

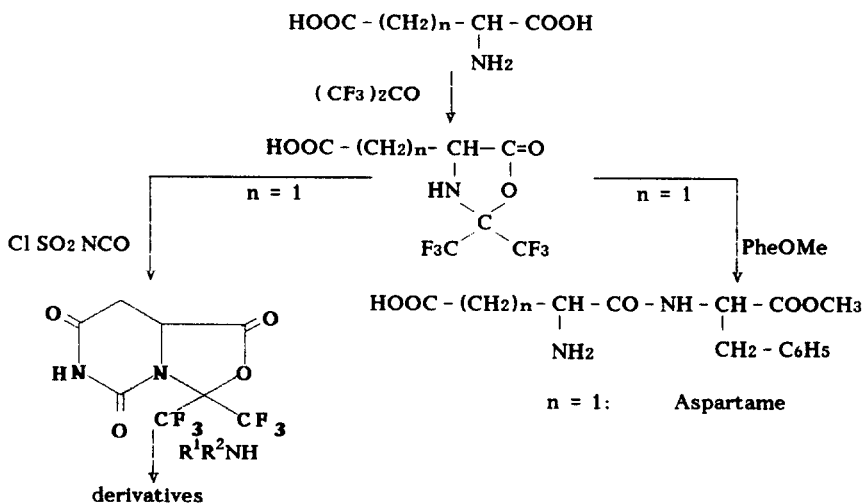
SYNTHESIS OF ASPARTAME AND DIHYDROOROTIC ACID
DERIVATIVES FROM ASPARTIC ACID BY USING
HEXAFLUOROACETONE AS A REAGENT FOR AMINO GROUP
PROTECTION AND SELECTIVE CARBOXY GROUP ACTIVATION
[1, 2]

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Regiospecific reactions with α -amino dicarboxylic acids (aspartic acid, glutamic acid, α -amino adipic acid) can be achieved by a combination of special amino group protection and carboxy group activation methodology. Therefore, more step procedures are necessary, even for relative simple synthetic targets.

We now describe a preparative simple strategy to tackle this problem efficiently by using hexafluoroacetone as reagent for amino group protection as well as selective carboxy group activation. Using this concept, aspartame can be obtained in a two step, dihydroorotic acid derivatives in a three step synthesis optically pure, in high yields.



1 H. Neuhauser, Dissertation, Technische Universität München 1989.

2 M. Rudolph, Diplomarbeit, Technische Universität München 1989.