Drug	Function	Notes
Hemicholiniums	Inhibits choline transporter	* no synthesis of Ach
Vesamicol	Inhibits VAT	* Ach won't enter the vesicle
Botulinum toxin	Inhibits SNAPS & VAMPS action in cholinergic transmission	* vesicles cannot adhere with the right position so no exocytosis will take place
Cocaine & tricyclic antidepressant	Inhibit NET	* no reuptake of NE into the neuron
Metyrosine	Inhibits tyrosine hydroxylase then inhibition of the synthesis of dopa	Given to people who suffer pheochromocyte
Reserpine	Inhibits the vascular monoamine transporter so that dopamine can't enter the vesicle inhibiting the synthesis on NE	* it's an alkaloid * Might cause depression * Was used for hypertension
Bretylium & guanethidine	Prevent the release of NE	* the first use was for cardiac arrhythmia * The laser was used for hypertension
Pilocarpine	* Causes brief initial **hypotension** followed by longer acting **hypertension** because it stimulates M1R —> releasing NE —> vasoconstriction —> blood pressure	* alkaloid * Tertiary amine so it doesn't have a charge making it's absorption good * Used to induce epilepsy in animals (M1R is stimulated) * Can be used for people suffer from dry mouth (it increases the salivary secretion) * Was used to treat glaucoma.
α–Latrotoxin (Black widow spider venom	Acts on vesicles	Explosive release of NE & Ach
ω–Conotoxin GVIA, Toxin of marine snails	Blocks Ca++ channels	* reduces NE & Ach

Drug	Function	Notes
Atropine	Blocks all muscranic receptors	* antimuscranic drug * Treat the toxicity of certain mushrooms * Widely distributed * Crosses the blood brain barrier (BBB) * Reaches the CNS within 30 minutes to 1 hour. * It's effect on parasympathetic function declines rapidly in all organs except the eye 👁️ * Non selective blocker * Inverse agonist (shifts the equilibrium toward the inactive form) * salivary, bronchial, and * sweat glands Are the most sensitive tissues to atropine * Paralysis of the ciliary muscle and this cause cycloplegia * Atropine causes tachycardia by vagal blocking * lower doses often result in initial bradycardia * **For individuals with hyperactive carotid reflexes**
Neostigmine	Reversible cholinesterase inhibitor	* Ester * positively charged (bad absorption & penetration) * Composed of carbamic acid & phenol bearing a quaternary amine group * ~~Used for glaucoma~~ * Used in ileus and myasthenia * Duration of action : .5-2 hours * **after surgical anaesthesia to reverse the pharmalogical paralysis**
Diazepam	* **Anticonvulsant**	

Drug	Function	Notes
Physostigmine	Reversible cholinesterase inhibitor	* Ester * naturally occurring carbamate * Alkaloid * Tertiary amine (no charge —> good absorption & penetration) * Penetrates BBB * Very dangerous and poisonous drug * Since it is very dangerous it is only used topically in the eye to treat glaucoma * Lasts for .5-2 hours
Edrophonium	Reversible cholinesterase inhibitor	* Quaternary alcohol * not an ester but it binds to the active site of Achesterase by electrostatic & hydrogen bonds * Used for myasthenia gravies & ileus * Lasts for 5-15 **minutes** * Used for diagnostic test for myasthenia * Used for distinguish between **myasthenia crisis** and **cholinergic crisis**
Soman	Irreversible cholinesterase inhibitor	* very toxic
Parathion	Irreversible cholinesterase inhibitor	* Insecticide
Malathion	Irreversible cholinesterase inhibitor	*Insecticide
Echothiphate	Irreversible cholinesterase inhibitor	Lasts for 100 hours 😳
Pralidoxime	- able to break the phosphorus-enzyme bond . - can be used as "cholinesterase regenerator" drugs for organophosphate insecticide poisoning.	* given before aging has occurred. * **used as well as benzodiazepines for seizures**
Curare	muscles paralysis	* compete Ach on nicotinic receptors in muscles
Topical beta blocker and prostaglandin derivatives	Treat glaucoma by reducing the formation of aqueous humour to decrease 👁 pressure	* the are safer than the previous drugs that treat glaucoma
Cevimeline	Treatment of dry mouth associated with Sjögren's syndrome	* remember that pilocarpine is also used for treatment on dry mouth but this drug is better because it is more selective * Sjögren's syndrome is an autoimmune disease

