

Shanghai Hongene Biotech Corporation v. Chemgenes Corporation
IPR2023-00490
U.S. Patent No. 8,884,885
Before Judges New, Yang, & Hardman

Petitioner's Demonstratives



Oral Hearing - April 23, 2024

Summary of Instituted Grounds

Ground	Claim	Basis	Prior Art	Contested?
I	1	Anticipation	'039 Patent (Ex. 1016) (Scheme 3 Compound)	No
VI	5	Anticipation	Guar (Ex. 1024) ("Compound 5(c)")	No
II	2	Obviousness	'031 Patent (Ex. 1017) ("Compound X") Pitsch (Ex. 1018) Fan (Ex. 1019)	Yes
III	2	obviousness	'991 Patent (Ex. 1020) ("Compound 5") Pitsch (Ex. 1018)	Yes
IV	3	obviousness	'031 Patent (Ex. 1017) ("Compound X") Pitsch (Ex. 1018) Fan (Ex. 1019) Scaringe (Ex. 1022)	Yes
V	4	obviousness	Scaringe (Ex. 1022) Pitsch (Ex. 1018) Fan (Ex. 1019)	Yes

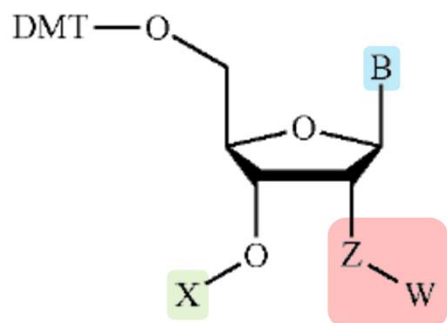
Claim 1 (Ground I) - Anticipation

'039 Patent

Claim 1 of the '885 patent (where B = cytosine-N-acetyl)

1. Derivatized nucleoside and phosphoramidite of general formula 1,

Formula 1

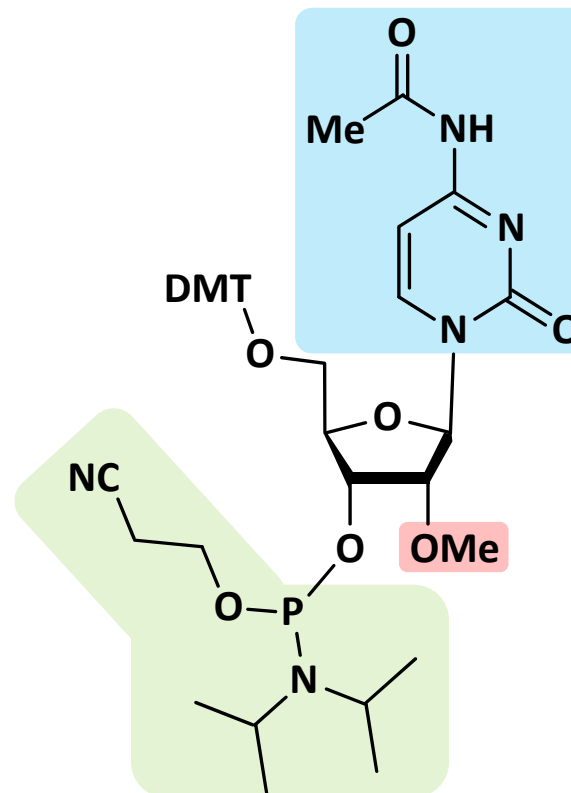


where B is selected from the group consisting of Guanine-N-acetyl, adenine-N-acetyl, cytosine-N-acetyl, cytosine-N-isobutyryl, 5-methyl cytosine-N-acetyl, and 5-methyl cytosine-N-isobutyryl;

Z is Oxygen and W is methyl; and

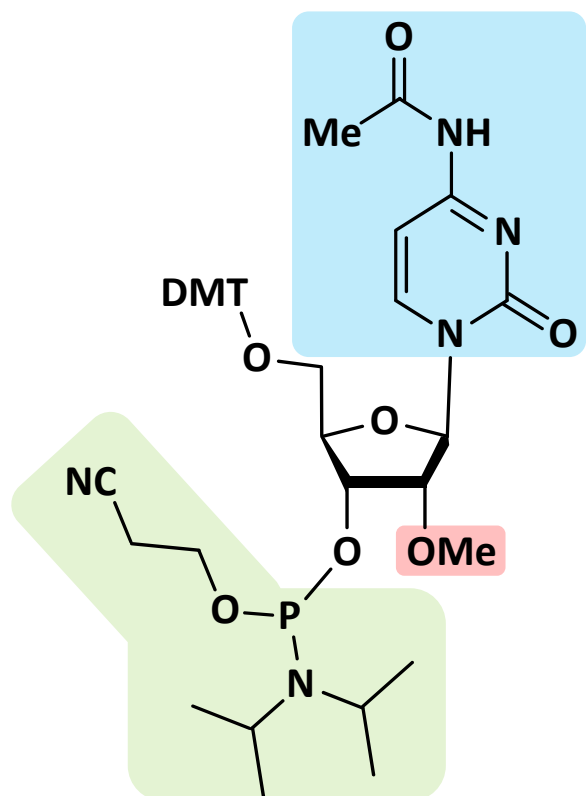
X is cyanoethyl dialkyl phosphoramidite.

