



Pharmacology

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Classification:

- Barbiturates are classified according to their duration of action:
- Long-acting <u>phenobarbital</u> has a duration of action greater than a day.
- Pentobarbital, secobarbital, amobarbital, and butalbital are short-acting barbiturates.



Pentobarbital Secobarbital Amobarbital

Action:

1. Depression of CNS:

At low doses, the barbiturates produce sedation (have a calming effect and reduce excitement). At higher doses, the drugs cause hypnosis, followed by anesthesia (loss of feeling or sensation), and, finally, coma and death. Thus, any degree of depression of the CNS is possible, depending on the dose. Barbiturates do not raise the pain threshold and have no analgesic properties. They may even exacerbate pain. Chronic use leads to tolerance.

2. Respiratory depression:

Barbiturates suppress the hypoxic and chemoreceptor response to CO₂, and overdose is followed by respiratory depression and death.

Therapeutic uses:

1. Anesthesia The ultra-short-acting barbiturates (thiopental [Pentothal]) have been historically used intravenously to induce anesthesia but have been replaced by other agents.

2. Anticonvulsant Phenobarbital has specific anticonvulsant activity that is distinguished from the nonspecific CNS depression. However, phenobarbital can depress cognitive development in children and decrease cognitive performance in adults, and it should be used for seizures only if other therapies have failed. Similarly, phenobarbital may be used for the treatment of refractory status epilepticus.

3. Sedative/hypnotic Barbiturates have been used as mild sedatives to relieve anxiety, nervous tension, and insomnia. When used as hypnotics, they suppress REM sleep more than other stages. However, the use of barbiturates for insomnia is no longer generally accepted, given their adverse effects and potential for tolerance. Butalbital is commonly used in combination products (with acetaminophen and caffeine or aspirin and caffeine) as a sedative to assist in the management of tension or migraine headaches.



Pharmacokinetics:

Barbiturates are well absorbed after oral administration and distribute throughout the body.

All barbiturates redistribute from the brain to the splanchnic areas, to skeletal muscle, and, finally, to adipose tissue.

Barbiturates readily cross the placenta and can depress the fetus. These agents are metabolized in the liver, and inactive metabolites are excreted in urine.

Adverse effects:

- a. Drowsiness and impaired concentration
- b. Hangovers
- c. Dependence and severe withdrawal that can be lethal.
- d. Overdoses due to the narrow therapeutic index (e.g., patients who overdose on barbiturates develop respiratory depression, which is managed symptomatically by assisting respiration and stabilizing blood pressure).
- e. Due to an increase in porphyrin synthesis, acute intermittent porphyria is an absolute contraindication for the use of barbiturates.
- f. Occasionally, nausea and dizziness occur.











Nausea



Vertigo



Tremors



Enzyme induction

Thank You