The Bredereck-type synthesis, which is well known as a conventional preparation of pyrimidine derivatives, generally requires a reaction temperature of more than 160 °C, and the product yield is moderate. A simple, high-yielding synthesis of pyrimidines from ketones in the presence of HMDS and formamide is reported.[18] Under microwave irradiation, heteroaromatic, aryl, aliphatic, and cyclic ketones cyclized to give pyrimidines in good yields.

A two-step procedure is described to convert the Biginelli 3,4-dihydropyrimidin-2(1*H*)-one to various multifunctionalized pyrimidines via the Kappe dehydrogenation[19] and a new mild PyBroP-mediated coupling with C, N, O, and S nucleophiles, which provides a readily accessible multifunctionalized pyrimidine template for diversity-oriented synthesis. Kappe et al. reported an unexpected but clean dehydrogenation of the Biginelli DHPMs by using 50–60% nitric acid. In the presence of a suitable activating reagent, 2-hydroxypyrimidine, the tautomerized pyrimidin-2(1*H*)-one, could form a highly reactive intermediate, which could be easily attacked by a nucleophile to furnish the 2-substituted pyrimidine.[20]