The flavonoid luteolin inhibits niacin-induced flush.


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BACKGROUND AND PURPOSE: Sustained release niacin effectively lowers serum cholesterol, LDL and triglycerides, while raising HDL. However, 75% of patients experience cutaneous warmth and itching known as flush, leading to discontinuation. Acetylsalicylic acid (aspirin) reduces this flush only by about 30%, presumably through decreasing prostaglandin D2 (PGD2). We investigated whether niacin-induced flush in a rat model involves PGD2 and 5-HT, and the effect of certain flavonoids.

EXPERIMENTAL APPROACH: Three skin temperature measurements from each ear were recorded with an infrared pyrometer for each time point immediately before i.p. injection with either niacin or a flavonoid. The temperature was then measured every 10 min for 60 min.

KEY RESULTS: Niacin (7.5 mg per rat, equivalent to a human dose of 1750 mg per 80 kg) maximally increased ear temperature to 1.9+-0.2 degrees C at 45 min. Quercetin and luteolin (4.3 mg per rat; 1000 mg per human), administered i.p. 45 min prior to niacin, inhibited the niacin effect by 96 and 88%, respectively. Aspirin (1.22 mg per rat; 325 mg per human) inhibited the niacin effect by only 30%. Niacin almost doubled plasma PGD2 and 5-HT, but aspirin reduced only PGD2 by 86%. In contrast, luteolin inhibited both plasma PGD2 and 5-HT levels by 100 and 67%, respectively.

CONCLUSIONS AND IMPLICATIONS. Niacin-induced skin temperature increase is associated with PGD2 and 5-HT elevations in rats; luteolin may be a better inhibitor of niacin-induced flush because it blocks the rise in both mediators.

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