Receptors and Actions of Drugs (first 2 files)

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ACH process	hemicholinium drugs	Inhibits sodium dependent choline transporter (CHT). Stops choline transportation to nerves terminal
	Vesamicol	Inhibits vesicle-associated transporter (VAT). Stops ACH transportation into vesicles.
	Botulinum toxin	Inhibits vesicles fusion with the surface membrane and exocytotic expulsion.
Lecture 2		
Catecholamines Process	reserpine	Blocks Vesicular Monoamine Transporter (VMAT). Dopamine transportation into vesicles
	guanethidine and bretylium	Blocks vesicles Fusion with the surface membrane results in expulsion of norepinephrine, co-transmitters, and dopamine β-hydroxylase.
	cocaine and certain antidepressants	Blocks norepinephrine transporter (NET). Blocks NE reuptake.
	metyrosine (α methyltyrosine)	Inhibits the rate-limiting step (conversion of tyrosine to dopa)
	monoamine oxidase inhibitors	Treats accumulations of tyramine and octopamine in patients.
Lecture 3		
Sympathomimetics	**Tyramine** and amphetamine (description is specifically for **tyramine**)	Displacement of stored catecholamines from the adrenergic nerve endings. •Found in high concentration in wine, fermented food such as cheese. • It is readily metabolized by **MAO (monoamine oxidase)** in the liver and is inactive when taken **orally**. • It produces indirect sympathomimetic action by releasing catecholamines from sympathetic nerve terminals → hypertension. • Patients taking MAO inhibitors should avoid tyramine-rich food to avoid hypertensive crisis
Alpha agonists	Phenylephrine and methoxamine (description is specifically for **phenylephrine**)	α1>α2>>>>>β, It is a relatively pure α1 agonist. • Causes contraction of smooth muscle of blood vessels and others
	Clonidine and methylnorepinephrine	α2>α1 >>>>β

Mixed alpha and beta agonists **Mixed alpha and beta agonists**	Norepinephrine Norepinephrine	α1 = α2; β1 >> β2, Similar to epinephrine except it has no significant effect on β2 receptors
	Epinephrine	α1 = α2; β1 = β2 =β3, • Positive **inotropic** and **chronotropic** actions on the heart (β1). • Vasoconstrictor in many vascular beds (α1), and vasodilator in skeletal muscle blood vessels (β2) → increase blood flow during exercise
Beta agonists	Dobutamine	β1 > β2 >>>> α, selective β1 agonist. • It increases cardiac output (positive inotropic action)
	Isoproterenol	β1 = β2 >>>> α
	Albuterol, terbutaline, metaproterenol, ritodrine	β2 >> β1 >>>> α
Dopamine agonists	Dopamine	D1 = D2 >> β >> α, Activates D1 receptors and produces **vasodilation**, in renal vascular bed → increase renal blood flow. • Activates β1 receptors in the heart. • **At high concentration**, it activates vascular α receptors leading to vasoconstriction including the renal vascular bed **(loss of selectivity)**
	Fenoldopam	D1 >> D2, D1 receptor agonist causing peripheral vasodilation. • Very useful intravenously in treating severe hypertension
Lecture 4		
Specific Sympathomimetics	1. Catecholamines:	Epinephrine, norepinephrine, dopamine, fenoldopam & dobutamine.
	2. Noncatecholamines:	Phenylephrine, amphetamine, methamphetamine, methylphenidate & others.
β2-Selective Agents	1-Important treatment of bronchial asthma.	(salbutamol, terbutaline, salmetrol, metaproterenol).
	2- Uterine relaxation in premature labor	(Ritodrine)