

GENERAL PHARMACOLOGY

Formula and graphs

Volume of distribution $V_d = \frac{\text{Amount of drug in the body}}{\text{Plasma drug concentration}}$

Half-life $t_{1/2} = \frac{0.7 \times V_d}{CL}$

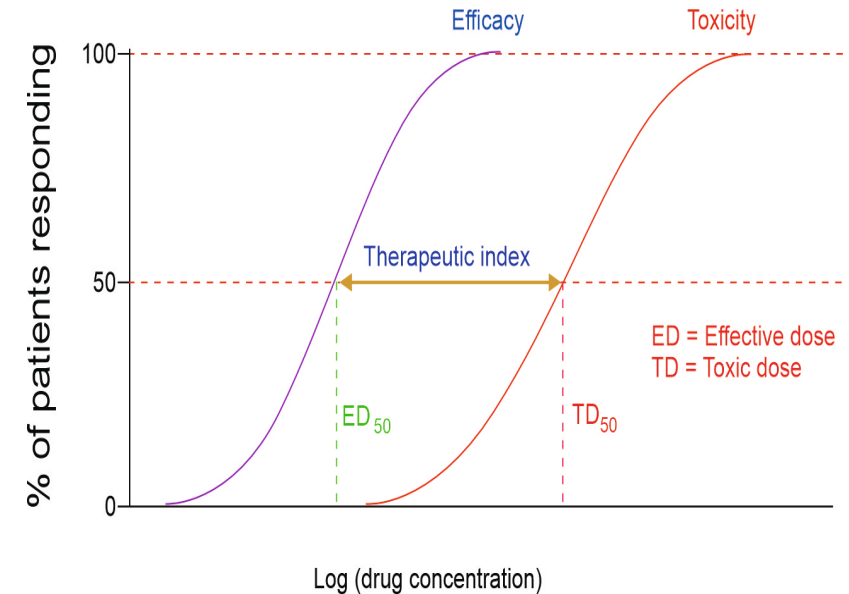
Drug clearance $CL = \frac{\text{Rate of elimination of drug}}{\text{Plasma drug concentration}} = V_d \times K_e \text{ (elimination constant)}$

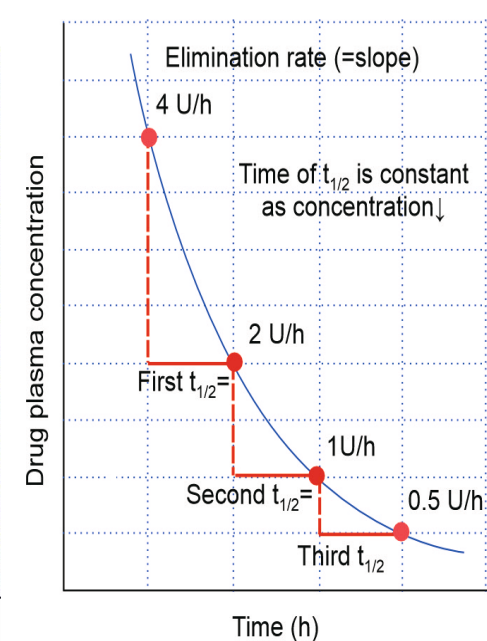
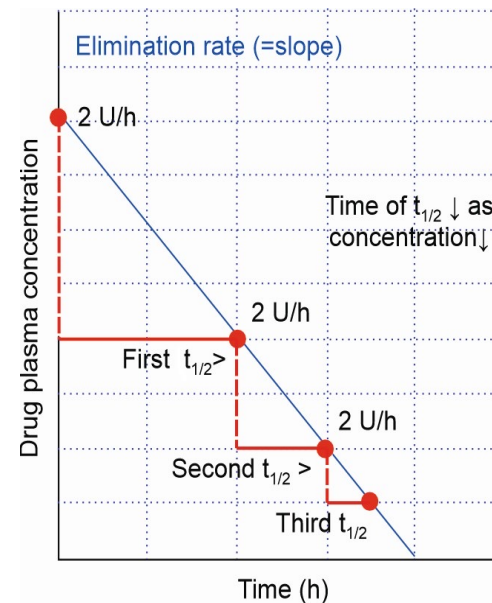
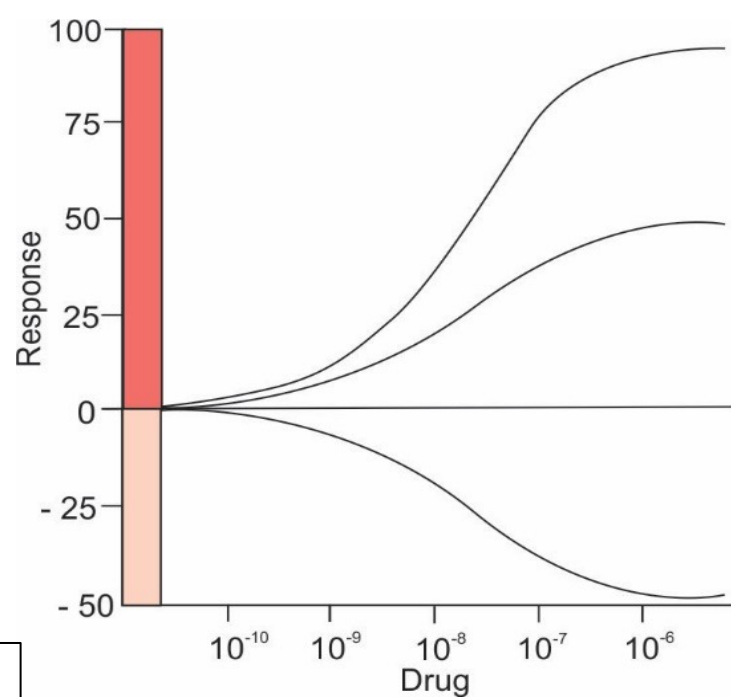
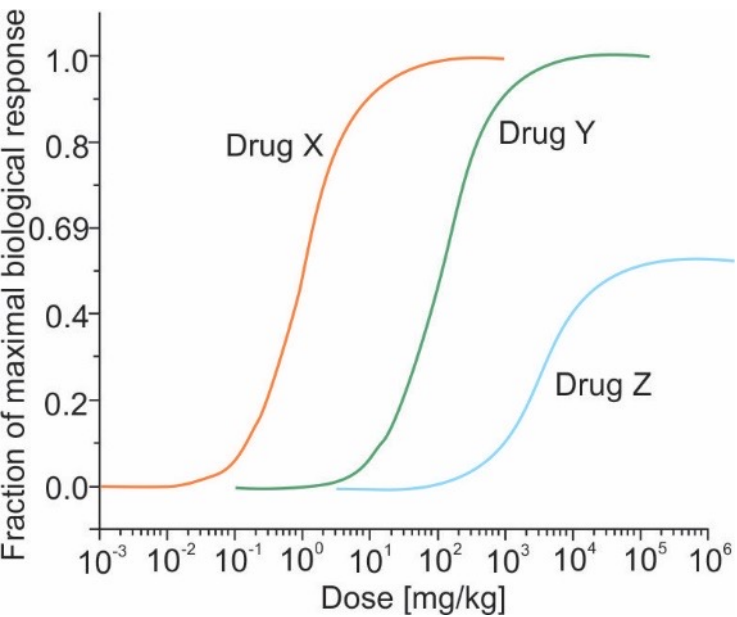
Loading dose: Target conc x Vd

