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August 11, 2021: GAP Peptides, LLC announces successful, low-cost synthesis of generic pharmaceutical drug - Bivalirudin

LUBBOCK and PLANO, TX. -- GAP Peptides, LLC, a new competitor in the race for advancing green chemistry for peptide synthesis, has developed a novel, green, liquid phase peptide synthesis (LPPS) approach that addresses key manufacturing challenges of lowering production and overhead costs, improving process efficiency, and reducing the profuse amounts of hazardous waste found in traditional methods. Group Assisted Purification Peptide Synthesis (GAP-PS) is proving to be a sustainable alternative to solid phase peptide synthesis (SPPS).

The company recently presented a case study on the synthesis of a generic peptide that helps prevent the formation of blood clots. Using GA-PS, Bivalirudin was initially synthesized at a 1g scale with an 88% crude purity and an 85% overall synthesis yield (net). Subsequently, a 10g scale-up was completed. No DMF or Piperidine was used, the solvent mixture was green 2-MeTHF/water, and 1.5 eq (or less) of amino acid was used for every coupling step. The resulting crude purity at 10g scale was 90% with an overall synthesis yield (net) of 80%. The process was unoptimized and ran at a rate of 90 min per coupling cycle, including two 15-min HPLC analyses to verify complete coupling and deprotection. Reaction concentration was 15-20 mL per gram of fully protected peptide. Comparing PMI values for a 20-mer peptide, with SPPS the PMI can be >4000. The PMI for the GAP-PS process was 1650, with the aqueous waste stream accounting for approximately 50% of that value; therefore, the organic waste stream PMI value was 825.

GAP-PS combines the advantages of both LPPS and SPPS while delivering high crude-purity and high yields. GAP-PS offers easy scalability: running coupling reactions in solution, with only a single aqueous workup per cycle. Solvent consumption and material requirements are significantly reduced compared to solid phase methods. Coupling reactions are highly efficient and deletion / insertion impurities are rare. This translates to high crude peptide purity, which can further reduce production costs during chromatographic purification. In some cases, such as for cosmetic products, the crude purity is sufficient, and chromatography is not needed. GAP-PS also avoids the use of solvents and reagents which are carcinogenic, mutagenic, or toxic to reproduction (CMR substances).

The technology is proven for shorter peptides (used predominately in Cosmetics) – and research is advancing towards demonstrating the approach on Pharma-length peptides in the 24-30 amino acid range.

About GAP Peptides, LLC

The GAP Peptides LLC approach to peptide synthesis delivers an economical alternative for companies developing and commercializing peptides used in cosmetics, pharmaceuticals, R&D, diagnostic imaging, and other related applications. The Company currently has 6 patent families in process globally and is continuously developing the technology through advanced research.

GAPP's business model enables use of the IP via sub-license to companies seeking sustainable, economical, easily scalable green chemistry for large-scale peptide production. For additional company information, please visit www.GAPPeptides.com.