Effects of methylated catechins on 3-methylcholanthrene-mediated activation of aryl hydrocarbon receptor

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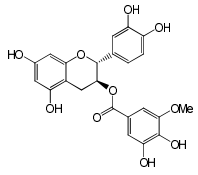
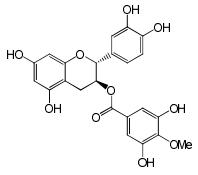
Aryl hydrocarbon receptor (AhR), a receptor-form transcription factor, plays an important role in the expression of toxicological effects of environment chemicals such as dioxins and polyaromatic hydrocarbons. In this study, we examined the effect of 3"- or 4"-*O*-methylated catechins, including 3"-Me-CG, 4"-Me-CG, 3"-Me-ECG, 4"-Me-ECG, 3"-Me-GCG, 4"-Me-GCG, 3"-Me-EGCG, and 4"-Me-EGCG, on the 3-methylchoranthrene (MC)-mediated activation of the AhR and CYP1A subfamily genes (*CYP1A1* and *CYP1A2*) in a HepG2-A10 cell line, which has established for the detection of AhR activator in our laboratory. The *O*-methylated CG and ECG derivatives, but not the *O*-methylated GCG and EGCG derivatives, enhanced the MC-mediated activation of the AhR and CYP1A subfamily genes. Especially, 3"-Me-CG showed the highest activity among the *O*-methylated CG and ECG derivatives and also higher activity than did the parent compound CG. On the other hand, the activities of other *O*-methylated CG and ECG derivatives were almost the same to those of the corresponding parent compounds. In conclusion, we demonstrate that 3"- or 4"-*O*-methylated CG and ECG derivatives, especially 3"-Me-CG, show definite capacity for enhancing the MC-mediated activation of the AhR and CYP1A subfamily genes in a HepG2-A10 cell line.



3"-Me-CG



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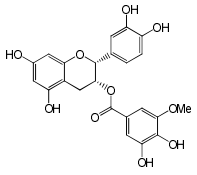

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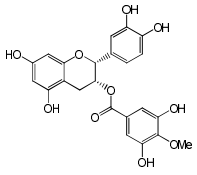

4"-Me-ECG