Pharmacology of Skeletal Muscle Relaxants and CNS Stimulants

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Introduction

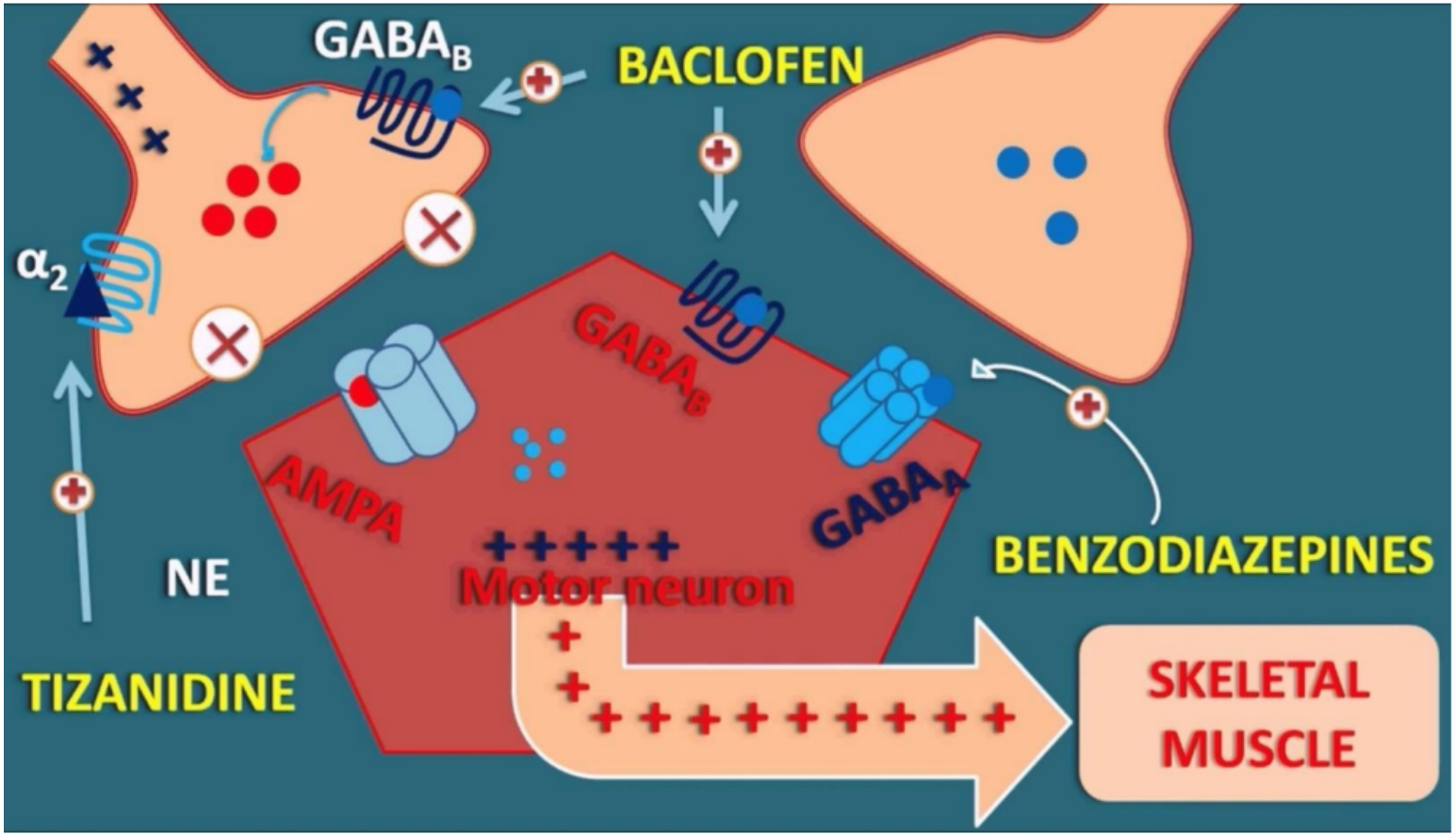
- Skeletal muscle relaxants are used to reduce muscle spasms and spasticity
- CNS stimulants enhance brain activity, increasing alertness and energy.
- Understanding their pharmacology is crucial for safe and effective use in clinical practice.

