

Product Specifications

Custom Oligo Synthesis, antisense oligos, RNA oligos, chimeric oligos, Fluorescent dyes, Affinity Ligands, Spacers & Linkers, Duplex Stabilizers, Minor bases, labeled oligos, Molecular Beacons, siRNA, phosphonates Locked Nucleic Acids (LNA); 2'-5' linked Oligos

Oligo Modifications

For research use only. Not for use in diagnostic procedures for clinical purposes.

2'-Amino-U

Oligonucleotide based diagnostics and therapy usually requires chemically modified bases for improved binding affinity/duplex stability, high selectivity and increased nuclease resistance.

The modification at 2' ribose position has shown to have stronger base-paring with target, which lead to increase duplex stability, enhanced specificity and nuclease resistance (1). These modifications includes 2'F, 2'O methyl, 2'O MOE, and 2' amino bases.

Libraries of RNA molecules containing 2'amino-(2'NH2)- or 2'fluoro-(2'F)-2'-deoxypyrimidines could yield ligands with similar nuclease resistance but not necessarily with similar affinities. This is because the intramolecular helices containing 2'NH2 have lower melting temperatures (Tm) compared with helices containing 2'F, giving them thermodynamically less stable structures and possibly weaker affinities. These were tested by isolating high-affinity ligands to human keratinocyte growth factor from libraries containing modified RNA molecules with either 2'-NH2 or 2'F pyrimidines. It was demonstrated that 2'F RNA ligands have affinities (Kd approximately 0.3-3 pM) and bioactivities (Ki approximately 34 pM) superior to 2'NH2 ligands (Kd approximately 400 pM and Ki approximately 10 nM). In addition, 2'F ligands have extreme thermostabilities (Tm approximately 78C in low salt (1).

Oligonucleotide modified with 2'-amino C and U bases has shown to increase half-life 10 times more than other modified base in serum stability. However binding capacity is not as strong as other modified base.

2'-Amino pyrimidine Applications

- Antisense Oligos
- Aptamers
- siRNA

ASO's and siRNA Modifications.

Click this link to view ASO's and siRNA Modifications.

ASO's and siRNA Delivery. The development of effective delivery systems for antisense oligonucleotides is essential for their clinical therapeutic application. The most common delivery system involves a relatively hydrophobic molecule that can cross the lipid membrane. Cholesterol TEG, alpha-Tocopherol TEG (a natural isomer of vitamin E), stearyl and GalNAc modifications have been shown to effective for delivery of ASO's and siRNA in addition to cell penetrating peptides.



com/newsite/products/mod detail.asp?modid=431"> Click this link to view these modifications.

REFERENCES:

- 1. Pagratis, N.C., et al., Potent 2'-amino- , and 2'-fluoro-2' deoxyribonucleotide RNA inhibitors of keratinocyte growth factor. (1997), **15**: 68-73.
- 2. Lou, C. et al., Oligonucleotides Containing Aminated 2'-Amino-LNA Nucleotides: Synthesis and Strong Binding to Complementary DNA and RNA. *Bioconjugate Chem.* (2017). **28**: 1214-1220

