DDS Nanoparticle RION for Medical Oligonucleotides
~ Nanocarrier-free DDS for High Drug Tumor Accumulation ~

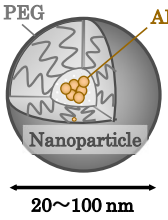
KEY INVENTION

This is the invention related to the nanoparticle preparation technology for a nanocarrier-free DDS using self-assembly of oligonucleotides by chemical modifications of the sense chains.

➡ *Discovery of A Novel DDS Technology for High Drug Tumor Accumulation!*

c.f. Disadvantages of Current Nano Carriers and Advantage of Nanoparticle RION

[Current Nano Carriers such as LNP]
- High Liver Accumulation (> 90%)
- Low Stability in Blood (< 15 minutes)
- Low API Loading (< 5%)
- Side Effects by Carriers (other than API)



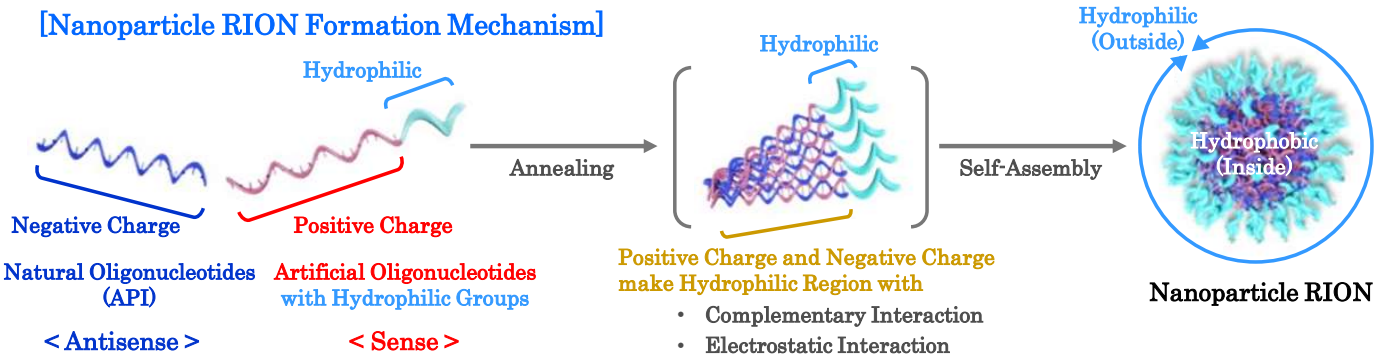
[Nanoparticle RION]
- High Tumor Accumulation (ND in Liver)
- High Stability in Blood (> 2 hours)
- High API Loading (only Oligonucleotides)
- Nanoparticles by Oligonucleotides (No Carrier)

SUMMARY of INVENTION

The oligonucleotides are annealed and self-assembled by a hydrophilic group introduction and a chemical modification so that the electric charge of the sense chain is made positive.

➡ A nanoparticle RION is prepared so that the hydrophobic units are oriented to inside and the hydrophilic units are outside.

[Nanoparticle RION Formation Mechanism]

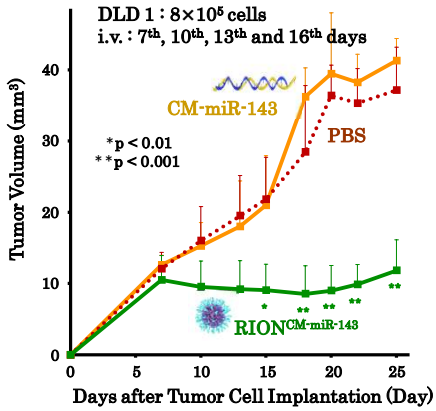


COMPARISON with and ADVANTAGE over CURRENT TECHNOLOGY

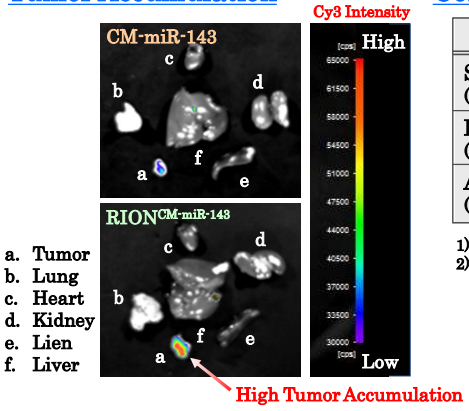
[Efficacy of Nanoparticle RION]

The trials by "RION$^{CM\text{-}miR\text{-}143}$" prepared based on a chemically modified microRNA-143 (CM-miR-143) that inhibits the RAS signaling pathways in cancer

Anti-Tumor Activity (Mice, i.v.)



Tumor Accumulation



Comparison with LNP

	RION	LNP[1)]
Stability in Blood[2)] (HDP, i.v.)	2.4 h	< 15 min.
Live Accumulation[2)] (24 hours)	N.D.	91.4%
API Content Rate (Weight%/Particle)	16.8%	4.0%

1) Onpattro® Intravenous Drip
2) Journal of Controlled Release, 235, 236-244 (2016)

RION$^{CM\text{-}miR\text{-}143}$ shows a high anti-tumor activity and tumor accumulation, and a superior stability in blood to and higher API content rate than the current technologies.

APPLICATION expeted

© Application for DDS of New Oligonucleotides such as for cancers, neural diseases or immunity disorder

Inventor: Noriko Miyamoto (Lecturer, Aichi Institute of Technology)

Licensable Patent

Title of Invention: Artificial Oligonucleotides and Delivery Method of Oligonucleotides using the Same

Contact: IP Management & Licensing Group,
Department of Intellectual Property Management, JST
TEL) +81-3-5214-8486 email) license@jst.go.jp
URL) www.jst.go.jp/chizai/