



Non Steroidal Anti-inflammatory Drugs

NSAIDs means → "Non Steroidal Anti-inflammatory Drugs"

Inflammation - Response of the body to injurious stimuli

Features of inflammation - Heat/fever

acute - short
chronic - long

- Swelling

- pain

- Redness

- Loss of function. ↓ in activity of cell/numbness

local reaction
antigen enters in to body
eg: insect, fun, dirt, etc.

NSAIDs mainly used for fever, pain & Swelling.

Analgesics are medicines that selectively relieve pain by acting in the CNS or on the peripheral pain mechanism, without significantly altering consciousness.

Analgesic - an "without" (greek) ~~Algo~~ algos - "pain"

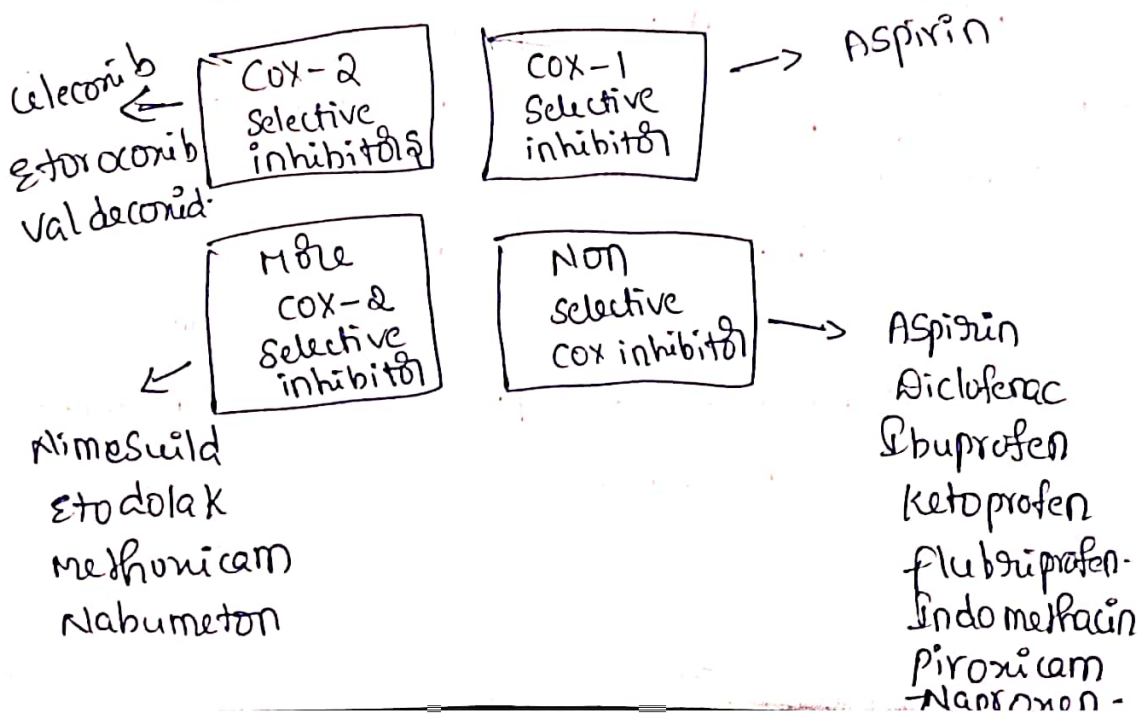
Analgesic drugs produce analgesia by acting at several levels of the nervous system like -

- ① inhibition of neurotransmitter release
- ② inhibiting the COX 1 & COX 2 enzymes
- ③ By acting on receptors located on neuronal cell membranes.

- Non-steroidal anti-inflammatory (NSAIDs), are drugs with analgesic (pain reducing), antipyretic (fever reducing) properties.
- In higher doses they also have anti-inflammatory effects.
 - they reduce inflammation (swelling).
- They are also called as non narcotic non opioid. Aspirin like analgesics.

Classification of NSAIDs

- * Non Selective COX inhibitor
- * preferential COX-2 inhibitor
- * Selective COX-2 inhibitor
- * Analgesics, Antipyretics with poor anti-inflammatory action.





