Darstellung enantiomerenreiner 3-Oxa-2,7-diazabicyclo[3.3.0]octane und ihre Umwandlung in andere bicyclische Ringsysteme

Preparation of Pure Enantiomeric 3-Oxa-2,7-diazabicyclo[3.3.0]octanes and their Conversion to Other Bicyclic Ring-Systems

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1.3-Dipolar Cycloaddition, Nitrones, Bicyclic Heterocyclic Compounds, Diastereoselectivity, Conformation

Pure Enantiomeric (S)-N-benzylalaninol (R^1 = Me) and (S)-N-benzylvalinol (R^1 = *i*-Pr) were allylated with Br-CH_2-CH=CR^2R^3 (R^2 = R^3 = H; R^2 = Ph, R^3 = H; R^2 = R^3 = Ph). Swern oxidation followed by treatment with methylhydroxylamine afforded nitrones **6** (Me-N(O)=CH-CHR^1-N(CH_2Ph)CH_2-CH=CR^2R^3) which underwent an intramolecular 1,3-dipolar cycloaddition providing 3-oxa-2,7-diazabicyclo[3.3.0]octanes, e. g. (1R,5R,8S)-7-benzyl-2,8-dimethyl-3-oxa-2,7-diazabicyclo[3.3.0]octane **7a** (R^1 = Me, R^2 = R^3 = H) and (1R,4R,5R,8S)-7-benzyl-2,8-dimethyl-4-phenyl-3-oxa-2,7-diazabicyclo[3.3.0]-octane **7b** (R^1 = Me, R^2 = Ph, R^3 = H).

Reductive ring opening of **7a** and **7b** afforded the corresponding α-hydroxyalkylated pyrrolidines (**9a**: R^2 = H or **9b**: R^2 = Ph, resp.). Condensation of these compounds with benzaldehyde yielded a mixture of diastereomeric 4-oxa-2,8-diazabicyclo[4.3.0]-nonanes: **10a**/**11a** (1R,3S,6R,9S)/(1R,3R,6R,9S) R^1 = Me, R^2 = R^3 = H and **10b** /**11b** (1R,3S,5R,6R,9S)/(1R,3R,5R,6R,9S) R^1 = Me, R^2 = Ph, R^3 = H. Pyrrolidine **9b** was converted to the mesylate which formed (1R,4S,5R,7S)-3-benzyl-4,6-dimethyl-7-phenyl-3,6-diazabicyclo[3.2.0]heptane **13** along with (4R,5S)-1-benzyl-3,5-dimethyl-4-styryl-imidazolidine **15** upon treatment with sodium hydroxide.

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