SYNTHESIS OF INDOLE DERIVATIVES AS BUILDING BLOCKS IN ORGANIC AND MEDICINAL CHEMISTRY

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Streszczenie: Indole has been among the most widely recognized structures in medicinal chemistry, it is one of the 'priviledged structures' [Evans et al., 1988]. We have been trying to synthesize 2 - amino - 1 - (1H - indol - 3 - yl)ethan - 1 - ol (**1**) as a useful building block in the synthesis of potentially GPCR active heterocyclic compounds (i.e. morpholines, oxazolines). The only aviable method described in the literature afforded tryptamine instead of the desired product. Numerous other attempts proved unsuccesful. Another approach which would eventually lead to the planned heterocyclic scaffolds involves the synthesis of appropriate halocarbonyl compounds. Direct bromination of 1-(1H-indol-3-yl)propan-2-one (indole-3-acetone) can possibly afford two products: 1-bromo-1-(1H-indol-3-yl)propan-2-one (**2**) and 1-bromo-3-(1H-indol-3-yl) propan-2-one (**3**). There are no mentions of the synthesis of **2** in scientific literature.

Information in literature on the synthesis of **3** is very scarce [Gaspari, 2006, Prendergast, 2007]. The only described methods involve the use of diazomethane which is extremely hazardous.

Herein we describe our attempts to develop a concise synthetic protocol for the syntheses of **2** and **3**. These compounds will be of very much interest for both organic and medicinal chemists.

Literatura:

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