Maintenance dose: Target conc x CL

TI = Median toxic dose / median effective dose
 TD_{50}/ED_{50}

Volume of distribution
Plasma protein binding:
Lipid solubility:





Potency VS Efficacy

Competitive antagonist:

Non-competitive:

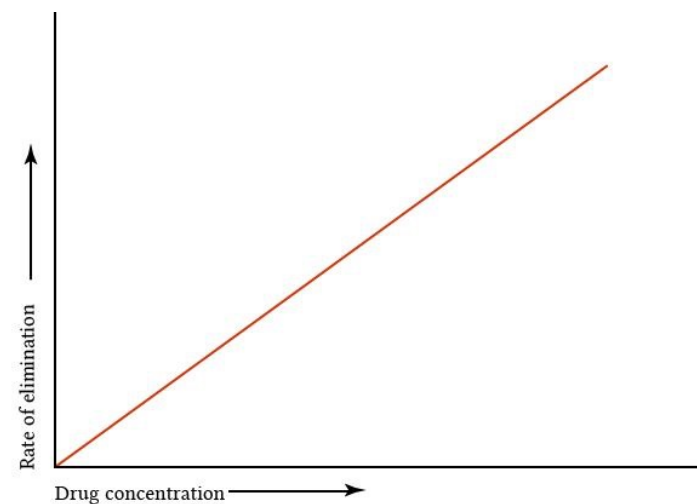
Phenytoin/Warfarin
Ethanol
Aspirin

a. Aspirin+ PCM ($2+2=4$)

b. Clopidogrel + Aspirin ($2+2>4$)

c. Carbidopa-Levodopa ($2+0>2$)

Permissive



General Pharmacology tables

Enzyme inducers	Enzyme inhibitors
Griseofulvin	Valproate
Barbiturate/Phenytoin	Ketoconazole
Carbamazepine	Ciprofloxacin
Rifampicin	Erythromycin
Nevirapine	INH
Smoking, Ethanol (Chronic)	Cimetidine
St. John's wort	Omeprazole
	Protease inhibitors

Schedules:
 Under medical supervision:
 With prescription only:
 Cannot be treated:
 Addictive potential:
 Veterinary drugs
 Category:
 X:

