Sympatholytic & adrenergic blockers
α-receptor Antagonists

- Summary. (slides 2,3 and4)
- SAQ. (slides 5 and 6)
- MCQ. (slides 7 and 8)

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β–Adrenergic receptors and there actions:

	Beta 1	Beta 2	Beta 3
Site	**Heart**	**Smooth muscle**	**Adipose tissue**
Action	• Increase heart Rate **(Positive chronotropic effect).** • Increase in contractility **•(Positive inotropic action).** • Increase in conduction velocity **(Positive dromotropic).**	• Relaxation of smooth muscles. • Hyperglycemia due to: ○↑ **Release of glucagon from pancreas.** ○ **Glycogenolysis & gluconeogenesis in liver (With α1)**	↑ Lipolysis.

❖ This lecture is about blocking all these action either selectively or even non-selectively.

β–Adrenergic receptors blockers are classified according to there selectivity to:

1. **Selecive:**
   - **Atenolol.**
   - **Bisoprolol.**
   - **Esmolol**
   - **Metoprolol.**
2. **Non-selective:**
   - **Propranolol.**
   - **Sotalol.**
   - **Timolol.**
3. **Mixed blocking alpha and beta:**
   - **Labetalol.**
   - **Carvedilol.**

❖ Most of the beta blockers are lipid soluble so:

- Can cross BBB.
- Can be taken orally.
- Short half life.
- High volume of distribution.

➢ These drugs are:
Metoprolol, Propranolol, Timolol, Labetalol, Caredelol.

❖ However, some of them are water soluble so:

- Can’t cross BBB.
- Can’t be taken orally.
- Long half life.
- Low volume of distribution.

➢ These drugs are:
Atenolol, Bisoprolol, Esmolol, sotalol.

Notes:

❖ Most of them has a half life from 3 to 10 hours. Except ESMOLOL has a short half life which is about 10 minutes only.
❖ Esmolol should be given intravenously.
❖ Most of them are metabolized by the liver and excreted in urine.

- Those are the basic concepts of beta adrenergic blockers.
- Now you should try to remember each organ and the receptor found there, then the action of each.
- After that reverse the action of the receptor and that will be the action of blocking it by the drugs found in this lecture.
- However, you should know the ADRs and contraindication from the action of the receptor and the drug acting on it.

Drug	features	Uses
Propranolol	• Non-selective. • $B_{1,}$ β_2 blocker.	Migraine prophylaxis.
		Hyperthyroidism (thyrotoxicosis).
		Relieve anxiety (specially social and performance types).
Timolol	• Non-selective. • $B_{1,}$ β_2 blocker.	Glaucoma.
Atenolol	• Selective . • B_1 blocker.	Myocardial infarction.
bisoprolol		
Metoprolol		Hypertension.
Esmolol	• Selective. • B_1 blocker. • Ultra short acting.	Cardiac arrhythmia.
Carvedilol	• Non selective. • α, B blocker.	Congestive heart failure.
Labetalol	• Non-selective. • α, B blocker.	Hypertension in pregnancy.
		Hypertension emergency.

SAQ

A 49 years old diabetic patient was brought to the emergency because he is in hypoglycemia coma, after taking history the patient is diabetic and has hypertension and the doctor prescript to him a drug for his hypertension.

Q1: What is the most likely drug the doctor prescript in this case ?

Propanolol.

Q2: Which type of adrenoceptor does it act on ?

Non selective beta antagonist.

Q3: What is the mechanism of action ?

Block all type of beta receptor (B1 & B2 & B3) .

Q4:list two more clinical use for this drug ?

- Migraine as a prophylactic therapy.
- Anxiety specially social and performance type.

Q5:list some Contraindication for this drug ?

In peripheral diseases like Reynaud's disease → vasoconstriction
In asthmatic patients → Bronchoconstriction
In diabetic patients → mask hypoglycemic manifestations

Q6:Are there any other drugs can be used or recommended in this case ?

Yes, Selective beta1-receptor blockers are preferable In diabetic patients such as Atenolol / bisoprolol / Esmolol / Metoprolol.

Zoom in to chick your answers

SAQ

A 45 years old comes to the hospital complaining from chest paint, shortness of breath, edema in his leg and fatigue. In the clinical examination the doctor notice that the patient has pitting edema, tenderness over the liver area and crepitation heard over the lung.

