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Unit-5
Drugs Acting on Central Nervous System

→ General Anaesthetics -

General anaesthetics are CNS depressants which induce non-awareness of all sensations & loss of pain.

The term anaesthetic is of Greek origin & means without perception or insensibility.

They cause non-selective & reversible CNS depression.

There are four stages of anaesthetics:

(1) Stage 1 (Analgesia) - This stage begins with the inhalation of anaesthetic gas & ends with the beginning of loss of consciousness.

(2) Stage 2 (Delirium or Excitement) - This stage starts with the loss of consciousness.

(3) Stage 3 (Surgical Anaesthetics) - This stage involves unconsciousness & paralysis of reflexes.

(4) Stage 4 (Medullary Paralysis) - This stage should be avoided. It starts with respiratory paralysis & ends with cardiac failure & death.

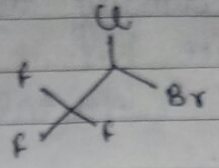
∴ Inhalation Anaesthetics -

They are gases or volatile liquids which are mixed with Oxygen & administered through inhalation. They cause CNS depression & anaesthesia by rapidly reaching the blood & brain in sufficient conc".

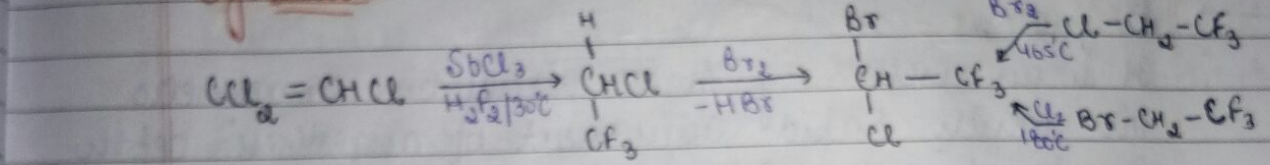
This is the Minimum Alveolar Conc" (MAC) for anaesthesia, which is inversely proportional to potency.

→ Halothane -

It is a non-flammable, halogenated, hydrocarbon anaesthetic which causes rapid induction with little or no excitement.



Synthesis -



Mechanism of Action -

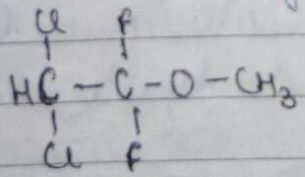
It causes general anaesthesia by acting on multiple ion channels & depressing nerve conduction, breathing & cardiac contractility.

Uses -

It is used for inducing & maintaining general anaesthesia.

→ Methoxyflurane -

It is an inhalation anaesthetic that is used for inducing & maintaining general anaesthesia.



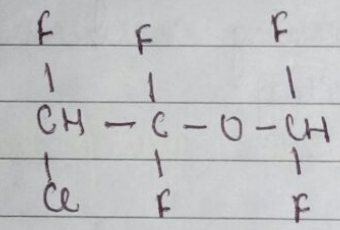
Mechanism of Action -

It reduces functional conductance by decreasing the opening times & increasing the closing times

of gap junction. It also binds to the D-Subunit of ATP Synthase & NADH dehydrogenase.

Uses -
It alters tissue excitability to induce muscle relaxation & reduce pain sensitivity. Presently, it is rarely used in dental, surgical, or obstetric procedures.

→ Enflurane -
It is an extremely stable inhalation anaesthetic in which rapid adjustment of anaesthesia depth can be done by little alterations in pulse or respiratory rate.

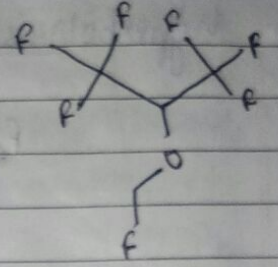


Mechanism of Action -
Same as Methoxyflurane.

Uses -
- It is used for inducing & maintaining general anaesthesia during surgery & Caesarean section.
- It is also used for analgesia during vaginal delivery.

→ Sevofluraue -

It is a sweet - smelling, non-inflammable, highly fluorinated methyl isopropyl ether that is used for inducing & maintaining general anaesthesia.



Mechanism of Action -

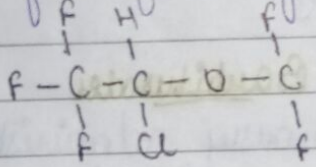
Same as methoxyfluraue.

Uses -

It is used for inducing & maintaining general anaesthesia in adults & paediatries for inpatient & outpatient surgery.

→ Isofluraue -

It is a stable, non-explosive inhalation anaesthetic, which is free from significant side effects.



Mechanism of Action -

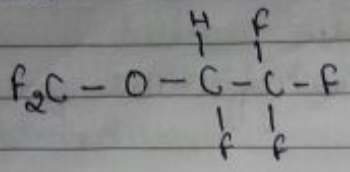
Same as methoxyfluraue.

Uses -

It is used for inducing & maintaining general anaesthesia
It alters tissue excitability for inducing muscle relaxation & reducing pain sensitivity.

→ Desflurane -

It is a highly fluorinated methyl ethyl used for maintaining general anaesthesia. It is a volatile agent which activates GABA channels & hyperpolarises the cell membrane.



Mechanism of Action -

Same as methoxyflurane.

Uses -

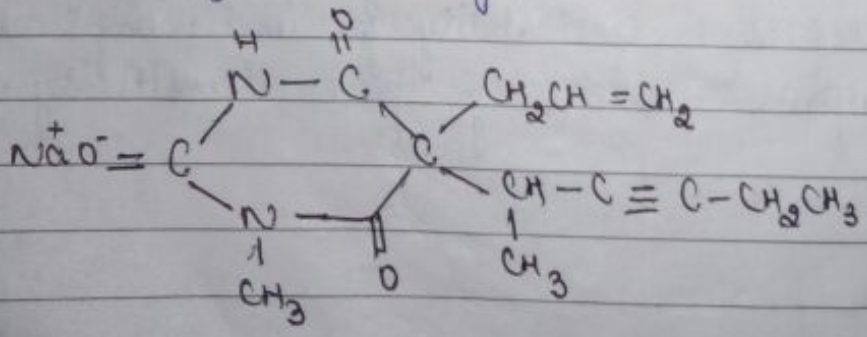
It is used as an inhalation agent for inducing & maintaining anaesthesia in adults for inpatient & outpatient surgeries.

∴ Ultra - Short Acting Barbiturates -

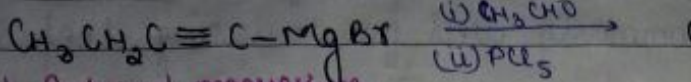
They are intravenously administered for producing rapid unconsciousness in surgical & basal anaesthesia.

→ Methohexital Sodium -

It is a short-acting intravenous anaesthetic which is used for inducing anaesthesia.

