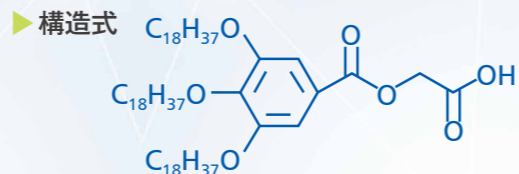


Fujimat®

基本情報

- ▶ 純度(試験方法) : 98%以上(HPLC)
- ▶ 分子式・分子量 : $C_{63}H_{116}O_7 = 985.59$
- ▶ 物理的状態(20°C) : 固体
- ▶ CAS RN : 2408901-73-3
- ▶ JP. PAT. 6770553
- ▶ WO2020/017085



特徴

- ▶ 擬液相合成に使用可能
- ▶ 簡便に導入可能
- ▶ 選択的に除去可能

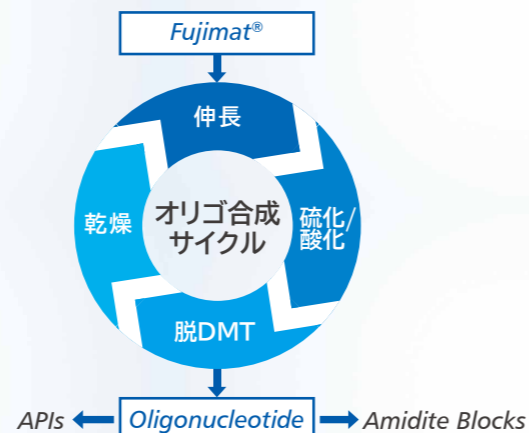
品質規格および仕様

品質規格

- ▶ 外観 : うすい黄色~白色の粉末
- ▶ 純度(HPLC) : 98area%以上
- ▶ 包装単位 : 100g / Glass Bottle
- ▶ 保管条件 : 冷暗所(推奨)