Text of Claim 1

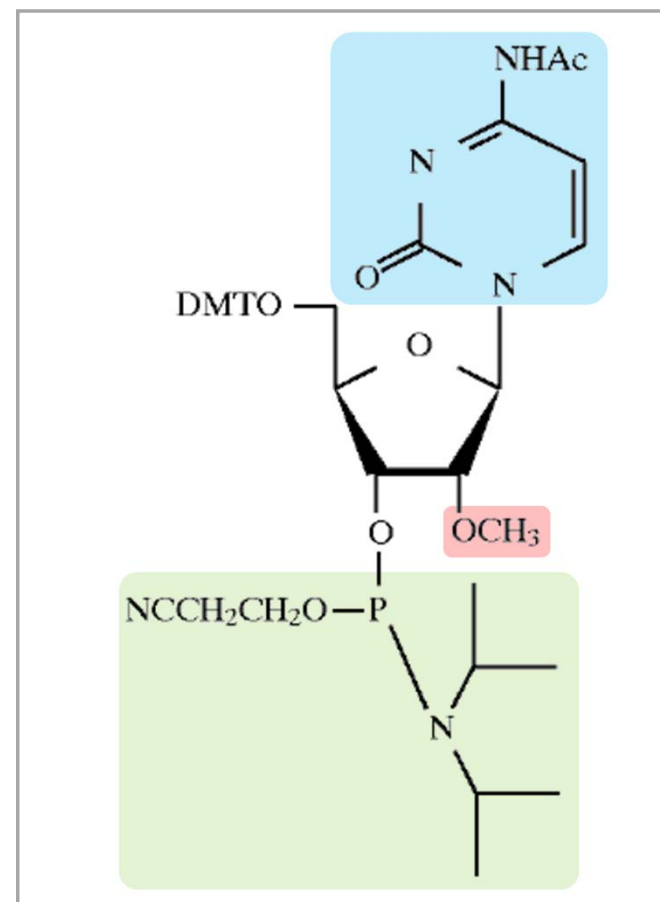


Corresponding Structure

Claim 1 Is Anticipated by the '039 Patent



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Claim 1 of '885 patent when B is cytosine-N-acetyl

Compound disclosed in the '039 patent

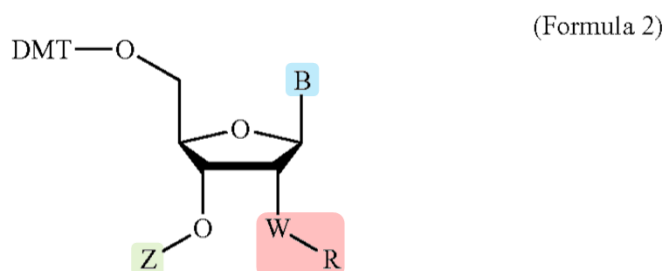
'039 Patent (Ex. 1016) at 3, 3:5-28; Petition (P1) at 26-27; Baran Decl. (Ex. 1003) at 40-42.

Claim 5 (Ground VI) - Anticipation

Guar

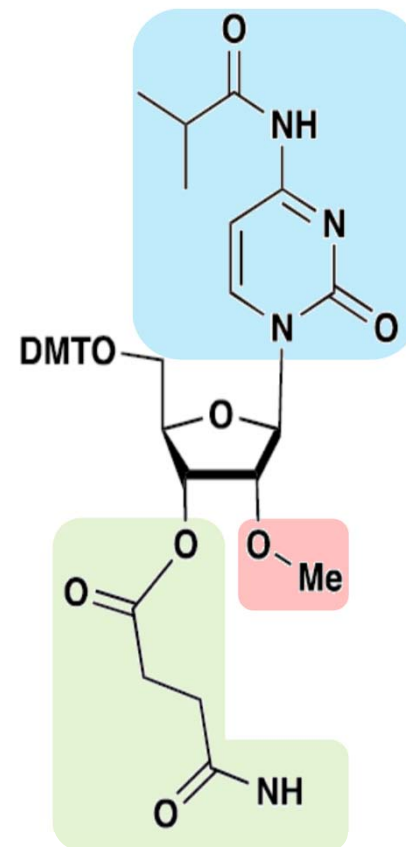
Claim 5 (when “B” is cytosine-N-isobutyryl and “M” is ethyl)

