Reaktionen von Acetylencarbonsäureestern mit Piperazinderivaten

Reactions of Acetylenic Acid Esters with Piperazine Derivatives

Hans Möhrle und Katja Azodi

Institut für Pharmazeutische und Medizinische Chemie, Heinrich-Heine-Universität, Universitätsstr. 1, D-40225 Düsseldorf

Sonderdruckanforderungen an Prof. Dr. H. Möhrle. E-mail: h.moehrle@uni-duesseldorf.de

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Hg(II)-EDTA-dehydrogenation of benzylpiperazine (**5**) in 50% ethanol with addition of diethyl acetylenedicarboxylate (**2**) to a minor extent gives rise to (piperazine-1,4-diyl)-bis(maleate) (**9**), which is inert to Hg(II)-EDTA and results in quantitative yield when Hg(II)-EDTA is omitted. 4-Benzylpiperazin-1-yl)-monomaleate (**8**) reacts with **2** in various solvents by addition of water with dealkylation and formation of **9**. CH-acidic compounds may also be used as proton donors. Analogous reactions, although with minor yields, occur with the propiolates **11** and **12**. 1-Substituted piperazines with benzyl, methine or allylic groups (**5 – 5c**, **27d – g**, and **27h**) react readily with acetylenedicarboxylic acid esters to give compounds of type **9**, whereas benzhydryl, aromatic and most of the unbranched aliphatic substituents are not replaced. The reactivity of 1,4-disubstituted piperazines corresponds largely to the behaviour of the substituents in the monosubstituted derivatives.

Key words: Enediamine, Acetylenedicarboxylate, 2,3-Piperazinedione, External Protonation