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Unit-V/Part-B

Local Anesthetics

Presented By;-

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Specialization:- Pharmaceutical Chemistry

Local Anesthetics

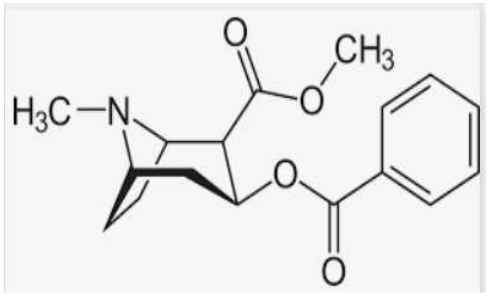
1) **Local anesthetics are medications that cause a temporary loss of sensation, including pain, in a specific area of the body, without causing loss of consciousness.**

2) **They are used for medical, surgical, or dental procedures, allowing patients to remain awake and alert while minimizing pain.**

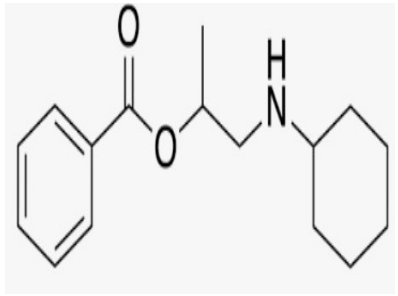
3) **Working:-** Local anesthetics work by reversibly blocking nerve conduction near their site of administration, preventing pain signals from reaching the brain. **They achieve this** by inhibiting the influx of sodium ions (Na+) through voltage-gated sodium channels in nerve cell membranes. This blockage prevents the generation and propagation of nerve impulses, resulting in a temporary loss of sensation.

Classification of Local Anesthetics

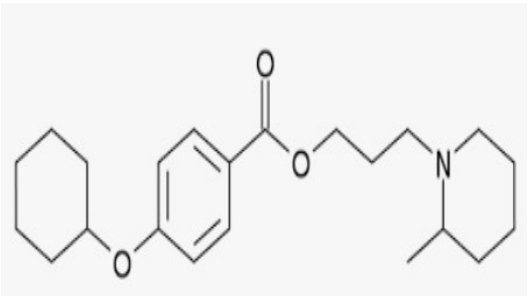
1) **Benzoic Acid derivatives**; Cocaine, Hexylcaine, Meprylcaine, Cyclomethycaine, Piperocaine.
2) **Amino Benzoic acid derivatives**: Benzocaine*, Butamben, Procaine*, Butacaine, Propoxycaine, Tetracaine, Benoxinate.
3) **Lidocaine/Anilide derivatives**: Lignocaine, Mepivacaine, Prilocaine, Etidocaine.
4) **Miscellaneous**: Phenacaine, Diperodon, Dibucaine.*



