Nano Pro-Drug

~ Design & Efficacy of Nano Carrier-free DDS ~

KEY INVENTION

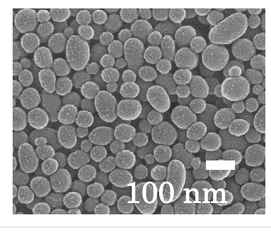
A novel Drug Delivery System (DDS) selectively to deliver drugs to the target cells (tissues) has been developed with no use of Nano Carriers.

Drug Administration → **Nano Carrier DDS** → **Nano Pro-Drug (Nano Carrier-free DDS)**

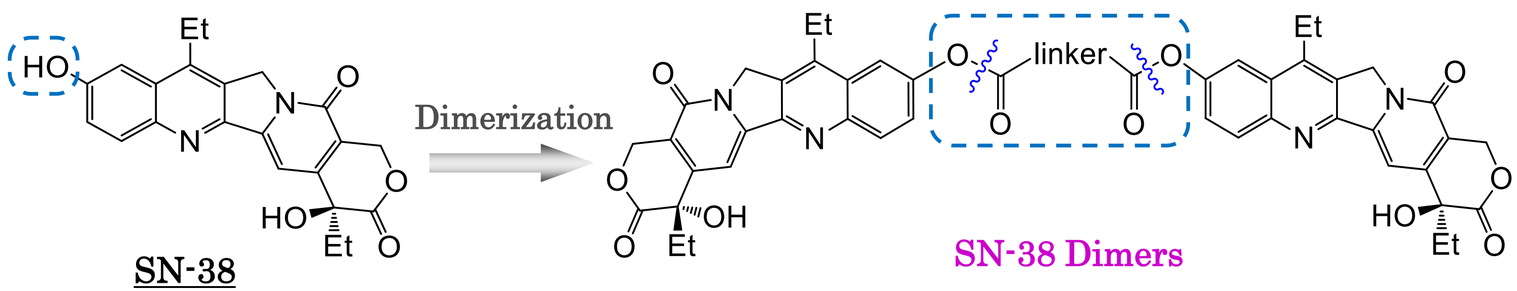
[Challenges]
Drug to spread in the body due to the molecular size
⇒ Low efficacy or side effects would be concerned about.

[Challenges]
- Low Cell Permeability
- Low Drug-support Rate (< 10%)
- Side effects by Nano Carrier would be concerned about.

[Characteristics]
A nano drug consisting of only APIs
⇒ The drug-support rate is high, and no side effect by nano carrier would be concerned about.

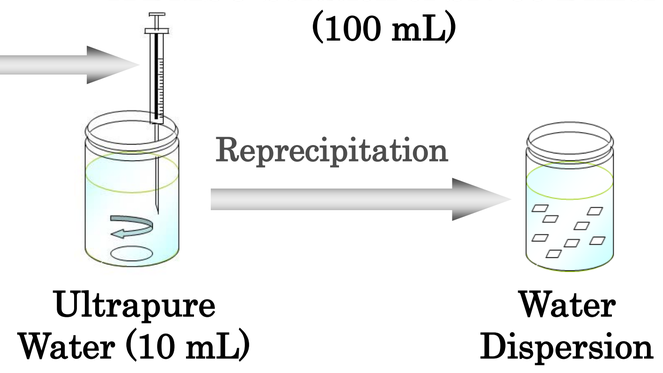


SUMMARY of INVENTION



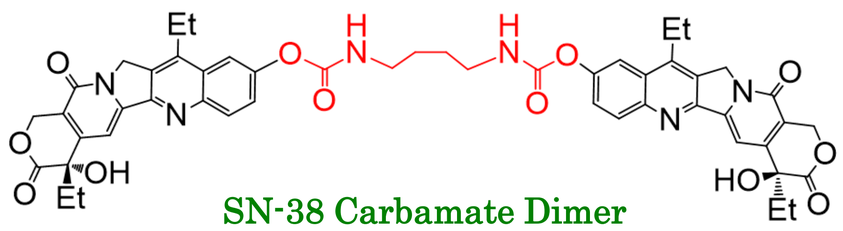
SN-38 Dimers

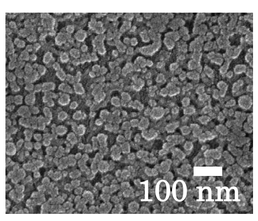
SN-38
- Topoisomerase Inhibitor
- Low Water Solubility (Water Solubility < 5 ppm)
- Irinotecan (pro-drug) is used for cancer treatment.