5. Derivatized nucleosides, succinates and supports of general formula 2,



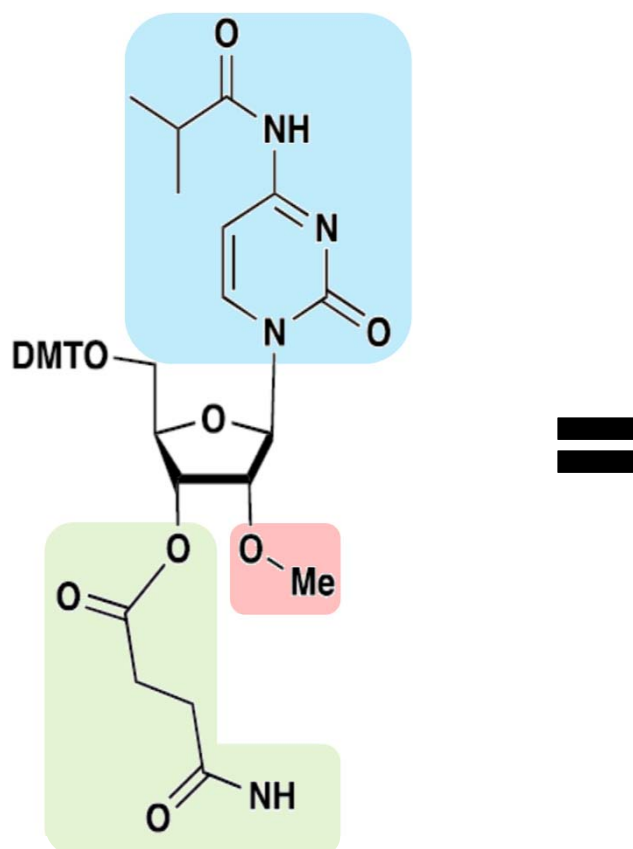
wherein B is selected from the group consisting of Guanine-N-acetyl, adenine-N-acetyl, cytosine-N-acetyl, cytosine-N-isobutyryl, 5-methyl cytosine-N-acetyl, and 5-methyl cytosine-N-isobutyryl; W is Oxygen and R is methyl; and Z is C(O)-M-C(O)-NH, where M is selected from the group consisting of succinyl ethyl, oxalyl, and hydroquinolynyl, and NH is capable of being attached to a solid support with a spacer selected from the group consisting of Cl-C20 alkyl, ethyloxyglycol, and a combination of alkyl and ethyleneglycoxy.

Text of Claim 5 (corrected)

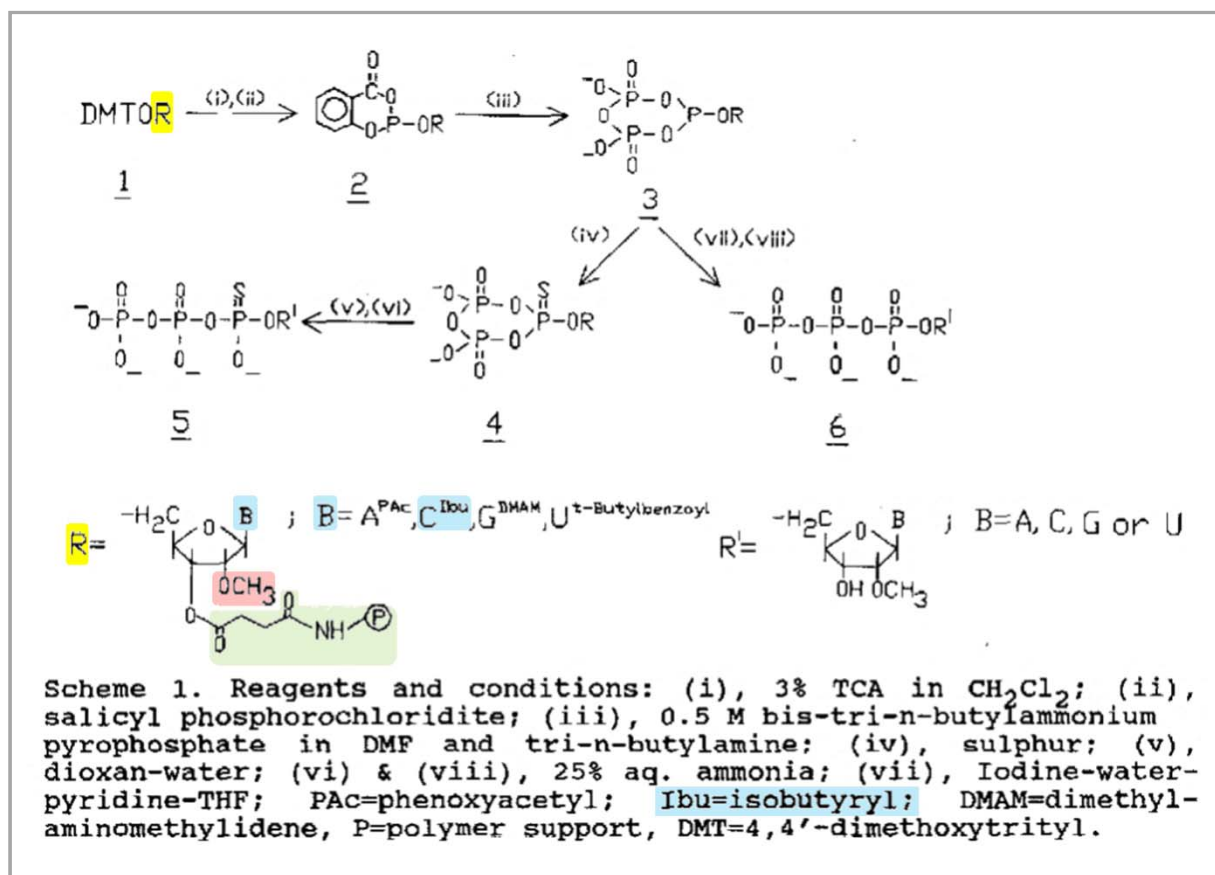


Corresponding Structure

Guar Anticipates Claim 5



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Claim 5 of '885 patent

Guar Compound

Claim 2 (Ground II) – Obviousness

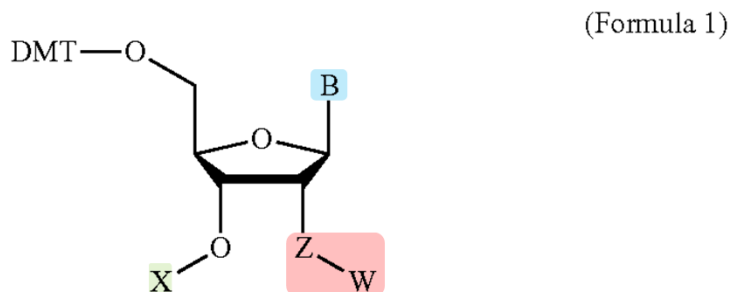
'031 Patent – “Compound X”

