KDDF
Korea Drug Development Fund

Asset #41
Cambridge Enterprise Ltd.

Antibody Drug Conjugate Chemistry

Therapeutic Area	Oncology	**Indications**	Cancer
Modality	Small Molecule	**Development Stage**	Target Identification/Validation

Overview

Background

- Antibody-drug conjugates (ADCs) are targeted therapies using antibodies to deliver potent drugs to specific cells. However, standard methods for linking drugs to antibodies have issues like instability, variable drug-antibody ratios, and imprecise attachment locations.

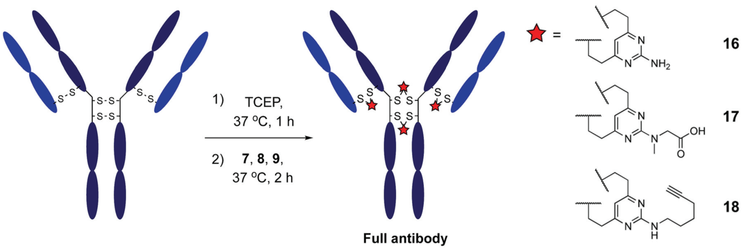
Technology Advantages

- Enhances stability and functionality of antibody constructs
- Ensures precise and site-specific drug attachment.
- Controls drug-antibody ratios consistently
- Works efficiently with various payloads, including cytotoxins
- Demonstrates potential for general protein modification and stabilization, broadening therapeutic applications

Key Data

Reaction of trastuzumab with the DVP linkers and subsequent analysis

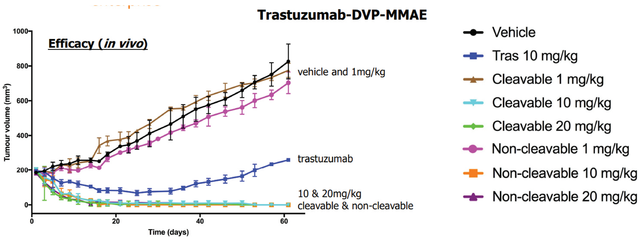
A



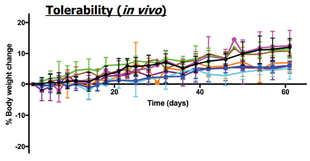
Cysteine bridging of trastuzumab with 7, 8 or 9 resulted in rebridged mAbs 16, 17 and 18

Novel divinylpyrimidine (DVP) chemistry for antibody-drug conjugation

B



C



- native antibody
- controlled DAR of 4
- no retro-Michael addition
- on-antibody functionalisation

IP Status & Publication(s)

Intellectual Property

Patent Number
EP2018-070703 (2018.07.31)

Patent Family
PCT, US, EP

Publication(s)

- Walsh at al. (2019). A general approach for the site-selective modification of native proteins, enabling the generation of stable and functional antibody–drug conjugates. Chemical Science
- Walsh, S. J. et al. (2022). Divinylpyrimidine reagents generate antibody–drug conjugates with excellent in vivo efficacy and tolerability. Chemical Communications, 58(12), 1962–1965.