

# CNS-Pharmacology

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Archive

Lecture 3

Antiepileptic Drugs

# CNS-Pharmacology **Lecture 3**

1. The following adverse effects match except?

- a. Phenytoin: Hypertrophy of the gums.
- b. Carbamazepine: worsening of petit mal and myoclonic epilepsy.
- c. Vigabatrin: constriction of visual field.
- d. Topiramate: myopia and glaucoma
- e. Sodium valproate: impaired cognition in children

Topiramate

**MOA:**

blocks Na & Ca<sup>++</sup> channels.

Bind glutamate receptor

**Used in:**

focal, generalized epilepsy and absence seizures

**Side effects:**

impaired concentration, diplopia, weight loss & kidney stones

Answer: d

2. Regarding diazepam : Which one of the following is true?

It is effective for stopping convulsions of status epilepticus

.Diazepam, Clonazepam & Lorazepam : drug of choice for treatment of status epilepticus (rapid onset).

3. The followings are useful for treatment epilepsy except?

- a. Clonazepam.
- b. Valproate.
- c. Pregabalin.
- d. Gabapentin
- e. Oxycodone

Answer: e

4. All are Mechanisms of action of antiepileptic drugs except?

- a. Block sodium channels
- b. Block calcium channels
- c. Enhance inhibitory GABAergic impulse
- d. Interference with glutamate
- e. Selective serotonin reuptake inhibitor

Answer: e

5. Which of the following is a Ca channel blocker?

- a. Valproic acid
- b. carbamazepine
- c. benzodiazepines
- d. Tiagabine

### III- Valproic acid, valproate, divalproex

• **Pharmacokinetics:**

- Well absorbed orally.
- 90% bound to plasma proteins.
- Metabolized in the liver to toxic metabolites.

• **Mechanism of action:**

• It acts by increasing GABA concentrations in synaptic regions through:

- Inhibition of GABA transaminase (enzyme that breaks GABA) or
- Inhibition of GABA reuptake by nerve endings.

• It blocks Na<sup>+</sup> channels & T-Ca<sup>+</sup> channels.

Answer: a

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6. Which of the following isn't a Na channel blocker?

- a. Phenytoin
- b. Valproic acid
- c. lamotrigine
- d. benzodiazepines

### V- Barbiturates (Bb) and benzodiazepine (Bz)

- **Phenobarbitone**: it has selective anticonvulsant activity & it may act through **potentiating the inhibitory pathway (GABA)**.
- **Diazepam, Clonazepam & Lorazepam**: drug of choice for treatment of status epilepticus (rapid onset).

Answer: d

7. Valproic acid mechanisms ?

- A) Inhibition of GABA transaminase
- B) prolong Na<sup>+</sup> channels activation
- C) activation of Ca<sup>+</sup> channels

### III- Valproic acid, valproate, divalproex

- **Pharmacokinetics**:
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- **Mechanism of action**:
  - It acts by **increasing GABA concentrations in synaptic regions through**:
    - Inhibition of **GABA transaminase** (enzyme that breaks GABA) or
    - Inhibition of **GABA reuptake** by nerve endings.
  - It blocks **Na<sup>+</sup> channels & T-Ca<sup>+</sup> channels**.

Answer: a

8. Which of the following is false about anti-epileptic drugs? Select one:

- a. Carbamazepine blocks voltage dependent sodium channels in the inactivated state.
- b. Vigabatrin and valproate increase brain GABA level by inhibiting its catabolism.
- c. Levetiracetam blocks NMDA glutamate receptors.
- d. Ethosuximide blocks T-type calcium channels in thalamic neurons.
- e. Topiramate enhances endogenous GABA activity after binding to GABA-A receptors.

### Levetiracetam and brivaracetam

- **MOA**: Modifies the release of glutamate and GABA by binding to the synaptic vesicle protein (SV2A)

Answer: c

9. The following are adverse effects of sodium valproate when used in epilepsy except?

- a. Impaired cognition.
- b. Hepatitis.
- c. Alopecia.
- d. Increase in weight
- e. Increased blood level of lamotrigine.

### Side effects:

1. **CNS**: N.A,D
2. **liver**: Hepatotoxicity.
3. **Teratogenic**: more increased incidence of spina bifida of any antiepileptic. Decrease IQ for child.
- 4- G.I.T: anorexia, nausea & vomiting.
- 5- Hair loss (alopecia)

### Drug interactions:

- Valproic acid **inhibits the metabolism** of phenobarbitone, phenytoin and carbamazepine.
- It **displaces** phenytoin from **plasma protein binding sites**.

Answer: e

10. The following are useful for classical petit mal (**Absence seizures**) epilepsy except?

- a. Clonazepam.
- b. Valproate.
- c. Ethosuximide.
- d. Levetiracetam.
- e. Tiagabine.

Answer: e

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11. Carbamazepine: Which one of the following is false?

- a. It induces hepatic microsomal enzymes which shortens its own half-life
- b. It is useful for chronic control of both grand mal and partial epilepsy.
- c. It is first choice drug for treatment of trigeminal neuralgia in adults.
- d. It can cause dizziness and diplopia as side effects at beginning of its use.
- e. It inhibits repetitive neuronal firing by increasing brain GABA level.

Answer: e

12. A patient was started on Lamotrigine and develops skin rash and peeling. This serious side effect of this drug is called:

- A. Kidney stones
- B. Oligohidrosis
- C. Stevens-Johnson syndrome
- D. Dizziness
- E. Diplopia

## Lamotrigine

### MOA:

blocks Na & Ca<sup>++</sup> channels.

### used in

all types of epilepsy except status epileptics

### Side effects

dizziness, headache & ataxia, Stevens Johnson syndrome

Answer: C

13. The primary mechanism of action of Phenytoin:

- A. Blocking of Na<sup>+</sup> channels
- B. Blocking of Cl<sup>-</sup> channels
- C. Enhancing GABA metabolism
- D. Inhibiting glutamate synthesis
- E. Blocking Ca<sup>2+</sup> channels

### • Mechanism of action

- It blocks voltage-gated Na<sup>+</sup> channels.
- At higher concentrations. It can block voltage-dependent Ca<sup>++</sup> channels
- interferes with the release of neurotransmitters.

Answer: A

14. Valproic acid and warfarin interaction:

- A. Valproic acid displace warfarin from plasma protein
- B. Valproic acid increase metabolism of warfarin
- C. Valproic acid decrease warfarin metabolism

### Side effects:

1. CNS: N,A,D
2. liver: Hepatotoxicity.
3. Teratogenic: more increased incidence of spina bifida of any antiepileptic. Decrease I.Q for child.
- 4- G.I.T: anorexia, nausea & vomiting.
- 5- Hair loss (alopecia)

### Drug interactions:

- Valproic acid inhibits the metabolism of phenobarbitone, phenytoin and carbamazepine.
- It displaces phenytoin from plasma protein binding sites.

Answer: C