CONSTRUCTION OF BICYCLIC RING SYSTEMS VIA [3,3]-SIGMATROPIC REARRANGEMENT STRATEGY

A.V. Kurkin¹, Y.V. Ulianova², E.R. Lukyanenko¹

¹Lomonosov Moscow State University, Department of Chemistry, Moscow, Russia

²D. Mendeleev University of Chemical Technology of Russia, Moscow, Russia

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E-mail: kurkin@direction.chem.msu.ru

In a recent work, we have reported a case of an highly stereocontrolled synthesis trans-fused octahydrocyclohepta[b]pyrrol-4(1H)-ones via the aza-Cope-Mannich rearrangement in either the racemic and enantiopure forms (see the Scheme).

^aThermal ellipsoids shown at 50% probability. ^bThe structure of hydrobromide **24b** was unambiguously confirmed by X-ray

We have developed an efficient and stereoselective synthesis of cis- and trans-fused decahydropyrrolo[2,3-c]azepines, octahydro-1H-oxepino[4,5-b]pyrroles, and decahydropyrrolo[2,3-d]azepines using the aza-Cope-Mannich reaction. The target scaffolds were achieved in 6-7 steps from commercially available starting materials and could be obtained in racemic form on a multi-gram scale. The corresponding individual enantiomers were also synthesised using (R)-1-phenylethylamine as a chiral pool.

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