Pitsch

Fan

Claim 2 (where “B” is Guanine-N-acetyl and “Z” = ribo Fluoro)

2. Derivatized nucleoside and phosphoramidite of general formula 1,



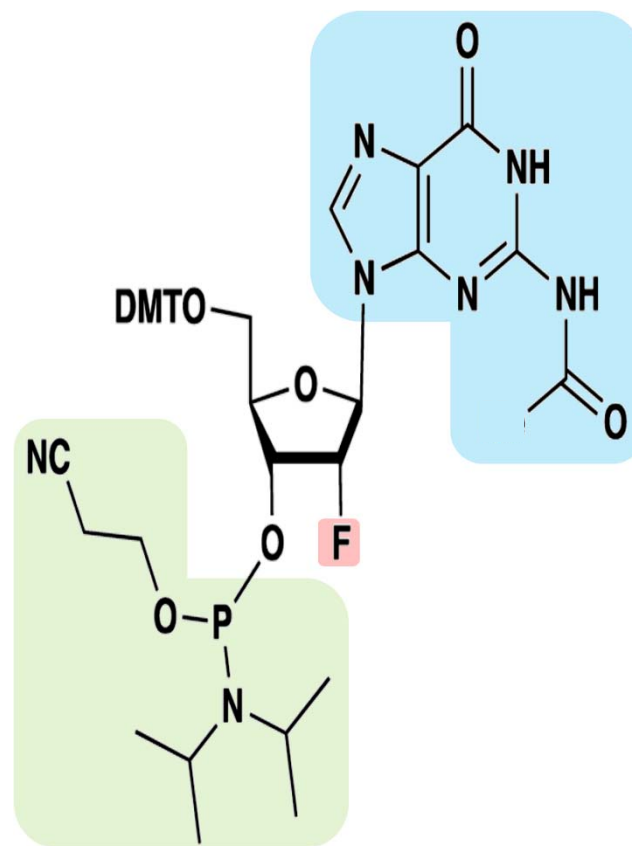
where B is Guanine-N-acetyl, or adenine-N-acetyl;

Z=ribo Fluoro or ara fluoro, and

W is absent; and

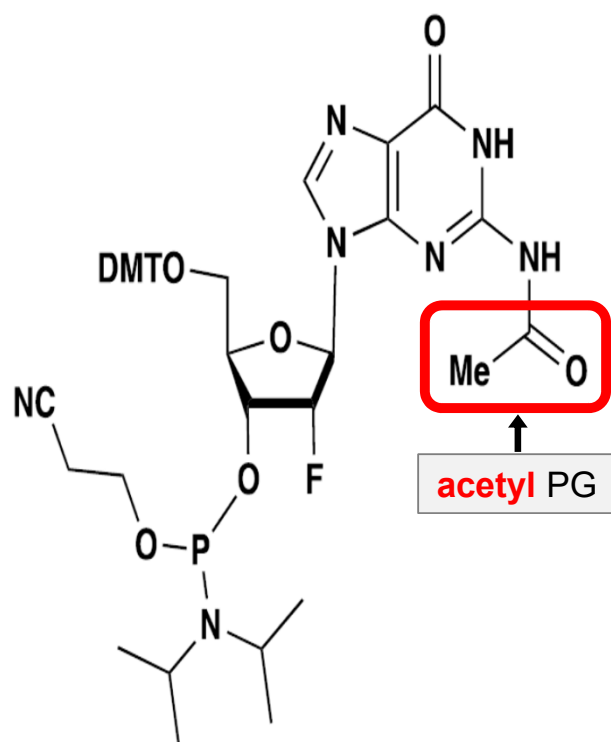
X is cyanoethyl dialkyl phosphoramidite.

Text of Claim 2



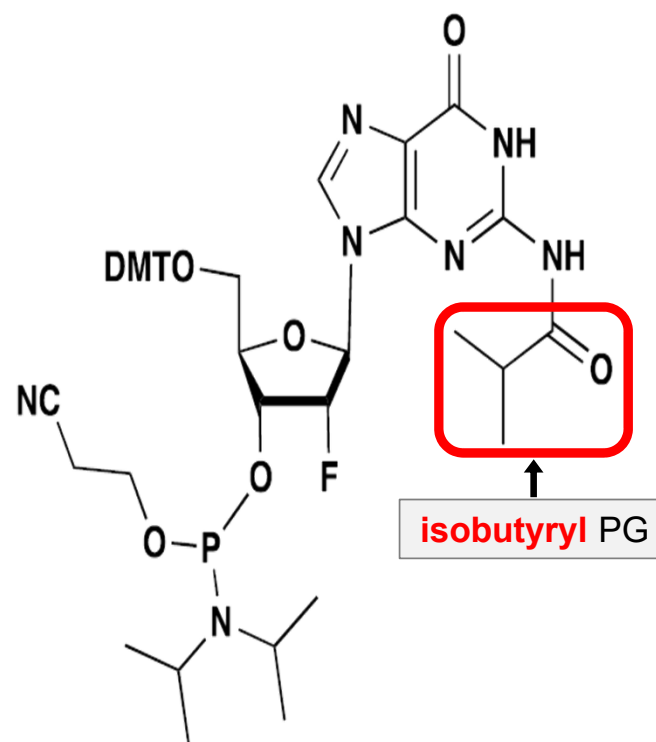
Corresponding Structure

Comparing Claim 2 and Compound X



Claim 2

(where “B” is guanine-N-acetyl and “Z” = Ribo fluoro)

