UDC 542.9 DOI: 10.25808/08697698.2018.202.6S.073

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Unified and protecting-group-free total synthesis of natural indene sesquiterpenoids and their derivatives

Key words: indenes, sesquiterpenoids

Natural indenes (benzocyclopentadienes) are highly important carbocycles, which have shown various biological activities including antitumor, anti-hypercholesterolemic, antiallergic, anticonvulsant, herbicidal, fungicidal and antimicrobial activities. Anmindenols and nicotianasesterpenes were first isolated from a marine-derived bacterium *Streptomyces* sp. in 2014 and the leaves of *Nicotiana tabacum* in 2016, respectively. More recently, three new sesquiterpenoids were reported from the aerial parts of the *Polygonum barbatum*. These natural products are structurally unique indene sesquiterpenoids possessing a synthetically formidable exocyclic (E)-trisubstituted olefin.

Herein, we describe unified and protecting-group-free total synthesis of anmindenol A, nicotianasesterpenes A, B and a polygonum sesquiterpenoid. The key feature of our synthesis involves a stereoselective vinylogous Stork enamine aldol condensation, a Pd(0)-catalyzed regioselective hydrogenation, a substrate-controlled preparation of the key enamine precursor. Systematic studies on the influence of the size of alkyl aldehyde on the stereoselectivity of vinylogous Stork enamine aldol condensation have carried out. Considering the efficiency and synthetic feasibility of the synthetic route, our synthetic strategy seems widely applicable to structurally related indene derivatives.

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