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研究论文

某些官能化手性氮杂环丙烷衍生物的合成及其结构

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摘要 手性元5-(*R*)-(1*R*,2*S*,5*R*)-孟氧基-3-溴-2(5*H*)-呋喃酮(**3**)与氮亲核试剂伯胺(**4**), 通过串联的不对称Michael加成/分子内亲核取代反应得到了具有两个新的手性中心的1*R*,5*S*-6-烷基-6-氮杂-2*R*-孟氧基-3-氧杂-4-氧代二环[3,1,0]己烷(**5a**～**5d**), 产率41%～51%, *e.e.*≥98%. 后者经$LiAlH_4$还原得到*N*-烷基-2,3-双(羟甲基)氮杂环丙烷(**6a**～**6d**), 产率66%～91%. 化合物**5**和**6**通过元素分析, IR, ^{1}H NMR, ^{13}C NMR, MS以及X射线晶体分析, 测定了它们的化学结构及立体化学构型. 本文为*N*-烷基氮杂环丙烷类化合物的合成提供了一种有效途径.

关键词 串联的不对称合成 氮杂环丙烷衍生物 光学活性 晶体结构

分类号

Synthesis and Structure of Some Functionalized Chiral Aziridine Derivatives

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Abstract The chiral 1*R*,5*S*-6-alkyl-6-aza-2*R*-menthoxy-3-oxa-4-oxobicyclo[3,1,0]hexane (**5a**～**5d**) containing two stereogenic centers were obtained in 41%～51% yields with *e.e.*≥98% via the tandem asymmetric Michael addition and internal nucleophilic substitution reaction of the chiron **3** with the primary amine **4** as a nucleophile. After the effective reduction of compounds **5** by $LiAlH_4$ in THF, the target molecules, *meso*-*N*-alkyl-2,3-bis(hydroxymethyl)aziridines (**6a**～**6d**) were obtained in 66%～91% yields. The chemical structures of **5** and **6** were readily confirmed by analytical and spectroscopic data. The proposed structures of optically active compounds were consistent with the stereochemistry and configuration of their molecules further confirmed by the X-ray crystallography of **5a** and **6c**. These results could provide a new synthetic route to the functionalized optically active aziridine derivatives.

Key words tandem asymmetric reaction aziridine derivative optical activity crystal structure

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