MEDAVIE HealthEd ÉduSanté

PHARMACOLOGY

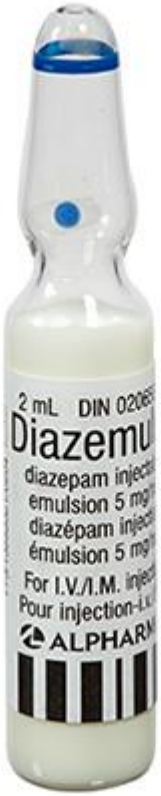
Advanced Care Paramedicine

Module: 11

Section: 07

Diazepam (Valium)

- Class: Benzodiazepine
  - Frequently used as:
    - An anticonvulsant
    - A sedative
    - A hypnotic



Diazepam (Valium)

- MOA:
  - Binds to specific sites on gamma-aminobutyric acid (GABA) Type A receptors within the brain
  - GABA is the major inhibitory neurotransmitter of the central nervous system.
  - Has no direct effect on the GABA receptors, but potentiates the effects of GABA within the brain
  - Increased GABA levels cause sedation.
  - Suppresses the spread of seizure activity through the motor cortex of the brain
  - Also an effective skeletal muscle relaxant
  - Induces amnesia

Diazepam (Valium)

- Pharmacokinetics
  - Onset
    - 1-5 minutes (IV), 15-30 minutes (IM)
  - Peak effects
    - 15 minutes (IV), 30-45 minutes (IM)
  - Duration
    - 15-60 minutes
  - Half-life
    - 20-50 minutes

Diazepam (Valium)

- Indications:
  - Major motor seizures
  - Status epilepticus
  - Premedication before cardioversion
  - Skeletal muscle relaxant
  - Acute anxiety states
- Contraindications:
  - Known history of hypersensitivity

Diazepam (Valium)

- Precautions:
  - Diazepam is a relatively short-acting drug.
  - Flumazenil should be available as an antidote.
  - Can cause local venous irritation
    - Inject into relatively large veins
    - Should not be given faster than 1 mL/min

Diazepam (Valium)

- Side Effects:
  - Hypotension
  - Drowsiness
  - Headache
  - Amnesia
  - Respiratory depression
  - Blurred vision
  - Nausea and vomiting

Diazepam (Valium)

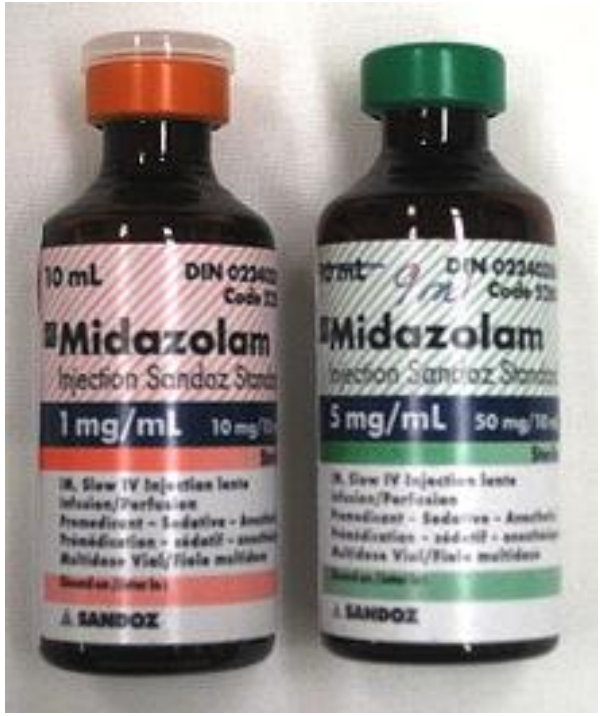
- Interactions:
  - Incompatible with many medications
  - Whenever given IV in conjunction with other drugs, IV line should be flushed
  - Effects can be additive when used in conjunction with other CNS depressants and alcohol

Diazepam (Valium)

- Dosage:
  - For seizures, 5-10 mg IV
  - For acute anxiety reactions, 2-5 mg IM
  - Prior to cardioversion, 5-15 mg IVP
  - If no IV line, administer rectally with a similar onset of action

Midazolam (Versed)

- Class: Benzodiazepine
  - A benzodiazepine with strong hypnotic and amnestic properties
  - Frequently used as:
    - An anticonvulsant
    - A sedative
    - A hypnotic



Midazolam (Versed)

- MOA:
  - Binds to specific sites on gamma-aminobutyric acid (GABA) Type A receptors within the brain
  - GABA is the major inhibitory neurotransmitter of the central nervous system.
  - Has no direct effect on the GABA receptors, but potentiates the effects of GABA within the brain
  - Increased GABA levels cause sedation
  - Used as a sedative and hypnotic
  - Is 3-4 times more potent than diazepam
  - Has impressive amnestic properties

Midazolam (Versed)

- Pharmacokinetics:
  - Onset
    - 3-5 minutes (IV), 15 minutes (IM)
  - Peak effects
    - 20-60 minutes
  - Duration
    - < 2 hours (IV), 1-6 minutes (IM)
  - Half-life
    - 1-4 hours

Midazolam (Versed)

- Indications:
  - Premedication before cardioversion and other painful procedures
  - An effective anticonvulsant
- Contraindications:
  - Known history of hypersensitivity
  - Narrow-angle glaucoma
  - Patients in shock with depressed V/S
  - Alcoholic coma

Midazolam (Versed)

- Precautions:
  - Midazolam has more potential to cause respiratory depression/arrest.
  - Flumazenil should be available as an antidote.
  - Emergency resuscitative equipment must be available.

