SUPPORTING INFORMATION

Synthesis of Chiral Amino Epoxyaziridines: Useful Intermediates for the Preparation of Chiral Trisubstituted Piperidines

José M. Concellón,^{,†} Estela Riego,[†] Ignacio A. Rivero,^{*,‡} and Adrián Ochoa[‡]*

[†]Departamento de Química Orgánica e Inorgánica, Facultad de Química, Universidad de Oviedo, Julián Clavería, 8, 33071 Oviedo, Spain.

[‡]Centro de Graduados e Investigación del Instituto Tecnológico de Tijuana, Blvd.

Industrial s/n, Mesa de Otay, Tijuana, B. C., México 22000

Email: jmcg@sauron.quimica.uniovi.es

List of Contents

General Experimental.....	S1-S2
Experimental Details and Spectroscopy Data of 10a	S2
¹³ C NMR Spectra of Compounds 1 , 4-8 , and 10a	S3-S7
NOESY ¹ H NMR Spectra of Compounds 8a,c	S8-S9

GENERAL EXPERIMENTAL

¹H NMR spectra were recorded at 300 or 200 MHz. ¹³C NMR spectra were recorded at 75 or 50 MHz. Chemical shifts are reported in ppm relative to TMS in CDCl₃. Only the molecular ions and/or base peaks in MS are given. Optical rotations were measured in CHCl₃ or CH₂Cl₂. Analytical TLC was conducted in precoated silica gel 60 F-254 on aluminum sheets; compounds were visualized with UV light or iodine. Flash chromatography was carried out on Merck silica gel 60 (230-400 mesh).

All reactions were conducted in oven-dried glassware under dry nitrogen. α -Amino- α' -chloro ketone **3** was prepared according to literature procedure.¹ DMSO was distilled from CaH₂ and stored over activated 4Å molecular sieves, and the amines, and TiCl₄ were distilled before using. THF and diethylether were distilled from sodium in a recycling still using benzophenone ketyl as indicator; dichloromethane was distilled from P₂O₅.

EXPERIMENTAL DETAILS AND SPECTROSCOPIC DATA OF DIACETYLATED COMPOUND OF **8a**

(3*S*,4*R*,5*S*)-5-(Acetylbenzylamino)-4-dibenzylamino-1-propylpiperidin-3-yl acetate (10a). A solution of **8a** (100 mg) in pyridine (0.5 mL), and acetic anhydride (0.5 mL) was stirred at room temperature for 48 h. The reaction was hydrolyzed with a H₂O (10 mL), and extracted with dichloromethane (3 x 15 mL). The combined organic layers were dried (Na₂SO₄), filtered, and concentrated in vacuo, providing diacetylated compound **10a**: ¹³C NMR (CDCl₃, 50 MHz) δ 171.8 (C), 170.9 (C), 139.9 (C), 138.7 (C), 138.5 (C), 129.4 (CH), 128.9 (2 $\times$ CH), 128.6 (4 $\times$ CH), 128.4 (4 $\times$ CH), 127.2 (2 $\times$ CH), 125.8 (2 $\times$ CH), 67.0 (CH), 59.1 (CH), 57.6 (CH₂), 57.4 (CH₂), 57.2 (CH₂), 55.3 (CH), 54.1 (CH₂), 53.9 (CH₂), 47.5 (CH₂), 22.2 (CH₃), 22.0 (CH₃), 19.9 (CH₂), 11.7 (CH₃); IR (neat) 1734, 1646; MS, m/z 528 (M⁺ + 1, <1), 436 (60), 91 (100).

(1) Concellón, J. M.; Riego, E.; Rodríguez-Solla, H.; Plutín, A. M. *J. Org. Chem.* **2001**, *66*, 8661.

¹³C NMR SPECTRA

NOESY ^1H NMR SPECTRA