

平成22年度 研究業績

関根光雄（東京工業大学大学院生命理工学研究科、A02班 計画班員）

1. 発表論文等（査読付き論文、著書、総説等の発表状況）

- (1) Synthesis of 2'-O-[2-(N-Methylcarbamoyl)ethyl]ribonucleosides Using Oxa-Michael Reaction and Chemical and Biological Properties of Oligonucleotide Derivatives Incorporating These Modified Ribonucleosides. Yamada, T.; Okaniwa, N.; Saneyoshi, H.; Ohkubo, A.; Seio, K.; Nagata, T.; Aoki, Y.; Takeda, S., Sekine M.* *J. Org. Chem.*, in press.
- (2) Biochemical Behavior of N-Oxidized Cytosine and Adenine Bases in DNA Polymerase-mediated Primer Extension Reactions
Tsunoda, H.; Kudo, T.; Masaki, Y.; Ohkubo, A.; Seio, K.; Sekine , M.* *Nucleic Acids Res.* in press.
- (3) Versatile site-specific conjugation of small molecules to siRNA using click chemistry.
Yamada, T.; Peng, C. G.; Matsuda, S.; Addepalli, H.; Jayaprakash, K. N.; Alam, Md. R.; Mils, M.; Maier, A.; Charisse, K.; Sekine, M.; Manoharan, M.; Rajeev, K. G.* *J. Org Chem.* **2011**, *76*, 1198-1211.
- (4) Synthesis and Hybridization Properties of 2'-O-Methylated Oligoribonucleotides Incorporating 2'-O-Naphthyluridines
Sekine, M.; Oeda, Y.; Iijima, Y.; Taguchi, H.; Ohkubo, A.; Seio, K.* *Org. Biomol. Chem.* **2011**, *9*, 210-218.
- (5) Synthesis and Triple-forming Properties of Oligonucleotides Containing Thio-substituted C-Nucleoside 4-Thiopseudouridine
Cao, S.; Okamoto, I.; Ohkubo, A.; Seio, K.; Sekine, M.* *Tetrahedron Lett.* **2011**, *52*, 407-410.
- (6) Synthesis of Oligodeoxynucleotides Using Fully Protected Deoxynucleoside 3'-Phosphoramidite Building Blocks and Base Recognition of Oligodeoxynucleotides Incorporating N^3 -Cyanoethylthymine
Tsunoda, H.; Kudo, T.; Ohkubo, A.; Seio, K.; Sekine, M.* *Molecules* **2010**, *15*, 7509-7531.
- (7) Synthesis and Hybridization Properties of Oligonucleotides Incorporating Bi- and Tri-cyclic Cytosine Derivatives
Ohkubo, A.; Sakaue, T.; Tsunoda, H.; Seio, K.; Sekine, M.* *Chem. Lett.* **2010**, *39*, 726-727.
- (8) Synthesis and Biochemical Properties of Oligodeoxynucleotides Acylated by the Chemically Stable 2-(Trimethylsilyl)benzoyl (TMSBz) Group at the 5' or 3' Terminus
Yamada, K.; Taguchi, H.; Ohkubo, A.; Seio, K.; Sekine,M.**Tetrahedron Lett.* **2010**, *51*, 5173-5176.

- (9) Oligonucleotide Synthesis Involving Deprotection of Amidine-type Protecting Groups for Nucleobases under Acidic Conditions
 Ohkubo, A.; Kuwayama, Y.; Nishino, Y.; Tsunoda, H.; Seio, K.; Sekine, M.* *Org. Lett.* **2010**, *12*, 2496-2499.
- (10) Insights into the DNA Stabilizing Contributions of a Bicyclic Cytosine Analogue: Crystal Structures of DNA Duplexes Containing 7,8-Dihydropyrido [2,3-d]pyrimidin-2-one
 Juan, E. C. M.; Shimizu, S.; Ma, X.; Kurose, T.; Haraguchi, T.; Zhang, F.; Tsunoda, H.; Ohkubo, A.; Sekine, M.; Shibata, T.; Millington, C. L.; Williams, D. M.; Takénaka, A.* *Nucleic Acids Res.* **2010**, *38*, 6737-6745.
- (11) Synthesis of Oligodeoxynucleotides Using the Oxidatively Cleavable 4-Methoxytritylthio (MMTrS) Group for Protection of the 5'-Hydroxyl Group
 Seio, K.; Shiraishi, M.; Utagawa, E.; Ohkubo, A.; Sekine, M.* *New J. Chem.* **2010**, *34*, 984-992.
- (12) Linear Relationship between Deformability and Thermal Stability of 2'-O-Modified RNA Hetero Duplexes
 Masaki, Y.; Ohkubo, A.; Seio, K.; Sekine, M.* *J. Phys. Chem. B.* **2010**, *114*, 2517-2524
- (13) Synthesis of 6-N-(Benzothiazol-2-yl)deoxyadenosine and Its Exciton-coupled Circular Dichroism
 Masaki, Y.; Ohkubo, A.; Seio, K.; Sekine, M.* *Bioorg. Med. Chem.* **2010**, *18*, 567-572.
- (14) 人工核酸を用いる遺伝子治療の現状と新しいアンチジーン法の展開, 注目記事—融合研究分野, 関根光雄, 中国科学技術月報, 2011, 50号.

