Relaxant effects of petasins, in isolated guinea pig trachea and their structure-activity relationships

柯文昌

Ko WC;Lei CB;Lin YL and Chen CF

摘要

Abstract

In the present study, we attempted to compare four petasins, isolated from Petasites formosanus Kitamura, and to look for structure-activity relationships, which may be helpful for synthesizing more active compounds for the treatment of asthma. Four petasins, including petasin, isopetasin, S-petasin and S-isopetasin, concentration-dependently relaxed histamine (10 microM)-, carbachol (0.2 microM)-, KCl (30 mM)-, and leukotriene D4 (10 nM)-induced precontractions of isolated guinea pig trachealis. The IC50 values strongly showed that the relaxant effects of the sulfur-containing petasins, S-petasin and S-isopetasin, were more potent than those of non-sulfur-containing petasins, petasin and isopetasin. S-isopetasin, with IC50 values around 10 microM, selectively relaxed carbachol- and KCl-induced precontractions, and had almost no effects (IC50s > 300 microM) on histamine- and leukotriene D4-induced precontractions. However, S-petasin, with IC50 values about 6-9 microM, non-selectively relaxed the precontractions induced by all these contractile agents. The influence of isomerization of either petasin to isopetasin or S-petasin to S-isopetasin on the relaxant effects is not clear.