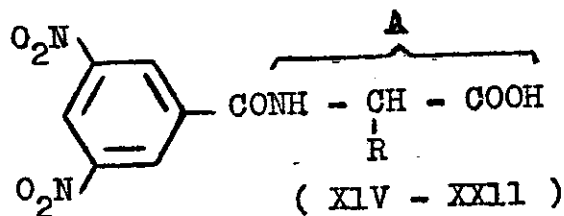


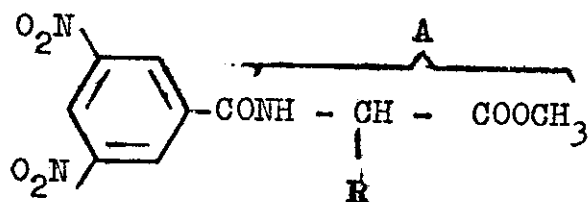
SUMMARY

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 - XXI).



- | | | |
|--------------------------|---|------------------------|
| (XIV) , A = L - Ala | ; | (XIX) , A = L - Leu |
| (XV) , A = B - Ala | ; | (XX) , A = L - Phe |
| (XVI) , A = DL - Ala | ; | (XXI) , A = DL - Phe |
| (XVII) , A = L - Ser | ; | (XXII) , A = L - Tyr |
| (XVIII) , A = DL - Ser | | |

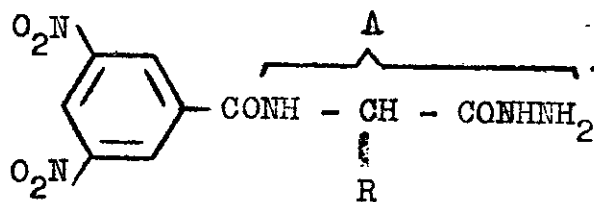
Synthesis of 3,5 dinitro benzoyl amino acid methyl esters (XXIII - XXXIV) were successively performed by coupling 3,5 - dinitro benzoyl chloride (XIII) with the appropriate amino acid methyl esters in dioxane - Et₃N medium.



(XXIII - XXXIV)

- (XXIII) , Λ = Gly - OMe ; (XXIX) , Λ = DL - Val - OMe
 (XXIV) , Λ = L - Ala - OMe, (XXX) , Λ = L - Leu - OMe
 (XXV) , Λ = B - Ala - OMe, (XXXI) , Λ = DL-nor-Leu - OMe
 (XXVI) , Λ = L - Ser - OMe, (XXXII) , Λ = DL-Phe - OMe
 (XXVII) , Λ = DL-Ser - OMe , (XXXIII) , Λ = L-tyr - OMe
 (XXVIII) , Λ = L-Val - OMe , (XXXIV) , Λ = L - Tryp - OMe

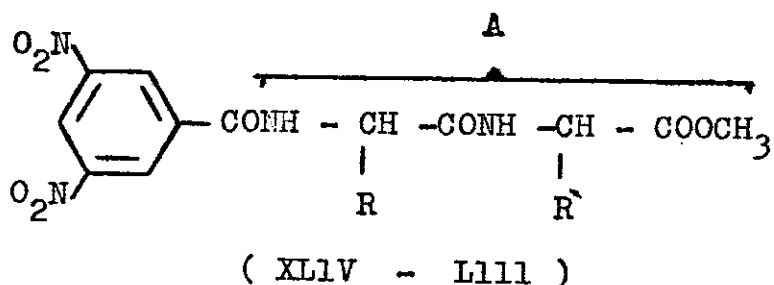
Preparation of the hydrazides (XXXV - XLIII) were easily performed by the action of hydrazine hydrate on the corresponding methyl esters in ethanol.



(XXXV - XLIII)

- (XXXV) , Λ = L - Ala - N_2H_3 ; (XL) Λ = L-Leu- N_2H_3
 (XXXVI) , Λ = B - Ala - N_2H_3 ; (XLI) Λ = DL-nor-Leu- N_2H_3
 (XXXVII) , Λ = L - Ser - N_2H_3 ; (XLII) Λ = L-Tyr- N_2H_3
 (XXXVIII) , Λ = L - Val - N_2H_3 ; (XLIII) Λ = L-Tryp- N_2H_3
 (XXXIX) , Λ = DL-Val- N_2H_3

Coupling of some 3,5-dinitro benzoyl amino acids with different amino acid methyl esters in tetrahydrofuran- Et_3N using the dicyclohexylcarbodiimide method afforded the dipeptides (XLIV - L111).



- (XLIV) , $\Delta = \text{L} - \text{Ala} - \text{DL} - \text{Val} - \text{OMe}$
 (XLV) , $\Delta = \text{L} - \text{Ala} - \text{DL} - \text{Phe} - \text{OMe}$
 (XLVI) , $\Delta = \text{DL} - \text{Ala} - \text{DL} - \text{Val} - \text{OMe}$
 (XLVII) , $\Delta = \text{L} - \text{Ser} - \text{L} - \text{Val} - \text{OMe}$
 (XLVIII) , $\Delta = \text{L} - \text{Ser} - \text{DL} - \text{Phe} - \text{OMe}$
 (XLIX) , $\Delta = \text{L} - \text{Phe} - \text{DL} - \text{Val} - \text{OMe}$
 (L) , $\Delta = \text{L} - \text{Tyr} - \text{DL} - \text{Ser} - \text{OMe}$
 (LI) , $\Delta = \text{L} - \text{Tyr} - \text{DL} - \text{Val} - \text{OMe}$
 (LII) , $\Delta = \text{L} - \text{Tyr} - \text{DL} - \text{nor} - \text{Leu} - \text{OMe}$
 (LIII) , $\Delta = \text{L} - \text{Tyr} - \text{DL} - \text{Phe} - \text{OMe}$

The biological activities of all the synthesized 3,5-dinitro benzoyl amino acids, esters, hydrazides and dipeptide esters (XLV - L111) 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.