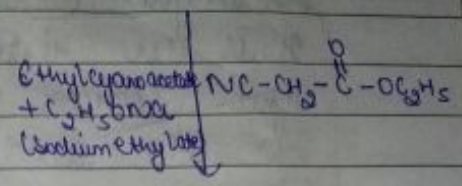


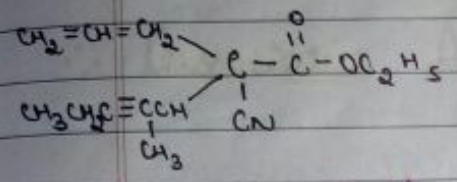
Synthesis -

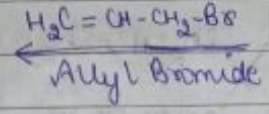


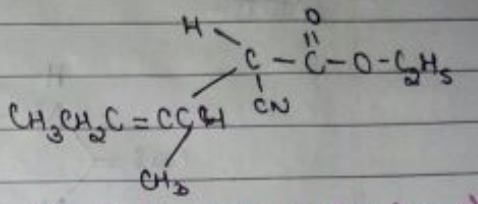
1- Butynyl magnesium bromide

2- Chloro-3-pentyne



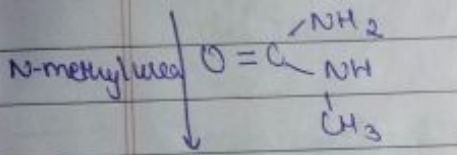


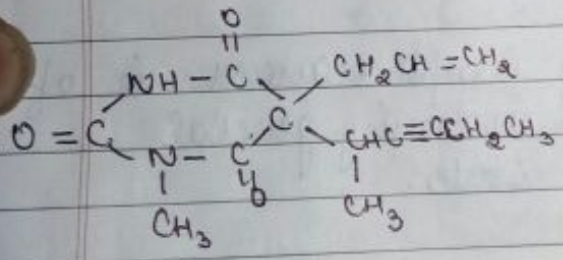




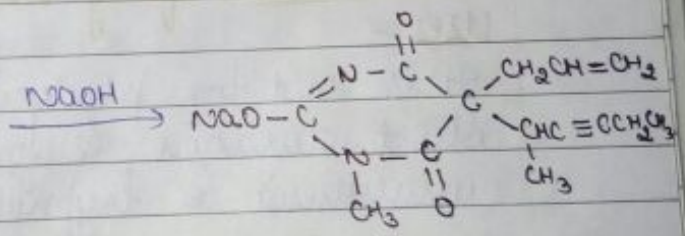
Ethyl-(3-methyl-2-pentynyl)-allyl cyanoacetate

Ethyl-(1-methyl-2-pentynyl)-Cyanoacetate





Methohexital.



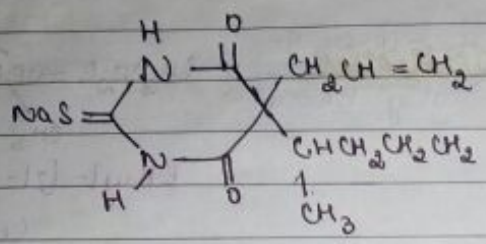
Methohexital Sodium

Mechanism of Action -

It increases the opening duration of Cl^- ionophore by binding at a distinct binding site related to the Cl^- ionophore at the $GABA_A$ receptor. Therefore, it prolongs the post synaptic inhibitory effect of GABA in the thalamus.

Uses -
It is prescribed as an intravenous anaesthetic & is also commonly used for inducing deep sedation.

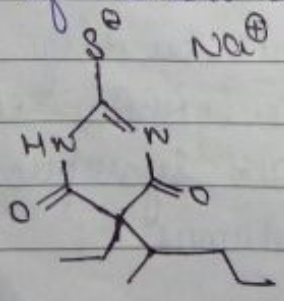
→ Thiamylal Sodium -
It is an intravenously administered barbiturate. It produces complete anaesthesia of short duration & also induces general anaesthesia or hypnotic state.



Mechanism of Action -
Same as methohexital Sodium.

Uses -
It is used for producing complete anaesthesia of short duration & for inducing general anaesthesia & hypnotic state.

→ Thiopental Sodium -
It is administered intravenously for inducing general anaesthesia or for producing complete anaesthesia of short duration.



Mechanism of Action -

Same as methohexital sodium.

Uses -

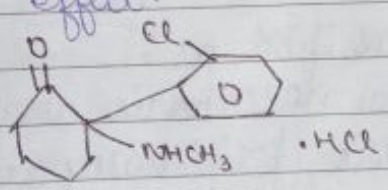
- It is used as a sole anaesthetic agent for short procedures.
- It is also used for providing hypnosis during balanced anaesthesia with other agents for analgesia or muscle relaxation.

∴ Dissociative Anaesthetics -

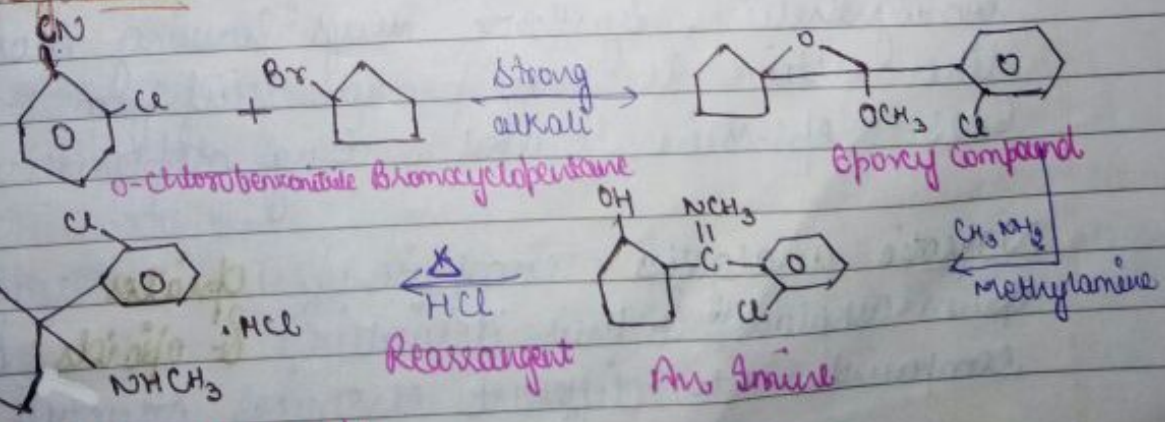
It gives rise to catalepsy, catatonia, analgesia & amnesia. They produce this state by affecting the transmission of incoming sensory to the central cortex & by affecting the communication b/w different parts of CNS.

→ **Ketamine Hydrochloride -**

It is an NMDA receptor antagonist having a potent anaesthetic effect.



Synthesis -



Mechanism of Action -

Unlike other anaesthetic agents, ketamine does not interact with GABA receptors but it interacts with NMDA receptors, opioid receptor, monoaminergic receptor, muscarinic receptors & voltage sensitive Ca ion channels.