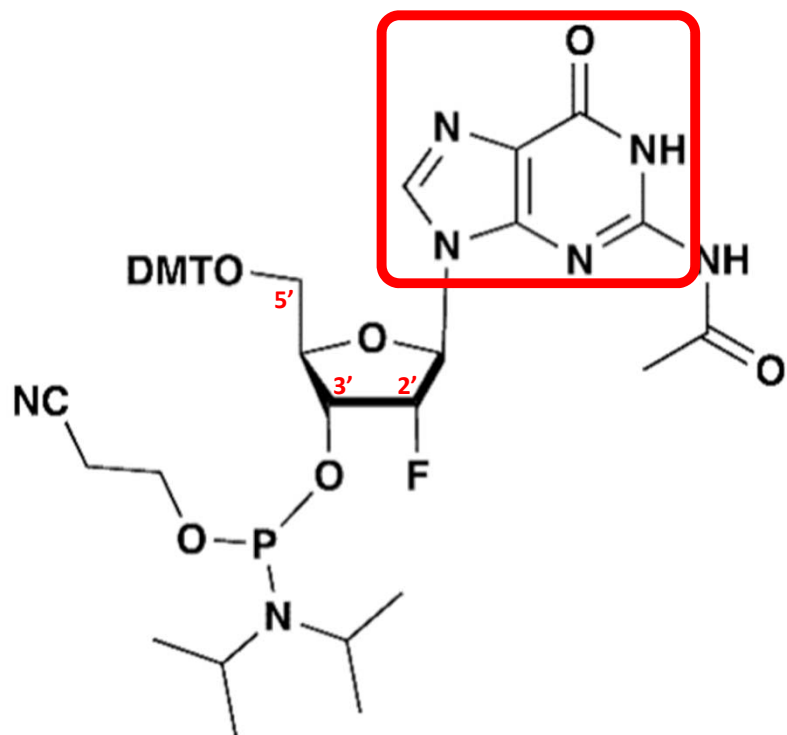


'031 Patent Compound X: N2-isobutyryl-9-(2'-deoxy-2'-fluoro-5'-O-[4,4'-dimethoxytrityl]-guanosine-3'-O-N, N-diisopropyl-β-D-cyanoethyl phosphoramidite

