

TANDEM PALLADIUM-CATALYZED INTRAMOLECULAR ADDITION OF ACTIVE METHYLENE COMPOUNDS TO ALKYNES FOLLOWED BY COUPLING WITH (HETERO)ARYL BROMIDES

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Palladium complexes are one of the most frequently used catalysts in the modern organic chemistry due to ability to promote variety of transformations, often under mild reaction conditions and with high tolerance of functional groups. For this reason, they are an excellent choice when designing tandem reactions, in which palladium catalyzes sequence of mechanistically different reactions. We present a method for the synthesis of substituted cyclopentanes via palladium catalyzed intramolecular nucleophilic addition of active methylene compounds to alkynes followed by coupling with aryl bromides.

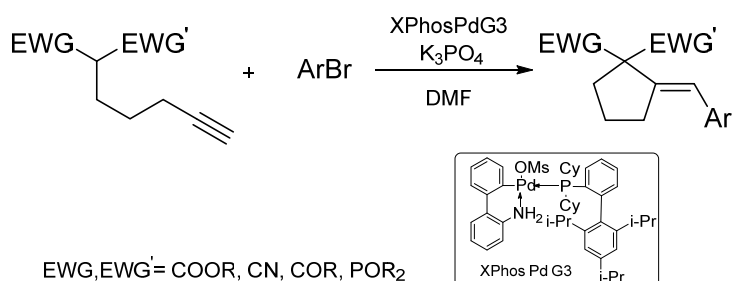


Fig. 1 General reaction scheme.

Presented method features an excellent tolerance of various functional groups and a wide scope in respect to both substrates - active methylene compounds (e.g. malonates, β -ketoesters, cyanoacetates, etc.) bearing alkyne moieties and (hetero)aryl bromides as well as considerably less active chlorides.