CYP2C19:
CLOPIDOGREL -> active

CYP2C9: both zero order
 WARFARIN
 PHENYTOIN

CYP 3A4
 CAT Cisapride

Astemizole
Terfenadine

OCP(ESTROGEN)
 Cyclosporine/ Tacrolimus
 Statins / Amiodarone

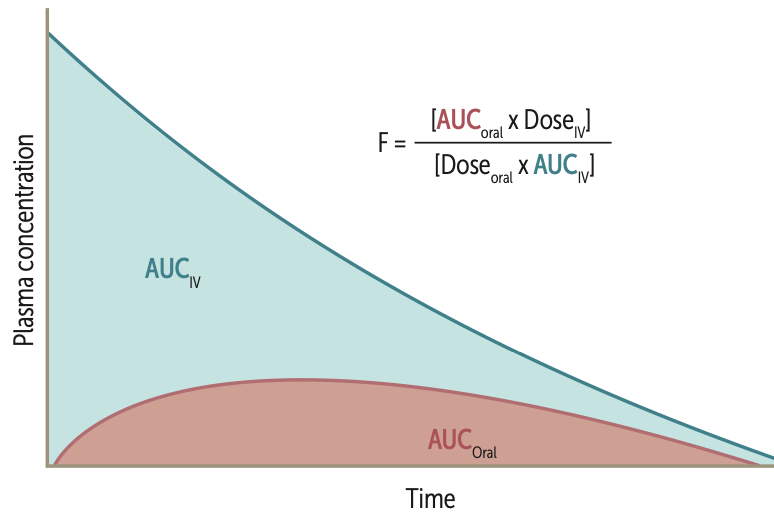
CYP2D6
 TAMOXIFEN -> active
 Bblocker/ SSRI

CYP2E1: PCM

Gynecomastia

Aminophylline:
 PDE-4 inhibition
 PDE-3 inhibition
 Adenosine antagonism
 Histone deacetylase
 activation

Essential drugs :
 Cost effective
 Prevalent diseases
 Available easily
 No combination
Orphan drugs:
 <1/5 lakh
Beer criteria:



Bioequivalence: 80-125%

Pharmacokinetics - ADME study

**Phase 1 reaction: Oxidation/
reduction/ deH/ Deamination/
cyclization**

Phase 2 reaction:

Trandermal patch

Nicotine patch

Hyoscine

Diphenhydramine

Nitrates

Clonidine

Seligiline/Ritogitine

Rivastigmine

HRT / Contraceptive

High first pass metabolism:

Lignocaine

Fentanyl

Natural steroids-GC, MC, E, PR

Propranolol

Morphine

Nitrates

Verapamil

Salbutamol

Imipramine

Anti-obesity Approved drugs:

Phentermine + topiramate

Bupropion + zonisamide

Liraglutide, Semaglutide

Tirzepatide

Orlistat, Cetilistat

PRODRUGS:

Carbimazole

Clopidogrel

Prednisone

Prasugrel

Levodopa

ACE- except:

Sulfasalazine

Mycophenolate

Acyclovir/ Gancyclovir

Suicide inhibitors:

PPI

MAO inhibitors

OP

Aspirin

Guanethedine/ reserpine

500mg PCM containing only 200mg PCM/ Missing info on packet/ Misleading claims:
500mg PCM containing only no PCM/ Falsified component/Substitutes other drug:
500mg PCM containing harmful substances:

Types of ADR	Name	Example
A	Augmented dose	• Hypoglycemia to insulin
B	Bizarre Idiosyncratic reactions	• Allergic reactions
C	Continuous use of drug	• Peptic ulcer to aspirin
D	Delayed onset	• Teratogenic
E	End of treatment	• Withdrawal reactions
F	Failure of effects	• Antimicrobial resistance