Drug	Function	Notes
Aminoglycoside antibiotics	Decrease the inflow of calcium ions which is vey important for releasing Ach.	* never give it to patient with myasthenia
Tacrine	Anticholinesterase	* used for the treatment of mild to moderate Alzheimer's disease * Short acting * Liver side effects
Donepezil	* Used in treatment of cognitive dysfunction in Alzheimer's patients	* more selective than tacrine * * Long half life so it is given once daily * Lacks the hepatotoxic effect
Varenicline	Partial agonist action at central nicotinic receptors	* It stimulates basal mesolimbic dopamine release to approximately 50% of the maximal effect of nicotine. * It prevents the stimulant effect of nicotine at presynaptic nicotinic receptors that cause release of dopamine. * its use is limited by nausea and insomnia and also by exacerbation of psychiatric illnesses, including anxiety and depression.
Pyridostigmine	- Used in myasthenia graves	
Ambenonium	- Used in myasthenia graves	
Demecarium	- used in glaucoma	
	Cholinergic receptors-blocking drugs	
Pirenzepine & Telenzepine	- M1 blockers -Reduce gastric acid secretion with fewer adverse effects than atropine	
Trihexyphenidyl & benztropine	Useful as adjunctive therapy for Parkinson's disea	

Drug	Function	Notes
Ipratropium	Non selective M blocker - Used as an inhalational drug in asthma with reduced systemic effects	- Useful in chronic obstructive pulmonary disease (COPD) a condition that occurs more frequently in older patients, particularly chronic smokers.
Tiotropium	Has a longer bronchodilator action and can be given once daily	
Lomotil	M blocker	* atropine + diheroxylate * Used to treat traveler's diarrhea.
Oxybutynin	- More selective for M3 receptors -Used to relieve bladder spasm after urologic surgery	It reduces involuntary voiding in patients with neurologic disease
Darifenacin	Has greater selectivity for M3 receptors	-Long half-life -Used in adults with urinary incontinence
Botulinum toxin A	An alternative treatment for urinary incontinence refractory to antimuscarinic drugs	By interfering with the release of neuronal acetylcholine, botulinum toxin is reported to reduce urinary incontinence for several months after a single treatment
Scopolamine	Blocks muscranic receptors	* rapidly and fully distributed in the central nervous system * Could produce drowsiness and * amnesia. * Effective in preventing or reversing vestibular disturbances * In toxic doses, scopolamine, and atropine, can cause excitement, agitation, hallucinations, and coma **& motion sickness .** * Given by injection or by mouth or as a transdermal patc *
	Direct acting sympathomimetics	

Drug	Function	Notes
Phenylephrine	* Blood vessels—> vasoconstriction (B.P increases) * Nose —> decongest * Eye —> mydriasis	* selective for alpha 1 receptors * Non catecholamines * Affected by MAO * Not affected by COMT * Used to treat bradycardia * Causes mydriasis (pupil dilation) * Pretreatment is needed (**trimethaphan**) to remove baroreflex activity
Methoxamine	Prolonged increase in blood pressure .	* selective for alpha 1 receptors * Noncatecholamine * Not affected by COMT * Has a methyl group so the drug is not affected by monoamine oxidases (MAO) making it a long acting drug * It's clinical uses are limited to hypotension
Clonidine	* Decreases blood pressure and cardiac output so it is used for hypertension * Also used for : 1) ADHD 2) opioid withdrawal 3) Restless legs syndrome 4) Alcohol withdrawal 5) Low doses are used in migraine prophylaxis, menopausal flushing & chorea .	* selective for alpha 2 receptors * Overdose causes hypertension by vasoconstriction * Has a sedative, analgesic anti shivering & diuretic actions *** Side effects :** **1) sedation** **2) dry** **3) dizziness** **4) constipation**
Guanfacine	* Decreases blood pressure	* alpha 2 selective agonist * Minor side effect than clonidine * Used to treat hypertension
Methyldopa	* methyldopa converted to alpha-methyl NE especially in the brain which then lowers arterial pressure by activation of presynaptic α2 receptors in the brainstem which reduce sympathetic outflow, lowering blood pressure (similar to clonidine) & a reduction of plasma renin activity.	* Used for treatment of hypertension during pregnancy as a replacement for ACE inhibitors (angiotensin converting enzyme inhibitor) & angiotensin II receptor blockers (which are more efficacious than methyldopa, but are strongly contraindicated in pregnancy).
Dexmedetomidine	Used for sedation of initially intubated and mechanically ventilated patients during treatment in an intensive care setting .	* A centrally acting α 2-selective agonist * It's sedative effect is higher than clonidine * It reduces the requirement for opioids in pain control

