

Easy Incorporation of PEGylated Lysines and Glutamines in Peptides

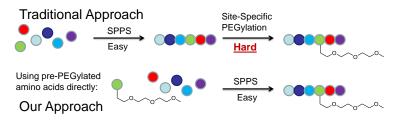
Description:

With peptide drugs such as Victoza reaching blockbuster status, the rapid proteolysis and clearance of peptides from the body is becoming an increasing concern. The PEGylation strategies used with protein drugs simply don't work and PEG polymers are too large for peptides. Peptides are easy to synthesize using solid phase peptide synthesis (SPPS), but PEGylating peptides are not currently easy and requires difficult chemistry in SPPS. The needed orthogonal protecting groups complicate the synthesis, usually require harsher reagents to remove, and require a skilled chemist to design and execute the synthesis. Therefore, peptides are usually screened and identified and then PEGylated late in the process where the PEGylation can have adverse, unintended consequences such as reduced affinity.

Instead, a straightforward way to incorporate pre-PEGylated amino acids directly into SPPS without the need for orthogonal protecting groups would enable screening for the positive effects of PEGylation much earlier in the medicinal chemistry process.

Our pre-PEGylated amino acids technology enables end users (individual academic researchers, peptide

synthesis companies, pharmaceutical company researchers) to easily incorporate a variety of PEGylation strategies early in their design and screening process. Thus, you or your customers could involve PEGylation from the first step instead of as a difficult after-thought.



Applications:

- Increase solubility and reduce proteolysis of peptide drugs
- Improve shelf-life of peptides for biotechnology applications
- Improve surfactant properties of peptides in cosmetic and food applications

Benefits:

- No orthogonal protecting groups needed: just use directly into solid phase peptide synthesis
- Can incorporate PEGylation at early stage of peptide product development
- Vastly improved solubility and protease resistance

Inventors: Paris Hamilton, Modi Wetzler

Protection Status: Patent application filed Licensing Status: Available for licensing

CURF Ref No: 2013-067