Uses -

- It is used as an anaesthetic agent in various diagnostic & surgical procedure.
- It can also be used for inducing anaesthesia before other anaesthetic & as a complement for low potency agents.

→ Narcotic & Non-Narcotic Analgesics -

Analgesics act on the CNS & increase the pain threshold without disturbing consciousness or changing other sensory modalities, thus, they relieve pain.

Analgesic are classified as:

(i) opioid analgesic or narcotic analgesic (centrallingacting)
(ii) non-opioid analgesic (peripherally acting).

Opioid analgesic are naturally occuring semisynthetic, synthetic drugs having morphine-like action ie. they provide relief from pain & CNS depression related to drug dependence.

Narcotic analgesics comprise of opiates (natural opium alkaloids & their derivative) & opioids (synthetic compound with different chemical structure.

Morphine is an example of both type of narcotic analgesic.

Narcotic analgesics are either agonist or agonist-antagonist.

Agonist - [eg codeine, hydromorphone, levorphanol, meperidine, methadone, morphine & propoxyphene] produce analgesia by binding to CNS opiate receptors.

Agonist-Antagonist - [eg- buprenorphine, butorphanol, nalbuphine, pentazocine] produce analgesia by binding to CNS receptors.

∴ Morphine & Related Drugs -

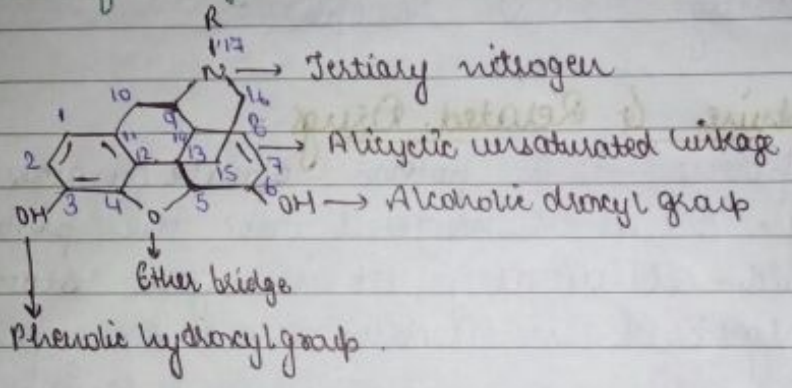
→ Morphine is a potent opiate analgesic psychoactive drug. It is considered to be the prototypical opioid. Clinically, it is the gold standard of analgesics & is used to relieve severe pain & suffering.

→ Morphine similar to other opioids [eg- oxycodone, hydromorphone, diacetylmorphine (heroin)] relieves pain by directly acting on the CNS.
Morphine has a high potential for addiction.
Tolerance & both physical & psychological dependence also develop rapidly.

→ Morphine analogues are closely related to morphine structure & are even synthesised from it.
They may be agonist [morphine, dihydromorphine, codeine] partial agonist [nalorphine & levallorphan] & antagonist [naloxone].

→ Morphine is therapeutically used in ~~the~~
- Analgesia
- Diarrhoea
- Relief of cough
- Anaesthesia & Pre-anaesthesia
- In cardiovascular shock caused by any injury, burn, etc.
- In nocturnal dyspnoea & pulmonary oedema via intravenous route.

→ SAR of Morphine -



(1) Modification in alicyclic ring -
- The alcoholic hydroxyl group at C-6 when methylated, esterified, oxidized, removed or replace by halogen analgesic activity as well as toxicity of the compound increased.
- The reduction of C-6 keto group to C-6 β hydroxyl in oxymorphone gives Naltrexone, it show antagonistic action of μ receptor.
- The saturation of the double bond at C-7 position gives more potent compound.
  Eg - Dihydromorphine & Dihydrocodeine.

- The 14 β hydroxyl group generally enhance μ agonistic properties & decrease antitussive activity. However, activity varies with overall substitution on the structure.
- Bridging of C-6 & C-14 through ethylene linkage gives potent derivative.

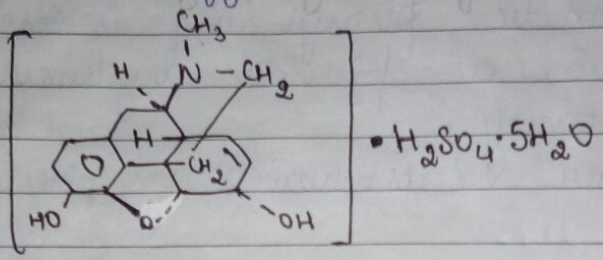
(2) Modification of phenyl ring -
- An aromatic phenyl ring is essential for activity.
- Modification on phenolic hydroxyl group decreases the activity.
- Any other substitution on phenyl ring diminishes activity.

(3) Modification of 3° nitrogen -
- A tertiary amine is usually necessary for good opioid activity.
- The size of the N substitution can dictate the compounds potency & its agonist & its reverse antagonistic activity.
- The N-methyl substitution is having good agonistic property, when increased the size of the substitution by 3-5 carbon results in antagonistic activity.
- N-allyl & N-cyclo alkyl group leads to narcotic antagonistic property.

(4) Epoxide Bridge -
- Removal of 3,4 epoxide bridge in morphine structure results in the compound that is known as morphinans.
- The morphinans are prepared synthetically. As the synthetic procedure yielded compound is a racemic mixture, only two isomer possesses opioid activity

While the dextro isomer has useful antitussive activity. Eg. Levorphanol & Butorphanol.
- Levorphanol is a more potent analgesic than morphine.

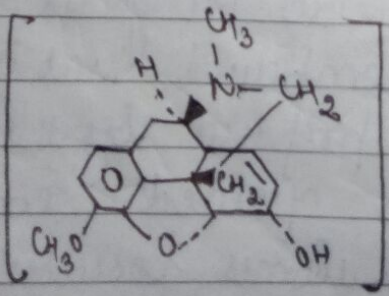
→ Morphine Sulphate -
It is a principal alkaloid in opium & prototype opiate analgesic & narcotic.
It has excitatory effects on the CNS & on smooth muscle.



Mechanism of Action -
The exact mechanism of action of morphine is not unknown. But, specific CNS opiate receptors have been recognised which are responsible for the analgesic effects of morphine.

Uses -
It is used for treating & relieving severe pain.

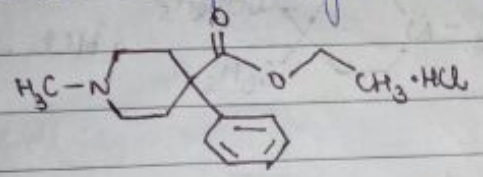
→ Codeine -
It is a morphine related opioid analgesic with less potent analgesic properties & mild sedative effects.
It also suppresses cough by acting centrally.



Mechanism of Action -
Opiate receptors bind to G-protein receptors & serve as positive & negative regulators of synaptic transmission by G-proteins which activate effector protein.

