

Bioparticle Vault Derivatives

~ Design & Application for Novel Nanocapsules ~

KEY INVENTION

“Leucine Zipper Vaults (LZ Vaults)” have been developed, which are the Vault derivatives that leucine residues are added on the bioparticle Vault to make a zipper function.

→ The stability and expression are improved. The application to DDS is under development as a novel nanocapsule.

Bioparticle Vaults

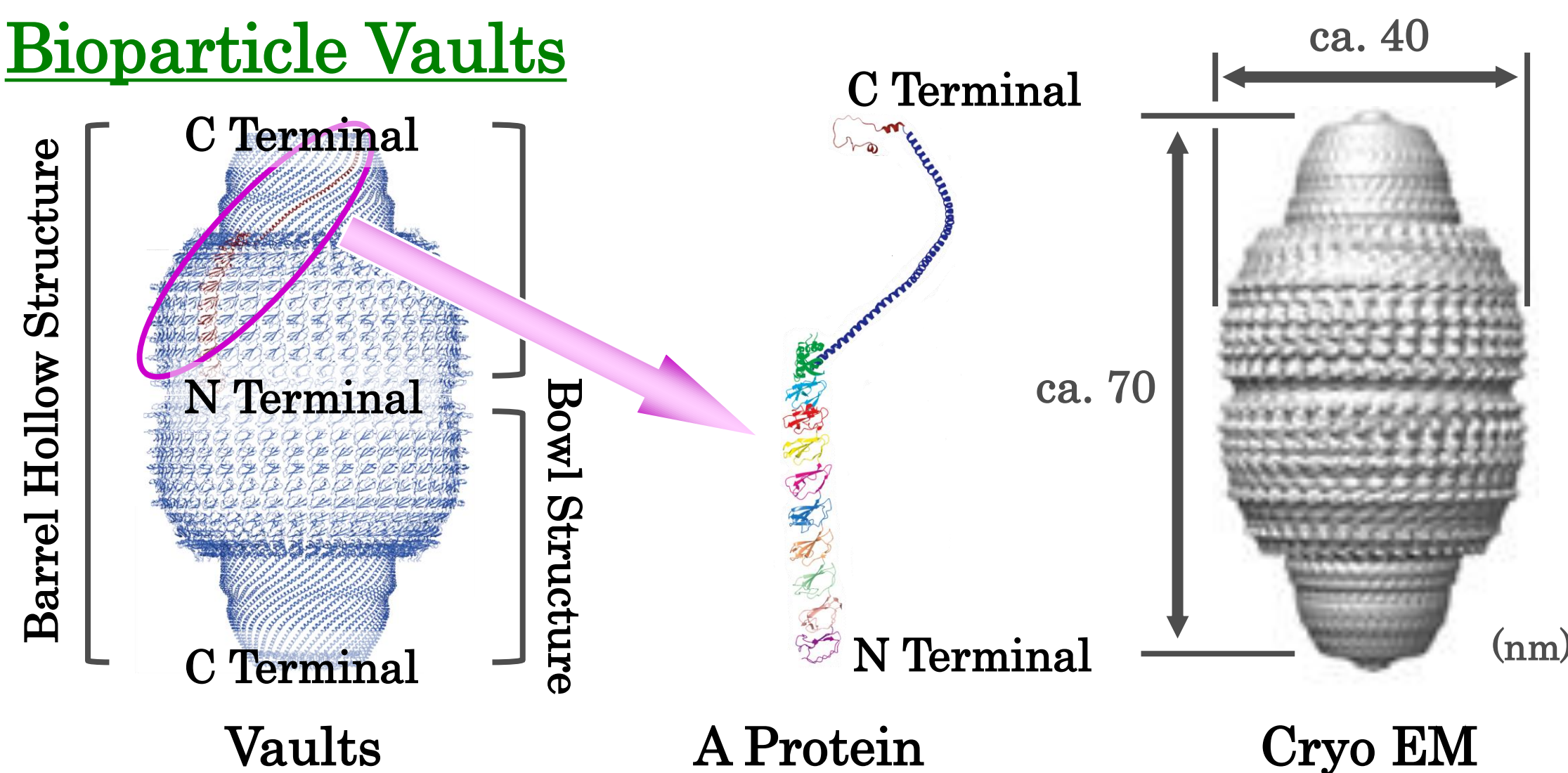
- A protein complex in the body (discovered in 1986)
- Applicable to DDS as nanocapsules including APIs inside
- Low stability and expression are challenges for practical use.

LZ Vaults

- Leucine residues are added on the open/close parts of the bioparticle Vaults to make a zipper function.
- ⇒ The stability and expression are improved.
- ⇒ The application to DDS is under development.