2. 学会発表等 (国内外の招待講演および国際会議での発表状況)

- (1) Triplex Forming Oligonucleotides Containing Thiolated Nucleobases.
Sekine, M. 18th International Conference on Phosphorus Chemistry, Wrocław University of Technology Wrocław, Poland, 2010.7.13 (招待講演) .
- (2) New Triplex Forming Oligonucleotides Capable of Binding to DNA Duplexes under Neutral Conditions.
Sekine, M. Joint Workshop for Advanced Biotechnology, Heidelberg University, Germany, 2010.7. (招待講演) .
- (3) 新規核酸医薬の創成を指向した合成研究, 第54回日本薬学会関東支部大会, 東京薬科大学, 2010.10.2 (招待講演) .
- (4) 核酸医薬を指向した新規RNA誘導体の合成法の開発, 第3回分子複合医薬研究会, 産業総合研究所 関西センター, 分子複合医薬研究会, 2010.10.6 (招待講演) .

(5) Synthesis and Triple Formation of Oligonucleotides Containing 8-Thioxoadenine and 2-Thiopyrimidine.

Ohkubo, A.; Nishino, Y.; Kakishima, Y.; Tsunoda, H.; Seio, K.; Sekine, M. IRT 2010 - XIX International Round Table on Nucleosides, Nucleotides and Nucleic Acids, Batiment CPE (Rue Victor Grignard), Université Claude Bernard Lyon 1, France, 2010.8.29-9.3 (ポスター発表) .

(6) Relationship between Thermal Stability and Deformability of Modified RNA.

Masaki, Y.; Miyasaka, R.; Tsunoda, H.; Ohkubo, A.; Seio, K.; Sekine, M. IRT 2010 - XIX International Round Table on Nucleosides, Nucleotides and Nucleic Acids, Batiment CPE (Rue Victor Grignard), Université Claude Bernard Lyon 1, France, 2010. 2010.8.29-9.3 (ポスター発表) .

(7) Synthesis and Hybridization Properties of Oligonucleotides Having Chemically Stable Acyl Groups.

Yamada, K.; Tsunoda, H.; Ohkubo, A.; Seio, K.; Sekine, M. IRT 2010 - XIX International Round Table on Nucleosides, Nucleotides and Nucleic Acids, Batiment CPE (Rue Victor Grignard), Université Claude Bernard Lyon 1, France, 2010.8.29-9.3 (ポスター発表) .

(8) Synthesis of Morpholino Nucleic Acids Containing 2-Thiouracil Bases.

Harakawa, T.; Osawa, H.; Tsunoda, H.; Ohkubo, A.; Seio, K.; Sekine, M. IRT 2010 - XIX International Round Table on Nucleosides, Nucleotides and Nucleic Acids, Batiment CPE (Rue Victor Grignard), Université Claude Bernard Lyon 1, France, 2010. 2010.8.29-9.3 (ポスター発表) .

(9) Improvement of G-PPI-C3 Triad Capable of Sequence Selective Triple Helix Formation.

Kanamori, T.; Tsunoda, H.; Ohkubo, A.; Seio, K.; Sekine, M. The 2010 International Chemical Congress of Pacific Basin Societies (Pacifichem 2010), Honolulu, Hawaii, USA, 2010.12.15-20 (ポスター発表) .

(10) Synthesis and Properties of 2'-O-[2-(N-methyl) carbamoyl]ethyl-RNAs.

Yamada, T.; Okaniwa, N.; Saneyoshi, H.; Ohkubo, A.; Seio, K.; Nagata, T.; Takeda, S.; Sekine, M. The 37th International Symposium on Nucleic Acids Chemistry 2010, Hamagin Hall, Yokohama, Japan, 2010.11.10-12 (口頭発表) .

(11) Development of triplex forming oligonucleotide incorporating cytosine derivative capable of selective recognition of pseudouridine in DNA duplex.

Kanamori, T.; Tsunoda, H.; Ohkubo, A.; Seio, K.; Sekine, M. The 37th International Symposium on Nucleic Acids Chemistry 2010, Hamagin Hall, Yokohama, Japan, 2010.11.10-12 (口頭発表) .

(12) Synthesis and triplex formation properties of oligonucleotides containing 8-thioxopurine and 2-thiopyrimidine derivatives.

