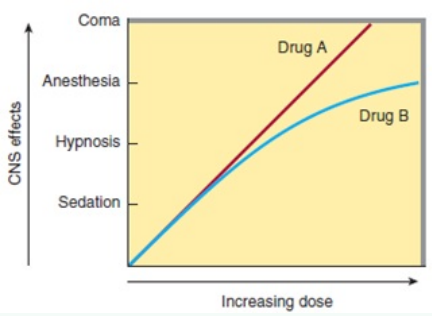
# Sedative-Hypnotic Drugs Cheat Sheet

by Carm (Carmilaa) via cheatography.com/49544/cs/17073/

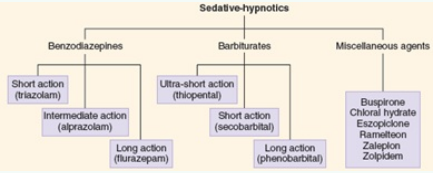
## Introduction:

| | |
|---|---|
| **Sedation:** | Reduction of anxiety |
| **Hypnosis:** | Induction of sleep |
| **Sedative:** | Synonym=anxiolytic, Reduces anxiety and has a calming effect |
| **Hypnotic:** | Produces drowziness, Induces and maintains sleep |

## Dose-Responsive Curve for S-H Agents:




## Classification:



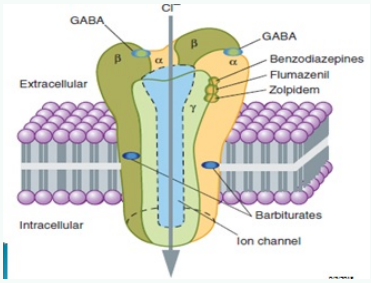

**Benzodiazepines:**

>**Short-acting:** Triazolam

>**Intermediate-acting:** alprazolam,lorazepam,oxazepam,temazepam

>**Long acting:** pentobarbitone, phenobarbitone,secobarbitone

## Mechanism of Action:




## MOA: Benzodiazepines

**Receptors for BZ present =** Thalamus, limbic structures, cerebral cortex

**BZ receptors =**

> Part of $GABA^A$ receptor chloride ion channel macromolecular complex

> Major $GABA^A$ receptor isoform

>Five subunits: 2α1, 2β2, and 1Y2

Benzodiapines bind between **α1 and Y2 subunits**

Increase the **FREQUENCY** of GABA-mediated chloride ion opening

## MOA:Barbiturates:

> Depress neural activity in midbrain reticular formation

>Bind to **α and β subunits of the $GABA^A$ receptor**

>Prolong the action of GABA and glycine

Increase the **DURATION** of GABA-mediated chloride ion channel opening

>may also block glutamate receptors and sodium channels at higher doses

## MOA: Other Agents:

Zolpidem, Zaleplon, Eszopiclone = **not Benzaodiazepines**

>Bind to benzodiazepine receptor (BZ1 or ω1)

More selective to $GABA^A$ isoforms that contain α1 subunits

-Fewer adverse effects than benzodiazepines

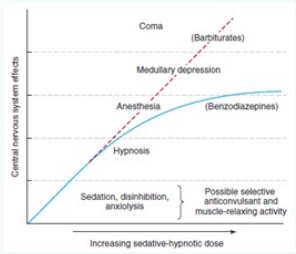
-Minimal effects on sleep patterns, less likely to cause dependence

>Increase the **FREQUENCY** of GABA-mediated chloride ion opening

## Effects:

| | | |
|---|---|---|
| Sedation | Anticonvulsant actions | Tolerance |
| Hypnosis | Muscle relaxation | Psychological dependence - Compulsive use |
| Anaesthesia | Medullary depression | Physiological dependence - withdrawl symptoms if drug is discontinued |

## CNS Effects:




**Clinical Uses:**

> Anxiety states

> Sleep disorders

> Anesthesia

> Epilepsy

> Alcohol withdrawal state

## Adverse Effects:

| | |
|---|---|
| **Psychomotor Dysfunction:** | Cognitive impairment, decreased psychomotor skills, daytime sedation |
| **Additive CNS Depression:** | Alcohol, antihistamines, antipsychotic agents, opioids, tricyclic antidepressants |
| **Overdose:** | CVS and respiratory depression, Antidote: Flumazenil |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## Pharmacokinetics:

> Most are lipid soluble, absorbed well from GIT

> May cross the placental barrier during pregnancy - may depressed neonatal vital functions

> Detectable in breast milk - may exert depressant effects in nursing infant

> Metabolism by hepatic enzymes - renal function = no significant effect on elimination

## Pharmacokinetics:

**Benzodiazepines:**
-Converted to active metabolites with long half-lives
--Potential for accumulation
-Lorazepam and oxazepam do not form active metabolites
-Metabolized mainly by CYP3A4
**Barbiturates:**
-Extensively metabolized
--Except pentobarbital
---Excreted partly unchanged in urine

**Zolpidem:** No active metabolites

**Drug Interactions:**
-Inducers/inhibitors of CYP3A4 interact with sedative hypnotics
--E.g. rifampicin (inducer), ketoconazole, cimetidine (inhibitors)
-Barbiturates induce metabolic enzymes

## Atypical Sedative-Hypnotics:

**Buspirone:**
>Partial agonist at 5-HT$^{1A}$ receptors
>Selective anxiolytic effects:
-Minimal CNS depressant effects = No anticonvulsant or muscle relaxation effect
>Minimal tolerance, dependence, and abuse potential

**Ramelteon, Tasimelteon:**
> Melatonin receptor agonists
>Minimal rebound or withdrawal symptoms
>Minimal abuse potential



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