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Qing Liu

Key Laboratory of TCM-information Engineer of State Administration of TCM, School of Chinese Pharmacy, Beijing University of Chinese Medicine, Beijing 100102, China

Jinjin Liu

Beijing Institute of Genomics, Chinese Academy of Sciences, Beijing, China

Haili Guo

Beijing Institute of Genomics, Chinese Academy of Sciences, Beijing, China

Shengnan Sun

Key Laboratory of TCM-information Engineer of State Administration of TCM, School of Chinese Pharmacy, Beijing University of Chinese Medicine, Beijing 100102, China

Shifeng Wang

Key Laboratory of TCM-information Engineer of State Administration of TCM, School of Chinese Pharmacy, Beijing University of Chinese Medicine, Beijing 100102, China

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Authors

Qing Liu, Jinjin Liu, Haili Guo, Shengnan Sun, Shifeng Wang, Yanling Zhang, Shiyu Li, and Yanjiang Qiao

[6]-Gingerol: A Novel AT₁ Antagonist for the Treatment of Cardiovascular Disease

Qing Liu¹, Jinjin Liu², Haili Guo², Shengnan Sun², Shifeng Wang¹, Yanling Zhang¹, Shiyu Li², Yanjiang Qiao¹

¹ School of Chinese Pharmacy, Beijing University of Chinese Medicine, Beijing, China

² Beijing Institute of Genomics, Chinese Academy of Sciences, Beijing, China

Abstract

Considering the prevalence of cardiovascular disease in public health and the limited validated therapeutic options, this study aimed to find novel compounds targeting the angiotensin II type 1 receptor, accepted as a therapeutic target in cardiovascular disease. A small library consisting of 89 compounds from 39 Chinese herbs was profiled using a cell-based calcium mobilization assay which was developed and characterized for high-throughput screening. [6]-Gingerol derived from *Zingiber officinale* Roscoe (ginger) was identified as a novel angiotensin II type 1 receptor antagonist, with an IC₅₀ value of 8.173 μM. The hit was further tested by a specificity assay indicating that it had no antagonistic effects on other evaluated GPCRs, such as endothelin receptors. The major ingredient of ginger, [6]-gingerol, could inhibit angiotensin II type 1 receptor activation, which partially clarified the mechanism of ginger regulating blood pressure and strengthening heart in the cardiovascular system.

Key words

[6]-gingerol - AT₁ antagonist - calcium assay - high-throughput screening - cardiovascular disease - Chinese herb