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Effects of ginsenoside Rg_2 and ginsenoside metabolites on human 5-HT_{3A} receptor-mediated ion current in Xenopus oocytes

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Ginsenosides, ingredients of Panax ginseng, that exhibit various pharmacological and physiological actions. Recent reports showed that ginsenoside Rg_2 inhibits nicotinic acetylcholine receptor-mediated Na^+ influx and -channel activity. In the present study, we investigated the effect of ginsenoside Rg_2 and ginsenoside metabolites(CK and M4) on human 5-hydroxytryptamine$_{3A}$(5-HT_{3A}) receptor channel activity, which is ligand gated ion channel. 5-HT_{3A} receptor was expressed in Xenopus oocytes, and the current was measured using two-electrode voltage clamp technique. Treatment of ginsenoside Rg_2, CK and M4 itselves had no effect in oocytes injected with H_2O and 5-HT_{3A} receptor cRNA. In oocytes injected with 5-HT_{3A} receptor cRNA, pretreatment of ginsenoside Rg_2, CK and M4 inhibited 5-HT-induced inward peak current ($I_{5\text{-}HT}$). The inhibitory effect of ginsenoside Rg_2, CK and M4 on $I_{5\text{-}HT}$ was dose dependent and reversible non-competitive and voltage-independent. The half-inhibitory concentrations (IC_{50}) of ginsenoside Rg_2 was 22.3 ± 4.6 mM, CK was 36.9 ±9.6 and M4 was 7.3 ±2.2. These results showed that ginsenosides as well as ginsenoside metabolites regulate 5-HT_{3A} receptor channel activity expressed in Xenopus oocytes.

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