A Simple Synthesis of 4-Substituted 2-(3-Hydroxy-2-oxo-1-phenethylpropylcarbamoyl)pyrrolidine-1-carboxylic Acid Benzyl Esters as Novel Cysteine Protease Inhibitors

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A convenient synthesis of 4-substituted 2-(3-hydroxy-2-oxo-1-phenethylpropylcarbamoyl)pyrrolidine-1-carboxylic acid benzyl esters **17** and **18** as new cysteine protease inhibitors is described. The synthetic key strategies involve the diazocarbonyl insertion reaction of *N*-Boc-L-homophenylalanine (**1**) by diazomethane, acetylation of the bromoketone **2** with sodium acetate, and condensation of acids **12**, **14** with (3*S*)-3-amino-2-oxo-5-phenyl-pentyl acetate monohydrochloride (**4**) in good yield.

Key words: Pyrrolidine-1-carboxylic Acid Benzyl Esters, Diazocarbonyl Insertion Reaction, Acetylation, Coupling Reaction, Cysteine Protease Inhibitors