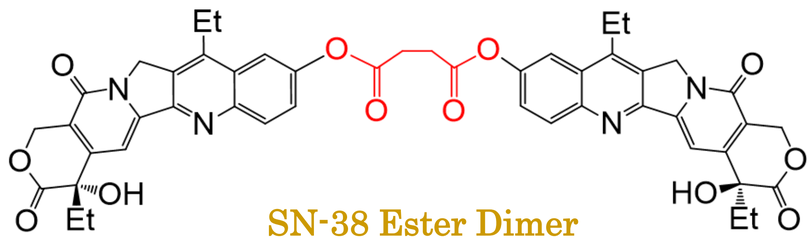


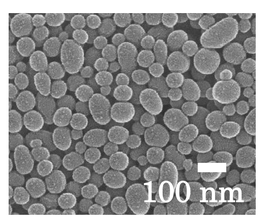
H. Kasai *et al.*, *Jpn. J. Appl. Phys.* 1992

[Examples of SN-38 Dimers]



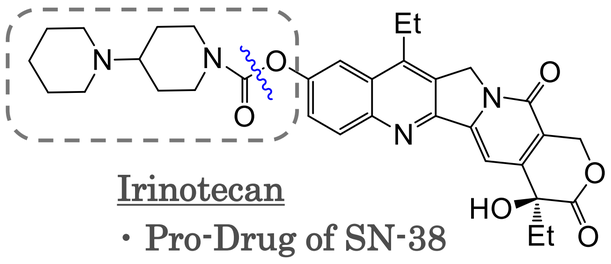






COMPARISON with and ADVANTAGE over CURRENT TECHNOLOGY

[Current Technology]



Irinotecan
- Pro-Drug of SN-38
- Used for cancer treatment

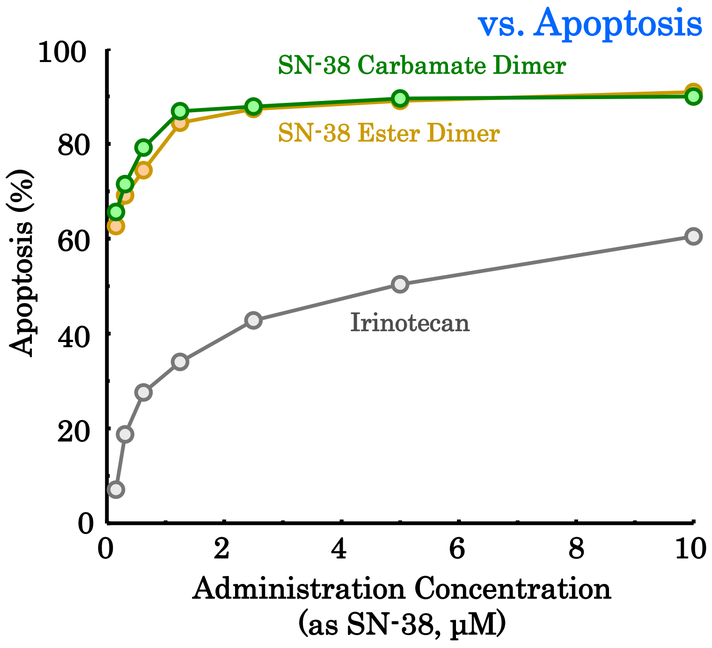
cf. Comparison of SN-38 with Irinotecan

	Water Solubility	Efficacy
SN-38	×	◎
Irinotecan	○	△

The water solubility of Irinotecan is higher than that of SN-38.

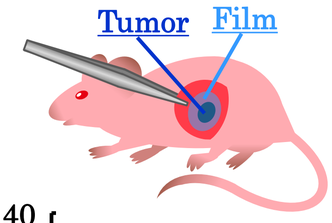
[Efficacy Comparison of this technology with the current technology]

a. Administration Concentration vs. Apoptosis

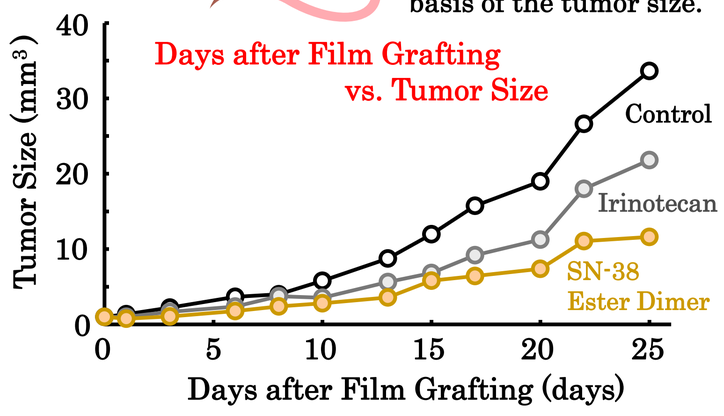


SN-38 dimers induce apoptosis at a higher late than Irinotecan.

b. Antitumor Activity by Topical Treatment



The drug-supported film was directly attached around the tumor, and the antitumor activity was evaluated on the basis of the tumor size.



SN-38 dimer shows a higher antitumor activity than Irinotecan.

APPLICATION expected

- ◎ Development of the low-molecule drugs such as antitumor agents using nano pro-drug as an alternative of the current DDS technologies
- ◎ Development of the novel DDS technology without nano carrier

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Licensable Patent

Title of Invention: Pharmaceutical Multimeric Particles, and Manufacturing Method for Same
International Publication No.: WO2011155501

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