ANS

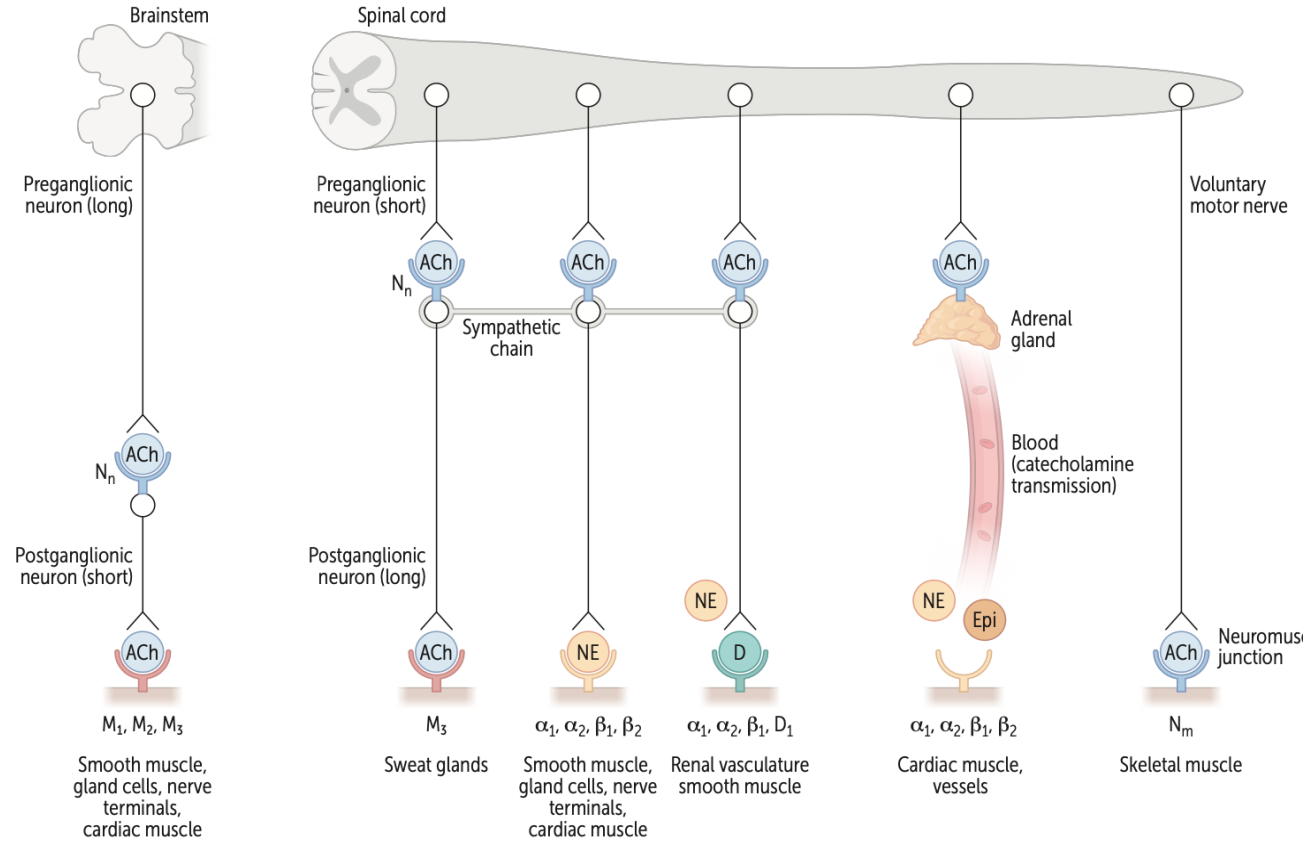
AUTONOMIC

SOMATIC

Parasympathetic

Sympathetic

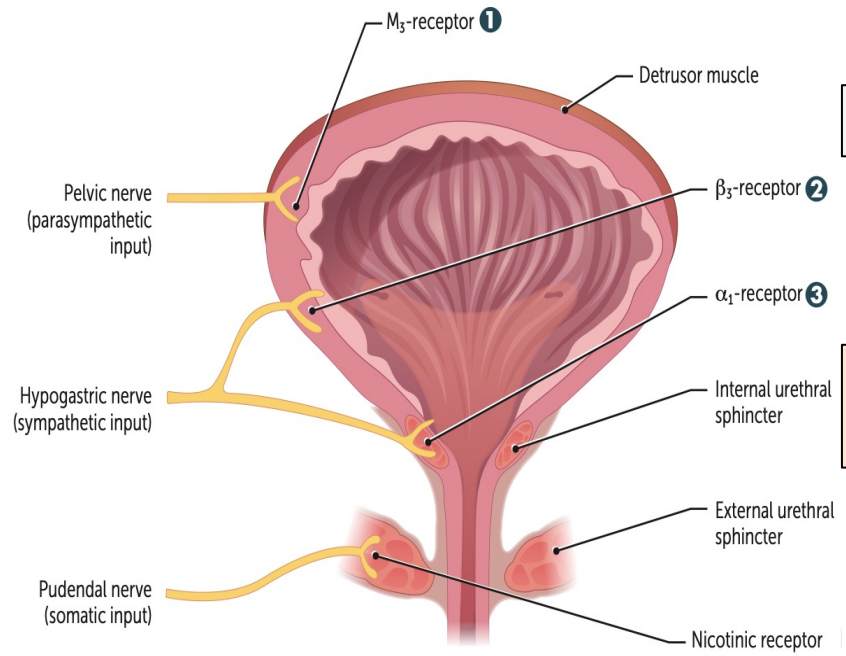
Voluntary motor nerve



M1	
M2	
M3	

Agonist: BETHANECHOL

Antagonist: Solifenacin, Oxybutynin, Flavoxate, Tolterodine, Darfenacine
X BBB:



