

TRPV1-activating compounds in black pepper

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Capsaicin, a pungent principle of hot pepper, enhances energy expenditure via capsaicin receptor TRPV1 (transient receptor potential vanilloid 1) activation. We hypothesize that TRPV1-activating compounds can increase energy expenditure and prevent obesity by eating. We screened spices as candidates and found that black pepper may contain TRPV1 active compounds other than piperine. We tried to find TRPV1-activating compounds in black pepper.

TRPV1 activity was evaluated by the use of HEK293 cells stably and exogenously expressed rat TRPV1 (HEK293VR11 cells)¹⁾ and intracellular Ca²⁺ indicator Fluo-4 AM. Hexane extract of black pepper contained TRPV1 activity other than piperine. Hexane extract was purified by silica gel column, silica gel MPLC and preparative ODS HPLC. Chemical structures of isolated compounds were determined by NMR and MS.

Four compounds having close structure to piperine were isolated and identified. All of them were known compounds but have not been reported to possess TRPV1 activity. Unfortunately, all isolated compounds had less TRPV1 activity than piperine. Compounds having longer alkyl chain than piperine and without piperidine ring resulted in lower TRPV1 activity.

These results clearly showed that black pepper hexane extract contains other compounds than reported here having high activity to TRPV1.

1) A. Morita, Y. Iwasaki, K. Kobata et al., Lipophilicity of capsaicinoids and capsinoids influences the multiple activation process of rat TRPV1. *Life Sci.*, **79**, 2303-10 (2006)