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Oxprenolol in angina pectoris

S.H. TAYLOR & U. THADANI

University Departments of Cardiovascular Studies and Medicine, The General Infirmary, Leeds

Symptomatic, ECG and circulatory effects of the β -adrenoceptor antagonist oxprenolol were evaluated during treadmill walking in a placebo controlled double-blind study in 35 male patients with stable, uncomplicated angiographically-defined angina pectoris. After a single oral dose of oxprenolol (160 mg), plasma concentration, increase in treadmill walking time, reduction in ECG ST depression and attenuation of exercise tachycardia and systolic pressure increase

peaked at 1–2 h and thereafter slowly declined over 8 hours.

Plasma concentration at 1 h was linearly related to the oral dose of oxprenolol. Dose-response measurements demonstrated a significant correlation between reduction in anginal pain, ECG ST depression, exercise tachycardia and systolic pressor response and the logarithm of the dose of drug.

In eight patients there was no increase in exercise tolerance, despite similar plasma concentrations and similar ECG and circulatory changes to those in patients with significant extension of angina time.

Oxprenolol, 160 mg twice daily, affords a rapid and effective treatment in the majority of patients with exercise-induced angina pectoris.

Some complementary data on AH 5158, an inhibitor of both α - and β -adrenoceptors

P. HARICHAUX & L. HARY

Laboratoire de Physiologie, Faculté de Médecine, 12, rue Frédéric Petit, 80036 Amiens, Cedex, France

Inhibitory properties of AH 5158 (5[1-hydroxy-2-[(1-methyl-3-phenylpropyl)amino]ethyl]salicylamide) upon both α - and β -adrenoceptors, mainly in heart (β_1 receptors) and blood vessels (α receptors) have been reported (Farmer, Kennedy & Levy, 1971; Farmer, Kennedy, Levy & Marshall, 1972; Kennedy & Levy, 1975).

Our own results show that: (a) in guinea-pigs (anaesthetized with urethane), AH 5158 (1–3 mg/kg) inhibits the protective β_2 effects of isoprenaline (20 μ g/kg) and salbutamol (30 μ g/kg) against the broncho-constrictive effects of 5-hydroxytryptamine, acetylcholine and histamine; (b) in the isolated rabbit duodenum (Tyrode solution), AH 5158 (5×10^{-6} – 10^{-5} g/ml) inhibits the relaxing effect of

$0.5\text{--}1 \times 10^{-6}$ isoprenaline (β_2), $0.5\text{--}1 \times 10^{-6}$ adrenaline (α - β_1) and 10^{-7} – 10^{-6} noradrenaline (α); (c) whilst looking for possible metabolic effects, we established a slight intrinsic effect of AH 5158 (5 and 10 mg/kg) on plasma K^+ level in the rat (anaesthetized with urethane) and an inhibitory effect (for the same doses and species) on sympathomimetically-induced hyperglycaemia.

These results bring additional data to the pharmacological properties of AH 5158, which might have therapeutic applications.

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