

Title: **Preparation of protected peptides by solid phase for a convergent synthesis.**

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Nowadays, the interest on the use of peptides as drugs is increasing due to their unique properties, such as high selectivity and low toxicity. As a result, pharmaceutical industry has more than 500 peptides that are currently in preclinical development and it is estimated that the peptides market involves 25.4 million dollars per year.¹

This project was carried out in the *Smbiocom* research group, which collaborates with a pharmaceutical company which aims to synthesize a bioactive peptide with oncological properties and scale the method up to a pilot plant production. The strategy taken in the laboratory has consisted on a convergent synthesis of a fragment with eleven amino acids of the target peptide. In the first place, different peptide fragments have been synthesized in solid phase and finally they have been coupled in solution.

Five different protected peptide fragments of 4, 5, 6 and 9 amino acids have been synthesized by solid-phase methodology using the Fmoc/^tBu strategy (1.2 mmol, 1.9 mmol, 1.1 mmol and 1.8 mmol scales) with a 2-CTC solid support resin. The reactions have been carried out using DIC as a coupling reagent, HOBT as an additive and finally each fragment has been characterized by HPLC-MS using reverse phase chromatography.

Furthermore, the C-terminal protected dipeptide fragment of the target peptide has been synthesized in solution and has been coupled to the nonapeptide in solution. The resulting full protected undecapeptide has been characterized by HPLC-MS.

Keywords: solid-phase synthesis, convergent synthesis, protected peptide, DIC, HOBT