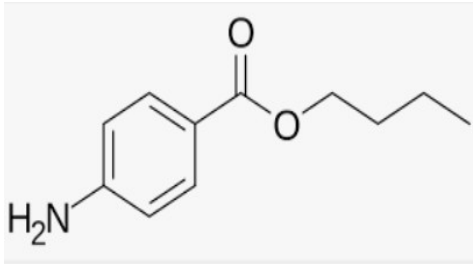
Cocaine



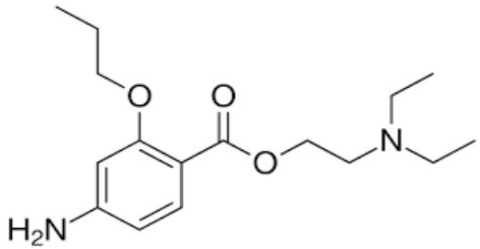
Hexylcaine



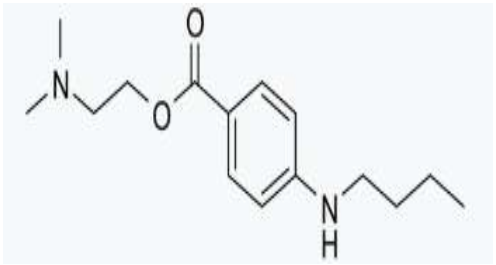
Cyclomethycaine



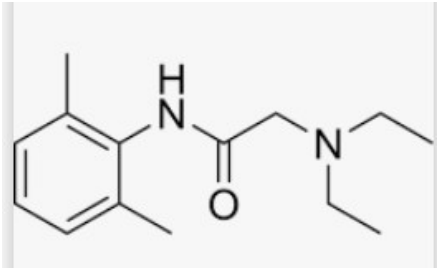
Butamben



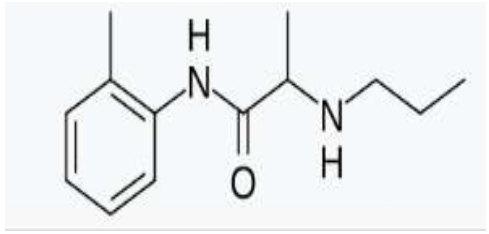
Propoxycaine



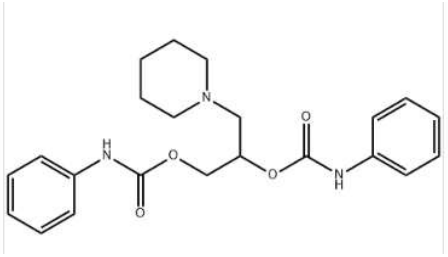
Tetracaine



Lignocaine



Prilocaine

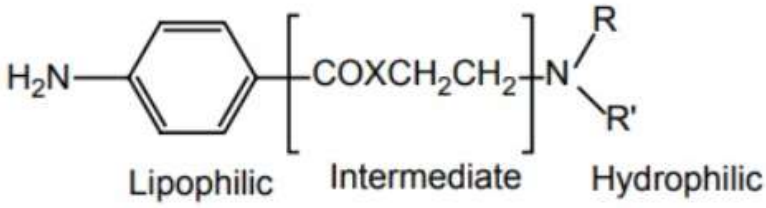


Diperodon

Table of Mechanism of Action (MOA)

Class	Mechanism of Action (MOA)	Examples
Benzoic Acid Derivatives	Block voltage-gated Na^+ channels → inhibit nerve impulse conduction	Cocaine, Butamben
Amino Benzoic Acid Derivatives	Same as above: Na^+ channel blockade, prevent depolarization	Procaine, Tetracaine, Benzocaine
Lidocaine / Anilide Derivatives	Na^+ channel blockade; amide linkage leads to longer duration and hepatic metabolism	Lidocaine, Bupivacaine, Mepivacaine
Miscellaneous	Same basic MOA (Na^+ channel blockade), but may differ structurally or in metabolism	Dyclonine, Pramoxine, Phenacaine

SAR of Local Anesthetics



Region	Component	SAR Role
Aromatic Ring (Lipophilic part)	Usually a substituted benzene ring	- Essential for lipid solubility and membrane penetration - ↑ Lipophilicity → ↑ potency and duration
Intermediate Chain	Ester or Amide linkage	- Determines drug class (Ester vs Amide) - Affects stability and metabolism - Esters: hydrolyzed by plasma esterases (shorter duration) - Amides: metabolized in liver (longer duration)
Hydrophilic Amine (Ionizable group)	Usually a tertiary amine	- Required for water solubility and interaction with sodium channels - Allows drug to exist in ionized form inside the neuron for channel blockade

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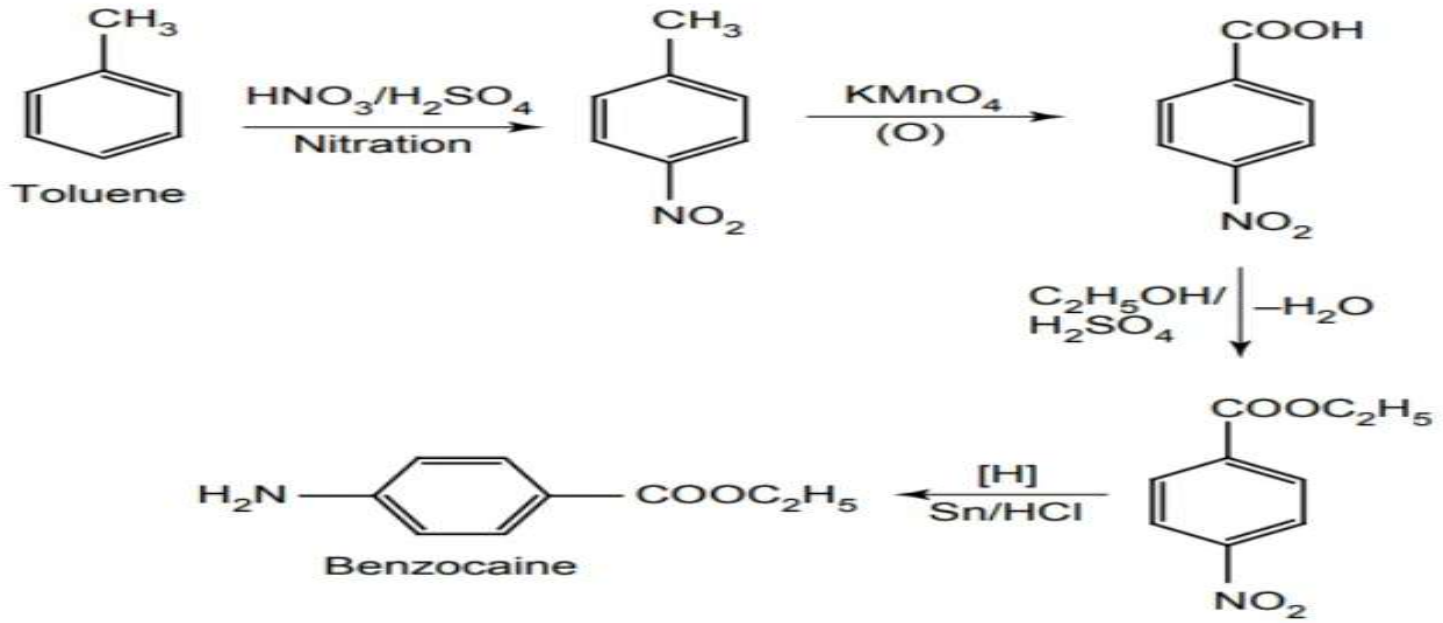
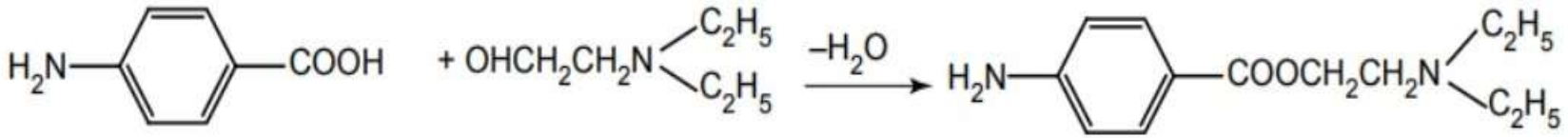
Synthesis of Bnezocaine