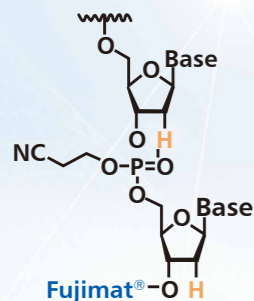
*バルク対応はお問合せ下さい。

オリゴ合成の流れ

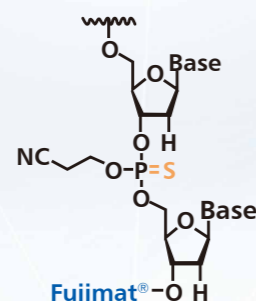


オリゴ化実績

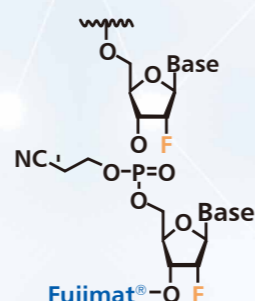
種々のオリゴ核酸合成に適用可能



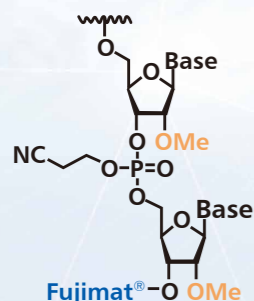
DNA type
20 mer



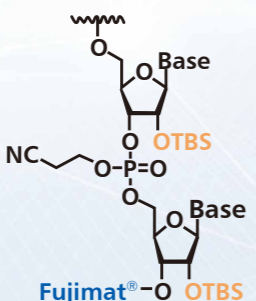
Phosphorothioate type
24 mer



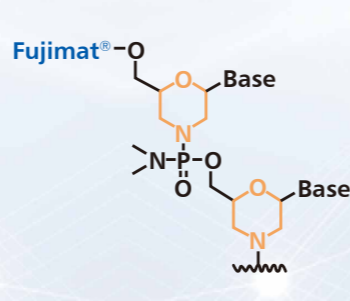
2'-F type
2 mer



2'-OMe type
2 mer



2'-TBS type
2 mer



Morpholino type
2 mer

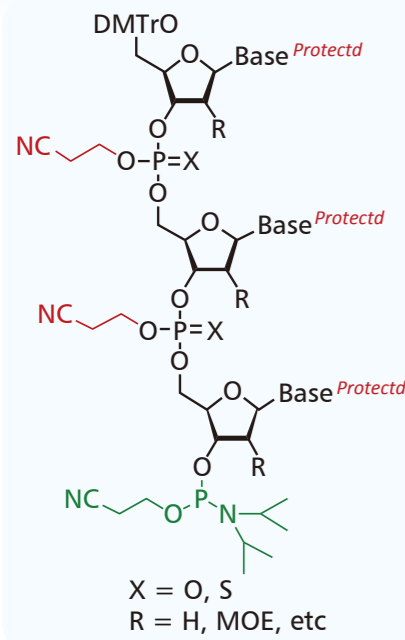
まとめ

- ▶ Amidite Block™を用いるBlock Synthesisにより、高品質なオリゴ核酸の合成や精製が容易になる
- ▶ Fujimat®は選択的に除去可能であり、Amidite Block™合成などに適用可能である

藤本化学製品の 核酸医薬 合成用原料

Amidite Block™

What is Amidite Block™?



Quality

activity of amidite about 95%
 $n \pm 1, 2$ mer < 0.2% (total)
 residual solvent < 2%
 water < 0.05 equiv.

Synthetic Results (Trimer Amidites)

DNA amidite

DMT-d(TC^{Bz}G^{iBu})(PO)-amidite
 DMT-d(TC^{Bz}G^{iBu})(PS)-amidite
 DMT-d(G^{iBu}TT)(PS)-amidite
 DMT-d(TG^{iBu}A^{Bz})(PS)-amidite
 DMT-d(A^{Bz}C^{Bz}T)(PS)-amidite

