



❖ Benzodiazepines (BNZ)					
	DRUG	PHARMACOKINETIC	ACTION	USES	SIDE EFFECT
Long acting (1-3 days)	Chlordiazepoxide	<u>Absorption</u> <ul style="list-style-type: none"> Orally / I.M. / I.V. <u>Distribution</u> <ul style="list-style-type: none"> Pass BBB & placenta. Secreted into breast milk. 	<u>Mechanism of action</u> <ul style="list-style-type: none"> BNZ hyperpolarizes the membrane of the post-synaptic neurons by: <ul style="list-style-type: none"> ↘ Binding to GBC binding site. ↘ ↑ affinity of the R to GABA. ↘ ↑ Cl⁻ permeability. ↘ Hyperpolarize the membrane. ↘ Inhibition of the neurons. 	1) Sedative preoperatively. 2) Epilepsy in emergency. 3) Treatment of muscle plasticity in cerebral palsy & tetanus	<ul style="list-style-type: none"> Drowsiness. Confusion. Amnesia. Impairment of motor coordination. Dependence & addiction.
	Diazepam				
	Clonazepam				
Intermediate acting (10-20 hrs)	Alphazolam	<u>Metabolism</u> <ul style="list-style-type: none"> It is done by hepatic microsomal system. The metabolites: <ul style="list-style-type: none"> ○ Active. ○ Have longer T_{1/2}. ○ Cause hangover effect. The T_{1/2} depend on the metabolism not excretion. <u>Excretion</u> <ul style="list-style-type: none"> It is done by kidney. <ul style="list-style-type: none"> It safe on over dose if it taken alone. It is the most widely used sedative because: <ul style="list-style-type: none"> ↘ High Ti. ↘ Low risk of dependence. ↘ Acute overdose or toxicity is treated by: <ul style="list-style-type: none"> ↘ FLUMAZENILE. 	<u>Action</u> <ol style="list-style-type: none"> Reduction of anxiety. Sedation which encourage sleep by: <ul style="list-style-type: none"> ↘ ↓ latency. ↘ ↑ non-REM. ↘ ↓ REM. Reduction of muscle tone & coordination. Anti-convulsant. Prolonged sleep with over dose. Tolerance. <ul style="list-style-type: none"> ↘ It is pharmacodynamic (↓ the sensitivity of the receptors). ↘ Develop after chronic use (1-2 wks). 	1) Sleep disorder, insomnia. 2) Control alcohol withdrawal symptoms. 3) Treatment of muscle plasticity in cerebral palsy & tetanus	<u>Psychological dependence.</u> <ul style="list-style-type: none"> Stop administration cause: <ul style="list-style-type: none"> ↘ Craving.
	Lorazepam				
Short acting (3-8 hrs)	Oxazepam	<u>Excretion</u> <ul style="list-style-type: none"> It is done by kidney. <ul style="list-style-type: none"> It safe on over dose if it taken alone. It is the most widely used sedative because: <ul style="list-style-type: none"> ↘ High Ti. ↘ Low risk of dependence. ↘ Acute overdose or toxicity is treated by: <ul style="list-style-type: none"> ↘ FLUMAZENILE. 	<u>Action</u> <ol style="list-style-type: none"> Reduction of anxiety. Sedation which encourage sleep by: <ul style="list-style-type: none"> ↘ ↓ latency. ↘ ↑ non-REM. ↘ ↓ REM. Reduction of muscle tone & coordination. Anti-convulsant. Prolonged sleep with over dose. Tolerance. <ul style="list-style-type: none"> ↘ It is pharmacodynamic (↓ the sensitivity of the receptors). ↘ Develop after chronic use (1-2 wks). 	1) Short term relief of sever anxiety. 2) Sleep disorder, insomnia. 3) Control alcohol withdrawal symptoms. 4) Treatment of muscle plasticity in cerebral palsy & tetanus	<u>Physical dependence.</u> <ul style="list-style-type: none"> Stop administration cause withdrawal symptoms: <ul style="list-style-type: none"> ↘ Insomnia. ↘ Anxiety. ↘ Autonomic over activity. <ul style="list-style-type: none"> ↘ ↑ HR & BP. ↘ Tremors. ↘ Diaphoresis. ↘ Muscle cramps. ↘ Confusion. ↘ Seizures. ↘ Irritability. ↘ Ataxia.
	Triazolam				
		<u>Anxiety</u> <ul style="list-style-type: none"> Fear-induced situation. It has: <ul style="list-style-type: none"> ↘ CNS symptoms: <ul style="list-style-type: none"> ↘ Insomnia. ↘ Anorexia. ↘ Muscle tension. ↘ Peripheral symptoms: <ul style="list-style-type: none"> ↘ Sweating. ↘ Tremors. ↘ Palpitation. 		<u>Uses of BNZ</u> <ol style="list-style-type: none"> Short term relief of sever anxiety. Sedative preoperatively. Sleep disorder, insomnia. Epilepsy in emergency. Control alcohol withdrawal symptoms. Treatment of muscle plasticity in cerebral palsy & tetanus. 	

