

Asymmetric synthesis of *N*-aryl aziridines

João Aires-de-Sousa,^a Sundaresan Prabhakar,^{a,*} Ana M. Lobo,^a Ana M. Rosa,^{a,†} Mário J. S. Gomes,^a Marta C. Corvo,^{a,‡} David J. Williams^b and Andrew J. P. White^b

^a*Secção de Química Orgânica Aplicada, Departamento de Química, Centro de Química Fina e Biotecnologia and SINTOR-UNINOVA, campus Faculdade de Ciências e Tecnologia, Universidade Nova de Lisboa, Quinta da Torre, 2829 Monte de Caparica, Portugal*

^b*Department of Chemistry, Imperial College of Science, Technology and Medicine, South Kensington, London SW7 2AY, UK*

Received 19 November 2001; accepted 30 November 2001

ABSTRACT

The reactions of a variety of *N*-arylhydroxamates as nitrogen transfer reagents to acryloyl derivatives of (–)-8-phenylmenthol, (–)-quinine and (–)-Oppolzer's sultam acting as Michael acceptors was studied. Poor to modest diastereoselection was observed in the formation of aziridines. The absolute structure of one of the pure diastereomers secured from Oppolzer's auxiliary was established by X-ray crystallography and hence the absolute configuration of the derived methyl-*N*-phenylaziridine-2-carboxylate could be assigned. Whilst only poor facial selectivity was observed for chiral hydroxamic acid prepared from dehydroabiatic acid, moderate to good enantioselection of aziridines could be achieved with the chiral quaternary salts based on cinchona alkaloids, especially with that of cinchonine. A model is presented to explain the origin of enantioselection and a mechanism is proposed for the aziridination reaction.

© 2002 Elsevier Science Ltd. All rights reserved.