

the reaction of some amino acids in the different aromatic compounds and synthesis of derivatives with expected biological activities

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Several 3,5-dinitro benzoyl amino acids were readily prepared by direct reaction of 3,5-dinitro benzoyl chloride (XIII) with the appropriate amino acids in dioxane-Et₃N mixture. Coupling reaction with L-, B- and DL-alanine, L- and DL-Serine, L-leucine, L- and DL-phenyl alanine and L-tyrosine needed 1 : 1.2 mole of the acid chloride (XIII) and the amino acid respectively (XIV - XXII). (XIV), A = L - Ala •, (XV), A = L - Leu (XV), A = B - Ala •, (XVI), A = L - Phe (XVI), A = DL - f.la •, (XVII), A = DL - Phe (zvn.), A ••L - Ser ; (XVIII), A=L-Tyr (xvin.), A = DL - Ser Synthesis of 3,5 dinitro benzoyl amino acid methylesters (XXIII - XXX IV) were successively performed by coupling 3,5 - dinitro benzoyl chloride (XIII) with the appropriate amino acid methyl esters in dioxane - Et₃N medium. (XIX), A = Gly - OMe •• (XXIX), A = DL - Val - OMe (XXIV), A = L - Ala - OMe, (XXX), II. = L - Leu - OMe (XXV), A = B - l.la - OMe, (XXXI), A = DL - nor-Leu - CMe (XXVI), /I. = L - Ser - OMe, (XXXII), I, = DL - Phe - OMe (XXVII) • A = DL - Ser - OMe • (XXXIII), A = L - tyr - OMe (XXVIII), A = L - Val - OMe , (XXXIV), A=L-Tryp-OMe (XXXV - XLIII) were easily performed by the action of hydrazine hydrate on the corresponding methyl esters in ethanol. (XL), A = L - Ala - N₂H₃ ; (XLI) A = L - Leu - N₂H₃ (XXXVI), A = B - Ala - N₂H₃ ; (XLII) A = DL - nor-Leu - N₂H₃ (XXXVII), I. " " L - Ser - N₂H₃ ; (XLIII) A = L - Tyr - N₂H₃ (XXXVIII), f. = L - Val - N₂H₃ ; (XLIV) A = L - Tryp - n₂H₃ (XXXIX), A = DL - Val n₂H₃ (XLV), 11 - Coupling of some 3,5-dinitro benzoyl amino acids with different amino acid methyl esters in tetrahydrofuran-Et₃N using the dicyclohexylcarbodiimide method afforded the dipeptides (XLVI - LIII). (XLVI), A = L - Ala DL - Val - OMe (XLV), II. = L - l.la DL - Phe - OMe (XLVI), A = DL - l.la DL - Val - OMe (XLVII) t A = L - Ser - L - Val - OMe (XLVIII), A = L - Ser DL - Phe - OMe (XLIX) t A = L - Phe DL - Val - OMe (L) t A = L - Tyr DL - Ser - OMe (LI) t A = L - Tyr DL - Val - OMe (LII) t I. = L - Tyr DL - nor - Leu - OMe (LIII) I A = L - Tyr DL - Phe - OMe The biological activities of all the synthesized 3,5-dinitro benzoyl amino acids, esters, hydrazides and dipeptide esters (XIV - LIII) were tested against different gram - Positive, gram-negative microorganisms and fungi. Some of the synthesized amino acid derivatives were found to possess different "biological activities.