

Herbal drug TRP channel screening

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Introduction : The transient receptor potential (TRP) channels are nonselective cation channels. Since they are expressed in various organs, such as nociceptive neurons, skin, bladder, pulmonary tissues, TRP channels are attracting attention as drug targets for analgesic, pruritus, asthma, overactive bladder. Herbal medicine has been reported to have a clinical effect on pains and coldness. Therefore, we focused on TRPA1, V1, V4, M8 channels which were mainly involved in analgesic and thermosensation, and evaluated the effect of ingredients in the herbs, such as Glycyrrhizae Radix (GR), Atractylodes Lancea Rhizome (ALR), Evodia Fruit(EF), on the TRP channels.

Methods : Ca²⁺ influx assays were performed using human TRPA1, V1, V4, M8 overexpressing cells.

Results : Some ingredients in GR, EF were highly active dual agonists for TRPA1 and TRPV1. And, several ingredients in GR, ALR, EF had high agonistic activity for TRPA1. However, aforementioned ingredients were rarely response for TRPV4/TRPM8.

Conclusions and Discussion : Many of constituents of herbal drug have TRPA1 agonistic effect. Herbal drugs including GR, EF might have clinical effect of sensitivity to cold via TRPA1/V1-CGRP mechanism improving of blood circulation. Also, ALR have been known as ghrelin enhancer and increase food intake. It has been reported that ghrelin secretion was increased via TRPA1 activation. Therefore, ingredient of ALR might be involved in directly food intake increment via ghrelin secretion.