

UNICHIMA Pharmaceuticals

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Upresor is

- *a cardioselective beta-blocker that has established itself worldwide
- *a cardioprotective agent with remarkable properties
- *a cardiovascular drug with an extra ordinary range of applications

Advantages through cardioselectivity

Metoprolol is a selective B1-blocker; the heart is therefore its principal site of action. Unlike non-selective blockers, metoprolol given at therapeutic doses exerts only a minimal inhibitory effect on the B1-receptors which, for example, are involved in the dilation of peripheral blood vessels and bronchi. This accounts for clinically relevant advantages.

Upresor as a basic antihypertensive:

Upresor is a well established drug for the treatment of all forms of hypertension.

As a first choice drug it is at least as efficacious as, and usually better tolerated than, thiazide diuretics for monotherapy of mild to moderate hypertension; it offers cardioprotective properties, and does not induce a risk of hypokalaemia.

Upresor may also be used in combination therapy for more severe cases. In contrast to non-selective beta-blockers, **Upresor** produces better haemodyamic responses in patients under stress. It also compares favourably with antihypertensives of other substance classes. Treatment with **Upresor** can be prolonged for many years without a loss of blood pressure control and without the emergence of long-term tolerability problems.

Upresor in hypertensive problem patients:

In diabetic hypertensives, **Upresor** exerts scarcely any influence on glucose tolerance. It does not exacerbate insulin- induced hypoglycaemia, but it can delay the recovery from hypoglycaemia and mask certain signs of that condition.

It may slow the progressive decline of renal function in hypertensive insulin-dependent diabetics.

The elimination of metoprolol is not disturbed in patients with impaired renal function. If Beta-blockade is necessary in patients with obstructive airways disease, the cardioselective **Upresor** is safer than non-selective beta-blockers: It has a less adverse effect than the latter. On the most important spirometric parameters, and if bronchoconstrictor effects occur, they can readily be treated by administration of a B2-stimulant.

Elderly hypertensives can be treated as effectively with **Upresor** as with diuretics, but without detrimental effects on metabolism. Heart failure is not a problem if the contra-indications are observed. Moreover, the cardioprotective effect of **Upresor** is particularly desirable in elderly patients.

Reversal of hypertension -induced left ventricular hypertrophy:

A number of studies have shown that long-term treatment with **Upresor**, begun early, can reverse left ventricular hypertrophy and possibly bring about analogous changes in the blood vessels.

This improves haemodynamics considerably and reduces the risks associated with an increase in myocardial mass.

Successful primary prevention with Upresor;

Prevention of coronary complications in hypertension is one of the major aims of antihypertensive therapy. Clinical evidence shows that the beta-selective blocker metoprolol offers the most realistic chance of protection against the coronary sequelae of hypertension.

Mechanisms of protective effects exerted by Upresor in acute myocardial infarction

In critical myocardial ischaemia

Increased local and/or Systemic sympathetic activity causes:

Increase in heart rate with shortened diastole

- Myocardial demand for oxygen and substrates increases
- suboptimal ventricular filling (heart consumes more energy and oxygen for same performance)
- subendocardial blood flow is restricted

Rise in myocardial contractility and wall tension

- oxygen/substrate demand increases
- extravascular coronary resistance may be increased

Elevation of blood pressure, particularly systolic

myocardial demand for oxygen and ubstrates increases

Electrical instability of the heart muscle

- increase in ectopic impulse formation
- lowering of the "fibrillation threshold"

Increase in plasma concentrations of free fatty acids

- predisposes to arrhythmias
- promotes enlargement of infarct size

Upresor:

Lowers heart rate, prolongs diastole

- Reduces myocardial demand for oxygen and substrates
- promotes optimal diastolic filling (same performance with less effort)
- facilitates subendocardial blood flow

Reduces contractility and wall tension

- lowers demand for oxygen and substrates
- lowers extravascular coronary resistance

Prevents rise in blood pressure

reduces myocardial demand for oxygen and substrates

Improves electrical stability

 lowers risk of arrhythmias and of sudden death due to ventricular fibrillation

Inhibits both lipolysis and the increase of free fatty acid in the plasma

- lowers risk of arrhythmias
- helps to limit infarct size

How effective is Upresor in acute myocardial infarction?

Following extensive clinical trials with metoprolol in acute myocardial infarction, there can be no doubt that prompt treatment with **Upresor** saves lives, alleviates pain, and – by limiting infarct size and preventing arrhythmias – improves both the immediate and longer-range prognosis for the patient who has survived an infarction.

Upresor for secondary prevention after myocardial infarction

According to clinical studies, it can be said that in the absence of contra-indications, prompt treatment of acute myocardial infarction with **Upresor**, followed by long-term secondary prophylaxis with **Upresor**, offers very good prospects of helping to prolong the patient's life, to improve his quality of life, Since a renewed rise in mortality has been observed after discontinuing beta-blockade (Olsson and Rehnqvist, 1986 b), it seems a good idea to continue medication on an open-ended basis.

Upresor- basic treatment for angina pectoris

Upresor is a well established drug of first choice in the long-term treatment of stable exertion angina pectoris. It compares favourably not only with other beta-blockers but also with calcium antagonists, where clinical efficacy and tolerability are concerned. In more difficult cases the combination of **Upresor** with long-acting nitrates, e.g. Nitro-derm TTS, seems to offer advantages.

An appreciable reduction in the number and severity of attacks as well as an increase in tolerated work load can be achieved in many cases by mono-therapy with **Upresor**.

A recent study has shown that metoprolol is also very useful, and indeed preferable to a calcium-antagonist in the basic treatment of unstable angina.

Upresor – A well tolerated beta-blocker

Owing to its specific properties and its beta-selectivity, **Upresor** is in general well tolerated and safe, as has been shown by extensive clinical experience since its introduction.

Unwanted effects other than those immediately related to beta-receptor antagonism are usually infrequent, transient, and mild to moderate in degree.

There were no special problems when elderly patients with hypertension or angina pectoris received treatment with **Upresor** for extended periods of time.

Upresor shows a favourable profile with respect to both unwanted and desirable effects which may be attributed to an action on the CNS.

Although the effects of beta2-adrenoceptor antagonism cannot be ruled out during medication with beta1-selective drug, reactions such as wheezing, cold extremities, and paradoxical rise of diastolic pressure in response to stress are rarely observed during treatment with **Upresor** in recommended dosages.

With due caution, **Upresor** can be administered even to specific at-risk patients.

In severely ill patients with acute myocardial infarction, clinical monitoring is necessary.

However, large controlled studies in these patients have shown that even intravenous administration of **Upresor** is remarkably safe if contra-indications are observed, and long-term oral treatment may lead to a considerable improvement in quality of life.

Reference

LOPRESOR - Novartis