

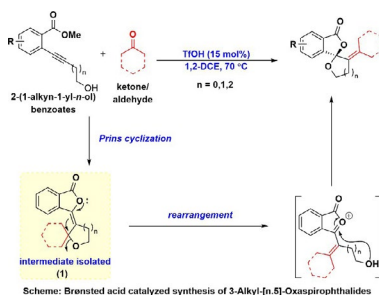
Unified approach to 3-Alkyl-[n,5]-Oxaspirophthalides through Acid- Catalyzed Alkynyl-Prins Cyclization–rearrangement

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The Prins cyclization reaction holds significance in organic synthesis as it enables the single step formation of both C–C and C–X (heteroatom) bonds. It will provide an access to generate many biologically relevant oxa-polycyclic systems as well spirocyclic frameworks, such as spiroketals. As a result, many biologically active natural products have been successfully synthesized by employing this approach. The spiroketal units are emerging as privileged structures in drug discovery. They are also omnipresent in the natural products domain. Alkyne-Prins cyclization reaction, involve an acid catalyzed condensation of homopropargylic alcohols/amines (in place of homoallylic counterparts; Prins cyclization) with aldehydes and ketones to give the corresponding five (exo-olefin) or six membered (endo-olefin) oxa- as well as aza- heterocyclic systems.

Here in, we developed a Brønsted acid catalyzed alkynyl Prins reaction between ketones/ aldehydes and 2-(1-alkyn-1-yl-n-ol)benzoates giving vinyl carbocation intermediate, which will be attacked by o-carboxylate resulting in intermediate (1), which further underwent rearrangement to give spiro lactone product in single step (Scheme). This approach exhibits broad substrate scope with respect to carbonyl compounds and 2-(alkynol)benzoates, with yields ranging between 43–98%. To prove the mechanistic pathway, we performed control experiment and isolated the intermediate (1), which will be demonstrated in detail in the poster.



Biography

Surabhi Mishra is a research scholar pursuing her doctoral studies (PhD) under the supervision of Prof. Beeraiah Baire. She joined the Department of Chemistry, at IIT Madras in September 2020. Her research is focused mainly on acid catalyzed functionalization of inactivated C(sp³)-H bonds through vinyl carbocation intermediate.

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