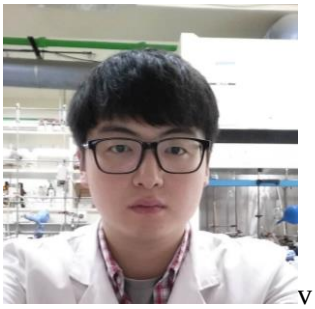 v

Title: Concise total synthesis of (-)-protoemetinol

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Benzo[α]quinolizidine alkaloids have received constant attention because of their multiple pharmacological interests. Particularly, emetine, which acts as a protein synthesis inhibitor and DNA interacting agent, has been clinically used for the treatment of a protozoan infection. Recently, additional biological activities, including antiviral properties and NF-κB signaling inhibitory effects, were reported. Tubulosine also exhibits various biological activities such as broad cytotoxicity in cancer cell lines, antimalarial activity, HIV reverse transcriptase inhibitory activity, and HIF-1 transcriptional inhibitory activity. (-)-Protoemetinol could be an excellent intermediate for the synthesis of various benzo[α]quinolizidine alkaloids including emetine and tubulosine because the structure of protoemetinol is identical to that of the core upper part of those alkaloids

The stereoselective synthesis of (-)-protoemetinol has been accomplished through nine steps from a known homoallylic amine. The key steps of the synthesis involve the efficient preparation of an aza-Claisen rearrangement (ACR) precursor using cross metathesis and amide enolate-induced ACR followed by acid-catalyzed transannulation for the elaboration of the benzo[a]quinolizine skeleton and three stereogenic centers. This unique synthetic route envisages a unified and versatile strategy for the synthesis of 2,3-disubstituted benzo[a]quinolizidine.

Biography

Changjin Lim was born in 1988 in Seoul, South Korea. He received his bachelor's degree in Pharmacy from Seoul National Universirty in 2011. He is currently a Ph.D. candidate in the laboratory of Professor Young-Ger Suh at Seoul National Universirty.

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