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Synthesis, Anti-Inflammatory, and Arginase Inhibitory Activity of Piceatannol and Its Analogs

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Abstract

The present study describes the synthesis of piceatannol (**2**) and its analogs (**3**–**8**) using Wittig–Horner reaction, Colvin rearrangement, and Sonogashira reaction as key steps and also evaluation of their inhibitory potency on the production of inflammatory mediator nitric oxide (NO) in lipopolysaccharide (LPS)-induced RAW264.7 macrophages. Three compounds **7** (90.1%), **8** (60.8%), and **6** (55.2%) were found to potently inhibit NO production induced by LPS without affecting the viability of RAW264.7 cells. In addition, their Arginase I and II inhibition activity was also evaluated. In this study, three compounds, *i.e.*, compounds **4** were showed good inhibition activity to both arginase I and II. Of

the synthesized compounds, compound **2** exhibited maximum inhibitory activity of 28% (arginase I) and 26% (arginase II) at 10 μ M concentration followed by compounds **3** and **4** of 20 and 22% to arginase I, 22 and 23% to arginase II, respectively.

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```
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```