Name of the Experiment :

Date :

Clinical uses:- Analgesia, inflammation
Antipyresis, Antiplatelet effect
Cancer preventive agent

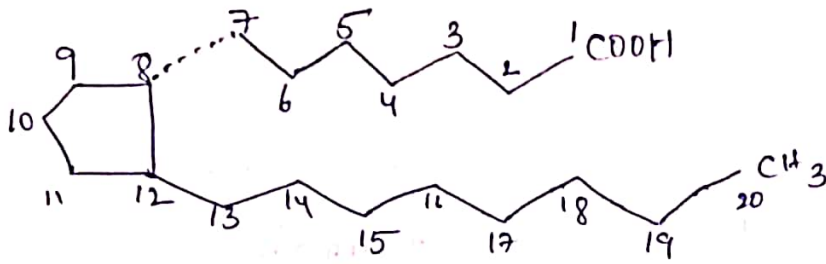
ADE's of NSAIDs.

- 1) Gastro intestinal effects
- 2) Renal effects.
- 3) Inhibition of platelet aggregation
- 4) Headache, red hearing, dizziness, confusion, depression.
- 5) Allergic reactions - Asthma, rashes, photosensitivity.

Mechanism of Action:-

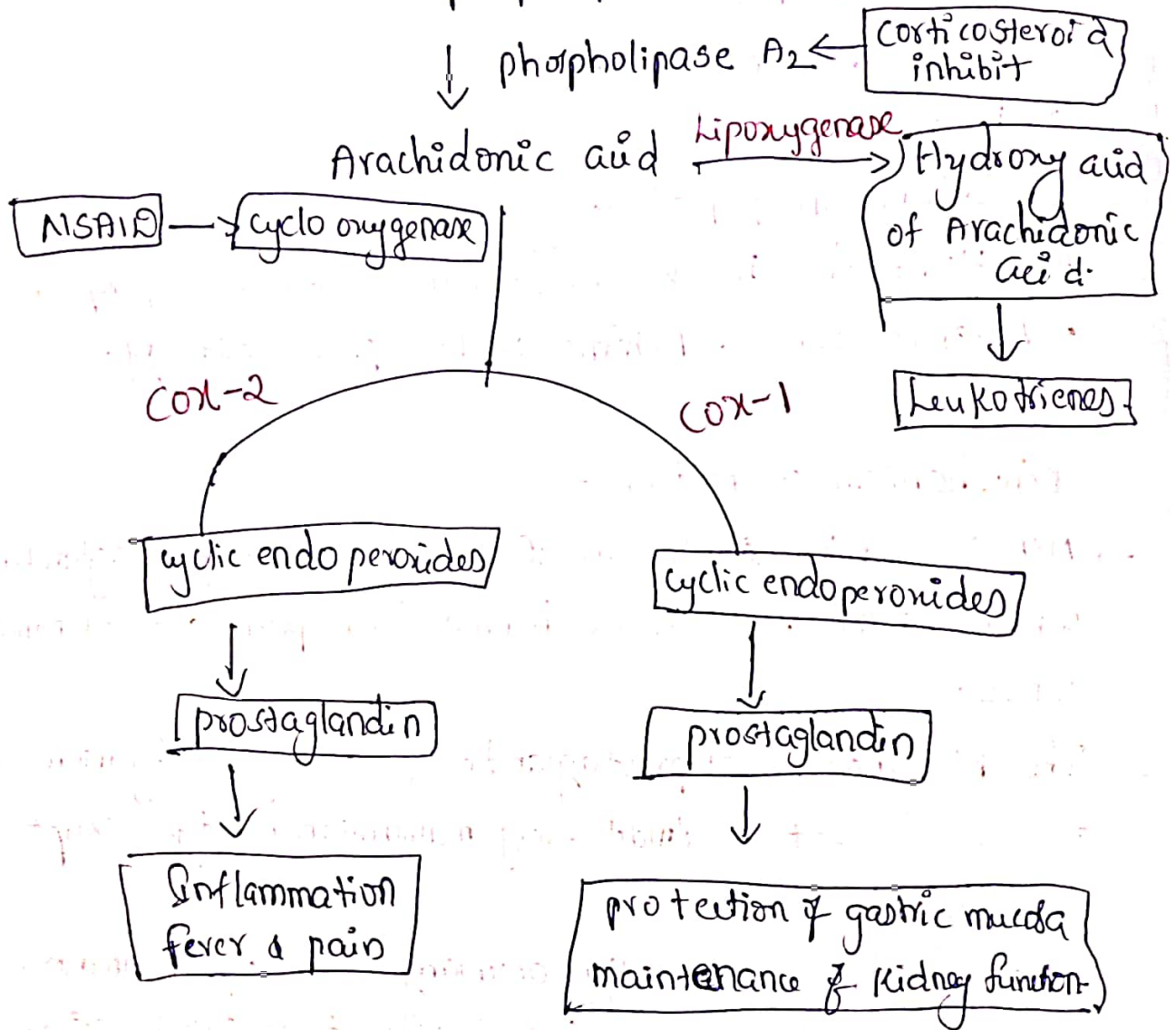
- NSAIDs act by inhibiting the biosynthesis of prostaglandin which is the basic cause behind fever, pain and inflammatory condition.
- The biosynthesis of prostaglandin is catalyzed by microsomal enzyme present in almost every mammalian cell type except erythrocytes.
- prostaglandin is naturally occurring 20C cyclopentano fatty acid derivatives derived from Arachidonic acid.

