Rh-Catalyzed Synthesis of Indenyl Triazenes

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Indenyl triazenes and their derivatives are compounds of interest because of the biological activity of indenes [1] and the synthetic possibilities afforded by the triazene group.[2] The only described example of the synthesis of an indenyl triazene suffers from the need for an indenyl Grignard reagent and low yield.[3] Building on the synthesis of indenes from ynamides as described by Lam et al., [4] we investigated the Rh-catalyzed reaction of 1-alkynyl triazenes with bifunctional boronic acids and esters.



The procedure tolerates air and requires the presence of water. Highly substituted indenyl triazenes were obtained in yields up to 90 % and regioselectivities up to >20:1 rr. The regioselectivity is determined by the choice of the alkynyl substituent R. Aromatic, alkylic and alcoholic substituents were suitable R' groups, while the functional group (FG) on the boronic acid/ester could be an aldehyde, ketone, nitrile or a Michael-acceptor.

The acid-induced cleavage of the triazene group gave a variety of different products depending on the nature of X.

- [1] L. Veltri et al., Chem. Eur. J. 2016, 22, 5056-5094.
- [2] C. Liu et al., Curr. Org. Chem. 2015, 19, 151-178.
- [3] K. Severin et al., Org. Lett. 2018, 20, 3323–3326.
- [4] H. W. Lam et al., Org. Lett. 2010, 12, 2554–2557.