Compounds with 5-aminotetrazole moiety have versatile application in industry of materials, pharmaceuticals and coordination chemistry, which is why their synthesis has been widely investigated. However, the synthetic methodology predominantly relies on the formation of the tetrazole ring from N-substituted acyclic precursors.

Herein, we report the first example of palladium catalyzed N-arylation of 1H-tetrazol-5-amines.\[1\] The N-arylation reaction provides products in good to excellent yields with vast functional group tolerance and great selectivity between bromide and chloride atom on aryl halide substrate. The use of benzyl substituent in position N1 provides a regioselective approach for the functionalization of N5 as well as a convenient strategy for the synthesis of N1 unsubstituted tetrazole scaffolds after the hydrogenolysis of benzyl group. Seeing that the 1-unsubstituted tetrazole ring represents the more stable bioisostere for the carboxyl functional group, the reported methodology has a potential application in the synthesis of bioactive compounds.

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