

Pharma

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ملخصات

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Sedative + hypnotic drugs

Anxiolytic

normal CNS activity

Sedative less than normal activity

Hypnotic awake with pain stimulation

General anesthesia don't awake pain stimulation

Coma almost dead

Family:

الأدوية المستخدمة

الأقدم Barbiturates

Phenobarbital
Thiopental
Pentobarbital
Hexobarbital
Amobarbital

Benzodiazepines

Diazepam
Alprazolam
Lorazepam
Midazolam
Clonazepam
Nitrazepam

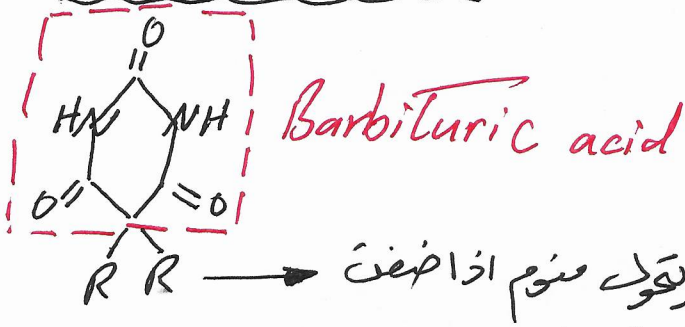
Z-drugs

Zolpidem
Zaleplon
Zopiclone
Eszopiclone

Other

Buspirone
Ramelteon
Chloral hydrate

Barbiturates

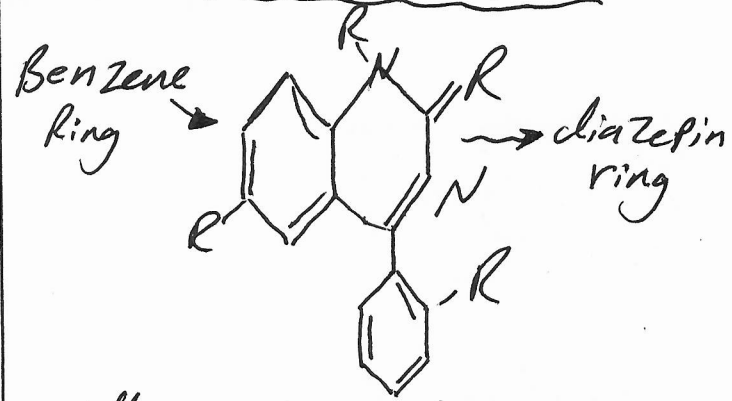


يقول منوم اذا ضيق
شيء هنا

Acidic drugs

- Ultra-short acting 15-20 min
Thiopental → ^{١٥} ٢٠
- Short acting 2-4h
Hexobarbital
Pentobarbital
Secobarbital] لا تستخدم
- Intermediate 4-6 h
Amobarbital
- long acting 6-8h
Phenobarbital → ^{١٥} ٢٠

Benzodiazepines



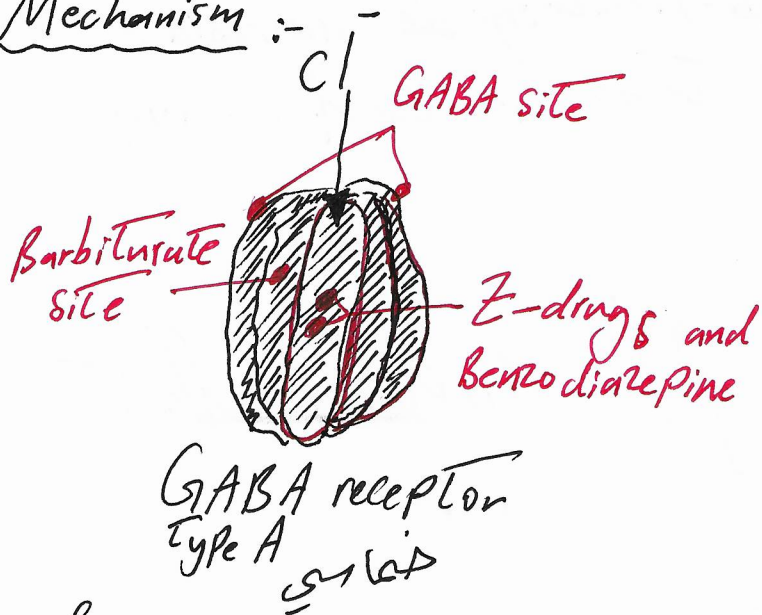
different by PK

- Ultra-short acting 30 min
Remimazolam
- Short acting 1h
Midazolam
Triazolam
- Intermediate 6-8h
Alprazolam
Lorazepam
Temazepam
Oxazolam
Nitrazepam
- long acting 8-14 h
Diazepam → ^{١٥} ٢٠
Clonazepam
Flurazepam

Kinetic :-

- absorption :- all orally except **Thiopental (I.V only)**
- distribution :- Cross BBB and placenta and breast milk
- Redistribution :- highly lipid soluble
so stored in fat tissue

Mechanism :-



- * facilitate GABA action that lead to enhance Cl^- conduction lead to hyperpolarization lead to sedation (allosteric effect)
- * in high dose work instead of GABA $\rightarrow$ general inhibition of CNS including medullary centre lead to death

