Absolute Konfiguration von (+)-1,2,3,4,6,7,8,8a-Octahydro-6-isochinolon-8a-carbonsäuremethylester und die Stereochemie einer Kupfer-katalysierten asymmetrischen Michael-Reaktion*

Absolute Configuration of Methyl (+)-1,2,3,4,6,7,8,8a-Octahydro-6-isoquinolone-8a-carboxylate and Stereochemistry of a Copper-Catalyzed Asymmetric Michael Reaction

Jens Christoffers, Wolfgang Frey, Heiko Scharl und Angelika Baro

Institut für Organische Chemie der Universität Stuttgart, Pfaffenwaldring 55, D-70569 Stuttgart, Germany

Sonderdruckanforderungen an Prof. Dr. J. Christoffers. Fax: (+49) 711/685 4269. E-mail: jchr@po.uni-stuttgart.de

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Enantiopure Boc-protected piperidine derivative (+)-5c, with a quaternary stereocenter, was obtained by copper-catalyzed, L-valine diethylamide-mediated Michael reaction. For determination of the absolute configuration, 5c was derivatized by cyclization with pyrrolidine/AcOH to give compound 6 with bicyclo[4.4.0]-constitution, deprotection of the amino function with TFA and subsequent reaction with 2-iodobenzoic acid to yield the crystalline bicyclic amide 7. X-ray crystallographic analysis confirmed the constitution of compounds 5c and 6 and established the (R) configuration of 7. Thus, starting Michael addition product (+)-5c has to be (S) configured, because an epimerization at the quaternary stereocenter is excluded. This result is in accordance with our working model of the Cu-catalyzed, auxiliary-assisted Michael reaction.

Key words: Amino Acids, Catalysis, Copper, Heterocycles, Stereochemistry