Adrenergic drugs(ANS) Cheat Sheet

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Alpha agonists

-Phenylephrine-	-Imidazolines (Napha-zoline; Xylometazoline)-	-Clonidine-	-a-methyl dopa-
Selective a1 agonis-t...VC	Safe nasal decongestant (doesn't cross BBB)	Acts on brain a2 receptors to cause long-phase hypotension	Becomes a-methyl NE and acts on a2 receptors to decrease release of NE from brain.
Used as nasal decongestant, mydriatic		Centrally acting antihypertensive agent	Sympatholytic used as antihypertensive agent.
Orally active.			

B2 agonists

Terbutaline	Metaproterenol	Salbutamo-l/albuterol	Salmeterol	Formoterol
Not metabolized by COMT or MAO	Not metabolized by COMT		Resistant to COMT & MAO	Resistant to COMT & MAO
			Its highly lipophilic, which causes slow onset of action	Lipophilic, but has a faster onset of action than salmeterol
			Long-acting (t1/2=12 hrs)	Long-acting

All are bronchodilators used for treatment of asthma.
Long acting b2 agonist should not be given as monotherapy.

B agonist

-Isoproterenol-

acts on B1 & B2 receptors.

B1: positive cardiotropic side effect

B2: **Bronchodilation**

As inhalation, injection or *sublingual*

Catecholamines biosynthesis inhibitors

Metyrosine (a-methyl tyrosine)	**Reserpine** "*Rawlfia alk.*"	**Guanethidine & Guanadrel**
Inhibits tyrosine hydroxylase	Inhibits neuronal reuptake of NE & Seretonin	Inhibit U2 of NE
	Passes BBB	Don't pass BBB (due to high polarity)
	Used for VD	Used for VD
Side effects:		
	1. Mental depression	no CNS side effects
	2. Impotence	
	3. Breast cancer	

SAR of direct acting sympathomimetics

B-OH group: in NE & E makes the molecule **chiral** and thus, **the (-)(R) enantiomer is the most potent** due to attachment of the OH on 3 receotor binding sites instead of 2.

Phenolic OH

Resorcinol (such in metaproterenol) increases B2 selectivity and results in longer activity duration.

Replacing one phenolic OH with CH2OH results in albuterol/salbutamol, which increases B2 selectivity and longer action duration.

Removal of the para-OH, such as in phenylephrine, results in a1 agonist.

N-atom

Essential for alpha receptor activity.

Bulky gp on N-atom results in decreased a-activity.

alpha-carbon substitution

Decreases direct receptor agonist activity, but increases a2 and B2 selectivity if a-methyl gp.

Increases oral absorption, duration and CNS activity.

Beta Blockers

-Propranolol *arlyoxypropanol amine* - for treatment of HTN, angina and arrythmia-but it is **non-cardio selective** (acts on B1 & B2)

-Timolol-treatment to glaucoma

both may have SE broncho-constriction due to non-selectivity.

Cardio selective BB (B1 blockers): Atenolol, Acebutolol

a1 antagonists: Quinazolines

-Prazocin-	-Tamsulosin-
Structure has NH2 gp on quinazoline ring responsible for a1 receptor antagonism.	Relaxes muscles of prostate.
Used for HTN and BPH.	Used for BPH, **chronic prostatitis**, for passage of kidney stones.

a2 antagonists are indole alkaloids.

By **sam219**
cheatography.com/sam219/

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