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General structure of pg.

cell wall phospholipids

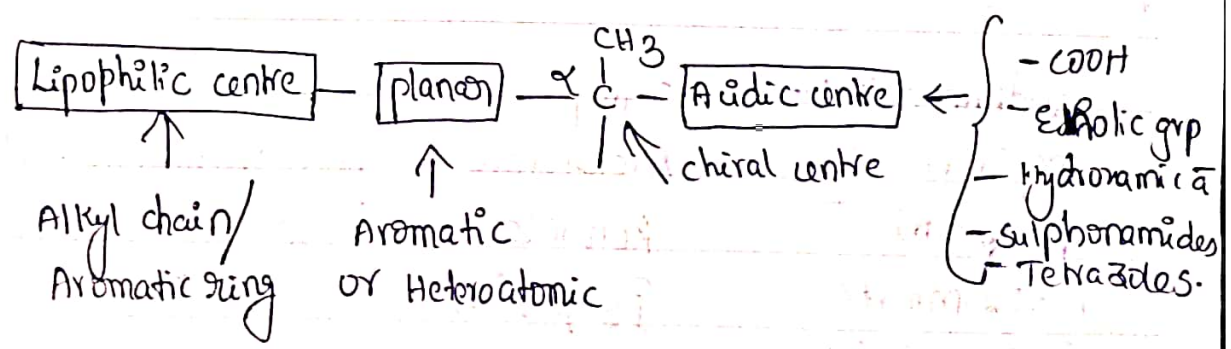


Biosyn of pathway of pg.



