Semisynthetic and Natural Garcinoic Acid Isoforms as New mPGES-1 Inhibitors

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Résumé en anglais	Over the last twenty years, tocotrienol analogues raised great interest because of their higher level and larger domain of biological activities when compared with tocopherols. Amongst the most promising therapeutic application, anti-inflammatory potency has been evaluated through the inhibition of various mediators of inflammation. Here, we worked on the isolation of two natural isoforms of garcinoic acid (i.e., δ and γ) from two different sources, respectively, Garcinia kola seeds and Garcinia amplexicaulis bark. We also developed semisynthetic strategies to access the other two non-natural α- and β-garcinoic acid isoforms. In the next stage of our work, microsomal prostaglandin E2 synthase was defined as a target to evaluate the anti-inflammatory potential of the four garcinoic acid isomers. Both dimethylated isoforms, β- and γ-garcinoic acid, exhibited the lowest IC50, 2.8 µM and 2.0 µM, respectively. These results showed that the affinity of tocotrienol analogues to microsomal prostaglandin E2 synthase-1 most probably contributes to the anti-inflammatory potential of this class of derivatives.
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