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STAPLED PEPTIDE THERAPEUTIC FOR NON-DRUGGABLE TARGETS

THERAPEUTICS

Platform technology that manipulates α -helical peptides to improve half-life, cell permeability, lower immunogenicity, decrease dosing requirements and improve the therapeutic window.

TECHNOLOGY TYPE

Platform Method
Biologics
Peptides

STAGE OF DEVELOPMENT

- Proof of concept established in cell-based assays.

- Multiple peptides for cancer and diabetes therapeutics in development.

IP PROTECTION

Nationalized PCT Pending in the United States and Europe

Thiol-ene based peptide stapling and uses thereof
WO2016209978A2

Additional Patent Pending in the United States

Thiol-yne based peptide stapling and uses thereof
WO2018017485A1

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Reference Number: U-5872

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TECHNOLOGY SUMMARY

Existing therapeutic platforms and drug arsenals utilize small molecules and large antibody proteins, addressing only 20 percent of the druggable market. The chemical space required for inhibition of protein-protein interactions is considered “undruggable” and remains underexplored. Undesirable properties of peptides such as instability, lack of cell/ tissue penetration, and immunogenicity of synthetics compound the problem and make it unsuitable for use in humans.

The new method is a proprietary facile and efficient synthetic platform method to generate high yield stapled peptides involving macrocyclization and a two-component thiol-ene based reaction.

FEATURES AND BENEFITS

- Increases shelf and serum half-life.
- Lowers risk for immunogenicity with “stapling” of native amino acids.
- Amenable to large scale synthesis with high yield of stapling.
- Improves pharmacologic performance through linking of functional groups and peptides.
- Provides better selectivity through drug conjugations.

RECENT PUBLICATIONS

Wang, Y., Bruno, B.J., Cornillie, S., Nogieria, J.M., Chen, D., Cheatham, T.E., Lim, C.S., and Chou, D.H. (2017). Application of thiol-yne/thiol-ene reactions for peptide and protein macrocyclizations. *Chemistry*. 23(29):7087-7092. doi: [10.1002/chem.201700572](https://doi.org/10.1002/chem.201700572).

Wang, Y. and Chou, D.H. (2015). A thiol-ene coupling approach to native peptide stapling and macrocyclization. *Angewandte Chemie*. 7;54(37):10931-4. doi: [10.1002/anie.201503975](https://doi.org/10.1002/anie.201503975).

INVENTOR PROFILE

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