

Relaxant effects of quercetin methyl ether derivatives in isolated guinea-pig trachea and their structure-activity relationships

Ko WC;Kuo SW;Sheu JR;Lin CH;Tzeng SH and Chen CM

Abstract

In the present study, we attempted to compare quercetin methyl ethers and to look for the structure-activity relationships, which may be helpful for synthesizing more active compounds for the treatment of asthma. Four present and two previously studied quercetin methyl ethers concentration-dependently relaxed histamine (30 μM), carbachol (0.2 μM) and KCl (30mM) induced precontraction. According to their IC₂₅ values to histamine-induced precontraction, the potency order was quercetin 3,3',4',5,7-pentamethyl ether (QPME), quercetin 3-methyl ether > quercetin, quercetin 3,4',7-trimethyl ether (ayanin) > quercetin 4'-methyl ether (tamarixetin), quercetin 3,3',4',7,-tetramethyl ether (QTME). Therefore, the methylation at 3, at 5, and at both 3 and 7 positions of the A or/and C ring of quercetin nucleus may increase their tracheal relaxant activity. However, the methylation at the 3' and at the 4' position of the B ring of quercetin nucleus may decrease their tracheal relaxant activity.