Telcot-AM

Composition: Telmisartan 40 mg + Amlodipine 5 mg tablets

Indication: Hypertension

Mechanism of Action: Telmisartan is a nonpeptide AT1 angiotensin II receptor antagonist. It exerts antihypertensive activity by preventing angiotensin II from binding to AT1 receptors thus inhibiting the vasoconstricting and aldosterone-secreting effects of angiotensin II.

Amlodipine relaxes peripheral and coronary vascular smooth muscle. It produces coronary vasodilation by inhibiting the entry of Ca ions into the slow channels or select voltage-sensitive channels of the vascular smooth muscle and myocardium during depolarisation. It also increases myocardial oxygen delivery in patients w/ vasospastic angina.

Pharmacokinetic's: Onset: 1-2 hr.

Duration: Up to 24 hr.

Absorption: Rapidly absorbed from the GI tract. Food may slightly decrease the bioavailability. Absolute bioavailability: Dose-dependent (approx 42% after 40-mg dose; 58% after 160-mg dose). Time to peak plasma concentration: Approx 0.5-1 hr.

Distribution: Volume of distribution: 500 L. Plasma protein binding: >99%. Metabolism: Undergoes conjugation w/ glucuronic acid to form inactive metabolites.

Excretion: Via faeces (97%, as unchanged drug). Terminal elimination half-life: Approx 24 hr.

METOPROLOL : Onset: 1-2 hr (oral); 20 min, when infused over 10 min (IV).

Duration: Oral: Approx 3-6 hr (immediate release); approx 24 hr (extended release). IV: 5-8 hr.

Absorption: Absorbed readily and completely from the GI tract. Bioavailability increased by food. Bioavailability: Approx 50%. Time to peak plasma concentration: Approx 1.5-2 hr (oral).

Distribution: Widely distributed, enters breast milk, crosses the placenta and blood-brain barrier. Volume of distribution: 3.2-5.6 L/kg. Plasma protein binding: Approx 12%.

Metabolism: Extensively hepatic via CYP2D6 isoenzyme and undergoes oxidative deamination, O-dealkylation followed by oxidation and aliphatic hydroxylation.

Excretion: Via urine (as metabolites and unchanged drug). Elimination half-life: 3-4 hr (fast hydroxylators); approx 7 hr (poor hydroxylators).

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Side effects:

TELMISARTAN Dizziness, fatigue, headache, sinusitis, upper resp tract infection, pharyngitis, UTI, back pain, myalgia, diarrhoea, abdominal pain, dyspepsia, nausea.

Potentially Fatal: Intermittent claudication and skin ulcer.

AMLODIPINE : Somnolence, dizziness, headache, ankle swelling, oedema, flushing, fatigue, palpitations, abdominal pain, nausea. Rarely, confusion, rash, gingival hyperplasia, muscle cramps, dyspnoea.

Precaution:

TELMISARTAN : Volume- or salt-depleted patients including patients on prolonged diuretic therapy. Patients w/ renal artery stenosis, aortic or mitral stenosis, obstructive biliary disease. Renal and mild to moderate hepatic impairment. Lactation. Monitoring Parameters Monitor BP, electrolytes and serum creatinine levels.

AMLODIPINE : Patients w/ cardiac failure. Hepatic and renal impairment. Elderly. Pregnancy and lactation. Patient Counselling May impair ability to drive and operate machinery. Monitoring Parameters Monitor BP and heart rate.

Dosage:

TELMISARTAN : HTN Initial: 40 mg once daily, may be adjusted to 20-80 mg once daily. CV risk reduction 80 mg once daily.

AMLODIPINE :Initially, 5 mg once daily increased to 10 mg once daily if necessary