Uses -
It is used for treating pain & managing pain & as an antidiarrheal & cough suppressant.

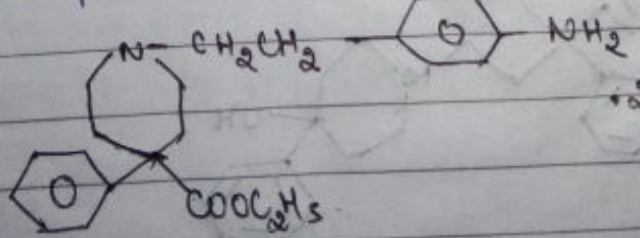
→ Meperidine Hydrochloride -
It is a narcotic analgesic. It may cause morphine dependence on prolonged uses.



Mechanism -
They having local anaesthetic effects is an agonist of κ-Opiate receptor. Its affinity for κ-receptor is much more than morphine.

Uses -
It is used for controlling moderate to severe pain.

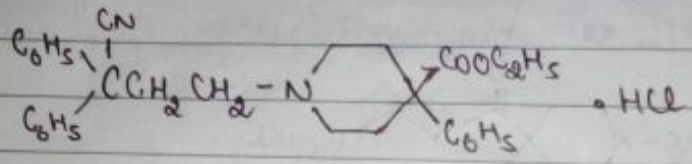
→ Anileridine Hydrochloride -
It is a synthetic opioid & a strong analgesic. It is a narcotic pain reliever & treats moderate to severe pain.



Mechanism of Action -
Same as Codeine.

Uses -
It is used for treating & managing pain & also used as an adjunct in anaesthesia.

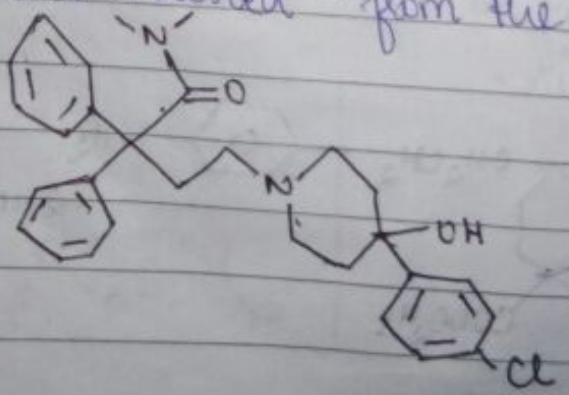
→ Diphenoxylate Hydrochloride -
It is a meperidine ~~eog~~ congener used with atropine as an antidiarrhoeal. It acts like morphine in higher doses.



Mechanism of Action -
It is an opiate receptor against which constricts the sphincters & decreases peristalsis by stimulating the μ-receptor in GIT.

Uses -
It is used as an adjunctive therapy in managing diarrhoea.

→ Loperamide Hydrochloride -
It is a long-acting Synthetic antidiarrhoeal, which is not absorbed from the gut.



Mechanism of Action -

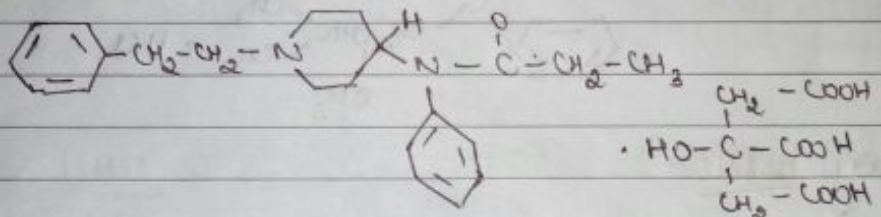
In vitro & animal studies suggest that loperamide slows down intestinal motility & affects water & electrolyte movement through the bowel.

Uses -

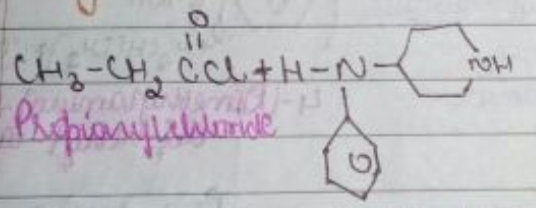
It is used for controlling & relieving the symptoms of acute non-specific diarrhoea & chronic diarrhoea related to inflammatory bowel disease & gastroenteritis.

→ Fentanyl Citrate -

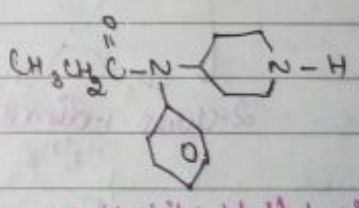
It is a potent narcotic analgesic which results in addiction when abused. It is a μ-opioid agonist.



Synthesis -



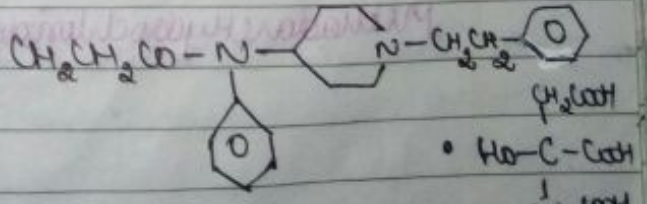
N-(4-piperidyl)-aniline



N-(4-piperidyl)-propionide

(i) $ClCH_2CH_2$-⬡ Phenethyl chloride (condensed)

(ii) citric acid



Fentanyl Citrate

Mechanism of Action -

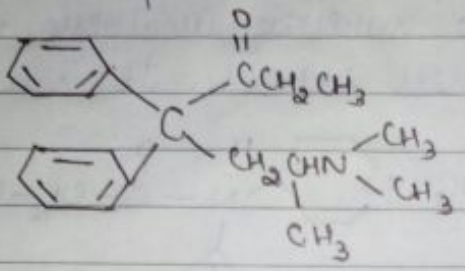
Same as Codeine.