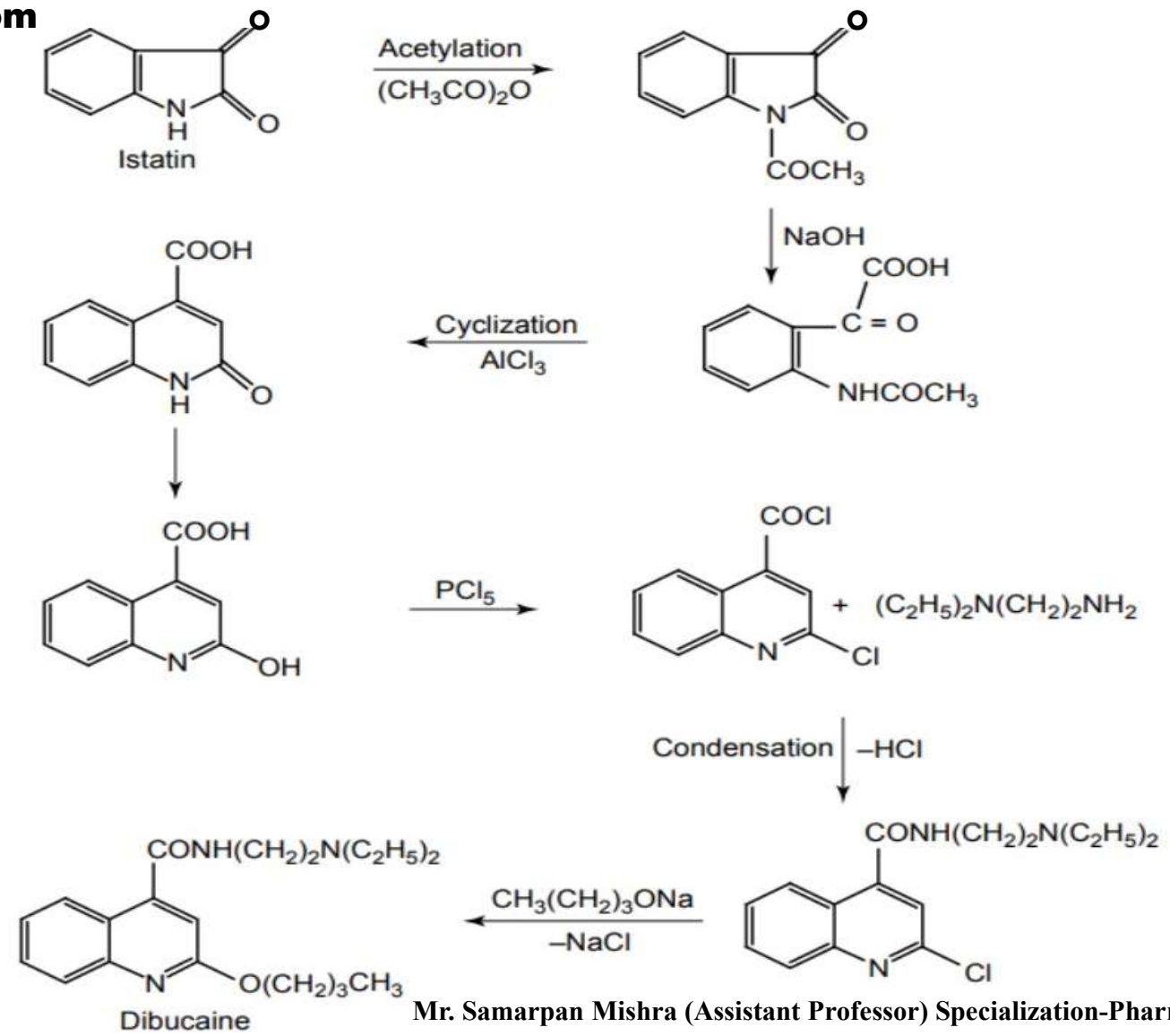
Synthesis of Procaine

Route I. From: *p*-Amino benzoic acid



4-Aminobenzoic acid 2-(*N*,*N*-diethylamino)ethanol Procaine

Synthesis of Dibucaine



THANK YOU