Classification of Skeletal Muscle Relaxants

- Centrally Acting Muscle Relaxants:
  - Baclofen (GABA-B agonist)
  - Tizanidine (Alpha-2 adrenergic agonist)
  - Diazepam (Benzodiazepine, GABA-A agonist)
  - Cyclobenzaprine (TCA-like, used for acute muscle spasms)
- Peripherally Acting Muscle Relaxants:
  - Dantrolene (Inhibits ryanodine receptors, used in malignant hyperthermia)
  - Botulinum Toxin (Prevents acetylcholine release

Mechanism of Action - Skeletal Muscle Relaxants

- Centrally Acting:
  - Enhance inhibitory neurotransmission (GABA-A, GABA-B, or alpha-2 adrenergic receptors)
- Peripherally Acting:
  - Inhibit calcium release (Dantrolene)
  - Block acetylcholine release (Botulinum toxin)


GABA$_B$
BACLOFEN
α_2
GABA$_B$
AMPA
GABA$_A$
NE
Motor neuron
BENZODIAZEPINES
TIZANIDINE
SKELETAL MUSCLE

Clinical Uses of Skeletal Muscle Relaxants

- Spasticity (Multiple sclerosis, Cerebral palsy, Stroke): Baclofen, Tizanidine
- Acute Muscle Spasms (Musculoskeletal injuries): Cyclobenzaprine
- Malignant Hyperthermia: Dantrolene
- Dystonia, Spasticity, Migraine Prevention: Botulinum Toxin

Adverse Effects of Skeletal Muscle Relaxants

- Centrally Acting: Sedation, dizziness, hypotension
- Peripherally Acting: Muscle weakness, hepatotoxicity (Dantrolene)
- Botulinum Toxin: Local muscle paralysis, respiratory depression in overdose

Classification of CNS Stimulants

- Psychomotor Stimulants:
  - Amphetamines (Dextroamphetamine, Methamphetamine, Lisdexamfetamine)
  - Methylphenidate
  - Cocaine
- Xanthines:
  - Caffeine, Theophylline
- Cognitive Enhancers:
  - Modafinil, Armodafinil
  - Nicotine

Mechanism of Action - CNS Stimulants

- Amphetamines: Increase dopamine/norepinephrine release and inhibit reuptake
- Cocaine: Blocks dopamine, serotonin, and norepinephrine reuptake
- Methylphenidate: Blocks dopamine and norepinephrine transporters
- Caffeine: Blocks adenosine receptors, increasing alertness

Clinical Uses of CNS Stimulants

- ADHD: Amphetamines, Methylphenidate
- Narcolepsy: Modafinil, Armodafinil, Amphetamines
- Obesity (historical use): Amphetamines (rare due to abuse potential)
- Cognitive Enhancement (off-label use of nootropics)

Adverse Effects of CNS Stimulants

- Cardiovascular: Hypertension, tachycardia, arrhythmias
- CNS Effects: Insomnia, agitation, paranoia, psychosis
- Addiction Potential: High abuse risk (Amphetamines, Cocaine)
- Withdrawal Symptoms: Fatigue, depression, irritability

Future Directions in Pharmacology

- Novel Skeletal Muscle Relaxants: Targeting specific ion channels for improved selectivity
- CNS Stimulants: Development of safer, non-addictive cognitive enhancers
- Personalized Medicine: Pharmacogenomics in stimulant therapy for ADHD
- Neurostimulation: Use of non-drug methods like transcranial magnetic stimulation (TMS)

THANK YOU