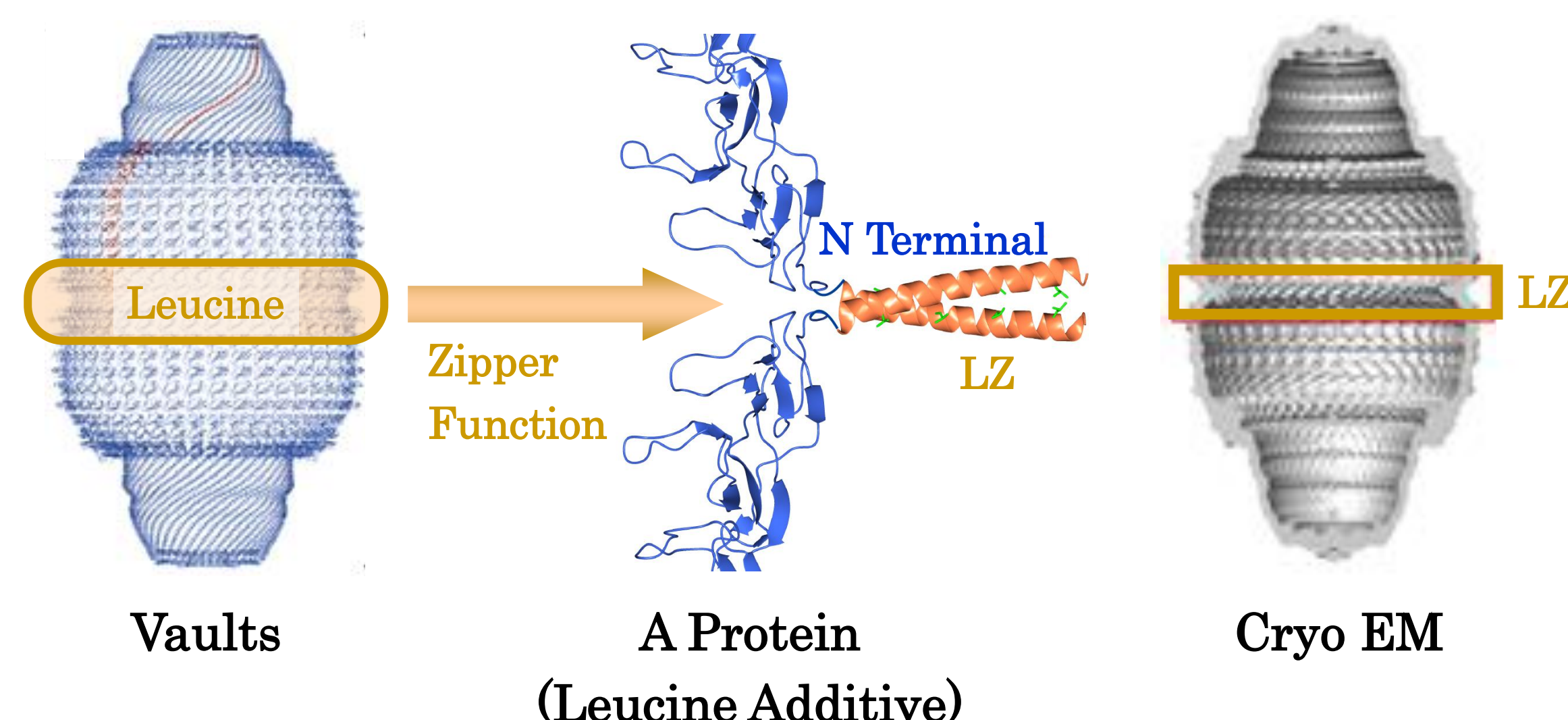
SUMMARY of INVENTION

Bioparticle Vaults



- A protein complex in the body (Mw = 10 million)
- A nanoparticle having a barrel hollow structure formed by 2 sets of bowl structure consisting of 3 kinds of protein & a kind of RNA and binding each other at the N-terminals with interactions
- Application to DDS is expected as nanocapsules including APIs.
- **Unstable due to weak binding at the N-terminal and low expression**

LZ Vaults

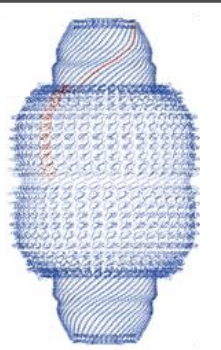
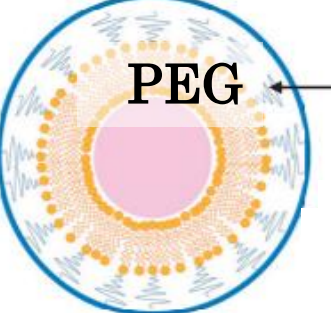



Leucine residues are added on the open/close parts at the N-terminal of the Vaults to make a zipper function.

→ The stability and expression are improved. (The expression level is 15 times up or more.)

COMPARISON with and ADVANTAGE over CURRENT TECHNOLOGIES

[Current Technology] - Liposome, Polymeric Micelle, etc.

	Particle Structure	Characteristics (Red: Challenge)	Size (nm) ¹⁾
Bioparticle Vaults	<ul style="list-style-type: none"> • Barrel Hollow Structure • APIs are included inside. 	<ul style="list-style-type: none"> • High safety due to biomaterial • Most of functions are unknown. 	ca. 40 × ca. 70
Liposome	<ul style="list-style-type: none"> • Phospholipid Bilayer • Coated with PEG • APIs are included inside. 	<ul style="list-style-type: none"> • Widely used for various disorders. • Efficacy and safety may change. 	Particle Diameter: 100 or less
Polymeric Micelle	<ul style="list-style-type: none"> • Outer layer is hydrophilic. • Inner layer is hydrophobic. • APIs are included inside. 	<ul style="list-style-type: none"> • Actively under development. • Efficacy and safety may change. 	Particle Diameter: 20~100

¹⁾ Drugs with a particle size of 10-200 nm can accumulate in tumor tissues.

(10 nm or smaller of particles are excreted by the kidneys and 200 nm or larger of particles are to the liver.)

It is important to design the particles according to the characteristics of the diseases.

APPLICATION expected

- ◎ Application to DDS as a novel nanocapsules in the medicals
- ◎ Application to nanocapsules for cosmetics (Nanocapsules deeply to deliver APIs into the skin, etc.)
- ◎ Application to new materials in the field of electronic materials as micro semiconductor substrates

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Licensable Patent

Title of Invention : Artificial Bioparticle and Method for Manufacturing Same
 International Publication No. : WO2014077195
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