

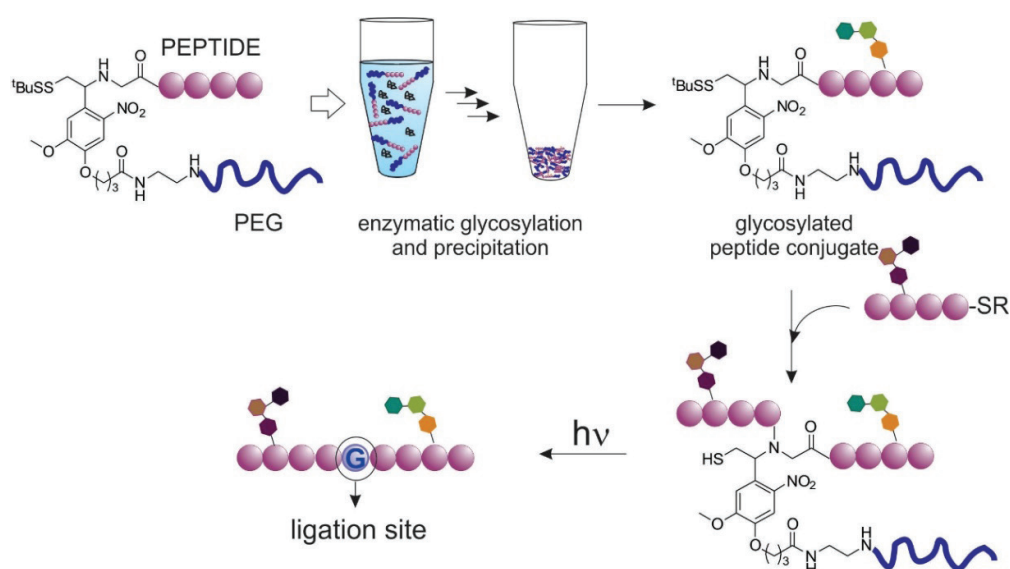
AUXILIARY-ASSISTED GLYCOPEPTIDE SYNTHESIS

BACKGROUND

For many eukaryotic proteins, processing by post-translational modifications such as glycosylation is a critical step for correct folding and achievement of biological activity. These modifications that occur naturally within eukaryotic cells have to be replicated when preparing peptides and proteins that are chemically synthesized or recombinantly-produced in prokaryotic cells.

TECHNOLOGY

This technology enables production of glycosylated peptides using a new method relying on a photocleavable auxiliary comprising a PEG attachment and a thiol group for native chemical ligation (NCL). This new auxiliary molecule combines easy attachment of a polymer (such as PEG) to a peptide for direct enzymatic modification with the ability to selectively link these modified peptide segments to form larger, complex modified polypeptides and with very mild, traceless removal of the auxiliary.



BENEFITS

- fast and efficient chemoenzymatic synthesis of complex modified peptides
- site-specific glycosylation of peptides/proteins of desired length
- auxiliary molecule enables easy attachment of polymer to peptide for direct enzymatic modification
- multifunctional auxiliary allows combination of effective modification with chemoselective coupling of peptides
- traceless removal of the auxiliary by UV irradiation

FURTHER READING

Bello, C., Wang, S., Meng, L., Moremen, K.W., Becker, C.F. (2015) A PEGylated photocleavable Auxiliary mediates the sequential enzymatic glycosylation and native chemical ligation of peptides, *Angew. Chem. Int. Ed.* 2015, 54, 7711–7715

Bello, C., Farbiarz, K., Möller, J.F., Becker, C.F., Schwientek, T. (2014) A quantitative and site-specific chemoenzymatic glycosylation approach for PEGylated MUC1 peptides, *Chem. Sci.*, 5, 1634-1641. (DOI: 10.1039/C3SC52641K)

REFERENCE:
2014/14

KEYWORDS:
photocleavable auxiliary,
polymer-conjugated
peptide, enzymatic
modification, glycosylation

APPLICATION:
Production of site-specific
glycosylated peptides for
therapy, prophylaxis and di-
agnostic purposes (including
peptide vaccines, antibodies,
hormones etc.).

DEVELOPMENT STATUS:
The method has been
tested and used extensively
on a laboratory scale, and
using a variety of different
substrates.

IPR:
A PCT application has been
filed (PCT/EP2016/053621)

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