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A COMPRAHENSIVE REVIEW OF PHARMACOLOGICAL AND THERAPEUTICAL ACTIVITIES OF ANTI-HYPERTENSIVE DRUG RAMIPRIL

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Abstract:

Ramipril is an anti-hypertensive drug, a category of ACE inhibitor that inhibit the actions of angiotensin converting enzyme (ACE), thereby decreasing the production of angiotensin II and decreasing the breakdown of bradykinin. The decrease in an enzyme angiotensin II results in relaxation of arteriole smooth muscle leading to a lowering the total peripheral resistance, reducing blood pressure(BP) as the blood is pumped through widened. Ramipril, a precursor or prodrug, is converted to the active metabolite ramiprilat by carboxylesterase. It is mostly excreted by the kidneys. Its half-life is 3-16 hours and is prolonged by heart and liver failure, as well as kidney failure. The medication used alone or in combination with other medications to treat high blood pressure. The drug is also used to reduce the risk of stroke and heart attack in patients at risk for these types of problems and to improve survival in patients with heart failure after a heart attack.

Key words: *Ramipril, Ramiprilat, Angiotensin II, Bradykinin, Carboxylesterase, Blood pressure.*

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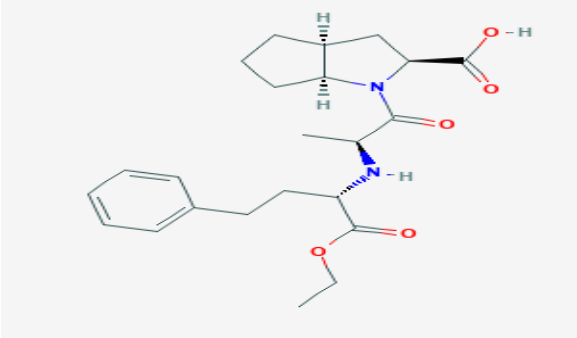
INTRODUCTION:

Hypertension, which affects one in four adults worldwide, is an important cause of cardiovascular morbidity and mortality, and antihypertensive treatment is a common therapeutic intervention. Clinical guidelines have recommended threshold levels for the implementation of antihypertensive therapy, typically based on blood pressure levels assessed by the physician in an office environment. Increasingly, however, it is being recognized that such 'in-clinic' measurements do not identify accurately variations in daily activity and their impact on blood pressure. Such measurements can, therefore, miss true hypertension. Exact prevalence of so-called 'reversed white-coat hypertension', 'masked hypertension', or 'white-coat normotension' is difficult to establish, but four studies found incidences of between 9% and 23%. Masked hypertension carries an increased cardiovascular risk compared with the prognosis in individuals with well-controlled home or ambulatory blood pressure. In the elderly, the cardiovascular risk in those with masked hypertension was equal to that in those with sustained hypertension[1-6].



Structure of Ramipril

2S,3aS,6aS)-1-[(2S)-2-[[(2S)-1-ethoxy-1-oxo-4-phenylbutan-2-yl]amino]propanoyl]-3,3a,4,5,6,6a-hexahydro-2H-cyclopenta[b]pyrrole-2-carboxylic acid.

Pharmacokinetic data of Ramipril

S.no	Pharmacokinetic parameters	value
1	Bioavailability	28%
2	Protein binding	Ramipril (73%) Ramiprilat (56%)
3	Metabolism	Hepatic
4	Biological half –life	2 – 4 hrs
5	Excretion	Renal (60%) Fecal (40%)

Chemical data

1	Formula	$C_{23}H_{32}N_2O_5$
2	Molecular weight	416.511 g/mol
3	Melting point	109 °C (228 °F)

Mechanism of action

ACE inhibitors inhibit the actions of angiotensin converting enzyme (ACE), thereby lowering the production of angiotensin II and decreasing the breakdown of bradykinin. The decrease in angiotensin II results in relaxation of arteriole smooth muscle leading to a decrease in total peripheral resistance and reducing blood pressure as the blood is pumped through widened vessels. Its effect on bradykinin is responsible for the dry cough side effect.

Adverse effects

Mouth dryness in the early stages
Dizziness and light-headedness due to low blood pressure.
Chest pain.
Shakiness
Fatigue, especially in the early stages.
Nausea
Dry cough
Fainting
Signs of infection (example- fever, chills, persistent sore throat)
Neutrogena (low white blood cells)
Impotence (erectile dysfunction)

Warnings and Precautions:

1) Use with caution in patients with history of an allergic reaction which included swelling of lips/tongue/face/throat (angioedema). Before using the medicine, tell your pharmacist or doctor your medical history, especially liver disease, kidney disease, heart problems, diabetes (poorly controlled), high blood levels of potassium, stroke, and severe dehydration.

2) It may cause lightheadedness, dizziness, or fainting;-Alcohol, hot water, exercise, or fever may increase these effects. Get up slowly from bed.

3) It may cause a serious side effect called angioedema with symptoms of swelling of the hands, eyes ,lips, face, throat or tongue: difficulty swallowing or breathing: or hoarseness

4) It may affect your blood sugar. Check blood sugar levels closely.

5) Monitoring the kidney function before and during treatment.

6) Regular monitoring of white blood cells in patient with vascular collagen disorder is recommended.

Other Precautions:
Excessive sweating, diarrhea or vomiting, dehydration may increase the risk of low blood pressure (low BP). So monitor blood pressure regularly.

When it is not to be taken (Contraindications):
Contraindicated in patients with
1) Hypersensitivity,
2) Bilateral renal artery stenosis (narrowing of the arteries going to the kidney)
3) A single kidney with unilateral renal artery stenosis,
4) Pregnancy and breastfeeding.
5) Aortic stenosis or outflow tract obstruction.

Dosage & When it is to be taken (Indications):
1) Heart failure- Initial dose: 1.25 mg once daily.(Max: 10 mg/day.)

2) Myocardial infarction (Heart Attack) - Start 3-10 days after infarction. Initial dose: 2.5 mg twice daily after 2 days, then 5 mg twice daily. -- Maintenance dose: 2.5-5 mg twice daily.

3)PO- Hypertension- Initial dose: 1.25 mg at bedtime. -- Maintenance dose: 2.5-5 mg/day as a single dose, up to 10 mg/day if needed.

TRADE NAMES/BRAND NAMES (RAMIPRIL) IN INDIA

S.no	Brand name/Trade name (Ramipril)
1	Cardac-1.25 mg
2	Ramil- 1.25 mg
3	Telmisat-R
4	Tazloc-R
5	Ramipro
6	Ramicard
7	Ramilace
8	Corpril
9	Ramilor
10	Ramihart
11	Ramizyl
12	BB Best-R
13	Omyl-R
14	Hopace-AM
15	Altace

What is ramipril used for?
1) High blood pressure (hypertension).
2) Heart failure.
3) Improving survival in people who have heart failure after suffering heart attack.
4) Reducing the risk of heart attack, stroke and death due to heart disease, in people with any of the following conditions.
A) A history of heart disease, for example, a people who have had angina, heart attack, or a previous surgical procedure to improve blood supply to the heart, e.g heart bypass (coronary artery bypass graft-CABG).
B) A history of stroke
C) Poor blood circulation in the hands or feet due to hardening of the arteries(peripheral vascular disease)
D) Diabetes plus one or more of the following risk factors - high blood pressure, high total cholesterol levels, low HDL cholesterol levels, smoking or protein in the urine.
5) Treating kidney disease or delaying worsening of kidney disease (nephropathy) in people either with or without diabetes.

Storage Conditions:
Store at 25 °c. Store it in an airtight container and keep away from children.

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