3,5-DINITRO-1-(4-NITROPHENYL)-4-PYRIDONE AS A NOVEL PROTECTING REAGENT FOR THE AMINO GROUP IN L-AMINO ACIDS. II.

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The esterification reaction of several DNPY-L-amino acids(2), which were derived from 3,5-dinitro-1-(4-nitrophenyl)-4-pyridone(1) and L-amino acids, was studied.

The benzylesters of modified L-amino acids were synthesized with (2) and benzylbromide, and characterized by the results of ^{1}H-NMR and IR spectra. It was found that DNPY-L-glutamic acid gave predominantly α-benzylester in 94% yield by the above procedure. The same ester was also obtained by treating DNPY-L-glutamic acid with dicyclohexylcarbodiimide(DCC) and then benzylalcohol in 64% yield. The L-glutamic anhydride protected by phthaloyl group has been well known to give its γ-ester by alcoholysis. In contrast, our result is well explained that α-carbonyl group is more activated by the electrophilic influence of α-carbon atom of pyridone ring as scheme 1. The modified L-aspartic acid also gave α-benzylester exclusively. The results of benzyl-esterification are shown Table 1.

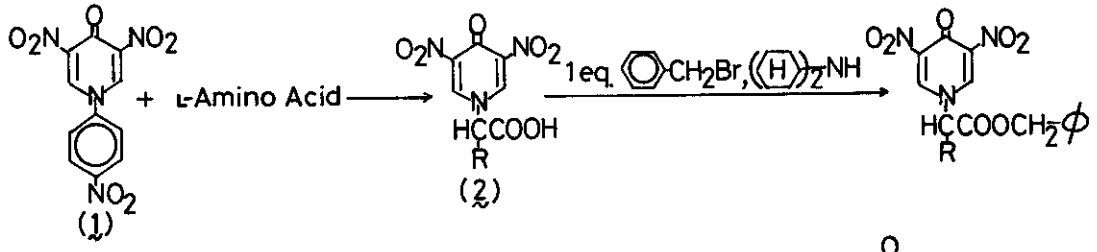
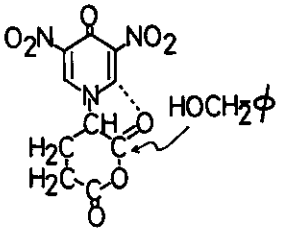


Table 1

DNPY-L-amino acid	yieid(%)	DNPY-L-amino acid	yield(%)
-Gly-	50	-Phe-	76
-Ala-	96	-Ser-	84
-Val-	87		



scheme 1

The syntheses of several di- and tri-peptides using DNPY-L-amino acids and DCC were reported.

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