Kinetic₂

- absorption :- all orally except **Remimazole (I.V only)**
- Same distribution and redistribution

Mechanism :-

- Same but in its own part
- * There is no generalized CNS inhibition in high dose

- * isoform of GABA-A
- GABA-A₁ :- Sedative
- GABA-A₂ :- anxiolytic

effects:-

- 1-anxiolytic :- ✓ small dose
- 2-hypnotic :- ✓✓ large dose
- 3-anesthetic :- Thiopental
- 4-Muscle relaxation
- 5-anti-Convulsant :- phenobarbital
use in epilepsy (Tonic-clonic)

6-generalized CNS inhibition

Peripheral effect:-

1-hypotension :- myocardium
depression of VMC (Vasomotor
Centre)

2-P₄₅₀ induction :- الجسم كله يطفئ
علا الكبد

effect:-

1- ✓✓✓

2- ✓

3-Midazolam

4-Same

5-Clonazepam (absence
seizure)

6-Anterograde amnesia:-
Temporary loss of memory

No Peripheral effect

Uses: لا تستخدم إلا في حالة طوارئ فقط
التالي

1- Pheno barbitol

a- Tonic - Clonic Seizure

b- neonatal jaundice :

يسرع زوال الكبد حتى لا يكرّر

2- Thiopental :- for short
anesthetic Procedure

Adverse effect :-

1- Tolerance

2- Rebound insomnia after
discontinuation

3- Hangover & Psychomotor
Depression
(Residual effect)

4- Myocardium Depression
and respiratory

Uses: الجس يتوزع على

1- anxiety disorder :- - GAD
- Panic attack
- Social Phobia

* don't use more than 6 weeks
(Tolerance)

2- insomnia : Temazepam

3- anti- Convulsant : febrile
Seizure and absence Seizure
as Suppository

4- Short Surgical Procedure :-
Midazolam

Adverse effect :-

1- Same

2- Same

3- Same

4- Memory disturbance

Contraindications:-

- 1- Severe respiratory diseases
- 2- Sleep apnea syndrome
- 3- Acute narrow angle glaucoma
- 4- Pregnancy
- 5- With other CNS depressant eg:- alcohol

Toxicity:-

Barbiturates:-

- 1- Mechanical ventilation تنفسي
- 2- I.V fluid
- 3- Alkalinization of urine مائلا فائدة

Benzodiazepine:-

Flumazenil :- for alcohol also

أنتقار الحاد

* كل الأدوية الجارية تسمى Z-drugs

Z-drugs تبدأ بـ Z

Zolpidem , Zaleplon , Zopiclone , Eszopiclone
الأكثر استخداماً
أفضل من الأصلي
mean isomer

Mechanism :- Same (bind to GABA-A receptor but other site)

effect :- just hypnotic / No muscle relaxant
anti convulsant
anxiolytic

- Rapid onset , short duration

Uses :- insomnia only

adverse effect :- * Tolerance and physical dependence after years and has no rebound insomnia

* Treat toxicity :- Flumazenil

Buspirone :- (no GABA) anxiolytic only

* agonist $5HT_{1A}$ receptor in midbrain no muscle relaxant or hypnotic

* 1 Tab Per day effect appear after (10-14 days)
* يتأخر عند الحاجة

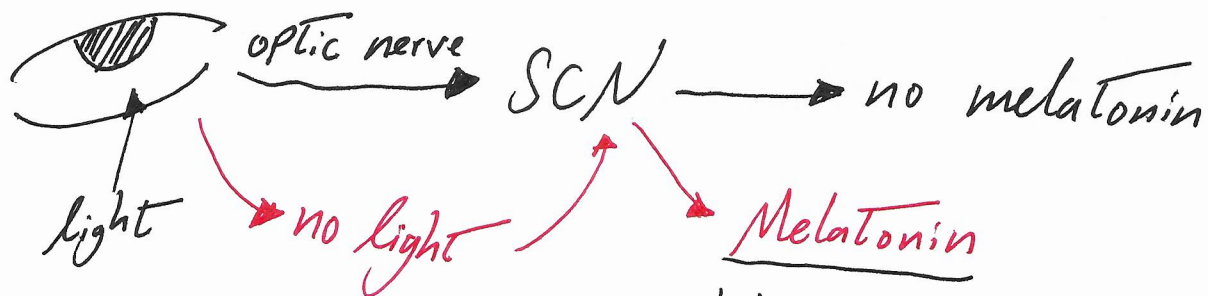
* No drug Tolerance

* Serotonin Syndrom with SSRIs (drug interaction)

Melatonin and its longeners :-

* Secreted from Pineal gland

* Maintain light-dark cycle (Circadian)



Work on :- MT_1 :- mediate sleep
 MT_2 :- regulate Circadian rhythm

Uses : 1- natural hypnotic

2- To overcome Jet lag Problems

خروج الوقت
بالسر

Ramelteon :- Selective agonist for MT_1 and MT_2

Chloral hydrate + alcohol يسهل

* 30 min - 6h sleep آمن جداً

* للأطفال لحد ما تسوي شغلهم وتخلص (مثل : x-ray)