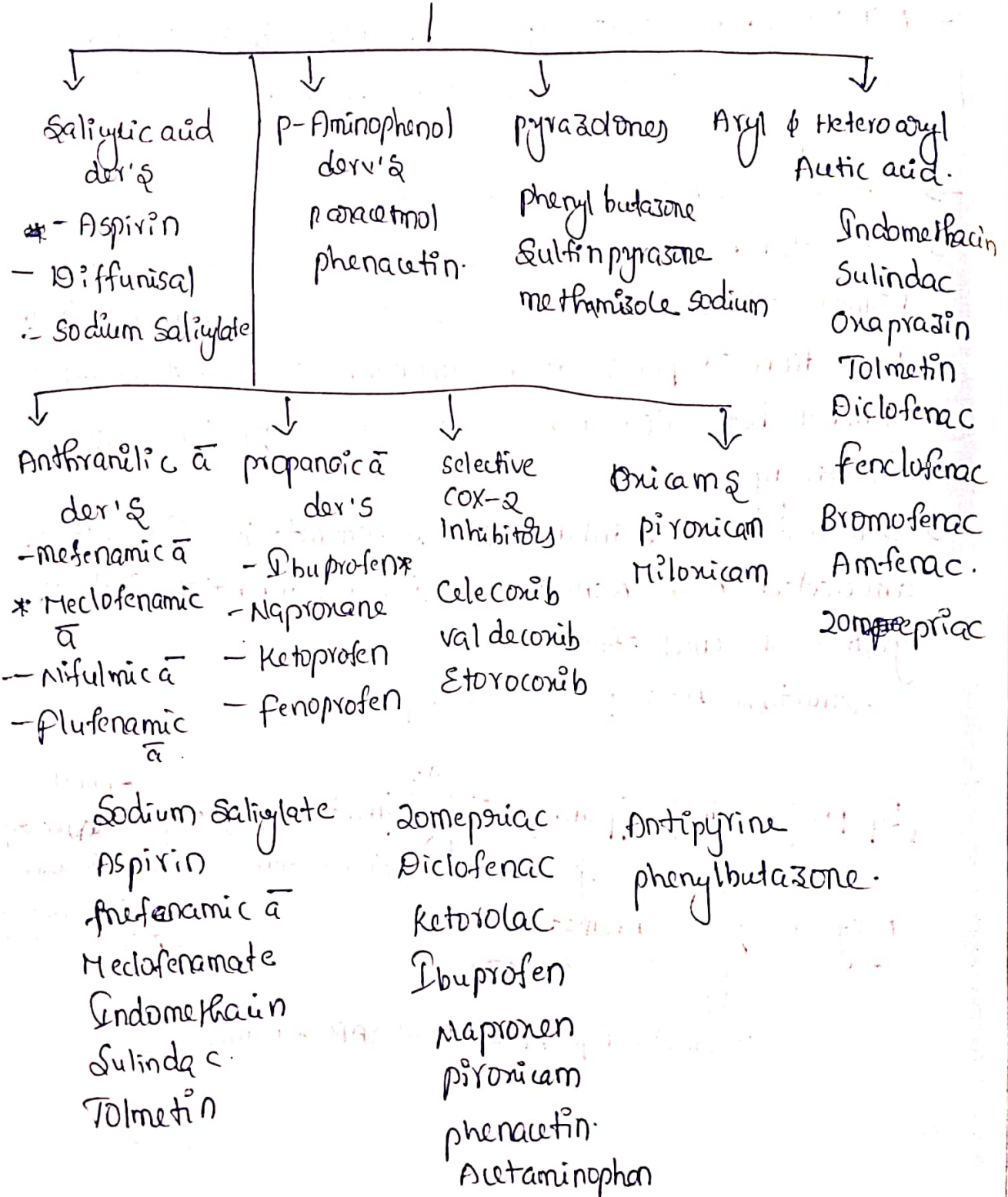
- NSAIDs inhibit cyclooxygenases, the enzyme that catalyses the syn. of cyclic endoperoxides from the arachidonic acid to form pgs.
- The two COX isoenzymes are COX-1 & COX-2.
- COX-1 function is to produce pgs that are involved in normal cellular activity while, COX-2 is responsible for the protection of pgs at inflammation site. Most NSAIDs inhibit both COX-1 & COX-2 with varying degree of selectivity.
- Selective COX-2 inhibitors may eliminate the side effects associated with NSAIDs due to COX-1 inhibition such as gastric & renal effect.

Structure Activity Relationship:



Schematic Representation of SAR of NSAIDs.

Classification of NSAIDs

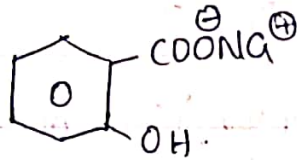




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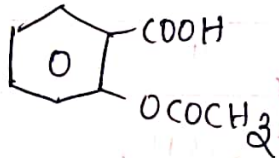
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① Sodium Salicylate :-



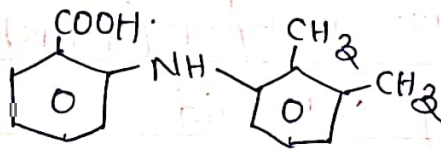
Sodium-2-hydroxy benzoate.

② Aspirin :-



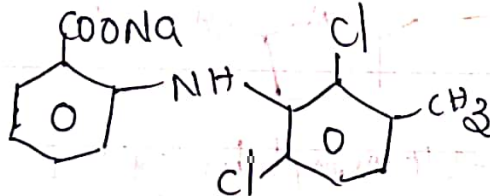
O-Acetyl salicylic acid.

