

Alnylam Chemistry IP from Isis Alliance

Over 150 issued patents covering a vast array of oligonucleotide modifications.

2' sugar modifications

- 2'-fluoro as claimed in US 5,670,633 and 6,005,087
- 2'-O-Me and other 2'-O-alkyls as claimed in US 6,476,205 and 5,914,396
- 2'-O-alkyl as claimed in US 5,792,847 and 5,872,232
- 2'-O-alkenyl as claimed in US 5,792,847
- 2'-O-alkynyl as claimed in US 5,514,786 and 6,358,931
- 2'-cyano, fluoromethyl, thioalkoxyl, fluoroalkoxyl, alkylsulfinyl, alkylsulfonyl, allyloxy, alkenoxy as claimed in US 5,859,221
- 2'-allyl, 2'-amino, 2'-azido as claimed in US 6,531,584
- 2'-bromo, chloro, iodo, bromomethyl, chloromethyl, iodomethyl, cyanato, bromoalkoxyl, chloroalkoxyl, iodoalkoxyl, alkyl sulfide, alkyl sulfonate, nitrate, nitrite as claimed in US 6,307,040
- 2'-O-acetamido as claimed in US 6,147,200
- 2'-O-alkylcarbamoyl as claimed in US 6,166,188
- 2'-O, S, N-guanidino as claimed in US 6,534,639
- 2' & 3'-O-alkyl and dialkylaminoethoxyethyl as claimed in US 6,600,032; 6,043,352 and 6,111,085
- 2'-O-alkylsulfonyl as claimed in US 6,277,982
- 2'-O-alkylaminoxy as claimed in US 6,172,209 and 6,127,533
- 2'-O-acyclic and 2'-O-aryl as claimed in US 6,271,358

Conjugates

- 5'-polyamine as claimed in 5,138,045; 6,235,886
- anthraquinone conjugates as claimed in 5,214,136
- cholesterol, bile acids, vitamins, intercalators as claimed in 6,153,737
- steroids as claimed in 5,486,603
- folate conjugates as claimed in 6,335,434; 6,528,631

Linkers

- thio linkers as claimed in 5,578,718; 5,852,182; 6,114,513; 6,265,558
- conjugates attached at 4'-desmethyl position as claimed in 5,608,046
- abasic, acyclic linkers as claimed in 5,834,607; 6,153,737
- manifold linkers as claimed in 6,300,319; 6,525,031
- alkyneamine linkers as claimed in 5,414,077
- carbamate linkers as claimed in 6,322,987; 6,335,437
- 2'-O or 2'-S' linkers as claimed in 6,395,492
- aminoxy linkers as claimed in 6,576,752

Backbone modifications

- Chiral and mixed chiral/racemic backbones as claimed in US 5,587,361; 5,852,188; 6,239,265; 6,440,943 and 6,242,589
- Alternating P=O & P=S backbone linkages as claimed in US 6,277,967 and 6,326,358
- Inverted polarity at oligo terminus as claimed in US 5,399,676
- methyleneimine (MMI) as claimed in US 5,489,677 and 5,965,722
- alkyleneamino as claimed in US 5,596,086
- carbonyl, thiocarbonyl & substituted alkylene as claimed in US 5,610,289
- mixed heteroatom as claimed in US 5,677,437, 5,777,092 and 5,817,781
- acetal and thioacetal as claimed in US 5,264,562 and 5,264,564
- O & S alkylene as claimed in US 5,434,257
- hydrazino as claimed in US 5,792,844
- 2',5'- 2, 3 or 4 atom as claimed in 6,410,702

Base modifications

- Heterocyclic bases – pyrimidine like
- 5-alkynyl as claimed in 5,484,908; 5,645,985; 6,380,368
- tri-cyclic as claimed in 5,502,177; 5,763,588
- 5,6-substituted as claimed in 5,614,617
- C-4 cyclic as claimed in 6,005,096
- G-clamp claimed in 6,007,992; 6,028,183; 6,414,127
- 2 or 4 substituted claimed in 6,060,592; 6,369,040
- 2-aminopyridine & pyridone claimed in 6,495,672; 6,447,998
- 2'-F, 5-Me C & substituted 2'-O-alkyl 5-Me C claimed in 6,222,025; 6,166,197

Heterocyclic bases – purine like

- N2-substituted as claimed in 5,457,191; 5,587,470; 5,948,903
- 3-deaza as claimed in 5,459,255; 5,587,469
- 6,7-disubstituted as claimed in 5,594,121
- 7-deaza as claimed in 5,681,941; 5,811,534