Uses -

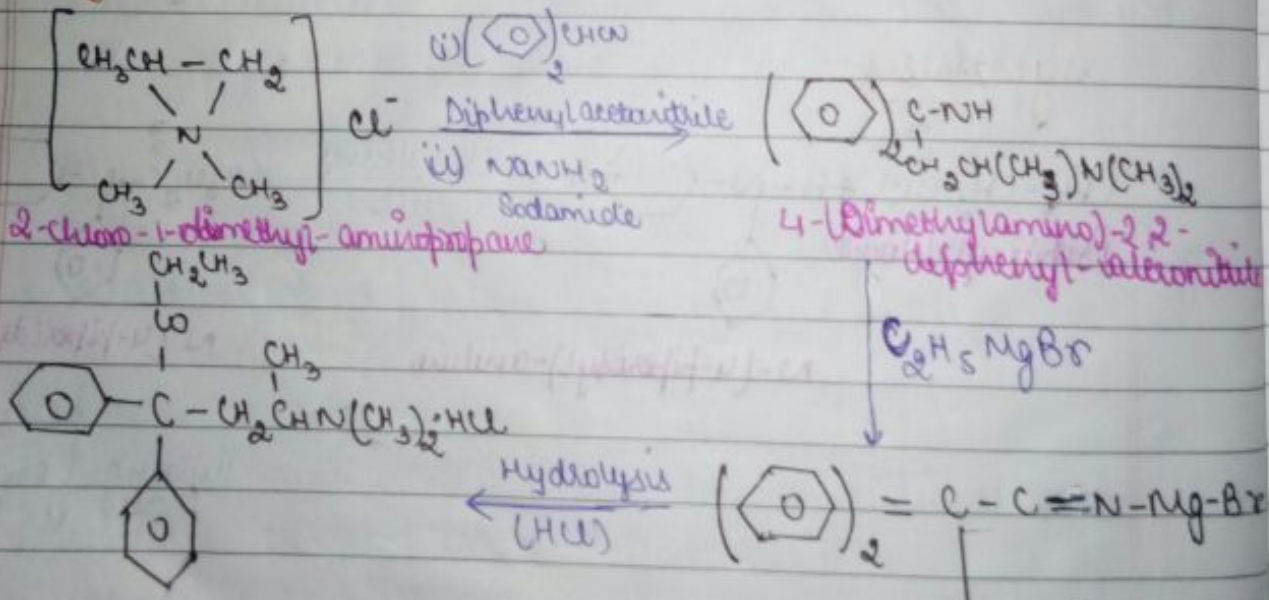
It is used in a regular narcotic therapy for treating Cancer patients having Severe pain.

→ **Methadone Hydrochloride -**

It is a Synthetic Opioid analgesic which is a μ-Opioid agonist & exhibits actions & uses similar to morphine.



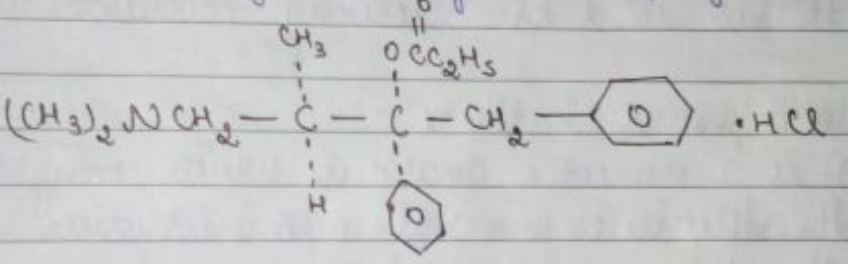
Synthesis -



Mechanism of Action -
It is a μ- agonist & a synthetic ~~opo~~ opioid analgesic which acts on the CNS & organs composed of smooth muscle. Similar to morphine. Its main therapeutic uses are analgesia & detoxification & maintenance of opioid addiction.

Uses -
It is used for treating dry cough, pain, drug withdrawal syndrome & opioid drug dependence.

→ Propoxyphene Hydrochloride -
It is an opioid analgesic. It was manufactured & patented (1955) by Eli Lilly & Company.

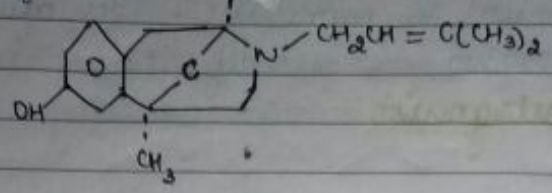


Mechanism of Action -
It is a weak agonist of OP1, OP2, OP3 opiate receptors in the CNS. It acts as both positive & negative modulator of synaptic transmission via G-proteins which activate effector proteins by mainly affecting the ~~OP~~ OP3 receptors coupled with G-protein receptor.

Uses -
It is used for relieving mild to moderate pain.

→ Pentazocine -

It has mixed agonist - antagonist analgesic effect & is the first of its kind to be marketed.



Mechanism of Action -

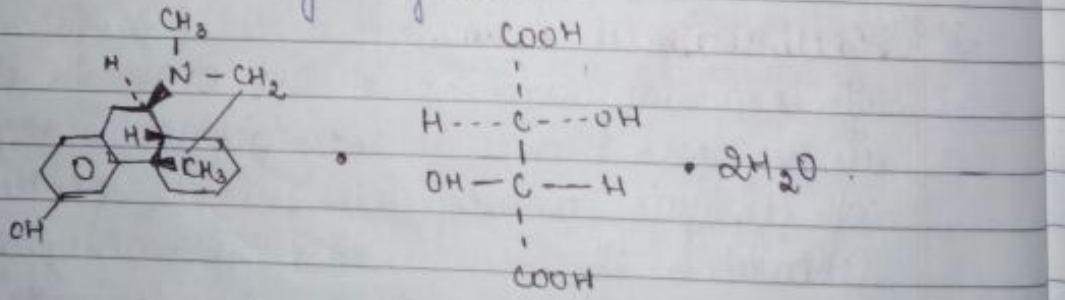
A large no. of evidence show that pentazocine competes for the same receptor sites, especially opioid μ-receptors & antagonises the opioid effect.

Uses -

It is used for relieving moderate to severe pain.

→ Levorphanol Tartrate -

It is a narcotic analgesic which may be addictive. Its effectiveness on oral administration is almost the same as by injection.



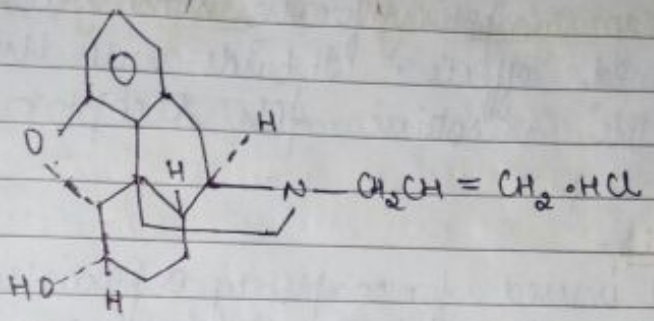
Mechanism of Action -

Like other μ - agonist opioids, levorphanol acts at receptors in the periventricular & periaqueductal grey matter in the brain & spinal cord for altering the transmission & perception of pain.

Uses -
It is used for managing moderate to severe pain occas a pre-operative drug when an opioid analgesic is suitable for use.

∴ Narcotic Antagonist -

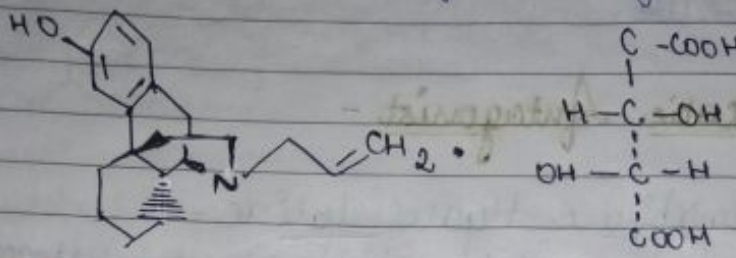
→ Naloxpline Hydrochloride -
It is a mixed opioid agonist- antagonist which acts at two opioid receptors.



