Reaktionsbeteiligung elektrophiler Funktionen bei der Dehydrierung
4-substituierter Piperidine

Participation of Electrophilic Groups with the Dehydrogenation
of 4-Substituted Piperidines

H. Möhrle und M. Jeandréé

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

Herrn Prof. Dr. G. Wulff zum 65. Geburtstag gewidmet

Sonderdruckanforderungen an Prof. Dr. H. Möhrle. Fax: (+49) 2118113085


Benzoquinolizidone, Quinolone, N-Arylpiperidone, Neighboring Group Participation,
Mercury(II)-EDTA Dehydrogenation

Dehydrogenation of 2-(1-piperidinyl)-benzaldehydes 1–3 using mercury(II)-EDTA generated the lactams 4–6, indicating a reversible reaction of a carbinolamine intermediate with the formyl group. The yields and oxidation rates decreased by 4-substitution in the piperidine moiety.

The 2-(1-piperidinyl)-acetophenones 11, 16–19 showed a similar behavior with mercury(II)-EDTA but gave rise to a product pattern. The trans-benzoquinolizidones 12, 20, 23, 26, 29 resulted from the cyclic iminium compounds reacting with the acetyl group as nucleophile. By another oxidation these species were partially transformed to the quinolinones 13, 21, 24, 27, 30. An intermediate electrophilic neighboring of the carbonyl group with the cyclic hemiaminals led finally to the lactams 14, 22, 25, 28, 31. Mechanisms for the reactions are proposed.