GS4-2 **Copper-catalyzed synthesis of 2-(aminomethyl)indoles and poly-cyclic indoles by domino reactions utllizing threecomponent coupling**

◯Yusuke OHTA[1], Hiroaki CHIBA[1], Shinya OISHI[1], Nobutaka FUJII[1], Hiroaki OHNO[1]

[1]Grad, Sch. Of Pharm. Sci, Kyoto Univ.

New methods that produce complex, useful molecules from simpler materials in a single reaction vessel and minimize the requisite reagents, solvents, cost, time, and separation processes are important challenges in modern synthetic chemistry. We developed a novel copper(I)-catalyzed synthesis of 2-(aminomethyl)indoles, which are found in various biologically active compounds, by a three-component coupling–cyclization reaction. The reaction using *o*-bromobenzylamine derivatives followed by palladium-catalyzed arylation at the 3-position of indole afforded benzoazepine-fused indoles in good yields. In sharp contrast, copper-catalyzed three-component indole formation using the same amines followed by demesylation with NaOMe gave indole-fused 1,4-diazepines, which are expected to be an attractive drug template, through copper-catalyzed *N*-arylation of indole under microwave irradiation.