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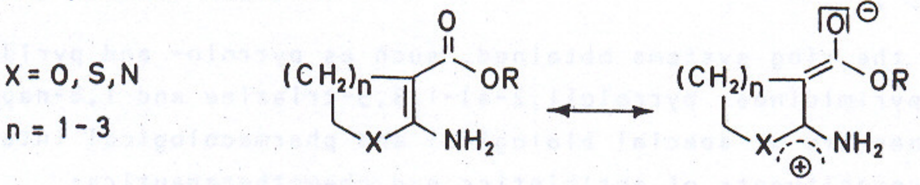
HETEROCYCLIC β-ENAMINO ESTERS, VERSATILE SYNTHONS IN THE PREPARATION OF NEW CONDENSED HETEROCYCLIC SYSTEMS

Heinrich Wamhoff

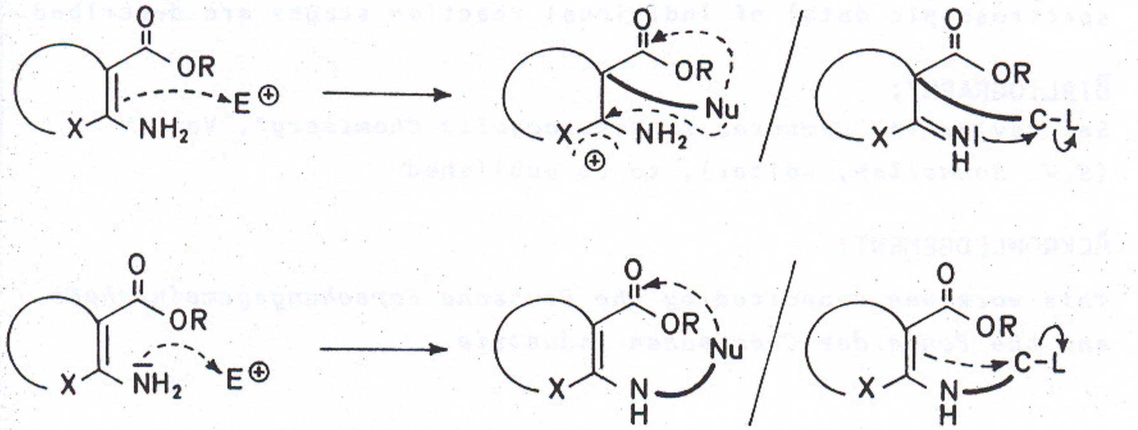
Institut für Organische Chemie und Biochemie der Universität Bonn
Gerhard-Domagk-Straße 1 D-5300 Bonn - 1
(Bundesrepublik Deutschland)

Heterocyclic β-enamino esters are *push-pull* stabilized compounds of the general formula:



which incorporate an enaminocarbonyl moiety as part of a (partially saturated) heterocyclic ring. In the following, syntheses, properties and chemical reactivities of this class of compounds are reviewed, also including heteroaromatic analogs.

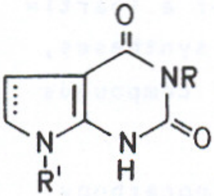
Due to their zwitterfunction as (a) enamines or enaminocarbonyl systems, and (b) heterocycles, a large number of preparative applications exists. The following scheme depicts suitable sites for nucleophilic and electrophilic attacks:

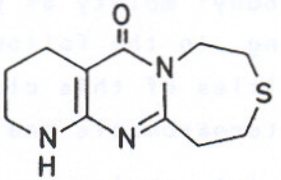


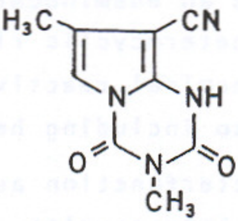
Owing to at least two centers of reactivity heterocyclic β-enamino esters may be considered as synthons, especially for building up novel types of fused heterocyclic systems.

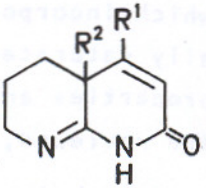
Reaction partners applied in this sense are: heterocumulenes (e.g. isocyanates, isothiocyanates), imidoesters, lactimethers, 1,3-dipoles, activated double and triple bonds, α,ω-diamines, β-dicarbonyl compounds and 4-aryl-1,2,4-triazoline-3,5-diones ("4R-TAD").

Some of the ring systems obtained, such as pyrrolo- and pyrido[2,3-d]pyrimidines, pyrrolo[1,2-a]-1,3,5-triazine and 1,8-naphthyridines are of special biological and pharmacological interest as constituents of antibiotics and chemotherapeutics:









Some photochemical rearrangements of these heterocyclic β-enamino esters are discussed. In some cases the mechanisms (and spectroscopic data) of individual reaction stages are described.

BIBLIOGRAPHY:

See review in *"Lectures in Heterocyclic Chemistry"*, Vol. V (*S.W. Schneller*, editor), to be published

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