"NEW SYNTHETIC METHOD FOR SIMULTANEOUSLY CYCLIZING AND LABELING PEPTIDES" VCU #09-020

Applications

- Two-step method for simultaneous cyclization and labeling of peptides
- Some applications include:
  - creation of a library of cyclization linkers, altered by the labeling groups, for enhanced cell permeability
  - new method used for biotin/streptavidin targeting on surfaces
  - cell-targeted peptides used as a vehicle for drug delivery
  - method for developing fluorescent cyclic peptides as cellular labels

Advantages

- Simplifies the synthesis of peptides with two step process
- Couples peptide cyclization with attachment of a label, therefore possessing advantages of cyclization and labeling

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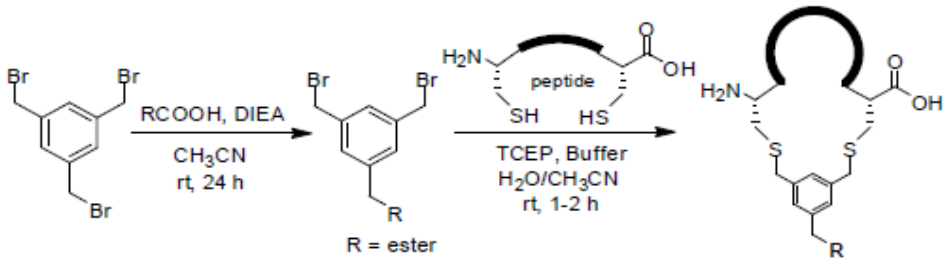
Technology Summary

This technology is a novel two-step process for producing cyclic peptides with labels that can be applied as therapeutic agents. By implementing a method using dibromoxylene to synthesize peptide linkers, peptides can be simultaneously cyclized and labeled. The figure below displays the process for the synthesis of such peptides mentioned above.



In the figure above, tribromomethyl benzene is added a carboxylic acid or phenol. The resulting ester or ether dibromo products are then added to a peptide containing two cysteines. Finally, the resulting peptide becomes cyclized and labeled simultaneously.

Technology Status

This technology has been synthesized and tested
A publication describing this technology can be found at the following link:

http://pubs.acs.org/doi/pdf/10.1021/ol901662c

This technology is available for licensing to industry for further development and commercialization.