Technology from Kyoto University

Peptide for Efficient Intracellular Delivery

We are looking to out-license the technology for its commercialization.

Capable of delivering peptides and larger molecules such as antibodies

◆Background

There are various intracellular targets for drug development, but for many of them, small molecules are said to be ineffective thus a drug delivery system for larger sized molecules such as peptides or antibodies has been desired. However, many of the conventional techniques still struggle with low delivery efficiency and high cytotoxicity.

◆Description and Advantages

Kyoto University researchers have successfully developed improved cytoplasmic delivery peptides that enable efficient protein delivery into cells. The peptides work simply by mixing with the target molecule or by forming a peptide fusion molecule.

- **Efficient intracellular delivery without toxicity (Fig. 1)**
  This delivery peptides achieves 22 times greater intracellular delivery compared to conventional peptide (E3MP), with no associated toxicity.
- **Intracellular delivery of large molecules in the presence of serum (Fig. 2)**
  Simply by co-adding the delivery target molecule with the peptides to the culture medium, antibodies can be delivered into cells.
- **Successful delivery confirmed *in-vivo* (Fig. 3)**
  Fusion proteins or co-administered proteins with the developed peptide reach the intracellular region of tumor cells in living mice.

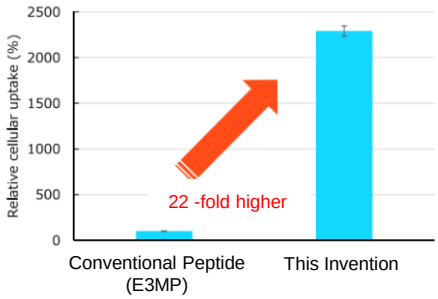


Fig. 1. Cellular uptake evaluation

Fluorescent dyes were conjugated to both the conventional peptide and the new peptide respectively and added to the culture medium for cell culturing. Cells cultured in the medium containing the peptide from this invention exhibited fluorescence levels 22-fold higher than those cultured with the conventional peptide.

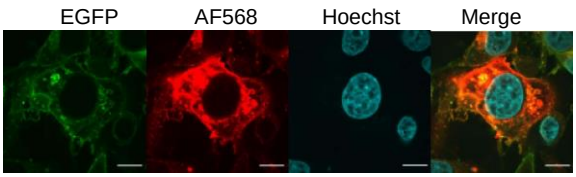


Fig. 2. Antibody uptake via co-addition with the new peptide

After the expression of the EGFP fusion protein in cultured cells, the novel peptide and a mouse anti-EGFP antibody were co-added to the medium. Following cell fixation, the cells were stained with anti-mouse IgG-AF568, confirming that the anti-EGFP antibody had been successfully delivered into the cells.

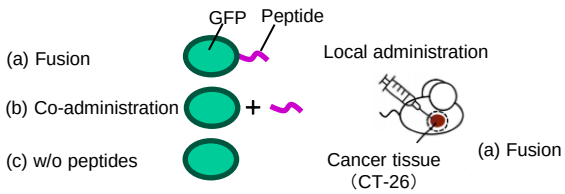
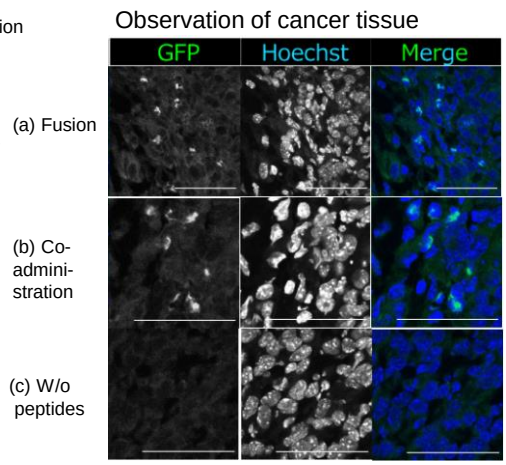


Fig. 3. In-Vivo delivery

The tumor tissues of a cancer model mouse were observed after 24 hours from the local administration of nuclear-localized GFPs. In case of (a) GFPs fused with the peptide or (b) GFPs co-administered with the peptide, the GFPs were successfully delivered into the nuclei of the cancer cells; while if GFPs were administered without the peptide (c), no delivery was observed.



◆Development Status

- Can deliver the target substance into the cytoplasm, whether by co-addition or fusion.
- Can deliver macromolecules (antibodies).
- Utilization *in vivo*

◆Applications

Drug Delivery System

- Target screening
- Intracellular target drug discovery
- Induction of cell differentiation

◆Journal Publication

Journal of Controlled Release
Vol. 367, pp 877-891

◆Offer

- Patent License
- Option for License w/ MTA for sample trial

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