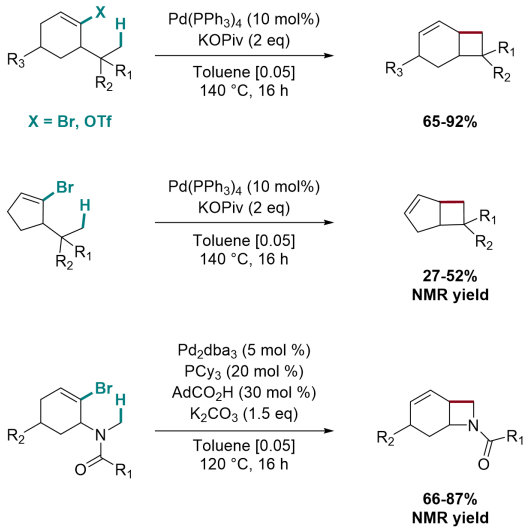
Construction of 4-membered rings through an intramolecular C(sp^3)–H activation

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1,4-Palladium shift has been established as an elegant approach towards the functionalization of remote C–H bonds.[1,2] However, its application is restricted to using aryl halides as precursors.[3-5] In this work, we have successfully extended its application to C(sp^2)–X alkenyl precursors. As a result, we report an unprecedented cyclobutanation protocol towards fused cyclobutane derivatives using alkenyl (pseudo)halides through a Pd^0-catalyzed C(sp^3)–H activation process. This reaction takes place via 1,4-Pd shift followed by intramolecular Heck coupling. The methodology performs best with cyclohexenyl precursors giving access to a variety of substituted bicyclo[4,2,0]octenes, and also shows a potential for accessing smaller ring systems starting from cyclopentenyl halides. Replacing the alkyl chain with N-methyl amides gives access to fused azetidines via the same mechanism. Early kinetic studies indicate a primary kinetic isotope effect establishing the C–H activation as the rate-determining step, while deuterium incorporation suggests an irreversible 1,4-Pd shift process, – probably arising from the fast trapping of the *σ*-alkylpalladium species through Heck coupling.



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