MIRABEGRON

Prazosin
Tamsulosin

CHOLINERGIC / ANTICHOLINERGIC

CHOLINERGIC

Bethanechol

Carbachol

Methacholine

Pilocarpine

Donepezil, Rivastigmine, Galantamine

Neostigmine

Pyridostigmine

Physostigmine

Varenicline

ANTI- CHOLINERGIC

Atropine, Homatropine, Tropicamide

Benztropine, Trihexyphenidyl

Glycopyrrolate

Hyoscyamine, Dicyclomine

Ipratropium, Tiotropium

Solifenacin, Oxybutynin, Flavoxate, Tolterodine, Darfenacine

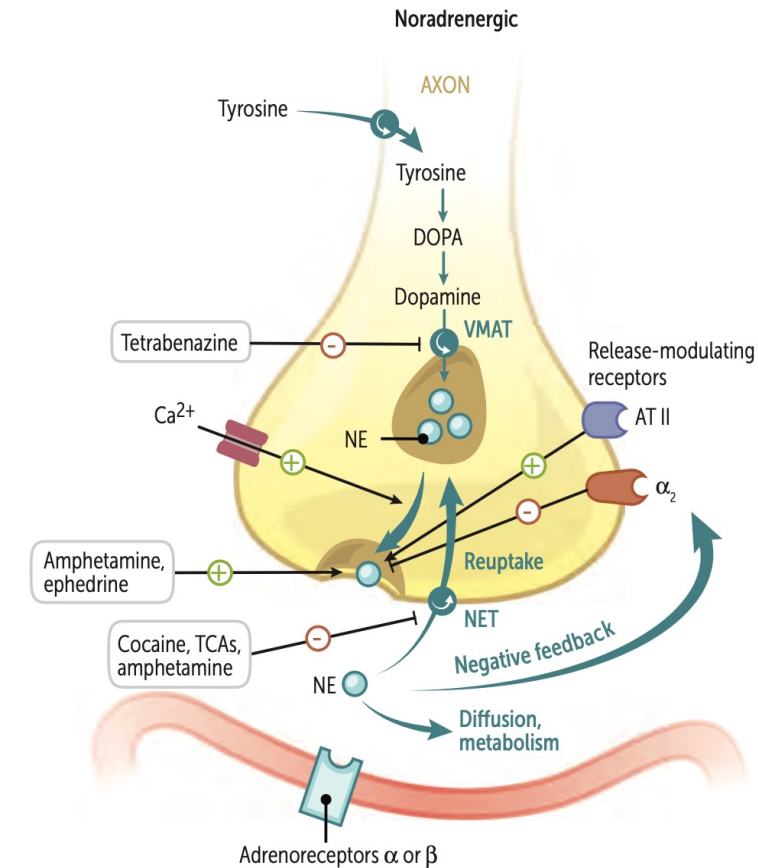
Scopolamine

Pirenzepine

BT

Adrenergic agonists/ antagonists

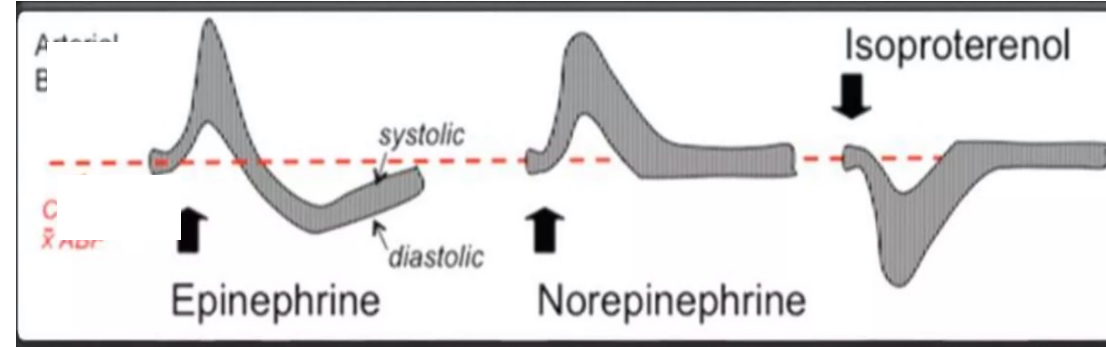
	Receptors
a1	BV: PUPIL: UB/Viscera: Agonist-Phenylephrine, Midodrine Antagonist-Phenoxybenzamine Phentolamine Selective alpha-1: Prazosin, Terazosin, Doxazosin Tamsulosin
a2	Agonist- Clonidine, Methyldopa, Tizanidine Antagonist-Yohimibe, Mirtazapine
b1	HR: Renin + Agonist-Dobutamine
b2	BV: Bowel-bladder-uterus: Bronchus: Tremor: Sugar: Agonist-Salbutamol, terbutaline Antagonist-Butoxamine
b3	Lipolysis Agonist-Merabegron



