Betaxolol
A Review of its Pharmacodynamic and Pharmacokinetic Properties, and Therapeutic Efficacy in Hypertension

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Synopsis:
Betaxolol\(^1\) is a relatively cardioselective \(\beta\)-adrenoceptor blocking drug, with no partial agonist (intrinsic sympathomimetic) activity and weak membrane-stabilising (local anaesthetic) activity. Its pharmacokinetic properties of most interest include high bioavailability after oral administration, and a long elimination half-life. It has a narrow dose-response range, which obviates the need for dose titration, with 10 to 20mg once daily being the usual dosage. This dose reduces systolic and diastolic blood pressures by about 15mm Hg in most patients with mild to moderate hypertension. In a few comparative studies betaxolol 20mg daily was as effective as atenolol and moderate doses of propranolol, and more effective than acebutolol, in reducing blood pressure in such patients. Betaxolol has been well tolerated in most patients.

Thus, betaxolol is an effective alternative to other \(\beta\)-blocking drugs in patients with essential hypertension, with properties that may offer advantages in some patients.

Pharmacodynamic Studies: Betaxolol is a relatively cardioselective \(\beta\)-adrenoceptor blocking drug which has no partial agonist activity and very little membrane-stabilising activity (based on standard animal models). Its \(\beta\)-blocking potency in animal and human studies was about 4 times that of propranolol after oral administration. It has a long duration of action, with a significant reduction in exercise-induced tachycardia being observed in healthy subjects 48 hours after the administration of a single 40mg dose. Resting heart rate was also reduced by betaxolol (by about 15 to 30%) in both healthy subjects and in patients with cardiovascular disorders. Both systolic and diastolic blood pressures are reduced by betaxolol, as is myocardial oxygen demand.

In subjects with normal renal function, betaxolol did not alter glomerular filtration rate, but produced a small increase in renal blood flow. Its effects on sodium and potassium excretion varied between studies, and need further clarification.

Respiratory function of normal subjects was not affected by betaxolol, while that of subjects with airways disease was less affected by betaxolol than by propranolol.

In non-diabetic healthy subjects or patients with cardiovascular disease, betaxolol did not affect either glucose metabolism, the reduction in blood pressure produced by insulin, or the time taken to recover from hypoglycaemia (unlike propranolol which prolonged recovery time).

Similarly, insulin-induced tachycardia was minimally affected by betaxolol (but was reduced by propranolol). However, the effects produced by betaxolol on insulin-induced changes in free fatty acid and glycerol serum concentrations were similar to those produced by propranolol. Mean total cholesterol and triglyceride serum concentrations were

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\(^1\) 'Kerlon', 'Kerlone' (Lorex: Synthelabo).