❖ Barbiturates (acids)					
	DRUG	PHARMACOKINETIC	ACTION	USES	SIDE EFFECT
Ultra-Short acting	Thiopental	<u>Absorption</u> <ul style="list-style-type: none">Orally / I.M. / I.V. <u>Distribution</u> <ul style="list-style-type: none">To all body <u>Metabolism</u> <ul style="list-style-type: none">It is done by hepatic microsomal system.This system cause drug-drug interactoin. <u>Excretion</u> <ul style="list-style-type: none">It is done by kidney.It is pH dependence.<u>Alkalization</u> of urine with NaHCO₃ enhance barbiturates renal excretion.↳ So, used for treatment of overdose.	<ul style="list-style-type: none">Depression of the neural activity by :<ul style="list-style-type: none">↳ Enhancement of GABAergic pathway.↳ Blocking excitatory NT.	NOT used as sedative or hypnotic drugs but they are only used for: <ol style="list-style-type: none">I.V. anesthesia.Epilepsy.Hyperbilirubinemia.	<ul style="list-style-type: none">Death in high dose due to:<ul style="list-style-type: none">CVS depression.Respiratory depressionDependence.Drug-drug interaction.Paradoxical excitement of children.Prolonged hangover.Porphyria.Tolerance<ul style="list-style-type: none">It is pharmacodynamic (enzyme induction).
Short acting	Hexobarbital				
Intermediate acting	Secobarbital				
Long acting	Phenobarbital				
❖ 5-HT Receptors Agonists					
	Buspirone	<ul style="list-style-type: none">Mixed agonist- antagonist.Minimal risk of dependence.	<ul style="list-style-type: none">Anxiolytic action (1-3 wks).Little sedation.Little impairment of coordination.Minimal risk of dependence.NO hypnotic , NO euphoria.	<ul style="list-style-type: none">Generalized anxiety.	<ul style="list-style-type: none">Nervousness.Dizziness.Headache.Nausea & vomiting.
❖ β-adrenergic Blockers					
	Propranolol	<ul style="list-style-type: none">non-selective β-blocker.	<ul style="list-style-type: none">↓ peripheral symptoms of anxiety<ul style="list-style-type: none">↳ Sweating, Tremors & Palpitation.Reduce performance anxiety such:<ul style="list-style-type: none">↳ Public speech or Interview.	<ol style="list-style-type: none">Anxiety.Social phobia.NOT for (asthma, COPD, diabetes)	<ul style="list-style-type: none">
❖ Other sedative & hypnotic					
Anit-H	Diphenhydramine	<ul style="list-style-type: none">Anti-histamine.	<ul style="list-style-type: none">Has anit-cholinergic action.	<ol style="list-style-type: none">Insomnia.Anxiety & agitation.	<ul style="list-style-type: none">
	Chloral Hydrate		<ul style="list-style-type: none">	<ul style="list-style-type: none">Used to induce sleep in children<ul style="list-style-type: none">↳ to perform certain medical procedure.	<ul style="list-style-type: none">
	Clonidine	<ul style="list-style-type: none">α₂ agonist.	<ul style="list-style-type: none">	<ol style="list-style-type: none">Control sympathetic overactivity associated with:<ul style="list-style-type: none">↳ Narcotic withdrawal.↳ Acute anxiety.Panic attack of anxiety.	<ul style="list-style-type: none">

❖ Z-hypnotic					
	DRUG	PHARMACOKINETIC	ACTION	USES	SIDE EFFECT
	Zaleplon	<ul style="list-style-type: none"> Selective for α_1 subunit of BNZ receptor complex. 	<ul style="list-style-type: none"> Depression of the neural activity by enhancement of GABAergic pathway. <ol style="list-style-type: none"> 1) Less risk of tolerance. 2) Less risk of amnesia. 3) Minimal rebound: <ul style="list-style-type: none"> ↳ Insomnia. ↳ Anxiety. ↳ Hangover effect. The action is antagonized by Flumazenil <ul style="list-style-type: none"> ↳ that impact sleep stage. 		
	Zolpidem				

