



Non-Clinical Research Results of Chugai's Switch Antibody STA551 Published in Cancer Discovery

- Non-clinical research results on STA551, the world's first Switch Antibody using Chugai's proprietary antibody engineering technology Switch-Ig[®], was accepted for publication in the world's leading journal in oncology.
- The concept of STA551, an anti-CD137 agonist switch antibody, was proved in animal models.
- A phase I clinical trial for solid tumors is underway since March this year.

TOKYO, August 26, 2020 -- [Chugai Pharmaceutical Co., Ltd.](https://www.chugai-pharm.co.jp/) (TOKYO: 4519) announced that the results of non-clinical research on STA551, a Switch Antibody created by Chugai and currently in phase I clinical trial for solid tumors, have been published in Cancer Discovery Online. Cancer Discovery, a journal of the American Association for Cancer Research, is recognized as the world's leading journal for reporting novel non-clinical and clinical research in the oncology field.

"Antibody to CD137 activated by extracellular adenosine triphosphate is tumor selective and broadly effective in vivo without systemic immune activation"

<https://cancerdiscovery.aacrjournals.org/content/early/2020/08/22/2159-8290.CD-20-0328>

The following points were demonstrated in this research:

- Creation of STA551, an anti-CD137 agonist antibody that binds to CD137 in an adenosine triphosphate (ATP)-dependent manner and exerts agonistic activity, which is known to be present at high concentrations in solid tumor tissues.
- STA551 binds to CD137 and activates T cells in the presence of ATP, but not in the absence of ATP (in vitro)
- STA551 showed antitumor efficacy in all eight mouse models transplanted with multiple cancer cell lines (mouse)
- Conventional anti-CD137 agonist antibody induces systemic immune reactions, but not with STA551 (mouse)
- STA551 was well tolerated in animal toxicity studies

"We are very pleased that the results of our basic research on STA551, the first clinical development project to apply our Switch-Ig[®] technology, were published in Cancer Discovery. We believe that the publication of the article was highly regarded not only for the non-clinical results of STA551 but also for the concept of Switch Antibody, which "binds to antigens only in the presence of a molecule (switch molecule) that are specifically present in pathological tissues," said Dr. Hisafumi Okabe, Executive Vice President, Supervisory responsibility for Research and Translational Research. "We are confident that Chugai's strength in antibody engineering technology will not only solve the challenges of conventional

antibody drugs but also further expand the potential of antibody drugs as a modality. We are very much looking forward to the ongoing phase I clinical trial of STA551 to show a high safety profile and anti-tumor efficacy and become a drug that can contribute to the treatment of patients.”

Aiming to become a top innovator in the healthcare industry, Chugai strives to contribute to patients around the world by providing innovative medicines and services through the pursuit of science and unique technological capabilities.

About STA551

STA551 is a Switch Antibody using Switch-Ig[®] developed by Chugai. It activates by recognizing adenosine triphosphate (ATP) as a switch molecule, which is believed to exist in high concentration in tumor tissues, and binds to the target antigen, CD137. A phase I clinical trial for solid tumors is ongoing.

About Switch-Ig[®]

Switch-Ig[®] is a technology that enhances the disease site specificity of antibodies. Conventional antibodies may bind to the target antigen not only in the disease site but also in normal tissues, causing problems such as side effects. Switch-Ig[®] is an antibody designed to bind to a target antigen only in the presence of a molecule (switch molecule) that becomes highly concentrated at the disease site. It is less likely to react with the target antigen in normal tissues with low switch molecule concentrations. By utilizing this technology, it is expected that the antibody can react specifically to the disease site and avoid the safety problems and deterioration of plasma kinetics caused by binding to normal tissues.

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