



**THE PIPECOLIC LINKER – HIGHLY VERSATILE HANDLE FOR CHEMISTRY ON
SOLID SUPPORT
(PROJECT No. P-083)**

The subject of the offer is an acid labile, highly efficient novel handle that enables anchoring of structurally diversified nucleophiles building blocks. It may be regarded as an alternative for the synthesis of peptides, peptidomimetics, and small organic compounds on Trityl resin.



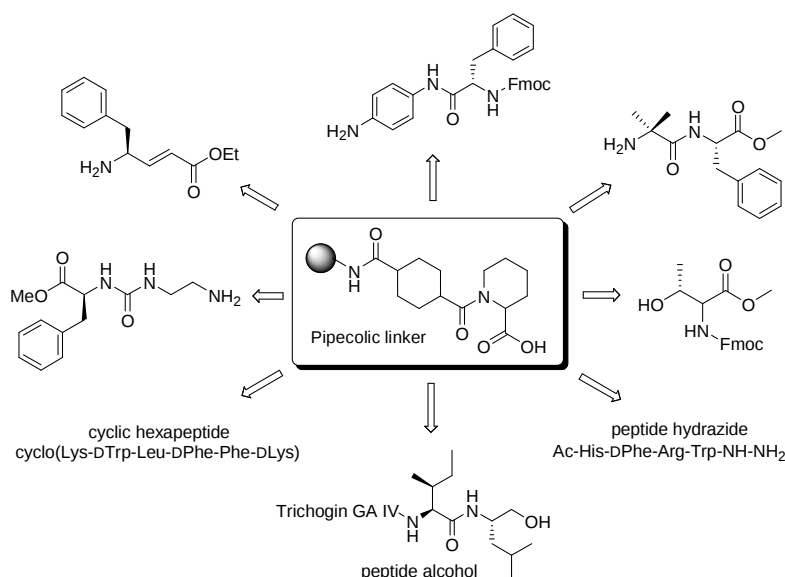
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Since the monumental work of Merrifield who introduced a new paradigm within organic chemistry, termed solid-phase synthesis, this technique has become the method of choice for the preparation of peptides and peptidomimetics. Recent developments in the area of DNA-encoded libraries bring new opportunities for the application of high-throughput chemistry methods for the synthesis of small molecule and peptide-derived libraries and may have a significant impact on drug development processes.

The pipecolic linker was recently evaluated as an anchor for structural modifications of aliphatic and aromatic amines, alcohols, phenols and hydrazides. The Pip-linker could also be used for SPPS in the reverse N-to-C direction, for the synthesis of pseudopeptides and cyclic peptides, as well as in the production of vinylogous γ -amino acids, urea-derived peptides and peptide alcohols.



The Pip-linker could also be used for SPPS of small organic compound libraries. It was successfully applied for generation of secondary amine library targeted on CNS receptors. The chemical transformations involved Buchwald-Hartwig N-arylation, nitro group reduction, N-1-indole sulfonylation; the processes could be performed under MW irradiation.

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Compared to other linkers, e.g. BAL, Wang, the Pip-linker has the unique property of regenerating its carboxylic function after release the products under acid conditions, which enables recycling of the Pip-resin several times.

The essence of the presented invention is a new handle for the synthesis on solid-support of structurally diversified compounds – from peptides, peptidomimetics to small organic compounds.

Key facts:

- US patent has been granted (US 8,546,533, Oct. 2013), patent pending in EPO, Japan and Canada
- Area of application: peptide chemistry, solid-phase organic chemistry
- Pipecolic linker is acid labile handle
- Alternative to Trityl resin and applicable for Fmoc-chemistry
- Easy and high yield anchoring of amines, alcohol, thiols, hydrazine under BOP activation;
- Possible application in hydrazine chemical ligation and as precursor of azide-activated peptides.
- Possible recycling of the Pipecolic Linker; no loss in loading

Offered invention is subject to patent including methods of Pipecolic linker preparation and application. Further research on the technology development is carried out in the Institute Biomolécules Max Mousseron (Montpellier, France), and Jagiellonian University Medical College. Currently Centre for Innovation, Technology Transfer and University Development (CITTRU) is looking for partners interested in development of the invention and its commercial application.

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