Journal of the Kenya Chemical Society, Vol.2 No.1 2004

1-Tetralinyl Group for Asparagine Side-Chain Protection, and Application to Boc-Solid-Phase Peptide Synthesis of Mesotocin

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Abstract

Mesotocin, a nonapeptide amide, was synthesised on a benzhydryl-resin using the Boc-strategy. Benzyl group was used in the protection of the side-chains of tyrosine and cysteine. Tetralinyl and benzhydryl groups were used to protect asparagine and glutamine side-chains respectively. TFMSA-TFA-thioanisole-1,2-ethanedithiol (2:20:2:1 v/v) was used on the peptide-resin under different cleavage conditions to obtain mesotocin in a one-pot reaction. The cleavage at 40 ℃ for two hours gave crude mesotocin, which on purification by semi-preparative HPLC gave a yield of 49 %.

Key words: side-chains; solid-phase peptide synthesis; cleavage conditions; amide-protecting; tetralinyl; electrospray mass spectrum