Claim 2 (Ground III) – Obviousness

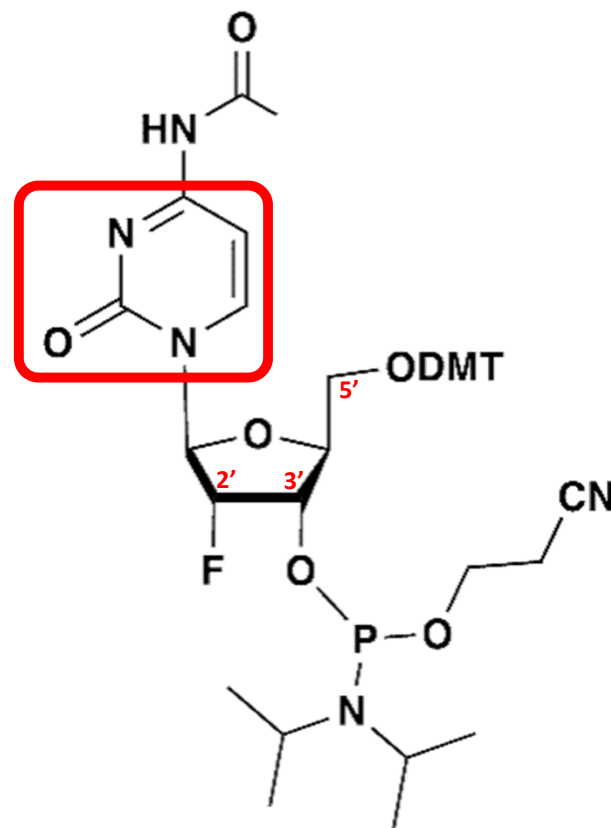
'991 Patent – Compound 5
Pitsch

Comparing Claim 2 and Compound 5



Claim 2

native configuration
guanine phosphoramidite



Compound 5

L configuration
cytosine phosphoramidite

Claim 3 (Ground IV) – Obviousness

'031 Patent – Compound X

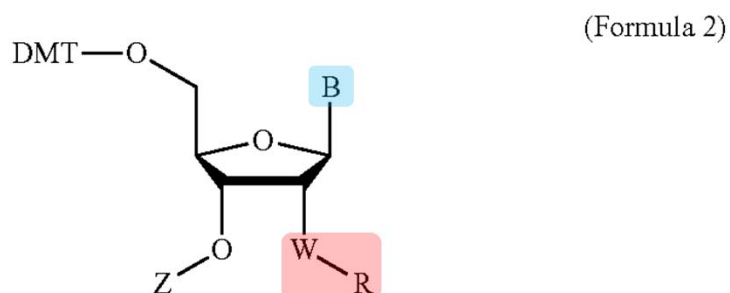
Pitsch

Fan

Scaringe

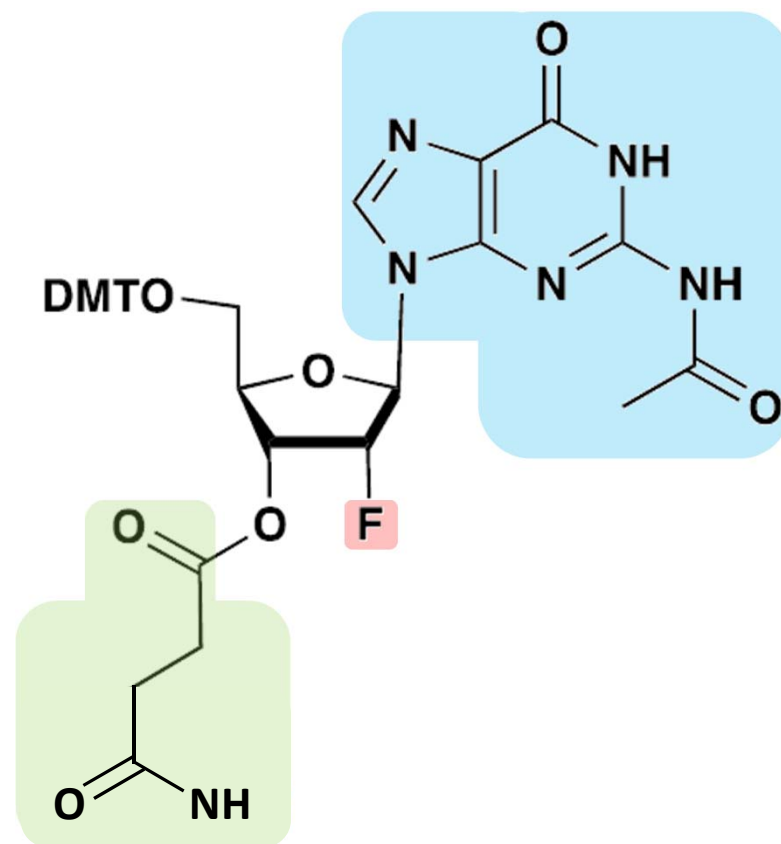
Claim 3 (where “B” is Guanine-N-acetyl, “W” = ribo fluoro, and “M” is ethyl)

3. Derivatized nucleosides, succinates and solid supports of general formula 2,



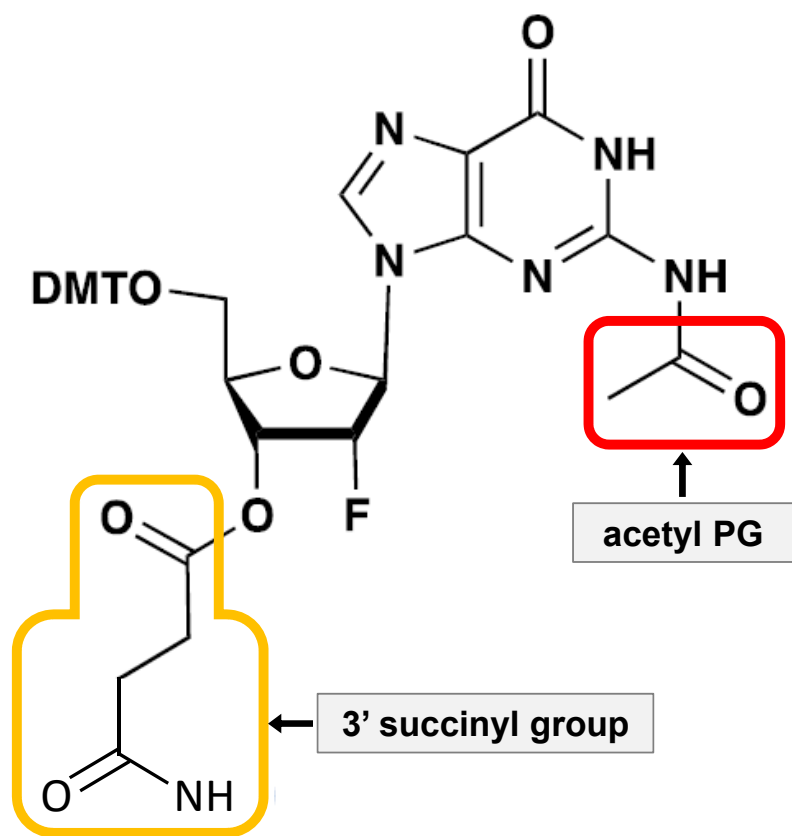
where B is Guanine-N-acetyl, or adenine-N-acetyl;
W=ribo fluoro or ara fluoro, and R is absent;
Z is C(O)-M-C(O)-NH, where M is selected from the group consisting of succinyl ethyl, oxalyl, and hydroquinolynyl, and NH is capable of being attached to a solid support with a spacer selected from the group consisting of C1-C20 alkyl, ethoxyglycol, and a combination of alkyl and ethyleneglycoxy.

