

Control of Atropisomerism: a Gate to Modern Drugs

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要 旨: Chirality is an intriguing feature of nature and many natural, biologically active compounds are stereogenic. The prevalence of atropisomeric compounds has been expanding drastically since 2011 and over the last years almost one of out three FDA-approved small molecules contains axial chirality element and additional 16% are proatropisomeric.

Currently, the axial chirality (ie. atropoisomerism) generally concerns C-C bonds, as in case of biaryl and hetero-biaryl compounds. In contrast, the axial chirality arising from a restricted rotation around a C-N bond is clearly underdeveloped. With regard to the vivid interest on the C-C and C-N axially chiral compounds, we designed new asymmetric strategies to build-up such compounds.

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