Drug	Function	Notes
Oxymetazoline	promotes constriction of the nasal mucosa, so it stops the mucus	* Direct-acting alpha-1agonist with significant affinity for alpha- 2A receptor * Used as long topical decongestant
Methylepinephrine		* selective for alpha 2 receptors
Dobutamine	Positive inotropic action * inotropic > chronotropic effect compared to isoproterenol	* racemic : -the positive isomer is a potent beta 1 **agonist** & alpha 1 **antagonist** . -The negative isomer is alpha 1 **agonist**.
Isoproterenol	The net effect is to maintain or slightly increase systolic pressure (**measures the pressure in the arteries when the heart is beating)** and lower diastolic pressure (**measures the pressure in the arteries when the heart rests between beats)** —> so the blood pressure is decreased	* Selective for beta 1 and beta 2 and never for alpha receptors * It has little effect on alpha receptors * Catecholamine * Has positive chronotropic & inotropic actions * Potent vasodilator * Increases cardiac output
Albuterol (salbutamol) / terbutaline/ ritodrine	Bronchodilators ** ritodrine is used to achieve uterine relaxation in premature labor	* selective for beta 2 receptors * Used to treat asthma * Steroids must be given alongside with these drugs
Dopamine	The function of dopamine depends on the infusion rate : - **low** acts on dopamine receptor 1 and promotes vasodilation of: (renal , coronary, cerebral vessels) - **Moderate** stimulates beta 1 receptors in the heart so it increases contractility and heart rate . So dopamine Is used to treat congestive heart failure - **High** activates vascular alpha receptors so it may mimic the action of epinephrine causing congestive heart failure and death since epinephrine cannot be given to patients	* it is given by IV infusion instead of injection because it has short half life * Activation of D1 receptors in the renal vasoculature induce **natriuresis (increase sodium ions excretion in the urine)** . * D1 receptors are very sensitive to dopamine (they are activated at low concentrations of dopamine) . * The renal effects of dopamine have been used clinically to improve perfusion to the kidney in situations of oliguria (low urinary output) . * Dopamine deficiency in the basal ganglia leads to Parkinson's disease .
Ephedrine	- stimulates the release of NE so it stimulates alpha and beta receptors - it is mild CNS stimulant - Bronchodilator , decongestant and also used as presser agent during spinal anesthesia	* high bioavailability & a relatively long duration drug (**not affected by COMT & MAO**) * Useful in the treatment of stress incontinence * Can be used in treatment of chronic orthostatic hypotension.

Drug	Function	Notes
Pseudoephedrine	Useful in the treatment of stress incontinence	* ephedrine enantiomer .
	Indirect acting sympathomimetics	
Amphetamine	causes a depressant effect on Appetite	* readily enters the CNS * it's D- isomer is more potent than L-isomer * Non catecholamine * It's actions are mediated through the release of NE .
Methaphetamine	Same as amphetamine	* higher ratio of central to peripheral action than amphetamine .
Methylphenidate	Same as amphetamine	* may be effective in some children with attention deficit hyperactivity disorder.
Modafinil	Inhibits both NE & DA transporters and increase interstitial concentrations of NE , DA , glutamate & serotonin while decreasing GABA levels .	* psychostimulant (works on many chemical transmitters) * May be useful in ADHD . * It is used primarily to improve wakefulness in narcolepsy (**Narcolepsy is depression in CNS that causes Sleepiness)** * It is often associated with mild increases in Blood Pressure & Heart Rate
Tyramine	-Releases stored catecholamine -	* found in high concentrations in some fermented foods such as cheese😁 * Metabolized by MAO in GIT & liver * It is inactive orally * For patients treated by MAO inhibitors ,Tyra one increases blood pressure **(Cheese reaction)**
Atomoxetine		* A selective inhibitor of the NE reuptake transporter used in the treatment of attention deficit disorder
sibutramine		* A serotonin and NE reuptake inhibitor and was used as appetite suppressant for long-term treatment of obesity.

Drug	Function	Notes
Cocaine	A local anaesthetic with a sympathomimetic action that results from inhibition of NE and Dopamine reuptake in the brain.	* Readily enters CNS causing an amphetamine-like psychological effect that is shorter lasting and more intense than amphetamin. * as a sympathomimetic, it cause heart attack and can lead to death. it can be smoked, snorted into the nose, or injected. It is a heavily abused drug more than heroine or morphine . * Cocaine is used for nasopharyngeal surgery because it combines a hemostatic effect with local anesthesia.
Fenoldopam	- peripheral vasodilation	* dopamine agonist * Works on D1 receptors * The primary indication for fenoldopam is in the IV treatment of severe hypertension (it's safe and quickly acting).
Levodopa	Precursor to dopamine	* Dopamine agonist . * we give it to Parkinson's disease . * It can penetrate BBB and that's why we give it instead of dopamine . * It gets converted into dopamine in the brain .
	@-adrenoreceptor antagonist	
Midodrine		* prodrug * It is hydrolysed to a selective **alpha 1 receptor** *agonist* * Used to treat orthostatic hypotension (low blood pressure when you stand up after sitting or lying down) * It may cause hypertension when the subject is supine * First dose phenomenon is an adverse effect
terazosin ,doxazosin,prazosin & tomsulosin	Each of them will be elaborated bellow	* alpha blocker (antagonist) * Selective alpha 1 blockers * Used to treat urinary retention in the case of enlarged prostates

