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Three-component Assembly of 5-Halo-1,2,3-triazoles via Aerobic Oxidative Halogenation

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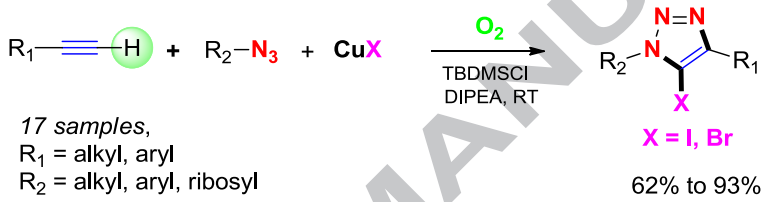

Abstract: An effective synthetic protocol for 5-halo-1,2,3-triazoles was developed by novel TBDMSCl (*tert*-Butyldimethylsilyl chloride)-activated aerobic oxidative halogenations in this paper. TBDMSCl, for the first time, was found to activate aerobic oxidation of CuX to produce X_2 with Cu^+ which then could effectively promote one-pot syntheses of 5-halo-1,2,3-triazole from alkyne, azide and CuX (X = I, Br) under O_2 atmosphere at room temperature. The advantages in this method include inexpensive and green O_2 as oxidant, use of mild and non-oxidative additive, and wide scope of substrates.

Keyword: aerobic oxidative halogenations, 5-halo-1,2,3-triazole, nucleoside