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

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[6]-Gingerol: A Novel AT_1 Antagonist for the Treatment of Cardiovascular Disease

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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 IC_{50} 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 - AT_1 antagonist - calcium assay - high-throughput screening - cardiovascular disease - Chinese herb