Nishino, Y.; Tsunoda, H.; Ohkubo, A.; Seio, K.; Sekine, M. The 37th International Symposium on Nucleic Acids Chemistry 2010, Hamagin Hall, Yokohama, Japan, 2010.11.10-12 (ポスター発表).

(13) Synergetic Effects of 2-Thiolation and 5-Propynylation of the Uracil Base on the Stability of RNA Duplexes.

Miyasaka, T.; Masaki, Y.; Tsunoda, H.; Ohkubo, A.; Seio, K.; Sekine, M. The 37th International Symposium on Nucleic Acids Chemistry 2010, Hamagin Hall, Yokohama, Japan, 2010.11.10-12 (ポスター発表).

(14) Synthesis and Properties of Oligonucleotides Incorporating 2'-*O*-Carbamoyl modifications.

Tokugawa, M.; Kanamori, T.; Tsunoda, H.; Ohkubo, A.; Sekine, M.; Seio, K. The 37th International Symposium on Nucleic Acids Chemistry 2010, Hamagin Hall, Yokohama, Japan, 2010.11.10-12 (ポスター発表).

(15) Syntheses and Properties of Oligonucleotides Containing 4-Thiopseudouridylate or 4-Thiopseudo- uridine C-Nucleosides.

Cao, S.; Hattori, Y.; Okamoto, M.; Tsunoda, H.; Ohkubo, A.; Seio, K.; Sekine, M. The 37th International Symposium on Nucleic Acids Chemistry 2010, Hamagin Hall, Yokohama, Japan, 2010.11.10-12 (ポスター発表).

(16) Synthesis of Morpholino Nucleic Acids Having Modified Nucleobases Capable of Strong and Precise Binding to Natural Nucleobases.

Harakawa, T.; Ohsawa, S.; Suzuki, M.; Tsunoda, H.; Seio, K.; Sekine, M. The 37th International Symposium on Nucleic Acids Chemistry 2010, Hamagin Hall, Yokohama, Japan, 2010.11.10-12 (ポスター発表).

(17) Development of a New Method for the Gene Analysis by Use of Short DNA Probes Recognizing a Gap Structure.

Esaka, Y. Yamada, K. Tsunoda, H. Ohkubo, A.; Seio, K.; Sekine, M. The 37th International Symposium on Nucleic Acids Chemistry 2010, Hamagin Hall, Yokohama, Japan, 2010.11.10-12 (ポスター発表).

(18) Synthesis of Oligopeptide Using Phosphoramidite Method and Transacylation.

Satoh, Y.; Tsunoda, H.; Ohkubo, A.; Sekine, M.; Seio, K. The 37th International Symposium on Nucleic Acids Chemistry 2010, Hamagin Hall, Yokohama, Japan, 2010.11.10-12 (ポスター発表).

(19) Synthesis and Properties of Modified Oligonucleotides Capable Triplex Formation with DNA Duplexes under Neutral Conditions.

Sekine, M. The 2010 International Chemical Congress of Pacific Basin Societies
(Pacificchem 2010), Honolulu, Hawaii, USA, 2010.12.15-20 (口頭発表).

3. 特許

なし

4. 学会・シンポジウム等の開催状況

- (1) 37th International Symposium on Nucleic Acids Chemistry 2010, Hamagin Hall,
Yokohama, Japan, 2010.11.10-12 (関根光雄, 組織委員).
(2) 第 20 回アンチセンスシンポジウム, 12/2-3 甲南大学ポートアイランドキャンパス,
2010.12.2-3 (関根光雄, 幹事).

5. 受賞等

- (1) 正木慶昭 (特別研究員)
The 2010 Awards of the D. N. Chorafas Foundation, Dimitris N. Chorafas Foundation,
2010.9.14
- (2) 山田研 (博士 3 年)
Poster Prize, IRT 2010 - XIX International Round Table on Nucleosides, Nucleotides and
Nucleic Acids, 2010, 9.1
- (3) 山田剛史 (博士 3 年)
Student Oral Award, The 37th International Symposium on Nucleic Acids Chemistry,
2010.11.12
- (4) 西野雄大 (修士 2 年)
学生講演賞 アンチセンスシンポジウム, 2010.12.3
- (5) 大窪章寛 (助教)
塩野義製薬研究企画賞 有機合成化学協会, 2011.2.23
- (6) 清尾康志 (准教授)
手島研究記念藤野賞 財団法人手島工業教育資金団, 2011.2.15
- (7) 関根光雄
日本化学会賞 日本化学会, 2011.3.26

6. 新聞報道等

- (1) 22年度日本化学会賞-常識覆す新たな人工核酸を合成
関根光雄, 科学新聞 8面, 2011.3.25

7. 領域内の共同研究の準備・実施状況とその成果

なし

8. その他特記事項

なし