

# Synthesis and a Configurational Correlation within *cis*- and *trans*-Oxazolotetrahydroisoquinolinones with an Angular Substituent

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5-Oxo-10a-*R*-2,3,10,10a-tetrahydro-5*H*-[1,3]-oxazolo-[3,2-*b*]-isoquinoline-10-carboxylic acids [*R* = phenyl (*trans*-**4**), benzyl (*trans*-**8**, *cis*-**8**)] were prepared by reaction of homophthalic anhydride (**2**) and a corresponding 2-oxazoline. The configurations of *trans*-**8** and *cis*-**8** were assigned unequivocally based on the 2D-H-NOESY NMR spectra of the corresponding methyl esters. Compounds *trans*-**4**, *trans*-**8** and *cis*-**8** were converted in two steps with retention of the configuration to the target aminocarbonyl derivatives which are interesting from a pharmaceutical point of view. An important experimental correlation between the chemical shift of 10-H and the configuration of all compounds prepared was derived.

**Key words:** Homophthalic Anhydride, 2-Oxazolines, 2D-H-NOESY, Oxazolotetrahydroisoquinolinones, Diastereomers, Configurational Correlation