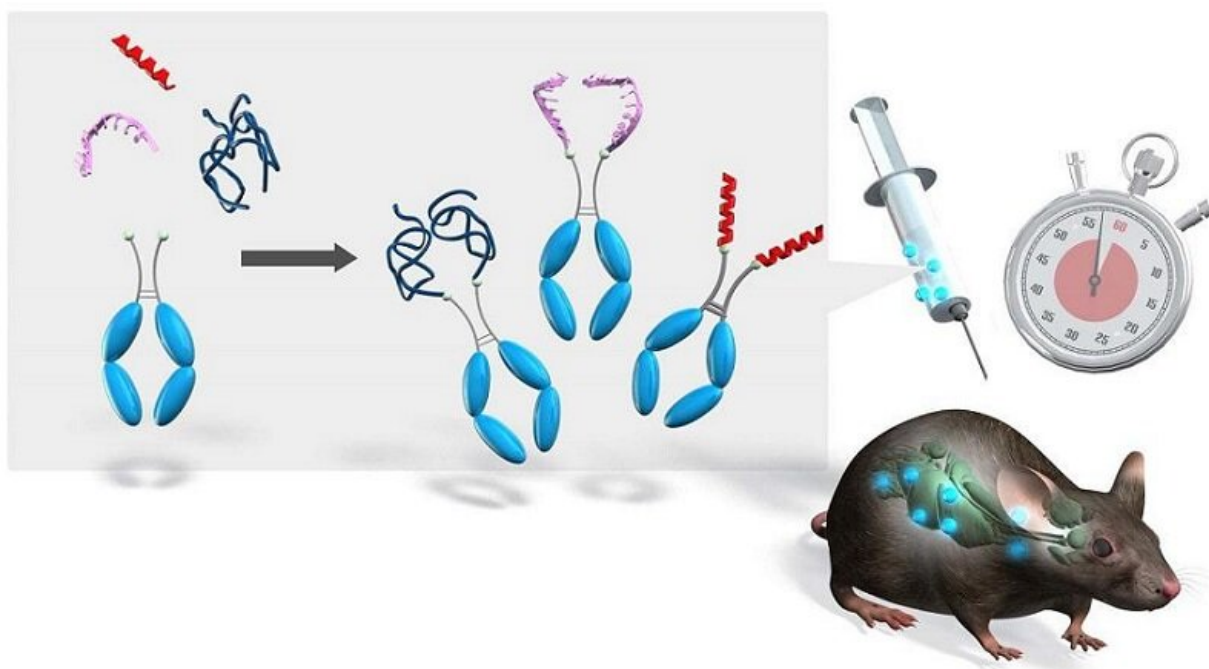


Researchers create efficient semisynthesis of biopharmaceutic-Fc conjugates

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Biopharmaceutic-Fc conjugate synthesized by our method possessed a long half-life inside the body. Credit: University of Electro Communications

Biopharmaceutics consisting of middle molecules, for example, peptide or nucleic-acid aptamers, have been attracting attention as promising molecular modalities in current drug discovery.

A major problem of such pharmaceuticals for therapeutic applications is

that the half-lives of their circulating plasma inside the body are too short. Ideally, a precise conjugation of the Fc fragment of human antibodies with pharmaceuticals would extend the half-lives. Practically, the conjugation between the complicated molecules is still immature.

Now, Masumi Taki and colleagues at the University of Electro-Communications (UEC), and Ajinomoto Co. Inc. have established a facile and efficient semisynthesis method to obtain biopharmaceuticals-Fc conjugates.

A combination of chemoenzymatic novel reaction (i.e., the NEXT-A reaction) followed by well-known [click reaction](#) yielded almost 100% [conversion](#); and the N-terminal specific precise conjugation of the Fc fragment with different kinds of biopharmaceuticals was unambiguously identified by several methods including deconvoluted [mass spectrometry](#).

A Fc-conjugated (peptidic) pharmaceutical synthesized by this method led to a long-circulating plasma half-life inside mice while retaining its original biological activity.

The Fc-conjugation platform described can be applied many kinds of biopharmaceuticals with different molecular modalities.

More information: Shigeo Hirasawa et al. Facile and Efficient Chemoenzymatic Semisynthesis of Fc-Fusion Compounds for Half-Life Extension of Pharmaceutical Components, *Bioconjugate Chemistry* (2019). [DOI: 10.1021/acs.bioconjchem.9b00235](https://doi.org/10.1021/acs.bioconjchem.9b00235)

Provided by University of Electro Communications

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