Drug	Function	Notes
prazosin	1) Decreases blood pressure (**anti hypertension**) 2) Reduces urinary urgency and improves urine flow 3) increases HDL/LDL ratio which is good for health	* alpha 1 antagonist * No tachycardia occurs because of alpha 2 receptors still working * Extensively metabolized (**only 50% is available after oral administration**) * Half life (3 hours only) so it is short acting drug * Not recommended as a mono therapy for anti hypertension * It also treats peripheral vascular diseases * **For benign prostatic hyperplasia** * **Antihypertensive**
Phentolamine	1) Decrease blood pressure 2) Minor inhibitory effects at serotonin receptors (5HT= 5-hydroxy tyrptamine)	* alpha receptors antagonist (not selective * Causes tachycardia because alpha 2 receptors are blocked too so no negative feed back occurs * Shots duration (19 minutes) * **Uses** : 1) treatment of overdose alpha agonist 2) counteract hypertension . * Used for diagnostic of pheochromocytoma * Adverse effects : 1) sever tachycardia 2) Arrhythmias عدم انتظام دقات القلب 3) Myocardial infarction
Phenoxybenzamine	Alpha receptors antagonist	* non selective * Blocks alpha 1 and to less extent alpha 2 receptors * Binds covalently to alpha receptors making it irreversible drug (long duration 14-48 hours) * Inhibits reuptake of NE and blocks histamine, ACh , serotonin receptors in the case of high dose * One of its adverse effect is orthostatic hypotension . * Poorly absorbed * Given orally * Treatment of : * 1) peripheral vascular diseases * 2) inoperable or metastatic pheochromocytoma

Drug	Function	Notes
Yohimbine	1) Enhance sexual activity (**aphrodisiac**) 2) Improve male erectile dysfunction	* selective alpha 2 antagonist * Indole alkaloid * Increases ADH release * Blocks serotonin and dopamine receptors * Sometimes used to treat orthostatic hypotension because it promotes NE release
Terazosin	Reduces urinary urgency and improves urine flow	* alpha 1 selective * High bioavailability * Half life (9-12 hours) *
Doxazosin	Reduces urinary urgency and improves urine flow	* half life (22 hours) * One dose a day is enough
Tamsulosin	Reduces urinary urgency and improves urine flow	* selective alpha 1 antagonist * * preferred in patients who have orthostatic hypotension يعني ازا كان في مريض بعاني من (urinary obstruction) ممكن اعطيه كل الادوية السابقة الي كانت بتحسن (urine flow) بس ازا كان المريض بعاني من (orthostatic hypotention) الدوا الانسب هو tamsulosin 👌 * uroselective (effect on prostate and bladder more than blood vessels) * High bioavailability and half life (9-15 hours) * Used to treat benign prostatic hyperplasia * Doesn't effect blood pressure and heart rate) * Side effects: 1) dizziness 2) Retrograde ejaculation (ejaculation into the bladder)

Drug	Function	Notes
Dopamine	The function of dopamine depends on the infusion rate : - **low** acts on dopamine receptor 1 and promotes vasodilation of: (renal , coronary, cerebral vessels) - **Moderate** stimulates beta 1 receptors in the heart so it increases contractility and heart rate . So dopamine Is used to treat congestive heart failure - **High** activates vascular alpha receptors so it may mimic the action of epinephrine causing congestive heart failure and death since epinephrine cannot be given to patients	* it is given by IV infusion instead of injection because it has short half life * Activation of D1 receptors in the renal vasoculature induce **natriuresis (increase sodium ions excretion in the urine)** . * D1 receptors are very sensitive to dopamine (they are activated at low concentrations of dopamine) * The renal effects of dopamine have been used clinically to improve perfusion to the kidney in situations of oliguria (low urinary output) * Dopamine deficiency in the basal ganglia leads to Parkinson's disease
	β-adrenoceptor Antagonists	
Propranolol	No effect on α and M receptors but may block some serotonin receptors in the brain, though the clinical significance is unclear. -It has no partial agonist action at β receptors, strong local anesthetic effect -Has been used extensively in patients with thyroid storm (severe hyperthyroidism) to control supraventricular tachycardia that often precipitate heart failure -Reduces the frequency and intensity of migraine headache -The somatic manifestations of anxiety may respond dramatically to low doses of propranolol, particularly when taken prophylactically -May be used in symptomatic treatment of alcohol withdrawal in some patient	patient -Prototype of β -blocking drug -High lipid solubility -Has low and dose-dependent bioavailability (first pass metabolism) -First-pass effect varies among individuals - A long-acting form of propranolol is available; prolonged absorption of the drug may occur over a 24-hour period
Nadolol	Non-selective beta blocker	Has a very long duration of action
Timolol	Non-selective beta blocker -No local anesthetic activity, used topically to treat glaucoma	* **sufficient timolol may be absorbed from the eye and cause serious adverse effects on the heart and airways in susceptible individuals.**

