

NOVEL METHOD FOR PREPARATION OF 6H-1,3-OXAZIN-6-ONES BY RETRODIENE REACTION

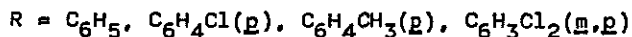
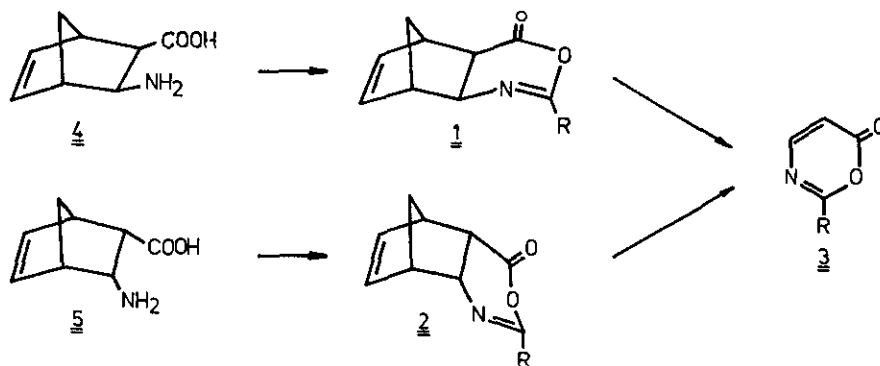
Gábor Bernáth, Géza Stájer, Enikő A. Szabó, Ferenc Fülöp

Institute of Pharmaceutical Chemistry, University Medical School Szeged, Hungary

Diexo (1) and diendo (2) tricyclic 1,3-oxazin-4-ones furnished compounds 3 under unusually mild experimental conditions by retrodiene decomposition. This is a suitable method for the synthesis of 2-substituted 6H-1,3-oxazin-6-ones (3) (yields 55-62%). No simple way was previously known for the preparation of the above compounds.

1 and 2 were prepared by acylation and cyclization from the amino acids 4 and 5; 4 was obtained by the reduction and hydrolysis of the adduct of norbornadiene and chlorosulfonyl isocyanate, and 5 from the adduct of cyclopentadiene and maleic anhydride by ammonolysis and Hofmann degradation.

The retro Diels-Alder reaction of 1 and 2 takes place either by fusion at their melting points, or even by boiling in an indifferent solvent (toluene, chlorobenzene).



In contrast with other retrodiene reactions, which usually require special apparatus and more vigorous circumstances, only a few procedures are known for the preparation of heterocycles under similarly mild conditions. The mild decomposition in our case can be explained by the formation of heteroaromatic compounds.