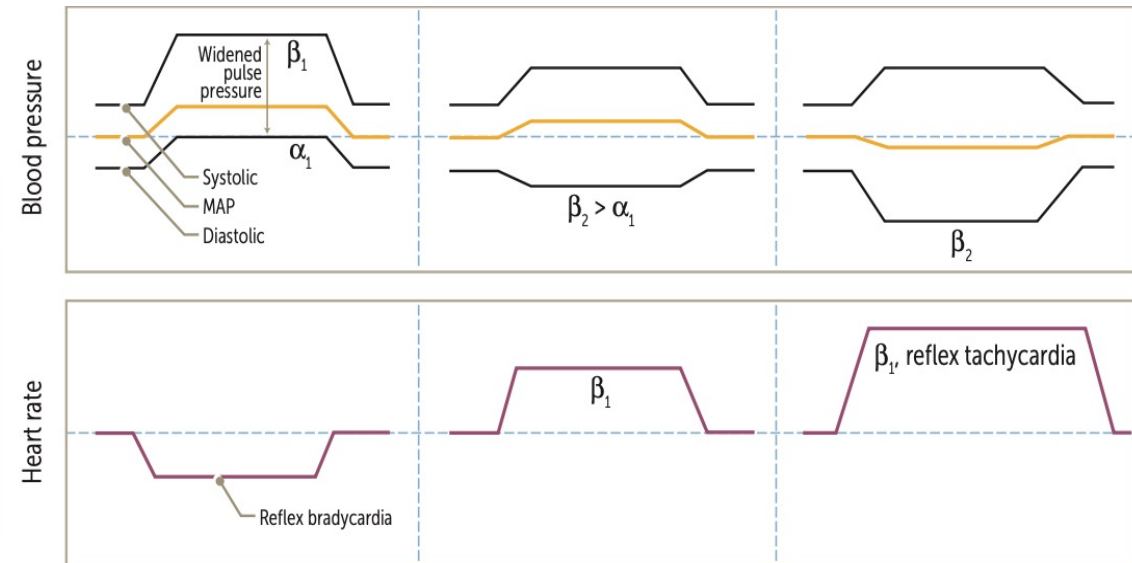
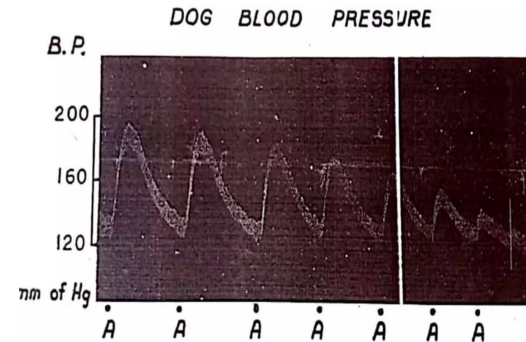
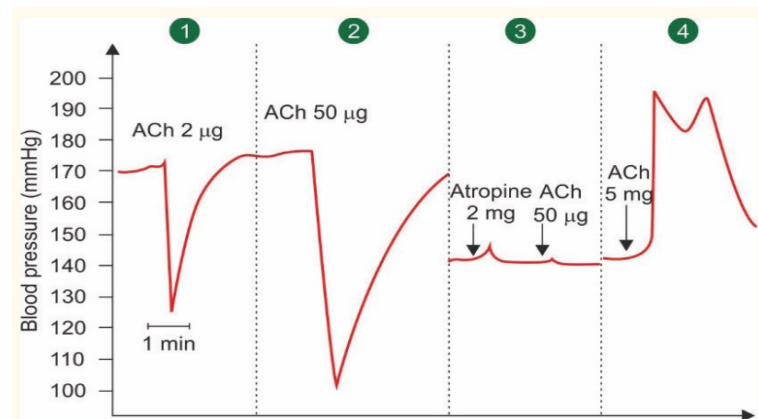
Indirect agonists
 Amphetamine
 Cocaine
 Ephedrine

ANS graphs

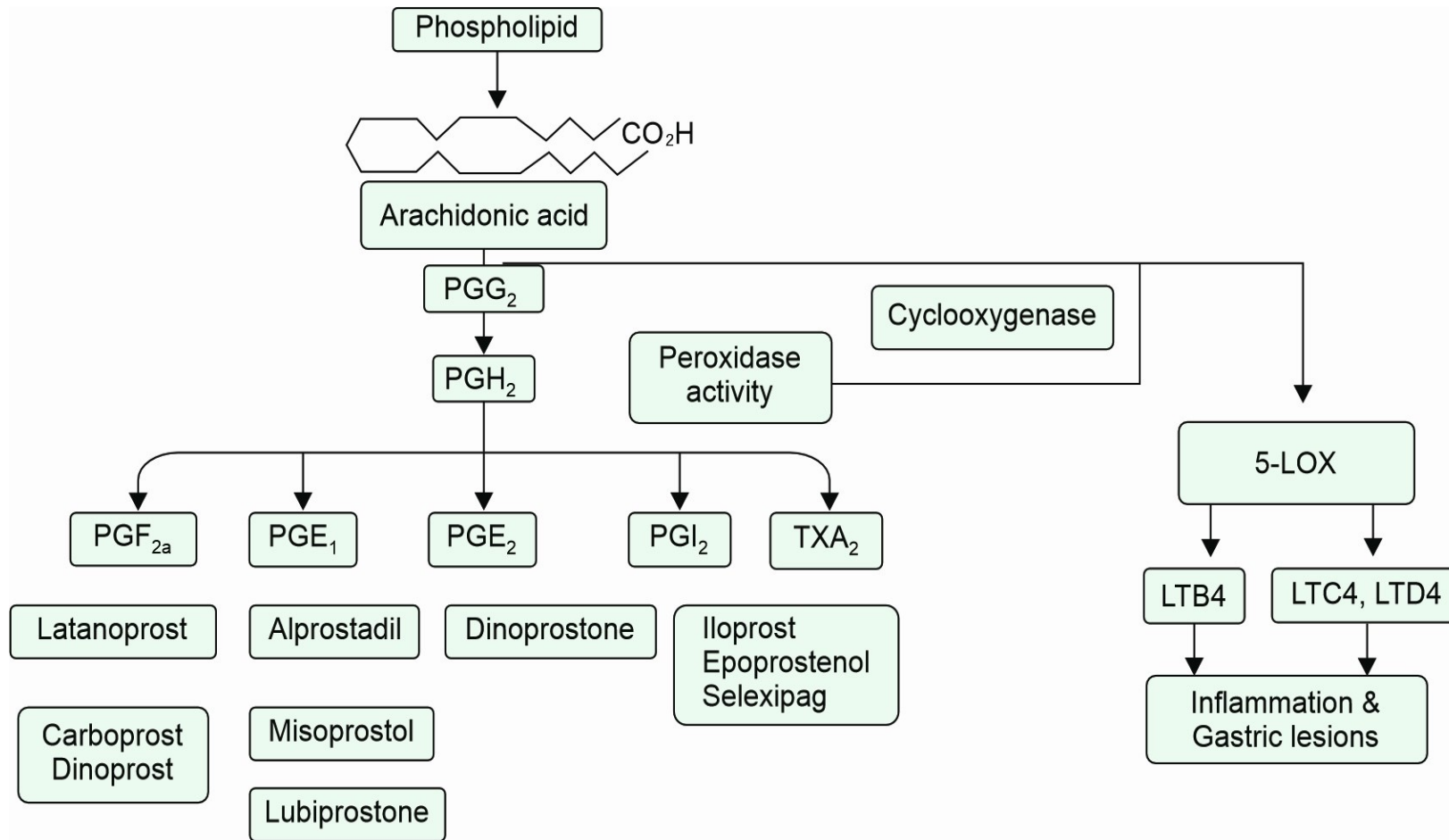
	DOC
Septic shock, Neurogenic shock Cardiogenic shock-refractory	
Cardiogenic shock	
Cardiogenic shock + oliguria	
Postural hypotension	
Spinal induced hypotension	