Midazolam (Versed)

- Side Effects:
  - Laryngospasm
  - Bronchospasm
  - Dyspnea
  - Respiratory depression/arrest
  - Drowsiness
  - Amnesia
  - Altered mental status
  - Bradycardia
  - Tachycardia
  - PVCs
  - Retching

Midazolam (Versed)

- Interactions:
  - Can be accentuated by CNS depressants such as narcotics and alcohol

Midazolam (Versed)

- Dosage:
  - Amount required to achieve sedation varies
  - Typically, 1-2.5 mg by slow IVP
  - Dilution with normal saline or D_5W recommended
  - 0.07 to 0.08 mg/kg IM (avg. adult dose of 5 mg)
  - Administration IN possible

Haloperidol (Haldol)

- Class: Antipsychotic and neuroleptic

- MOA:
  - Blocks dopamine receptors in the brain, altering mood and behavior
  - Major tranquilizer of the butyrophenone class that has proved effective in the management of acute psychotic episodes
  - Has pharmacological properties similar to those of the phenothiazine class of drugs (Thorazine)
  - Has weak anticholinergic properties

Haloperidol (Haldol)

- Indications:
  - Acute psychotic episodes
- CI:
  - CNS depression/coma
  - Hypersensitivity
  - Pregnancy
  - Cocaine induced agitation/violence
- Precautions:
  - May impair mental and physical abilities
  - Use with caution in patients taking anticoagulants
  - Diphenhydramine (Benadryl) should be available

Haloperidol (Haldol)

- Side Effects:
  - Extrapyramidal reaction or symptoms (EPR or EPS), especially in children
  - Hypotension
  - Nausea/vomiting
  - Blurred vision
  - Antihypertensive medications may increase the likelihood of a patient developing hypotension.
  - Use with caution in patients taking lithium, because irreversible brain damage (encephalopathic syndrome) has been reported

Haloperidol (Haldol)

- Dosage:
  - 5 - 10 mg IM (peak effect at 20 minutes)
  - 5 mg IV (if Haloperidol Lactate)

Droperidol (Inapsine)

- Class: Antiemetic and antipsychotic

- MOA:
  - Butyrophenone derivative that is structurally and pharmacologically related to haloperidol
  - Antagonizes the emetic effects of morphine-like analgesics and other drugs that act on the chemoreceptor trigger zone (CTZ)
  - Mild alpha-adrenergic blocking properties and direct vasodilation effects may cause hypotension
  - Acts at the subcortical level to produce sedation and reduce anxiety and motor activities without inducing sleep

Droperidol (Inapsine)

- Indications:
  - Nausea and vomiting in patients refractory to first-line antiemetics
  - Antipsychotic
- CI:
  - Hypersensitivity
  - Use with caution in elderly, debilitated, and other poor-risk patients with Parkinson's disease, hypotension, liver disease, kidney disease, and cardiac disease (including dysrhythmias)

Droperidol (Inapsine)

- Side Effects:
  - Central nervous system:
    - Drowsiness
    - Extrapyramidal symptoms
    - Dystonia
    - Dizziness
    - Restlessness
    - Hallucinations
    - Depression
  - Cardiovascular:
    - Hypotension
    - Tachycardia
  - Other:
    - Chills
    - Shivering
    - Laryngospasm
    - Bronchospasm

Droperidol (Inapsine)

- Dosage:
  - 2.5-10 mg IV or IM

Other Medications

Chlorpromazine (Thorazine, Largactil)

- Class: Antipsychotic and neuroleptic
- MOA:
  - An antipsychotic of the phenothiazine type and neuroleptic used in the management of severe psychotic episodes
  - Thought to block dopamine receptors in the brain that are associated with behavior and mood

Amitriptyline (Elavil)

- Class: Tricyclic Antidepressant (TCA)
- MOA:
  - Most widely used TCA against depression
  - As well as reducing depressive symptoms, these types of tricyclics also ease migraines, tension headaches, anxiety attacks and some schizophrenic symptoms
  - Inhibition of neurotransmitter uptake (neuronal uptake of norepinerphrine and serotonin into presynaptic nerve terminals)

Citalopram (Celexa)

- Class: Selective Serotonin Reuptake Inhibitor (SSRI)
- MOA:
  - Increases extracellular level of serotonin by inhibiting its reuptake at the presynaptic cell
  - Have varying degrees of selectivity for the other monoamine transporters, with pure SSRIs having only weak affinity for the noradrenaline and dopamine transporter

Fluoxetine (Prozac)

- Class: Selective Serotonin Reuptake Inhibitor (SSRI)
- MOA:
  - Increases extracellular level of serotonin by inhibiting its reuptake at the presynaptic cell
  - Have varying degrees of selectivity for the other monoamine transporters, with pure SSRIs having only weak affinity for the noradrenaline and dopamine transporter

Paroxetine (Paxil)

- Class: Selective Serotonin Reuptake Inhibitor (SSRI)
- MOA:
  - Increases extracellular level of serotonin by inhibiting its reuptake at the presynaptic cell
  - Have varying degrees of selectivity for the other monoamine transporters, with pure SSRIs having only weak affinity for the noradrenaline and dopamine transporter

Reserpine

- Class: Alkaloid antipsychotic
- MOA:
  - Rarely used anymore
  - Irreversibly blocks the vesicular monoamine transporter (VMAT)
  - Unprotected neurotransmitters (norepi, serotonin and dopamine) are metabolized by MAO (as well as by COMT) in the cytoplasm and therefore never reach the synapse
  - It could take days to weeks by the body to replenish the depleted VMAT and hence reserpine's effects are long-lasting

Prochlorperazine (Stemetil)

- Class: Dopamine (D2) receptor antagonist, antipsychotic
- MOA:
  - Blocks dopamine receptors
  - No longer being used in Canada as an antipsychotic but can be found being used as an antiemetic