Iodide-catalyzed Synthesis of Benzimidazole-substituted Arylboronic Acids via Aerobic Oxidation

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Benzimidazoles are common building blocks found in biologically and therapeutically active natural products, pharmaceuticals, and material sciences. Especially, 2-arylbenzimidazoles carrying an additional aryl substituent at the *meta*- or *para*-position on the aryl ring are considered important scaffolds as antagonists and/or inhibitors. Conventionally, 2-arylbenzimidazoles derivatives can be synthesized through Suzuki-Miyaura reaction from benzimidazole-substituted arylboronic acids, which were prepared via the subsequent incorporation of a boronic acid functionality from a halide group on the aryl moiety at the 2-position of the benzimidazole scaffold.
Recently we developed the new protocol for the synthesis of benzimidzoles from 1,2-arylenediamines and aldehydes via aerobic oxidative cyclization using potassium iodide as a catalyst. We further extended this method to synthesis of the benzimidazole-substituted arylboronic acids from 1,2-arylenediamines and aldehydes bearing a boronic acid. Since 1,2-aryldiamines can react with a boronic acid moiety rather than aldehyde, we protected the boronic acid moiety as N-methyliminodiacetate (MIDA). Subsequent aerobic oxidative cyclization of the resulting aldehydes bearing a MIDA boronate with 1,2-aryldiamine using potassium iodide, followed the removal of the MIDA moiety allowed us to synthesize the desired benzimidazole-substituted arylboronic acids in one-pot without any separation of any intermediates. Moreover, these derivatives prepared from our new method can be further utilized to synthesis of 2-biaryl substituted benzimidazoles bearing an aryl group at *meta*- or *para*- position through Suzuki-Miyaura coupling reaction. In this poster presentation, I will present our recent result on the synthesis of benzimidazole-substituted arylboronic acids using iodide as a nucleophilic catalyst.

II. CONCLUSIONS

We developed the new protocol for the synthesis of benzimidazole-substituted arylboronic acids from 1,2-arylenediamines and aldehydes bearing a boronic acid in one pot without any separation of any intermediates.

ACKNOWLEDGMENTS

This work was supported by a National Research Foundation of Korea (NRF) grant funded by the Korean Government (NRF-2017M2A8A4018045).

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