New di:thiophosphite, di:thiophosphate, thio:phosphoramidite and thio:phosphonite compounds - are intermediates for synthesis of oligo- and poly-nucleotide(s) having phosphorothioate linkages - DTU Orbit (10/11/2018)

New di:thiophosphite, di:thiophosphate, thio:phosphoramidite and thio:phosphonite compounds - are intermediates for synthesis of oligo- and poly-nucleotide(s) having phosphorothioate linkages

Nucleoside dithiophosphites, dithiophosphates, thiophosphonites, and thiophosphoramidites of primary and secondary amines, of formula (I) are new; in which one of Y1 and Y2 = a blocking group R1 and the other = -P(=S)(H)(S-), -P(=S)(SR4)(O-), -P(=S)(H)(R8), -P(=S)(H)(NR9) or -P(SR5)(X); A = OH, H, halogen, SH, amino, azido or WR2; B = a nucleoside or deoxynucleoside base; W = O, S or N; X = NR6R7; R2, R4 = blocking groups; and R5-R9 = alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, cycloalkenyl, aralkenyl, aralkynyl or cycloalkynyl (all containing up to 20C and optionally being interrupted by heteroatoms). USE-(I) are intermediates in the synthesis of various types of oligo- and polynucleotides containing phosphorothioate (PS) groups between the units. Such oligo- and poly-nucleotides have many potential biological, therapeutic and diagnostic applications. Examples are: as antisense agents; for treatment of tumours, viral and bacterial infections; for targeting to deliver drugs, metal ions, toxins or intercalating agents to specific cell and tissue sites; and, by attachment to a label (e.g. a fluorophore, antigen, antibody, protein or metal ion), for the diagnosis of diseases, and normal and abnormal biochemistry of cells, tissues, enzymes, and body fluids (e.g. blood and urine). Other potential uses are in sequencing and cutting DNA, or imaging in x-ray crystallography, nmr and electron microscopy.

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