* ③ Me-fanamic acid :-



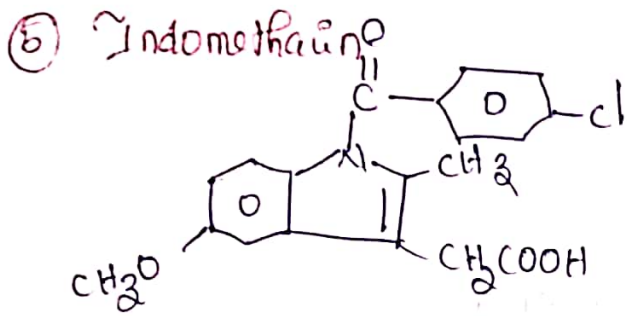
N-(2,3-xilyl)-Anthranilic acid.

④ Meclofenamate



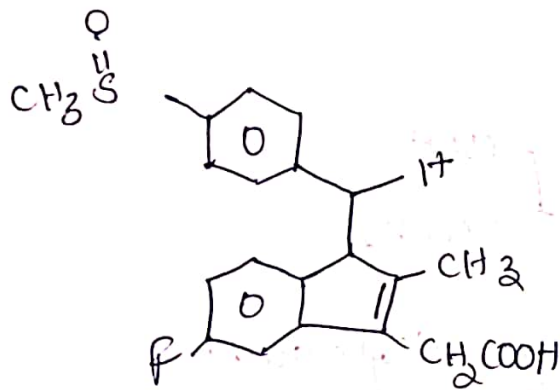
2-[(2,6-dichloro-3-methylphenyl)amino] benzoic acid.

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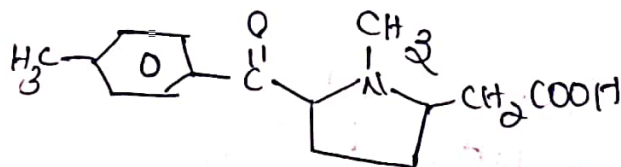
1-(4-chlorobenzoyl)-5-methoxy-2-methylindol-3yl acetic acid

⑥ Sulindac



(2)-5-Fluoro-2-methyl-1-[4-(methylsulphonyl)benzylidene]indene-3yl acetic acid.

⑦ Tolmetin



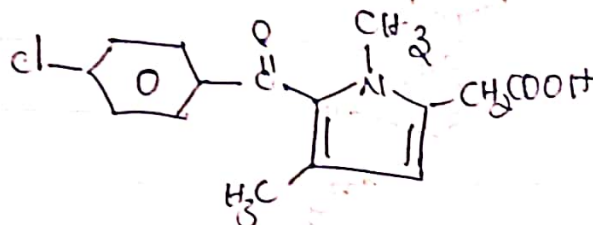
[1-methyl-5-(4-methylbenzoyl)-1H-pyrrol-2yl]acetic acid



Name of the Experiment :

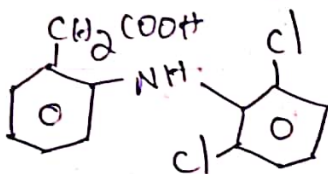
Date :

(8) Zomepirac



2-[5-chlorobenzoyl]-1,4-dimethylpyrid-2-yl] acetic acid.

(9) Diclofenac



2-[2,6-Dichlorophenylamino]phenyl-acetate.

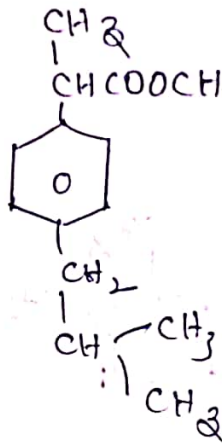
(10) Ketorolac



5-Benzoyl-2,3-dihydro-1H-pyridine-1-carboxylic acid.

