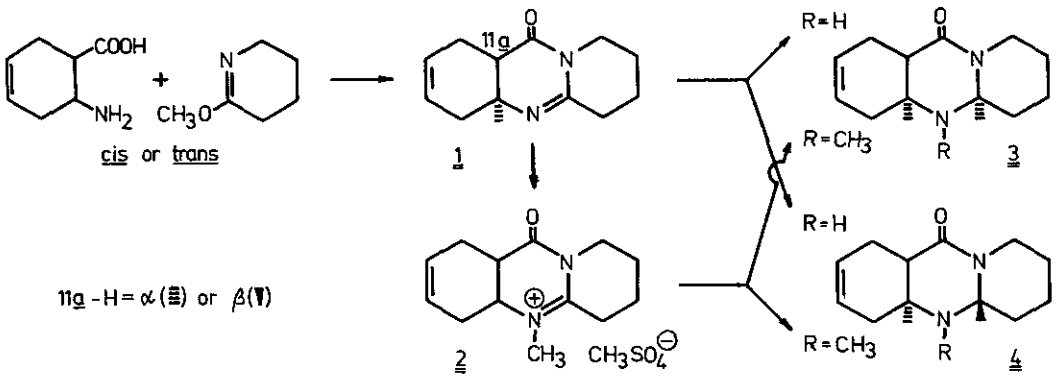
SYNTHESIS AND STEREOCHEMICAL STUDIES OF PYRIDO[2,1-b]QUINAZOLIN-11-ONES

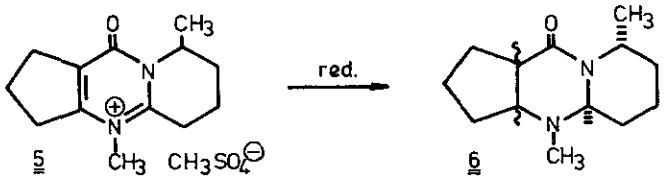
Ferenc Fülöp, Imre Huber, Gábor Bernáth, Gábor Tóth[+], Kálmán Simon[*]
István Hermecz[*], Zoltán Mészáros[*]

Institute of Pharmaceutical Chemistry, University Medical School Szeged, Hungary
[+]NMR Laboratory of the Institute for General and Analytical Chemistry, Budapest, [*]CHINOIN Pharmaceutical and Chemical Works, Budapest, Hungary

Recently we reported the stereospecific synthesis and stereochemical studies of some perhydropyrido[2,1-b]quinazolin-11-ones (F. Fülöp, K. Simon, G. Tóth, I. Hermecz, Z. Mészáros, G. Bernáth: J.C.S. Perkin I 1982, 2801; G. Tóth, F. Fülöp, G. Bernáth, K. Simon, I. Hermecz, Z. Mészáros: J.C.S. Perkin II 1983, 237). As a continuation of this work, a number of related stereoisomeric derivatives unsaturated in ring A have been synthesized. Stereospecific and stereoselective reactions were observed in the regioselective reduction of the C=N double bond of the cis and trans derivatives 1 and 2.



The reduction of compound 5 was also studied. Depending upon the conditions applied, stereoselective reductions occurred, resulting diastereomeric mixtures of compound 6 in different ratios.



The steric structures of the synthesized compounds were determined by ^{1}H- and ^{13}C-nmr spectroscopy and by X-ray diffraction, or in some cases by means of configurative correlation.