Convenient Route to Efavirenz Analogues as Potential non-Nucleoside HIV-1 Reverse Transcriptase Inhibitors

Atef A. Hamed

Department of Chemistry, Faculty of Science, Menoufia University, Shebin El- Koam, Egypt

Reprint requests to Dr. Atef A. Hamed. Fax: +20-48-235689. E-mail: atef2000_99@yahoo.com

Z. Naturforsch. **59b,** 589 – 596 (2004); received April 16, 2003

Dedicated to Prof. Dr. J. C. Jochims on the occasion of his 66th birthday

Treating 2-chloro-4-(4-chlorophenyl)-6-methylbenzo[d]-3,1-oxazinium hexachloroantimonate **(1)** with one equivalent of alcohol or mercaptane led, after hydrolysis with aq. NaOH, to the formation of 4,4-disubstituted-1,4-dihydro-2H-6-methyl-3,1-benzoxazin-2-ones **(3)**. Large excess addition of alcohol afforded either 4'-chloro-2-isocyanato-5-methylbenzophenone disubstitutedketal **(4)** or N-{2-[(4-chlorophenyl)dialkoxymethyl]-4-methylphenyl} alkylcarbamate **(5)**. Reaction of **4** with primary amines furnished 1-{2-[(4-chlorophenyl)dialkoxymethyl]-4-methylphenyl}-3-substituted urea **(6)**.

Key words: Efavirenz Analogues, 3,1-Benzoxazin-2-ones, Urea Derivatives, Ketals, Isocyanates, Human Immunodeficiency Virus