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In this paper we present revised and significantly improved synthetic routes to 2'-amino-LNA (locked nucleic acid). The optimal route is convergent with the synthesis of LNA monomers ("2'-oxy-LNA") via a common intermediate obtained by a mild deacetylation for the liberation of the 2'-hydroxy group to give compound 23 without

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the concomitant ring closure that affords the 2'-oxy-LNA skeleton.

~~Synthesis of 2'-amino LNA: a new strategy
Organic ...~~

LNA and 2'-amino-LNA and 2'-thio-LNA refer to the analogues where the 2'-oxygen has been substituted by respectively a nitrogen atom or a sulfur atom. that they can be synthesised by efficient and convergent synthesis strategies. The first synthesis of an LNA nucleoside was performed by a linear approach using uridine as starting material,¹⁰ but by

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~~Synthesis of 2-amino-LNA: a new strategy~~
Synthesis of Oligonucleotides Containing 2'-N-alkylaminocarbonyl-2'-amino-LNA (2'-urea-LNA) Moieties Using Post-Synthetic Modification Strategy. *Molecules* 2020 , 25 (2) , 346.

~~Synthesis of 2'-Amino-LNA: A Novel Conformationally ...~~

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The first reported synthesis of 2'-amino-LNA purine nucleosides via a transnucleosidation is accomplished enabling the preparation of oligonucleotides incorporating 2'-amino-LNA with all four natural bases.

~~Synthesis of 2'-Amino LNA Purine Nucleosides: Nucleosides ...~~

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2'-hydroxy group to give compound 23 without the concomitant ring closure that affords the 2'-oxy-LNA skeleton.

~~Synthesis of 2' amino LNA: a new strategy.~~

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The synthesis of 2'-amino-LNA (the 2'-amino derivative of locked nucleic acid) has opened up a number of exciting possibilities with respect to modified nucleic acids. While maintaining the excellent duplex stability inferred by LNA-type oligonucleotides, the nitrogen in the 2'-position of 2'-amino-LNA monomers provides an excellent handle for functionalisation.

~~Amino acids attached to 2' amino LNA: synthesis and ...~~

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Large Scale Synthesis of 2'-Amino-LNA Thymine and 5-Methylcytosine Nucleosides | The Journal of Organic Chemistry. Thymine intermediate 17 has been synthesized on a multigram scale (50 g, 70 mmol) from starting sugar 1 in 15 steps in an overall yield of 73%, with only 5 purification steps. The key thymine intermediate 18 was obtained from 17 in a single step in 96% yield, whereas the key 5-methylcytosine intermediate 20 was obtained from 17 in 2 steps in 58% yield.

~~Large Scale Synthesis of 2'-Amino LNA Thymine and 5-Methylcytosine Nucleosides~~

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applied to the synthesis of the 2'-N-substituted 2-amino-LNA derivatives in the oligonucleotides (Figure 1). The substrates containing the reactive sites are somewhat specific, and the 1,2,3-triazole and glycy units remain after post-synthetic modification.

~~Synthesis of Oligonucleotides Containing 2'-N~~ ~~...~~

In this study, polyamine functionalities were introduced into ONs via 2'-amino-LNA scaffolds. The resulting ONs exhibited efficient binding towards ssDNA, ssRNA and

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dsDNA targets, with the 2'-amino-LNA analogue carrying a tri-aminated linker showing the most pronounced duplex and triplex stabilizing effect.

~~Polyamine-functionalized 2'-amino-LNA in oligonucleotides ...~~

The first reported synthesis of 2'-amino-LNA purine nucleosides via a transnucleosidation is accomplished enabling the preparation of oligonucleotides incorporating 2'-amino-LNA with all four ...

~~Synthesis of 2'-Amino LNA Purine Nucleosides~~

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In this study, we synthesized the thymidine phosphoramidite of 2'-N-pentafluorophenoxycarbonyl-2'-amino-LNA, which was introduced into oligonucleotides. Oligonucleotides containing a 2'-N-pentafluorophenoxycarbonyl-2'-amino-LNA unit could be isolated under ultra-mild deprotection conditions (50 mM K₂CO₃ in MeOH at room temperature for 4 h). Moreover, by treatment with various amines as a post-synthetic modification, the oligonucleotides were successfully converted into the corresponding ...

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~~Synthesis of Oligonucleotides Containing 2'-N~~
~~...~~

A locked nucleic acid (LNA), also known as bridged nucleic acid (BNA), and often referred to as inaccessible RNA, is a modified RNA nucleotide in which the ribose moiety is modified with an extra bridge connecting the 2' oxygen and 4' carbon. The bridge "locks" the ribose in the 3'-endo (North) conformation, which is often found in the A-form duplexes. LNA nucleotides can be mixed with DNA or ...

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~~Locked nucleic acid~~ — ~~Wikipedia~~

We considered that 2'-amino-LNA bearing an active carbamate, like a pentafluorophenyl carbamate, could be converted into 2'-N-alkylaminocarbonyl-2'-amino-LNA (2'-urea-LNA) via the post-synthetic treatment with amines. With this method, various amines that are commercially available or easily synthesized can be used and the procedure is simple to perform (amine treatment).

~~Synthesis of Oligonucleotides Containing 2'-N~~

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The coupling step in peptide synthesis is an

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amide bond coupling reaction between two amino acids (Figure 3). The growing peptide chain is attached to the resin via an ester or amide linkage, and the amine of the new unit is protected with a 9-fluorenylmethyloxycarbonyl (Fmoc) protecting group. Figure 3 | Solid-phase peptide synthesis

~~Nucleic acid analogues~~

The chemical origin of life is full of chicken-and-egg conundrums. Among these is the origin of protein synthesis. Nature's protein-based enzyme catalysts are built from

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the polymerization of amino acids, yet this process itself requires enzymes, adenosine triphosphate (ATP), and, most often, a ribosome. How were the first proteins formed on the path from chemistry to life? Despite more than ...

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