Q1) What is the mostly diagnosis?

Heart failure

Q2) identify two beta drugs we can use for treatment?

1-Carvedilol 2- Bisoprolol

Q3) What is the mechanism of action for the drug you mention in the previous question?

- carvedilol: Act as beta and alpha blocker
- bisoprolol: Act as beta-blocker
- Both of them (decrease myocardial remodeling and decrease risk of sudden death)

Zoom in to chick your answers

Q4) What is the adverse effect of the drugs you mentioned (1 adverse effect)?

- carvedilol: edema
- bisoprolol: bradycardia

Q5) If the patient stops these drugs suddenly, what will happen?

up-regulation of beta-receptors

Q6) What we should do to avoid the effect of sudden stoppage?

We should stop the drugs gradually

MCQ

Online Quiz ..
https://www.onlineexambuilder.com/pharmacology-beta-antagonist/exam-139014

Q1) Which of the following is correct regarding β-blockers?

A- β-Blockers decrease peripheral resistance by causing vasorelaxation.
B- β-Blockers may cause orthostatic hypotension.
C- Treatment with β-blockers should not be stopped abruptly.
D- Cardioselective β-blockers worsen asthma.

Q2) The Optometrist diagnose the patient with glaucoma,Which of the following drugs is commonly used topically in the treatment of glaucoma?

A- Atropine. B- Timolol. C- Tropicamide. D- Propanolol

Q3) Which of the following is correct regarding carvedilol ?

A- Carvedilol is a cardioselective β-blocker.
B- Carvedilol is safe for use in asthma patients.
C- Carvedilol has α -blocking activity.
D- Carvedilol is contraindicated in the treatment of stable chronic heart failure.

Q4) A β-blocker was prescribed for hypertension in a female asthma patient. After about a week of treatment, the asthma attacks got worse, and the patient was asked to stop taking the β-blocker. Which of the following β-blockers would you suggest as an alternative in this patient that is less likely to worsen her asthma?

A- Propranolol. B- Metoprolol. C- Labetalol. D-Carvedilol.

Q5) Which one of the following in NOT feature of Labetalol ?

A-Na channels blocking. B-selective beta-blocker. C- intrinsic sympathomimetic activity. D-quinidine-like action

Q6) A 40 years old female which is diabetic and asthmatic patient comes to the hospital with chest pain, unable to sleep unless use 3 pillows and edema in her leg and ankle, after the investigation the diagnosis was heart failure, which one of beta-blocker the doctor will use to treat her:

A- Propranolol. B- Timolol. C- Metoprolol. D- Labetalol.

1←C
2←B
3←C
4←B
5←B
6←C

Q7) A 30 years old pregnant woman comes to the emergency with sever hypertension, what is the best choice to treat her high pressure ?

A- Carvedilol. B-Propranolol. C- Bisoprolol. D- Labetalol.

Q8) A 49 years old diabetic patient was brought to the emergency because he is in hypoglycemia coma, after taking history the patient is diabetic and has hypertension and the doctor prescript to him a drug for his hypertension, what is the most likely drug the doctor prescript ?

A- Atenolol. B- Metoprolol. C- Propranolol. D- Bisoprolol.

Q9) which one of beta-blockers can be used for migraine prophylaxis ?

A- Propranolol. B- Timolol. C- Carvedilol. D-Esmolol.

Q10) Which one of the of the following drugs also works as an antioxidant?

A- Bisoprolol. B- Timolol. C- Carvedilol. D- Atenolol.

Q11) A patient came to the clinic complaining of tachycardia, tremors, and sweating when he goes on stage. Which one of the following beta blockers would you prescribe?

A- Labetalol. B- Propanolol. C- Timolol. D- Atenolol

Q12) Which of the following beta blockers has an intrinsic sympathomimetic activity effect?

A- Atenolol. B- Carvedilol. C- Propanolol. D- Labetalol

Q13) Which one of the following is NOT correct regarding of Propranolol ?

A- ISA effect. B- quinidine-like. C- Non-selective D- Local anesthetic effect.

Q14) Which one of the following drugs can be used to decrease Anxiety ?

A- Sotalol. B- Esmolol. C- Bisprolol. D- Metoprolol.

7←D
8←C
9←A
10←C
11←B
12←D
13←A
14←D

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