Mechanism of Action -
It produces direct ~~anot~~ antagonistic effect against morphine, methadone, meperidine, levorphanol. However, it doesnot have any antagonistic effect against barbiturates or general anaesthetic depression. It acts upon circulatory disturbances & reverses the morphine effects.

Uses -
It is used for reversing opioid overdose.

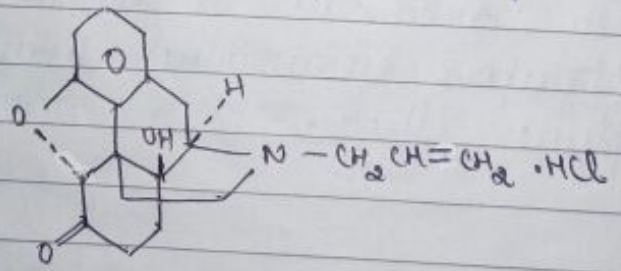
→ Levallorphan Tartrate -
It is an opioid antagonist having properties similar to nalorcone, also possessing some agonist properties.



Mechanism of Action -
They competes for the same sites & antagonises the opioid effects. It binds with the opioid μ-receptor & the nicotinic Ach receptor α_2/α_3.

Uses -
It is used for completely & partially reversing narcotic depression such as respiratory depression by opioids.

→ Naloxone Hydrochloride -
It is an opioid antagonist used for reversing or blocking the effects of opioid drugs.



Mechanism of Action -
The mechanism of Actian is not understood but the majority of evidence shows that it competes for the same receptor sites & antagonises the opioid effect. Recently, it has been suggested that

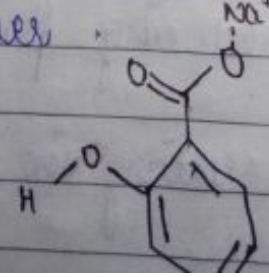
it can bind to all 3 opioid receptors but still binds strongly to the μ - receptor.

Uses -

- It is used for completely or partially reversing respiratory depression by opioids.
- It is used for diagnosing acute opioid overdose.
- It can used as an adjunct for increasing blood pressure while managing septic shock.

∴ Non-narcotic Analgesics or Anti-inflammatory agents -
Non-narcotic analgesics include the NSAIDs ~~(non-steroi~~ (Non-Steroidal antiinflammatory drugs) [eg- aspirin, ibuprofen, indomethacin, naproxen, naproxen sodium, phenylbutazone (& sulindac) & acetaminophen] They exhibit antipyretic, analgesic & anti-inflammatory properties. These drugs have different chemical structure, thus have different onset of action, duration of effect & metabolism & excretion method. They are used in mild to moderate pain. In combination with small doses of narcotic analgesics, they can be used for relieving moderate to severe pain.
NSAIDS are used for treating inflammation, mild to moderate pain & fever.

→ Sodium Salicylate -
It is an NSAID which less effectively relieves pain & reduces fever.



Mechanism of Action -

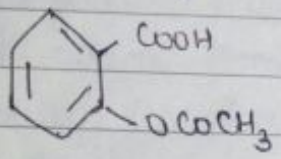
It is the sodium salt of salicylic acid. It reduces inflammation & pain by inhibiting prostaglandin synthesis through the irreversible acetylation of COX-1 & COX-2 enzymes.

Uses -

- It is used as an analgesic & antipyretic.
- It induces apoptosis in cancer cell & necrosis.
- It can used as a substitute for aspirin in aspirin-sensitive people.

→ Aspirin -

It is produced by the acetylation of salicylic acid with acetic anhydride.



Mechanism of Action -

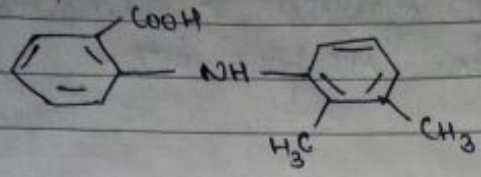
It inhibit the activity of the enzyme called cyclooxygenase (COX) which leads to the formation of prostaglandins (PGs) that cause inflammation, swelling, pain & fever.

Uses -

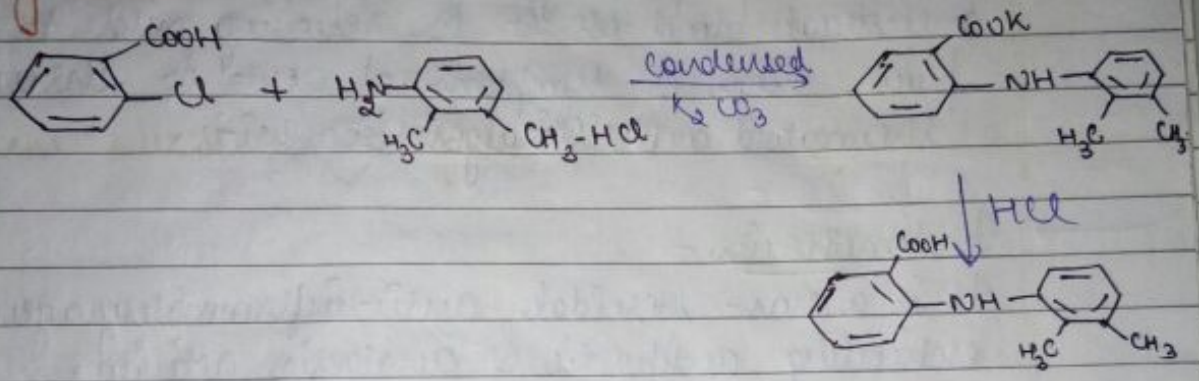
- It reduces pain & swelling by blocking certain natural substances in the body.
- It can be used for reducing pain & swelling in arthritis.
- It can prevent blood clotting in low doses.

→ Mefenamic Acid -

It is an NSAID with analgesic, anti-inflammatory & antipyretic properties. It inhibits cyclooxygenase.



Synthesis -



Mechanism of Action -

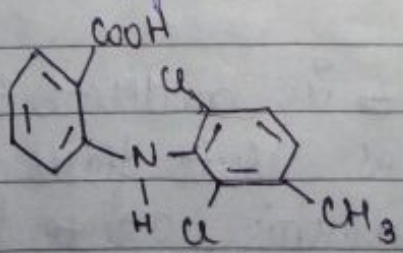
It inhibits the action of prostaglandin synthetase by binding to the prostaglandin synthetase receptors Cox-1 & Cox-2.

Uses -

It is used in rheumatoid arthritis, osteoarthritis, dysmenorrhea, mild to moderate pain, inflammation & fever.

