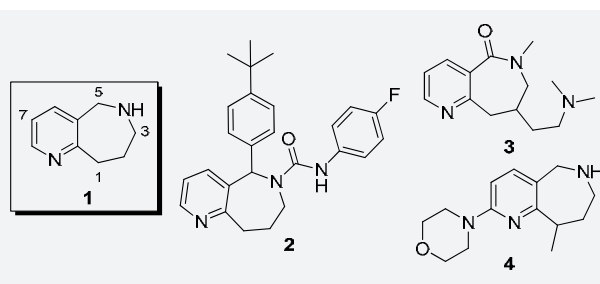


An Efficient Approach To Novel Tetrahydropyridoazepines. Expansion Of Azepines' Drug-like Chemical Space

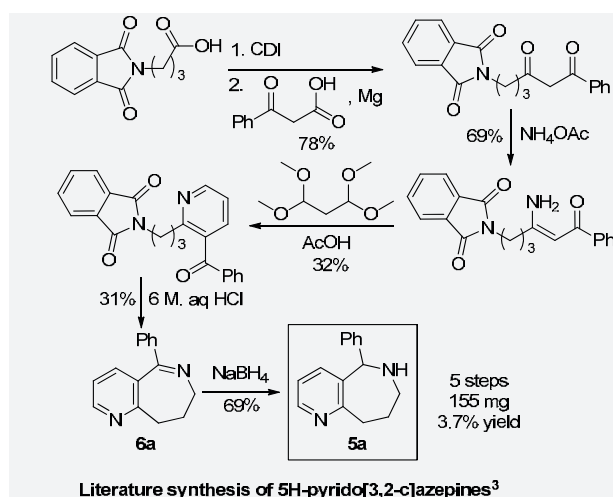
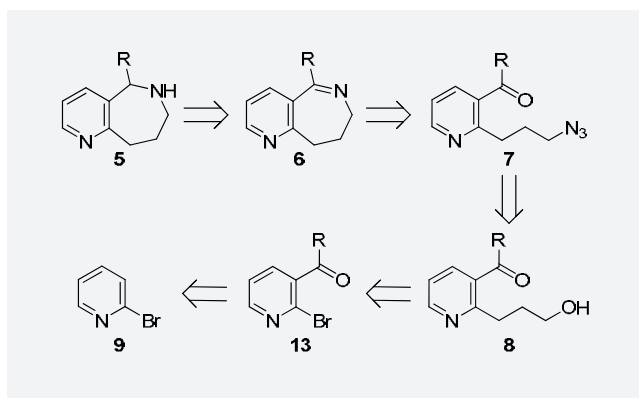
S. Ryabukhin, D. Volochnyuk, O. Grygorenko, A. Subota

Introduction and Aim

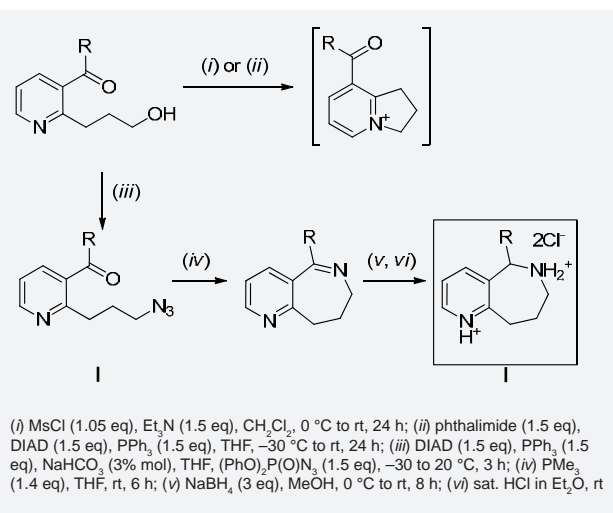
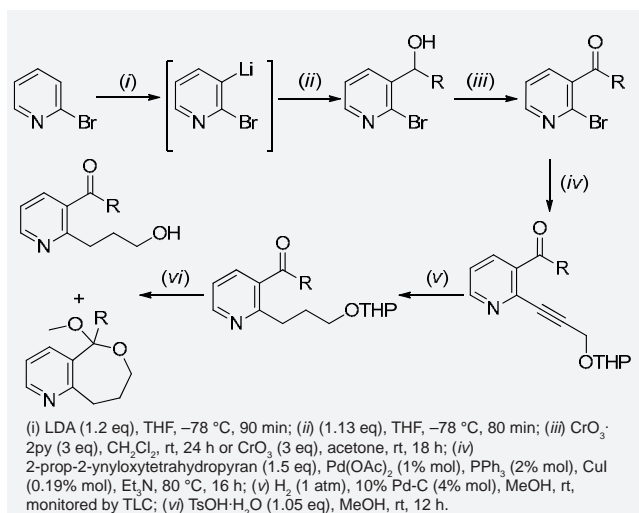
The quest for lead-oriented synthesis proposed by medicinal chemistry in early 2010s have prompted the design and study of low-molecular-weight, hydrophilic, conformationally restricted and sp³-enriched molecular scaffolds. Fused azepanes are promising chemotypes which comply with these criteria and in most cases possess sufficient novelty; moreover, the azepane motif is in the top 100 most frequently used ring systems for small molecule drugs. 6,7,8,9-Tetrahydro-5H-pyrido[3,2-c]azepines (**1**), which contain fused azepane and pyridine rings, were evaluated as cannabinoid (CB2) receptor modulators (**2**), H1-antihistamines (**3**), or serotonin (5HT_{2c}) receptor agonists (**4**).¹



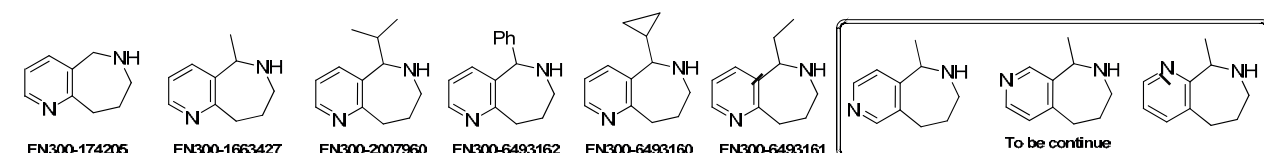
Herein, we report an alternative approach to 5-substituted 6,7,8,9-tetrahydro-5H-pyrido[3,2-c]azepines, which also relies on the formation of imines as the key step.



Synthesis



Results



Contact

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