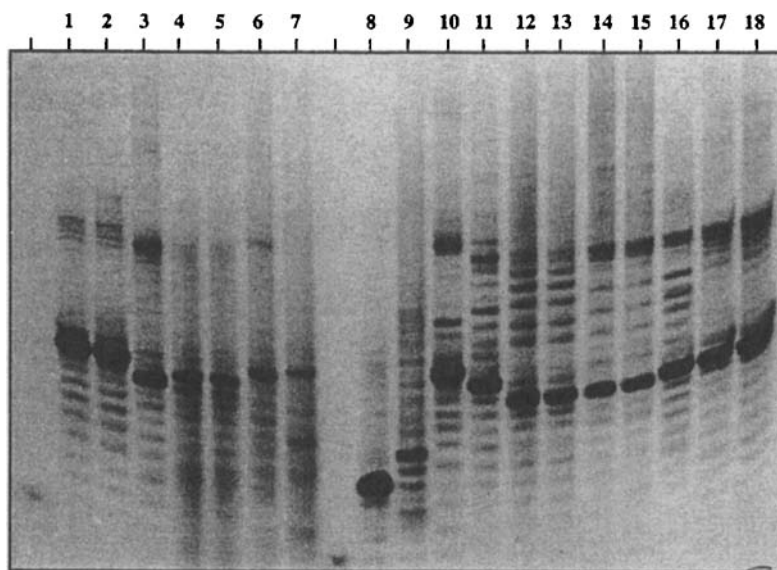
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ABSTRACT: The introduction of the base-labile 9-fluorenylmethoxycarbonyl (Fmoc) group into the exocyclic amino function of 2'-deoxynucleosides and their dimethoxytritylation and phosphitylation is described. The resulting key intermediates were investigated in the built-up of different oligodeoxyribonucleoside phosphate and thiophosphate chains which were deprotected under mild basic conditions leading to crude oligomers of high purity.

The synthesis of the fluorenylmethoxycarbonyl-protected 2'-deoxyribonucleoside phosphoramidites follows the common techniques introducing first the base labile protecting group [1-4] into the exocyclic amino functions of the 2'-deoxyadenosine, 2'-deoxycytidine and 2'-deoxyguanosine, then dimethoxytritylation at 5'-OH and subsequent phosphitylation in 3'-OH position. Due to the base-labile characteristic of the fmoc group careful purification of each derivative is crucial to obtain pure and stable compounds.



The corresponding phosphoramidites and the fmoc-protected solid support material can be used in the usual manner in machine-controlled oligonucleotides cycles without



PAGE of synthesized oligonucleotides: 5'-d(CGTGGGTGATGGGAT)_{p=O}-3' (1,2); 5'-d(AC TTGGATACGCACG)_{p=O}-3' (3); 5'-d(AC TTGGATACGCACG)_{p=S}-3' (4,5); 5'-d(GGAAGATGTCGCAGT)_{p=S}-3' (6); 5'-d(CGTGGGTGATGGGAT)_{p=S}-3' (7); 5'-d(A₁₀)_{p=O}-3' (8); 5'-d(A₁₂)_{p=O}-3' (9); 5'-d(CGTCGTTGGATGCTGC)_{p=O}-3' (10); 5'-d(GTAACTTATGCGGGC)_{p=O}-3' (11); 5'-d(CAGCCCCCAAGGTAC)_{p=O}-3' (12, 13); 5'-d(GCACCCACTACCCTA)_{p=O}-3' (14,15); 5'-d(TAAACCTTACTGAAC)_{p=O}-3' (16); 5'-d(CATTGAATACGCCCG)_{p=O}-3' (17,18)

harming the nucleobase protecting groups. The final deprotection steps of the resulting oligonucleoside phosphates and thiophosphates were carried out under weakly basic conditions (e.g. 0.05 M DBU in CH₃CN) to split off the fmoc and cyanoethyl groups *via* β -elimination and followed by treatment with ammonia to cleave the synthesized oligonucleotide from the solid support. HPLC-analysis and polyacrylamide gelelectrophoresis documented good quality of the crude oligomers which do not need further purification.

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