MOE amidite

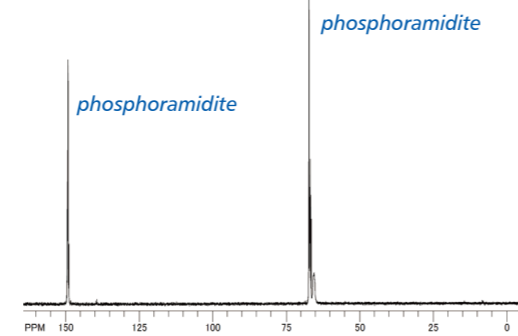
DMT-moe^{(Me)U^{Me}CA^{Bz}}(PS)-amidite

LNA amidite

in progress

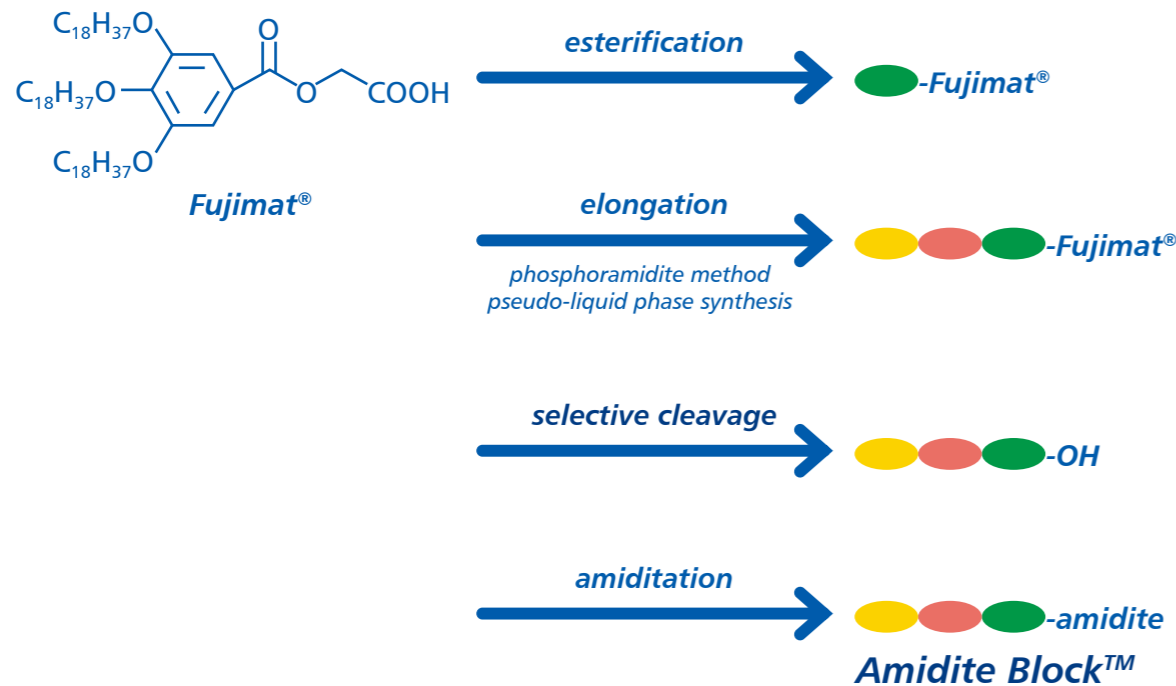
DMT-d(TC^{Bz}G^{iBu})(PS)-amidite

³¹P-NMR



Dimer Amidites, Trimer Amiditesの提供が可能

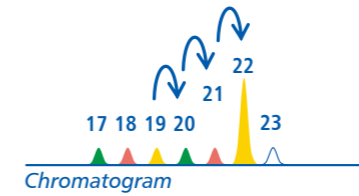
Synthesis of Amidite Block™ using Fujimat®



Amidite Block™は、Fujimat®により合成可能

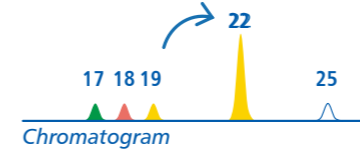
Concept of Block Synthesis using Amidite Block™

Linear Synthesis



Linear Synthesisでは、精製が困難な $n-1$, $n-2$ merが生成する

Block Synthesis (Apply to Solid or Pseudo-Liquid phase synthesis)



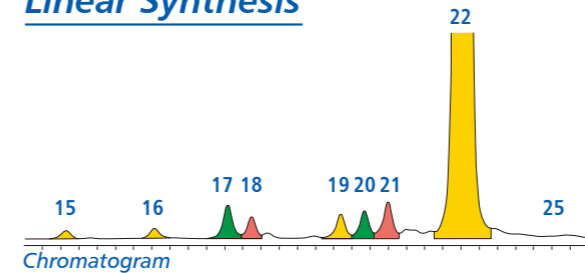
Block Synthesisでは、 $n-1$, $n-2$ merが生成しないため、精製が容易になる

Result of Block Synthesis using Amidite Block™

Sequence: 5'-TCGTCGTTTTCGGCGCGCGCCG-3' (PO, 22 mer)

Solid phase synthesis
 1.7 equiv. (Amidite monomer or TCG-amidite)

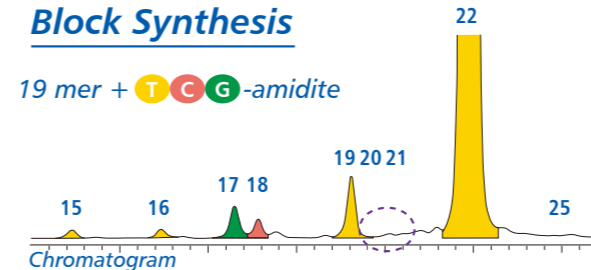
Linear Synthesis



Crude 22 mer: HPLC area%

	15-18	19	20	21	22	23	24	25 mer
Linear	4.2%	1.4%	1.6%	2.2%	90.2%	ND	ND	ND
Block	4.0%	3.4%	ND	ND	92.2%	ND	ND	ND
Purification	easy		difficult		difficult			

Block Synthesis



Block Synthesisでは、 $n-1$, $n-2$ merが生成しない

- ▶ 高品質なオリゴ核酸
- ▶ 精製が容易

Block Synthesisは、 $n-1$, $n-2$ merの低減に効果的