CPPC 2021

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Abstract

Constrained Glu-Gly and Gln-Gly Dipeptide Surrogates from γ-Substituted α-Amino-δ-Lactam Synthesis †

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† Presented at the First Canadian Peptide and Protein Community Virtual Symposium, 27–28 May 2021; Available online: https://cppc2021.sciforum.net/.

Published: 27 May 2021

Abstract: In the context of studies of biologically active peptides towards the design of peptidomimetics, alpha-amino-delta-lactams (Adl) have been used as conformationally constrained analogs in structure-activity relationships and biological studies [1]. For example, enhanced selectivity and oral bioavailability were achieved by replacement of the D-Phe-Pro residue by (S)-Adl-Gly in thrombin inhibitors [2]. Ring substituted Adl analogs have been pursued to mimic both backbone and side-chain geometry in peptide structures such as b-turns [3]. Our presentation will describe the synthesis of gamma-substituted Adl analogs [4].

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