**Introduction and Aim**

The quest for lead-oriented synthesis proposed by medicinal chemists from GSK in early 2010s have prompted for design and study of low-molecular-weight, hydrophilic, conformationally restricted and sp3-enriched molecular scaffolds. This trend led to the creation of the criteria for the building blocks design in 2015 by AstraZeneca co-workers. The 4,5,6,7-tetrahydropyrazolo[1,5-a]pyrazines bearing orthogonally protected functional groups meet the above mentioned criteria and was highlighted in the paper of AstraZeneca. In spite of plenty papers and patents which described synthetic approaches to the scaffold, the convenient, flexible and appropriate for easy scale up approach is still needed. As a part of our ongoing efforts on creation of the criteria for the building blocks design in 2015 by AstraZeneca co-workers. The study of low-molecular-weight, hydrophilic, conformationally restricted and sp3-enriched molecular scaffolds. This trend led to the Synthesis & Functionalizations

**Results**

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