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Effects of Amounts of Additives on Peptide Coupling Mediated by a Water- soluble Carbodiimide in Alcohols

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The optimal amounts of 1-hydroxybenzotriazole (HOBt), 3,4-dihydro-3-hydroxy-4-oxo-1,2,3-benzotriazine (HOOBt), and 1-hydroxy-7-azabenzotriazole (HOAt) for enhancement of peptide coupling mediated by 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide (EDC) hydrochloride in alcoholic solvents were found to be less than equimolar against the carboxyl component or the carbodiimide. In comparison with the traditional use of equimolar additives, the use of less equimolar ones was more effective in suppressing the competitive ester formation and in increasing the yield of desired peptides. EDC hydrochloride/around 0.1 equimolar HOAt or HOOBt were efficient reagents for peptide synthesis in the media including ones known to be effective in dissolving peptides which are hardly soluble in commonly used organic solvents, or in swelling peptide-resin containing 'difficult sequences'.