

Title (en)

SYNTHESIS OF 35 S-LABELED OLIGONUCLEOTIDES WITH 3H-1,2-BENZODITHIOL-3-1,1-DIOXIDE

Title (de)

HERSTELLUNG VON 35 S-MARKIERTEN OLIGONUKLEOTIDEN MITTELS 3H-1,2-BENZODITHIO-3-ONE-1,1-DIOXID

Title (fr)

SYNTHESE D'OLIGONUCLEOTIDES MARQUES AU 35 S A L'AIDE DE 3H-1,2-BENZODITHIOL-3-ONE-1,1-DIOXYDE

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Application

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Abstract (en)

[origin: WO9614277A1] This invention provides a novel compound for 35 S-labelling oligonucleotides. The compound is 35 S-3H-1,2-benzodithiol-3-one-1,1 dioxide (1). In Structure (I), the asterisk indicates the position of the 35 S. Also provided is a method of synthesizing this compound, comprising first contacting 35 S-thiobenzoic acid (4) with thiosalicylic acid (5) in acid medium to yield the condensation product, 35 S-3H-1,2-benzodithiol-3-one (2). 35 S-3H-1,2-benzodithiol-3-one (2) is then oxidized with a suitable oxidating agent such as trifluoroacetic acid and hydrogen peroxide to yield the desired product, 35 S-3H-1,2-benzodithiol-3-one-1,1 dioxide (1). Any oligonucleotide susceptible to be oxidatively sulfurized by 3H-1,2-benzodithiol-3-one-1,1 dioxide can be labeled by 35 S-3H-1,2-benzodithiol-3-one-1,1 dioxide (1). Accordingly, this invention also provides novel methods for 35 S-labelling oligonucleotides. The compound and methods are useful for tracing biodistribution and degradation of antisense oligonucleotides in pharmacokinetic studies.

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