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Inhibititory Activity of Flavonoid Acetates on the Histamine Release from IgE-Sensitized Mast Cells

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Flavonoids are the large class of plant-derived polyphenolic compounds with a broad spectrum of biological actions including anti-inflammatory, anti-allergic and anti-asthmatic activities. It has been reported that quercetin and some other flavonoids inhibit the histamine release from mast cells. Disodium cromoglycate, a kind of biflavonoid, have been used as a anti-asthmatic agent. Therefore, the following study was undertaken to characterize the structure-activity relationships of flavonoids in the inhibition of histamine release from IgE-sensitized mast cells.

Flavonoid acetate were synthesized by addition of acetic anhydride to the flavonoids dissolved in pyridine. According to the Mota method, IgE-rich serum was made in rats sensitized with Pertussis vaccine and ovalbumin. Rat abdominal mast cells were harvested and purified over the discontineous Percoll gradients. Mast cells were preincubated in RPMI with IgE-rich serum and further incubated with flavonoids. Histamine contents released from mast cells were determined fluorospectrophotometrically after stimulation with ovalbumin.

It shows that all hydroxyl groups of flavonol and flavanones can be acetylated by acetyl anhydride, except one hydroxyl group in morin. Gennin and acetate of flavonoids inhibited the histamine release concentration-dependently from IgE-sensitized mast cells. Quercetin acetate was more potent than its gennin, but acetates of morin, naringin and hesperidin was less potent than their gennins, respectively. Hesperidin and quercetin was more potent than naringin and morin.