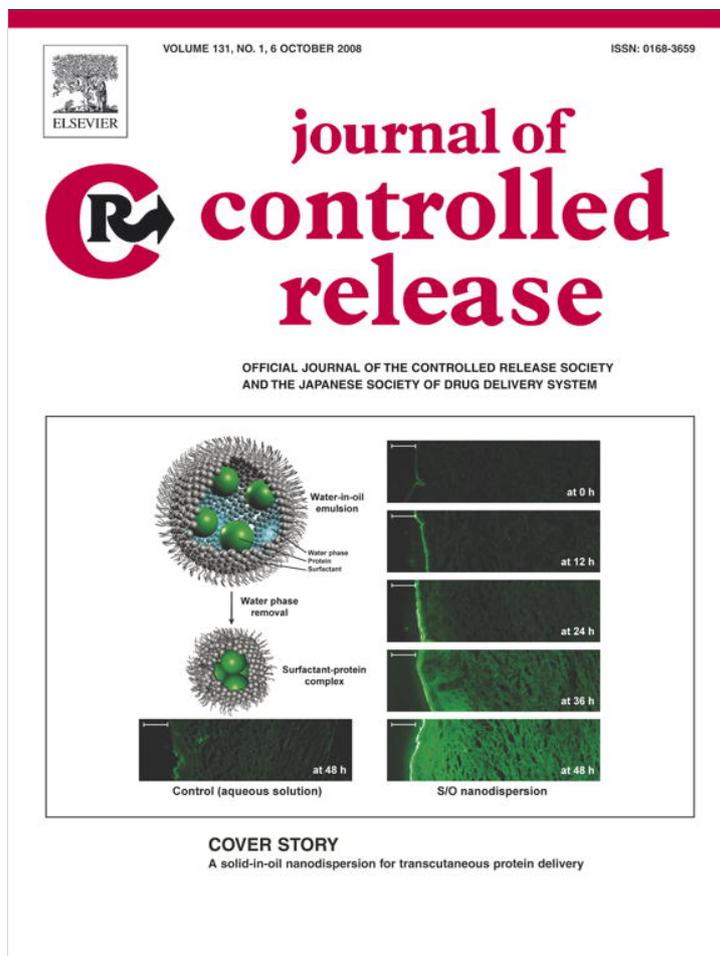


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Cover Story

Solid-in-oil nanodispersion shows a possibility of transdermal protein delivery

Transdermal drug delivery has its own unique advantages over other administration routes. A transdermal route provides patients with an easy and convenient means for drug administration, in addition to avoiding the first-pass hepatic metabolism. Transdermal delivery, however, has been known to be limited to delivery of low molecular weight drugs which are usually poorly water-soluble. Delivery of hydrophilic, small drugs through the skin is difficult due to poor permeation, and delivery of hydrophilic macromolecules, such as peptides and proteins, is extremely difficult, if not impossible. Even with the use of penetration enhancers to increase the drug permeability through the highly organized structure of the stratum corneum, achieving therapeutic levels has been difficult if a drug is larger than 500 g/mol. Naturally, numerous studies have been carried out to find a way to deliver various drugs by transdermal delivery. One of the most widely attempted drugs has been insulin. We can only imagine how much patients' convenience and compliance it will improve if insulin can be delivered through the skin. A recent study on a nanodispersion formulation by Professor Goto showed such a possibility [1].

In a solid-in-oil (S/O) nanodispersion technique, protein molecules were coated with a hydrophobic surfactant (HLB=2) to form protein-surfactant complexes. These complexes were dispersed in isopropyl myristate which is known to have a permeation-enhancing effect. The main function of this new system is to promote protein penetration

through the hydrophobic stratum corneum. The model proteins up to 40,000 g/mol in size (such as FITC-labeled insulin, enhanced green fluorescent protein, and horseradish peroxidase) permeated through the stratum corneum of Yucatan micropig skin *in vitro*. This approach is unique in that it is based on a non-aqueous vehicle for protein delivery. Although there still remain the main limitations of transdermal protein delivery, such as slow permeation through the skin, the S/O nanodispersion technique has a great promise for effective transdermal delivery of protein drugs in the near future.

Reference

- [1] Y. Tahara, S. Honda, N. Kamiya, H. Piao, A. Hirata, E. Hayakawa, T. Fujii, M. Goto, A solid-in-oil nanodispersion for transcutaneous protein delivery, *J. Control. Release* 131 (2008) 14–18.

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