Text of Claim 3 (corrected)

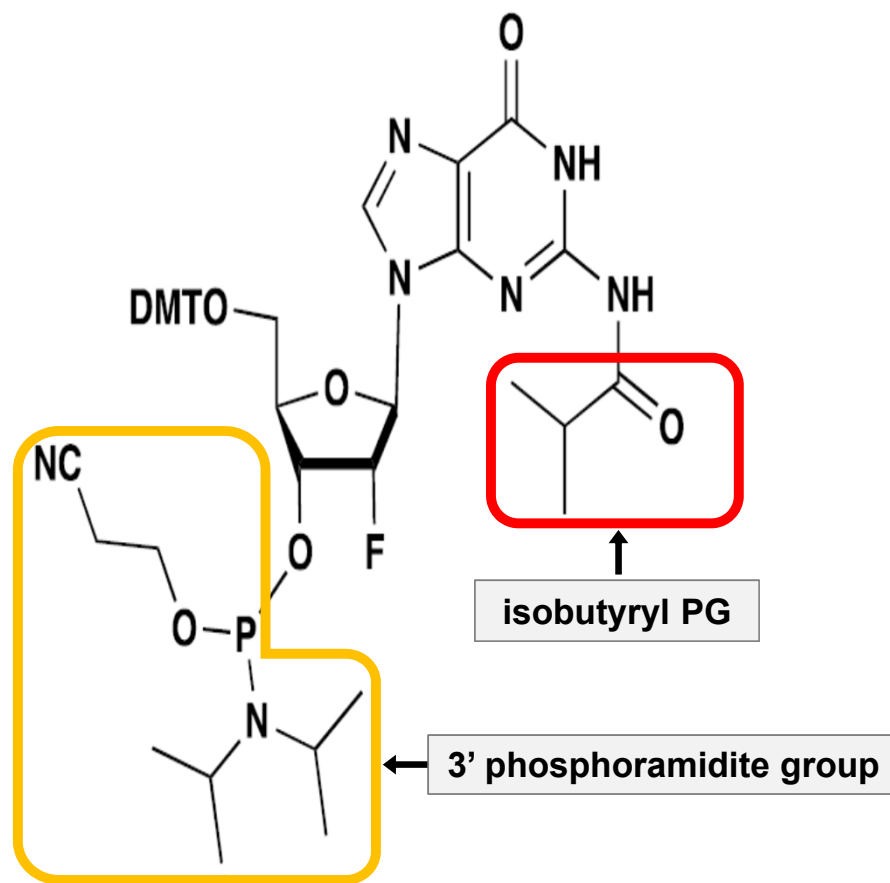


Corresponding Structure

Comparing Claim 3 and Compound X



Compound of Claim 3



Compound X

Claim 4 (Ground V) – Obviousness

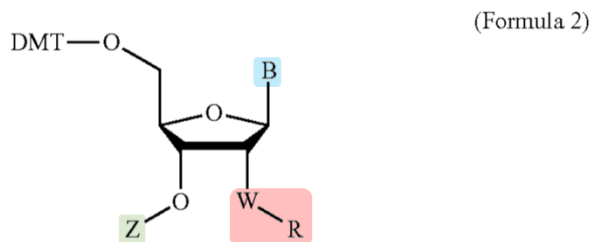
Scaringe

Pitsch

Fan

Claim 4 (where “B” is Guanine-N-acetyl, “R” is TBDMS, and “M” is ethyl)

4. Derivatized nucleosides, succinates and solid supports of general formula 2,

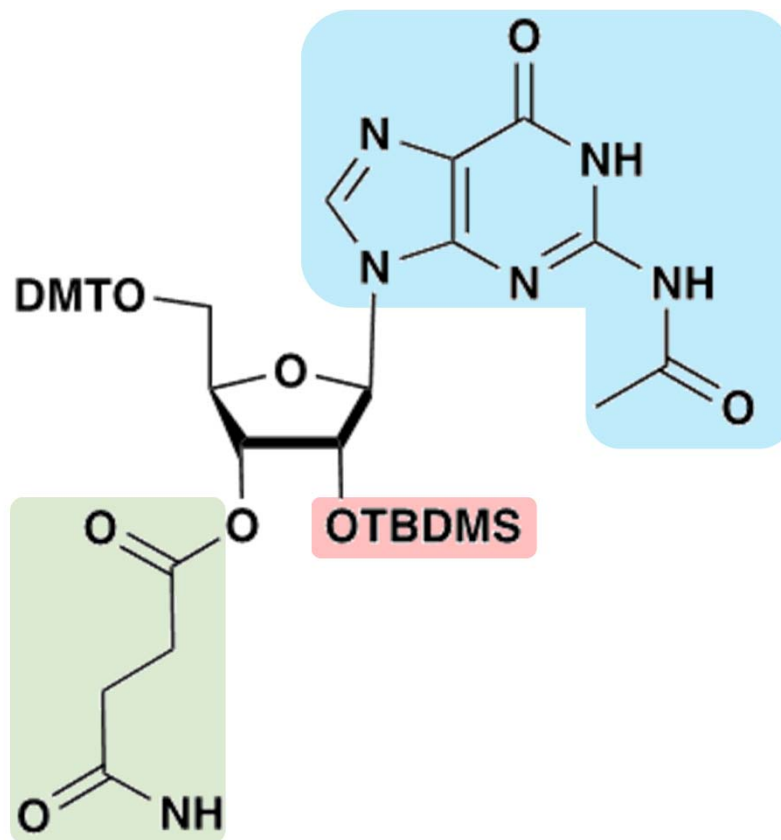


wherein, B is selected from the group consisting of Guanine-N-acetyl, adenine-N-acetyl, cytosine-N-acetyl, cytosine-N-isobutyryl, 5-methyl cytosine-N-acetyl, and 5-methyl cytosine-N-isobutyryl;