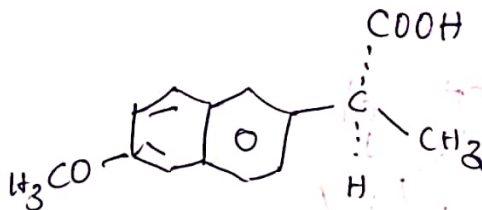
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(11) Ibuprofen



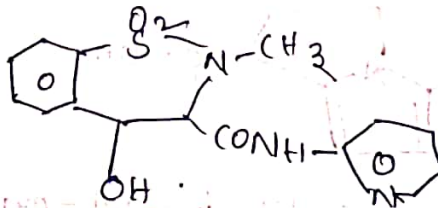
(R,S)-2-(4-Isobutyl phenyl) propionic acid.

(12) Naproxen:-



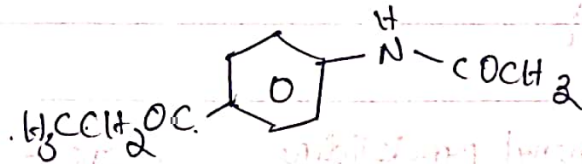
2-(6-Methoxy-2-naphthyl) propionic acid.

(13) piroxicam



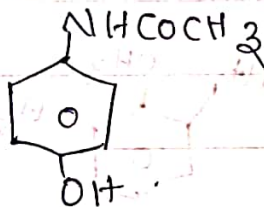
4-Hydroxy-2-methyl-N-(2-pyridinyl) 2H-1,2 benzothiazine 3-carbonamide 1,1-dioxide.

(14) phenacetin.



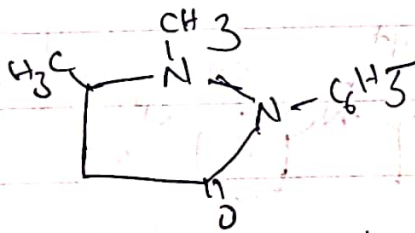
N-(4-Ethoxy phenyl) acetamide

(15) Acetaminophen (paracetamol).



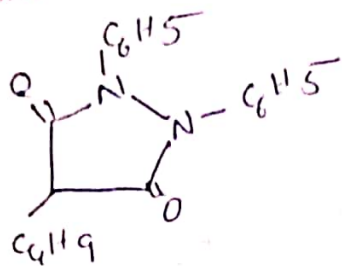
4-Hydroxy acetanilide.

(16) Antipyrine (phenazone)



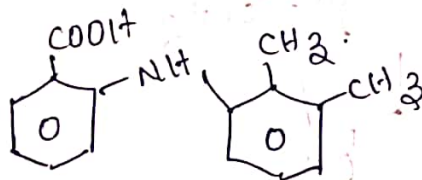
2,3 Dimethyl-1-phenyl-3-pyrazolin-5-one.

(10) phenyl butazone

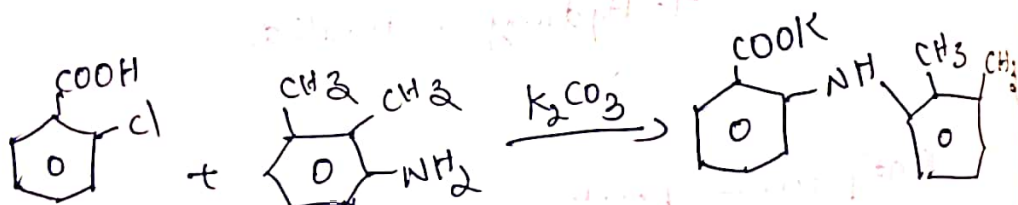


4-Butyl-1,2-Diphenyl pyrazolidine-3,5 dione -

Mefenamic acid

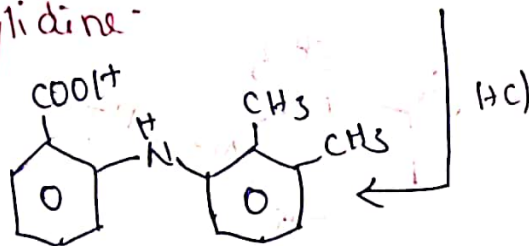


Syn:-



o-chloro-benzoic acid

2,3 xylidine



Mefenamic acid

MOA:- Mefenamic acid is potent cyclo-oxygenase inhibitor

uses:- It is used for the relief mild to moderate pain. predominant analgesic property.

It is used to relief menstrual pain.



ibuprofen

