Abstract

A series of quinoline derivatives were prepared through newly developed efficient and step-economic transition-metal catalyzed protocols. The developed methodologies present a simple and straightforward approach for the construction of molecularly complex quinoline compounds of biologically potent features. 8-Heteroaryl substituted quinolines were prepared by palladium-catalyzed coupling of organoboron reagents with 8-bromoquinolines which served as coupling partners for further palladium-catalyzed direct C–H functionalization on the five-membered heteroaryl ring with selected aryl bromides in a one-pot process. The development of a multicomponent multicatalyst one-pot reaction procedure combining rhodium-catalyzed hydroarylation of (hetero)arylboronic acids to 2-vinylpyridines followed by palladium-catalyzed direct intramolecular C–H arylation reaction enabled the synthesis of a broad variety of dihydro(hetero)arylquinolines and therefore proving that the two catalytic cycles can occur independently within a single vessel.