W is Oxygen and R is selected from the group consisting of t-Butyldimethyl silyl, acetal levuliny ester (ALE), pivaloyloxy, cyanoethylmethylene (CEM), dithiomethylene (DTM)); and

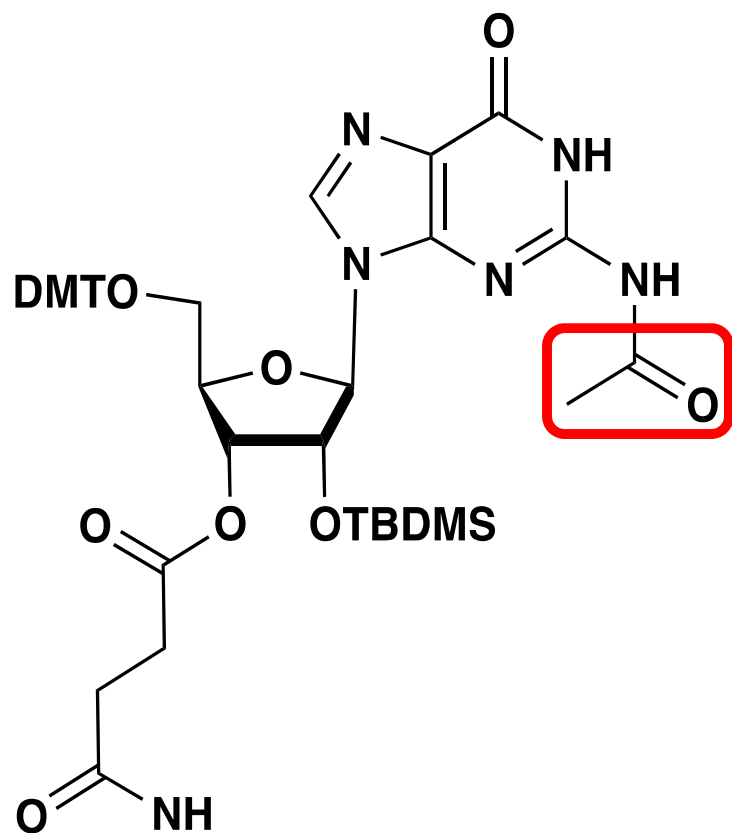
Z is C(O)-M-C(O)-NH, where M is selected from the group consisting of succinyl ethyl, oxalyl, and hydroquinolynyl, and NH is capable of being attached to a solid support with a spacer selected from the group consisting of C1-C20 alkyl, ethyloxyglycol, and a combination alkyl and ethyleneglycoxy.

Compound of Claim 4 (corrected)

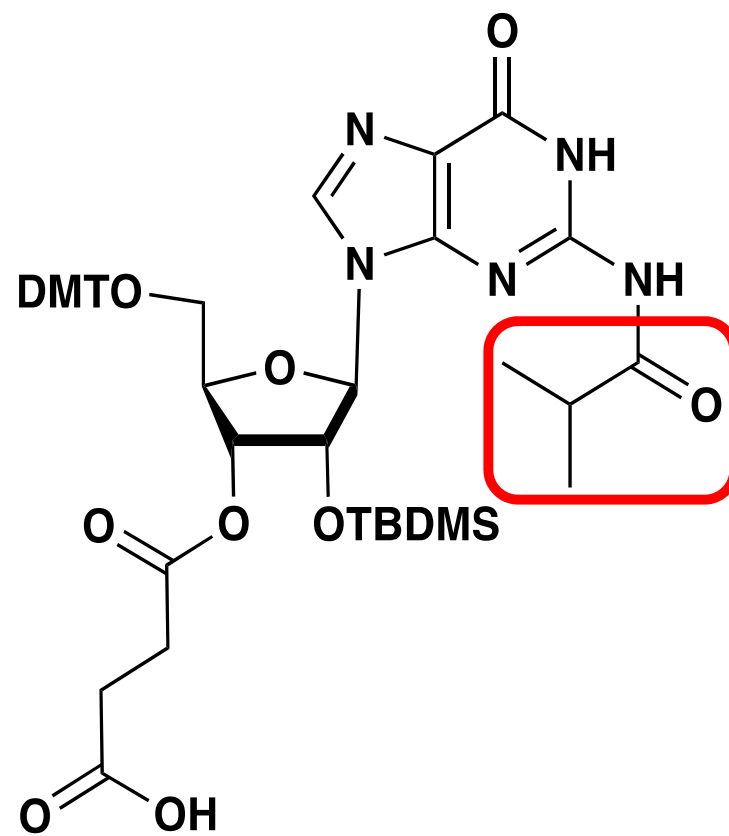


Corresponding Structure

Comparing Claim 4 and Scaringe Compound 5(c)



Compound of Claim 4



Scaringe Compound 5(c)