	DOPAMINE
<2 ug/kg/min	
2-10	
>10	



PG analogues



PDE-5 inhibitors Sildenafil , vardenafil , tadalafil
PDE-4 inhibitor Roflumilast
PDE-3 inhibitor Milrinone
“Platelet inhibitors” Cilostazol (+PDE3-) Dipyridamole (+adenosine)

- **Celecoxib** – COX-2 selective inhibitor
- **Aspirin** – Irreversible COX inhibitor (<325mg: Antiplatelet; <2g-Analgesic; 2-5g-Anti-inflammatory)
- **Other NSAIDs (Reversible COX inhibitors):**
- **Diclofenac/ Ibuprofen/ Indomethacin/ Ketorolac (IV)/ Naproxen/ Piroxicam**
- **Lecofelone: Dual COX + LOX**

Miscellaneous

	Serotonergic drugs
5HT 1A	Agonist:
5HT 1B/D	Agonist:
5HT 1F	Agonist:
5HT 2A/2C	Agonist: Antagonist:
5HT 3	Antagonist:
5HT4	Agonist:
5HT2-4	Antagonist:

Biliary secretion (Safe in renal failure)

Cef in: Cefoperazone, Ceftriaxone

The: Tigecycline

R: Rifampicin

E: Erythromycin

N: Nafcillin

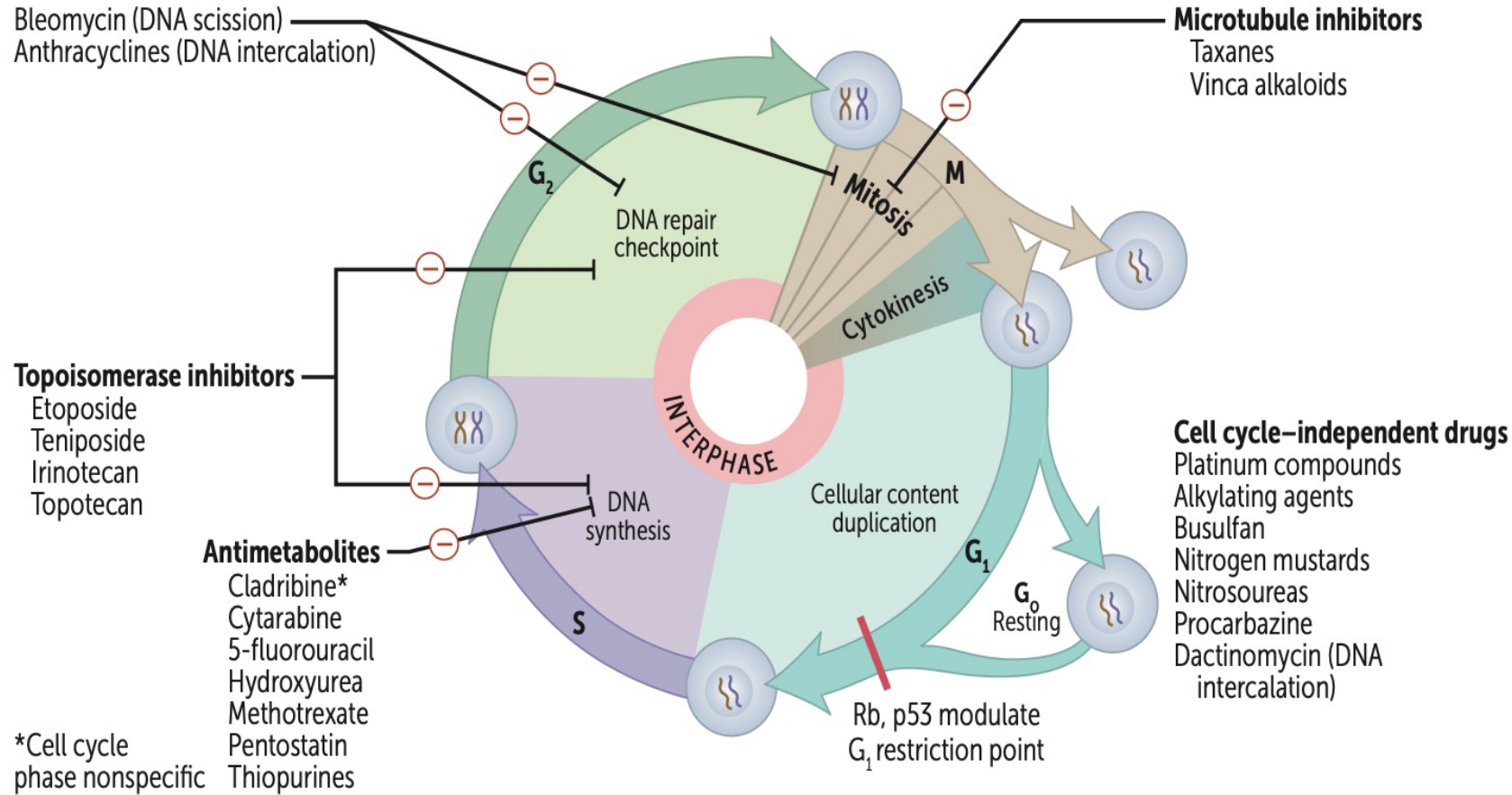
A: Ampicillin

L: Lincosamide (Clindamycin)

D: Doxycycline

Pathology/Pattern	Causative Agent
Cholestatic pattern	Contraceptive, anabolic steroids
Massive necrosis	Acetaminophen, halothane
Microvesicular steatosis	Valproate, tetracycline, aspirin (Reye syndrome), ART
Fibrosis and cirrhosis	Alcohol, methotrexate, Enalapril
Noncaseating epithelioid granulomas	Sulfonamides, amiodarone, isoniazid
Fibrin ring granulomas	Allopurinol

Anti-cancer drugs



- Cyclophosphamide
- Busulfan
- Bleomycin
- Carmustine
- Methotrexate
- Amiodarone

- Vincristine
- Bleomycin
- L-asparaginase

- Specific Side effects:**