Drug	Function	Notes
Sotalol	Nonselective beta blocker - Exhibits Class III antiarrhythmic properties, due to potassium channel blockade -Treats both ventricular & supraventricular arrhythmias	* **Hydrophilic**
Metoprolol	-β1 Selective Blocker - used to treat angina and hypertension & also used to treat or prevent myocardial Infarction (AMI) without bradycardia	- High lipid solubility -Less likely to worsen asthma
Atenolol	- β1 Selective Blocker - Most commonly used in Hypertension & angina	- Low lipid solubility -Longer duration action -One dose/day -Side effects related to CNS are less prominent, no effect on bronchus, carbohydrate metabolism, lipids
Nebivolol	- The most highly selective β 1 blocker. - ↑ endothelial NO release (vasodilating effect) -Antioxidant, ccan protect the vascular wall from free radicals that damage blood vessels and thereby contribute to the progression of cardiovascular disease. - Activates cardiac β3-adrenergic receptors (protective mechanism against heart failure and myocardial ischemia	
Bisoprolol	- β1 Selective Blocker -Used to treat hypertension, coronary heart disease, arrhythmias	- Low lipid solubility -Longer duration of action -One dose/day
Esmolol	- Ultra-short–acting β 1-selective blocker -Useful in controlling supraventricular arrhythmias, arrhythmias associated with thyrotoxicosis and myocardial ischemia in acutely ill patients.	- Contains an ester linkage; esterases in red blood cells rapidly metabolize it -Has a short half-life (about 10 minutes) -Given by continuous IV infusions -Esmolol may be safer in critically ill patients who require a β -adrenoceptor antagonis
Pindolol	- A non-selective beta-adrenoceptor/5- HT1A antagonist. - Accelerates the antidepressant effect of selective serotonin reuptake inhibitors.	β Blockers with partial β-agonist activity

Drug	Function	Notes
Celiprolol	- β 1-selective antagonist with a partial β2 agonist activity & may have less adverse bronchoconstrictor effect in asthma and may even promote bronchodilation.	
Acebutolol	a β 1-selective antagonist	
Labetalol	- α and β blocker -Used in Hypertensive Emergencies -Causes Hypotension with less tachycardia than occurs with α blockers. -it is a partial agonist at beta2-receptors.	
Carvedilol	- A nonselective beta blocker/ alpha-1 blocker, calcium channel blocker. -More potent at β than at α1 receptors -Antioxidant property -Used in Hypertension, Angina, congestive heart failure	* **lipophilic**
	Ganglion-Blocking Drugs	
Tetraethylammo nium (TEA)	-First ganglion blocker, very short duration of action	
Hexamethonium ("C6")	The first drug effective for hypertension.	
Decamethonium	- "C10" analog of hexamethonium, is a depolarizing neuromuscular blocker	
Mecamylamine	- Enters the CNS causing Sedation, tremor, choreiform movements, and mental abnormalities. -Blocks central nicotinic receptors and has been advocated as a possible adjunct with the transdermal nicotine patch to reduce nicotine craving in patients attempting to quit smoking. - **induces cycloplasia .**	- A secondary amine, developed to improve absorption from the GIT because the quaternary amines were poorly absorbed after oral administration.
Trimethaphan	- Trimethaphan -Occasionally used in the treatment of hypertensive emergencies and in producing hypotension in neurosurgery to reduce bleeding in the operative field.	- A short-acting ganglion blocker, is inactive orally & is given by intravenous infusion

Note : Glaucoma was treated with **pilocarpine, methacholine, carbachol or ChEIs; physostigmine, demecarium, echothiophate, isoflurophate) BUT** these drugs have been replaced by topical -β-blockers and prostaglandin derivatives.

Note : scopolamine and atropine are used for Parkinson.

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