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PRACTICAL SYNTHESIS OF ENANTIOPURE 4-AMINO- AND 7-AMINO-TETRAHYDROINDAZOLES AND TRANSFORMATIONS THEREOF

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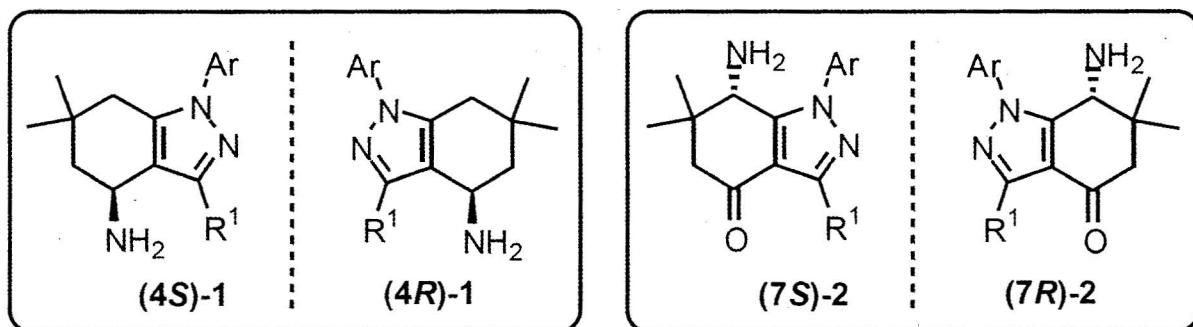
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Since the first report on their synthesis in 1903, tetrahydroindazoles (THIs) as a subclass of pyrazoles have caught the interest of organic and medicinal chemists. Indeed, the molecular scaffold of THIs consists of both, the planar pyrazole unit and C₄-tether which is build of tetrahedral carbons. Such a skeleton helps to diversify vectors of pharmacophore orientation in the 3D space. As a consequence, tetrahydroindazole core can be found in many biologically active compounds. By modifying the substituents the applications of THIs can range from novel antituberculosis agents¹ to corticotropin releasing factor (CRF) receptor antagonists.²



Hence, we would like to report here synthesis of enantiomerically enriched 4-amino and 7-amino derivatives of 4,5,6,7-tetrahydroindazoles **1** and **2**. Rich chemistry of amines allows one to transform these compounds into series of valuable products.³ Thus, approaches toward enantiopure 4- and 7-azido-THIs and corresponding triazoles will be discussed among others.⁴ The use of such enantiomerically pure building blocks is demonstrated by their reactions with various monosaccharide derivatives: diastereomerically pure THI-sugar conjugates were obtained.

References

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