- Anthracycline (Doxorubicin, Daunorubicin)**
- 5FU / Capecitabine:**
- Cytarabine:**
- Cyclophosphamide (N mustard):**

- Nephrotoxic:**
- Ototoxic**
- Most emetogenic**
- DOC early-**
- DOC delayed-**

Anti-cancer small molecule inhibitors/ MAB

Agent	Target	Clinical Use
Alectinib, crizotinib		Non-small cell lung cancer
Erlotinib, gefitinib, afatinib: L858R mutation Osimertinib: T790M mutation		Non-small cell lung cancer
Imatinib, dasatinib, nilotinib, Ibrutinib		CML, ALL, GIST
Bortezomib, ixazomib, carfilzomib		Multiple myeloma, mantle cell lymphoma S/E:herpes zoster reactivation
Vemurafenib, encorafenib, dabrafenib		Melanoma
Cobimetinib		Melanoma
Palbociclib		Breast cancer
Olaparib		Breast, ovarian, pancreatic, prostate cancers
Buparlisib		Breast Ca
Idelalisib		
Ipatasertib		Breast ca
Alemtuzumab		CLL, multiple sclerosis
Cetuximab, panitumumab		Metastatic CRC, head and neck cancer
Brentuximab		Hodgkin & ALCL
Vorinostat		
Venetoclax, Obatoclax		
Belzutifan		

NEW DRUGS

Belimumab:

Teprotumumab:

Elacestrant, Fluvestrant:

Teplizumab:

Omavexolone:

Ravulizumab, Zilucoplan, Rozanolixizumab, Efgartigimod

Daprodustat:

Palivizumab

Emicizumab, Concizumab, Marstacimab, Fitusiran

Crinecerfont

Landiolol

Mirdametinib

Iptacopan, danicopan, crovalimab

IFN- α

IFN- β

IFN- γ

Aldesleukin

Fomivirsen

Eplontersen, Patisiren, Vutisiren, Inotersen

Source

- **-mo mab (mouse)**
- **-xi mab (chimeric)**
- **-zu mab (humanized)**
- **-mu mab (fully human)**