Synthetic Study of the *Kopsia lapidilecta* Alkaloid Lapidilectam

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The genus *Kopsia* (*Apocynaceae*, subfamily *Plumerioideae*) is comprised of about 30 species that grow in South and Southeast Asia. Lapidilectam (**1**) is one of several pyrroloazocinoindoles that have been isolated from this genus of plants. It was isolated by Awang, et al. from the stems and bark of the tree *Kopsia lapidilecta* in 1993. Although there are no reports on the pharmacological effects of *Kopsia lapidilecta* alkaloids, various medicinal uses of other *Kopsia* alkaloids have been reported. No synthetic studies on Lapidilectam (**1**) have been reported. We report herein our synthetic study of *Kopsia lapidilecta* alkaloid, namely Lapidilectam (**1**).

Pyrroloazocine derivative **2**, prepared from known pyrrolidinone derivative in 10 steps, was condensed with 2-iodoaniline. The resulting enamine **3** was converted to tetracyclic key intermediate **4** containing ABCE-ring using new type of Heck reaction *via* selective isomerization of double bond. The relative configuration, however, was unnatural *syn*-isomer. Therefore, the stereochemistry at 3-position was inverted by conversion to *exo*-olefin **5** followed by stereoselective hydroboration. Next, regio- and stereoselective C7-acylation *via* magnesium salt of indole was accomplished (**7**→**8**). Final D-ring formation is now in progress.