→ Meclofenamate -

It is a NSAID which inhibits prostaglandin biosynthesis & exhibits antipyretic & anti-granulation activities.



Mechanism of Action -

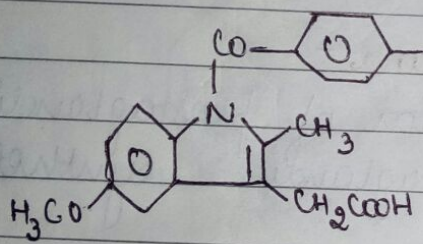
The mechanism of Action is not known. Animal studies have shown that meclofenamic acid inhibits prostaglandin synthesis & competes for binding at the prostaglandin receptor site.

Uses -

It is used in primary dysmenorrhea, idiopathic heavy menstrual blood loss & for relieving mild to moderate pain, sign & symptoms of acute & chronic Rheumatoid arthritis & osteoarthritis.

→ Indomethacin -

It is a non-steroidal anti-inflammatory agent exhibiting antipyretic & analgesic activity.



Mechanism of Action -

It is a cyclooxygenase inhibitor which acts as prostaglandin COX-1 & Cox-2. cyclooxygenase catalyses the conversion of arachidonic acid to prostaglandins, which are involved in fever, pain, swelling, inflammation & platelet aggregation.

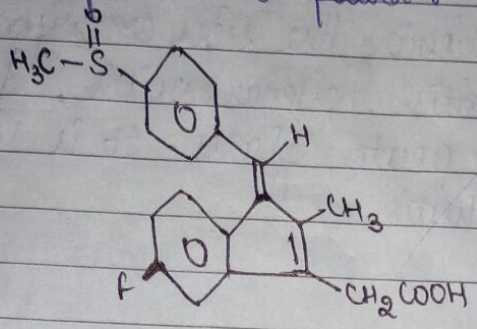
Uses -

It is used ~~to~~ in moderate to severe Rheumatoid Arthritis such as acute flares to chronic disease, Acute gouty arthritis, acute painful Shoulder

ankylosing spondylitis.

→ **Sulindac** -

It is a prodrug which is derived from sulfinylindene. It gets converted in vivo by liver enzymes into an active sulfide compound.



Mechanism of Action -

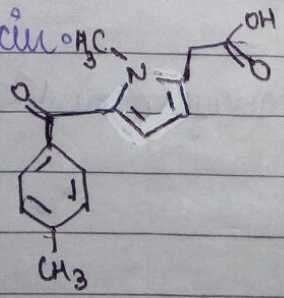
The exact mechanism of action is not known. Inhibition of COX-1 & COX-2 leads to the inhibition of prostaglandin synthesis, and this is the reason for the anti-inflammatory effects of Sulindac.

Uses -

It is indicated for acute or long-term use in relieving the signs & symptoms of osteoarthritis, rheumatoid arthritis, ankylosing spondylitis, acute gouty arthritis & acute painful shoulder.

→ **Tolmetin** -

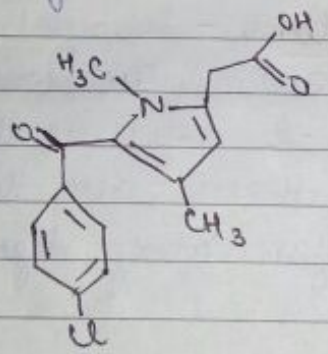
It is an NSAID with mechanism of action similar to indomethacin.



Mechanism of Action -
The mechanism of Action is unknown. It reduces the plasma level of prostaglandin E in humans by inhibiting prostaglandin Synthetase in vitro.

Uses -
It is used for relieving the sign & symptoms of Rheumatoid arthritis, osteoarthritis, for long-term management of acute flares. It is used in juvenile Rheumatoid arthritis.

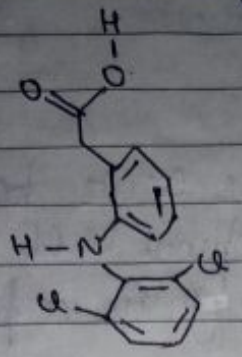
→ Zomepirac -
It is an analgesic & anti-inflammatory.



Mechanism of Action -
Studies show that a 25-30mg dose of zomepirac provides relief equivalent to that of 650mg aspirin.
In advanced cancer subjects, 100-200 mg of oral dose of this drug is as effective as moderate parenteral doses of morphine.

Uses -
It is prescribed for managing mild to severe pain.

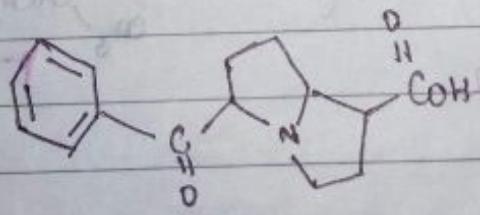
→ Diclofenac -
It is an NSAID with antipyretic & analgesic actions.



Mechanism of Action -
The anti-inflammatory effects of diclofenac are because of the inhibition of leukocyte migration & Cox-1 & Cox-2 enzymes. Its antipyretic effects are because of its action on hypothalamus, causing peripheral dilation, increasing cutaneous blood flow & heat dissipation.

Uses -
It is used for treating acute & chronic sign & symptoms of osteoarthritis & rheumatoid arthritis.

→ Ketorolac -
It is a pyrolizine carboxylic acid derivative that is structurally related to indomethacin.



Mechanism of Action -
It is an NSAID that is chemically related to indomethacin & tolmetin. The S-form of Ketorolac tromethamine is having the analgesic activity as Ketorolac is a racemic mixture of [-]S- & [+]-R-enantiomers

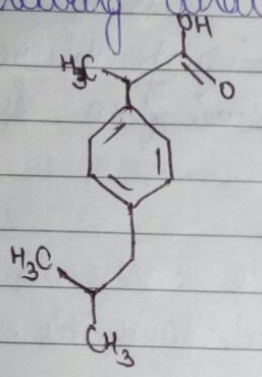
forms. It is anti-inflammatory in nature as it inhibits COX-1 & COX-2 which further inhibit prostaglandin Synthesis.

