

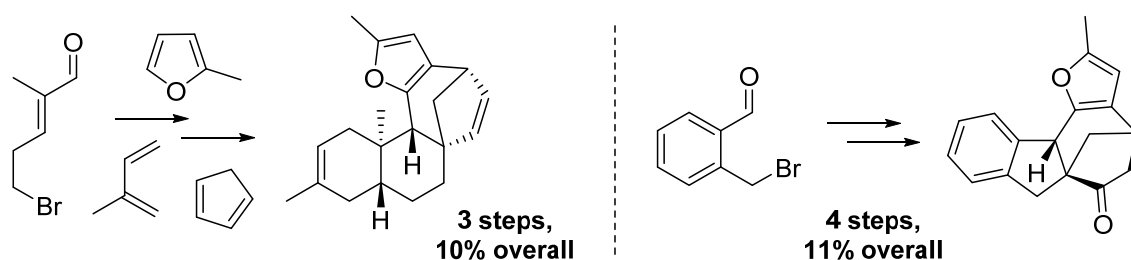
AN INTRAMOLECULAR CYCLOADDITION APPROACH TO THE KAURANOID FAMILY OF DITERPENE METABOLITES

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One of the most diverse and, at the same time, structurally intriguing subfamily of plant diterpenes is formed by the *ent*-kauranes, which are biosynthetically related to the huge family of gibberellin plant hormones. Over a thousand kaurene metabolites are known, which continue to attract considerable interest from both a synthetic and a biological point of view.[1] Drawing on the arguments of biology-oriented synthesis, or natural product inspired synthesis, the kauranoid skeleton can thus be regarded as a highly privileged scaffold.[2] To date, however, general and concise synthetic approaches to this intriguing tetracyclic ring system are still rare, mainly due to the presence of an intricate bridged seven-membered ring system.

Our research group has already successfully developed multiple concise and modular synthesis routes towards complex natural terpene scaffolds containing a seven-membered ring, employing a dehydrative (4+3) cycloaddition between a furfurylcation and a 1,3-diene.[3]



We now report our own synthetic studies in this field, aiming at a direct elaboration of the [3.2.1]bicyclic system via an intramolecular (4+3) cycloaddition.[4] We have achieved a remarkably short, and also scalable entry into the wide class of kaurene metabolite-type scaffolds. Six different bromo-aldehyde starting compounds were implemented in the synthesis route generating a library of unnatural kauranoid analogues, which allows for a rapid exploration of the kauranoid chemical space.

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