Uses -

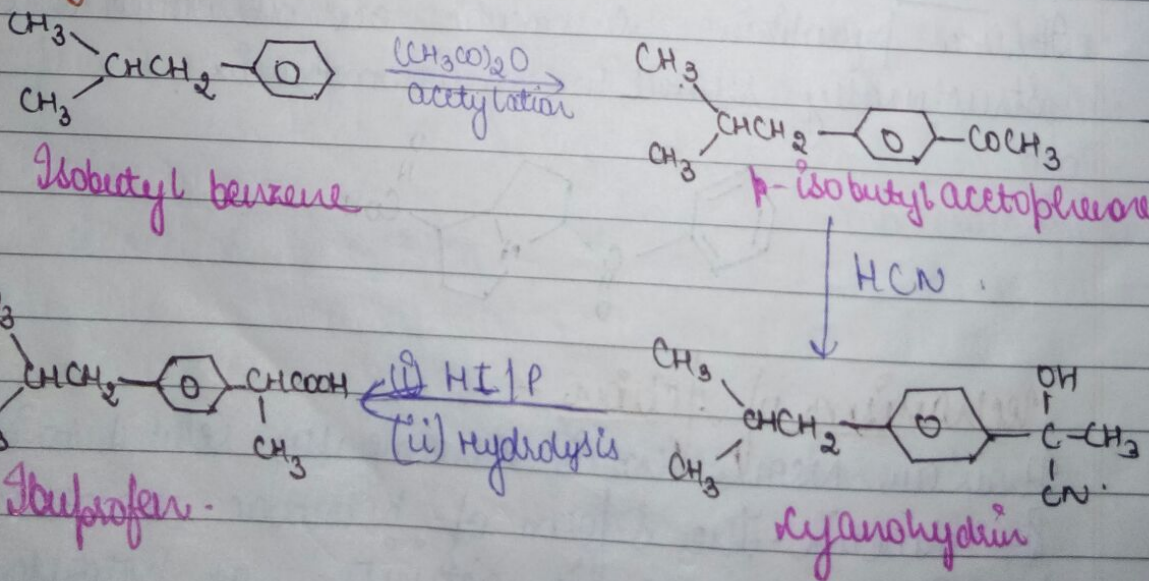
The moderately severe acute pain which requires analgesia at the opioid level can be managed for a short term (~5 day) in a post-operative setting with ketorolac.

→ **Ibuprofen -**

It is a propionic acid derivative & a prototypical NSAID having analgesic & antipyretic properties.



Synthesis -



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Mechanism of Action -

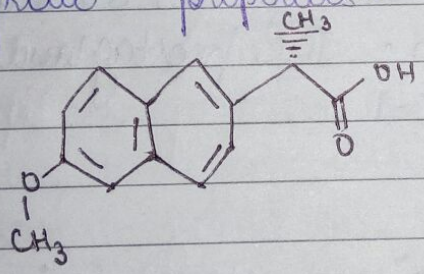
The mechanism of action is unidentified. It non-selectively inhibits cyclooxygenase enzyme involved in prostaglandin synthesis via the arachidonic acid pathway. It is pharmacologically active as it inhibits COX-2.

Uses -

- It is used for symptomatic treatment of Rheumatoid arthritis, juvenile Rheumatoid arthritis & osteoarthritis.
- It is used in mild to moderate pain, fever, gout.
- It reduces pain, fever & inflammation of pericarditis.

→ **Naproxen** -

It is antiinflammatory agent having analgesic & antipyretic properties.



Mechanism of Action -

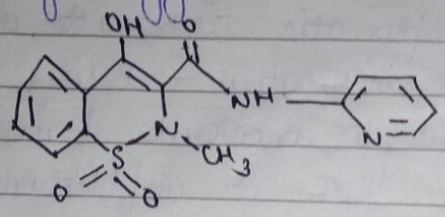
The mechanism of action of naproxen is related with cyclooxygenase activity inhibition. COX-1 inhibition is related with gastrointestinal & renal toxicity while COX-2 inhibition gives anti-inflammatory activity

Uses -

- It is used in rheumatoid arthritis, osteoarthritis, ankylosing spondylitis, acute gout.
- It is used for relieving mild to moderate pain & for treating primary dysmenorrhea.

→ Piroxicam -

It is a cyclooxygenase inhibiting NSAID which is used



Mechanism of Action -

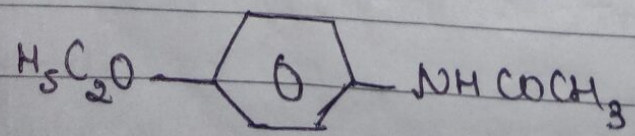
The reversible inhibition of cyclooxygenase which further causes peripheral inhibition of prostaglandin synthesis is responsible for the anti-inflammatory effect of piroxicam. The prostaglandins are produced by Cox-1.

Uses -

It is used for treating osteoarthritis & rheumatoid arthritis.

→ Phenacetin -

It was the first NSAID & fever reducer to be marketed. It acts on the sensory tracts of the sensory cord & produce analgesic effect.



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Mechanism of Action -

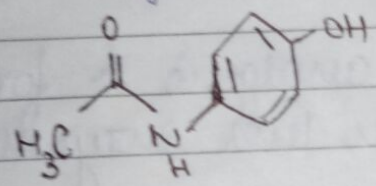
It produce analgesic effect by acting on the sensory tracts of the spinal cord. It is an antipyretic which decreases the temperature set point by acting on the brain.

Uses -

It is mainly used as an analgesic.

→ **Acetaminophen** - [Paracetamol]

It exhibits analgesic & antipyretic effects. Therapeutically it is similar to salicylates but has no anti-inflammatory, antiplatelet, gastric ulcerative effect.



Mechanism of Actions -

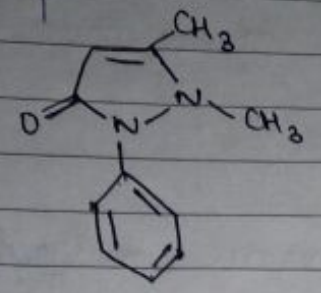
It acts in the CNS. It inhibits Cox-1, Cox-2, Cox-3 enzymes, therefore, increase the pain threshold. It has no peripheral antiinflammatory effects as it does not inhibit cyclooxygenase in peripheral tissues, thus it is effective in the CNS & endothelial cells but not in platelets & immune cells.

Uses -

It is used for temporary relief from fever, minor aches & pain.

→ Antipyrine –

It is an analgesic & antipyretic given orally or as ear drops.



Mechanism of Action –

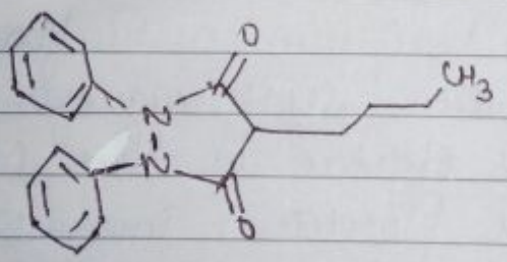
It acts in the CNS & increases the pain threshold as it inhibits COX-1, COX-2 & COX-3.

Uses –

It is used as an analgesic & for testing the effects of other drugs on liver enzymes.

→ Phenylbutazone –

It exhibits anti-inflammatory, antipyretic & analgesic activities.



Mechanism Of Action –

It binds with prostaglandin H Synthase & prostacyclin Synthase & inactivates them by peroxide mediated deactivation. The reduction of prostaglandin production further reduces inflammation of the surrounding tissues.

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Uses -

It is used for treating backache, ankylosing spondylitis, Rheumatoid arthritis & Reiter's syndrome.