Pharmaceuticals SEC

(1) Anti Pyretics and Analgesics:

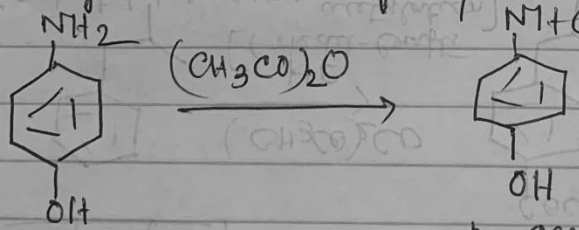
Antipyretics → responsible for lowering the fever.
Analgesics → relieves pain
Sometimes ~~and~~ antipyretic compounds were also found to have analgesic properties.

Mode of action of antipyretics: The body temp. is regulated by hypothalamus. Antipyretics does not inhibit the production of heat but loss of heat is increased by increased peripheral blood flow, hence increases the rate of perspiration.

Mode of action of analgesics: Increases the threshold of pain, so that the pain could not be felt.

(i) Paracetamol (p-Acetamol)

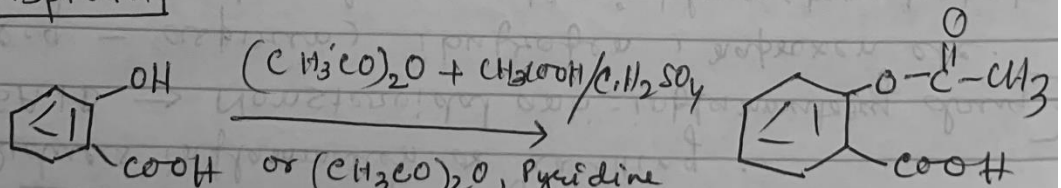
Acetyl derivative of p-aminophenol.



p-aminophenol.

p-acetamol
(also called acetameophenol)

(ii) Aspirin



Salicylic acid

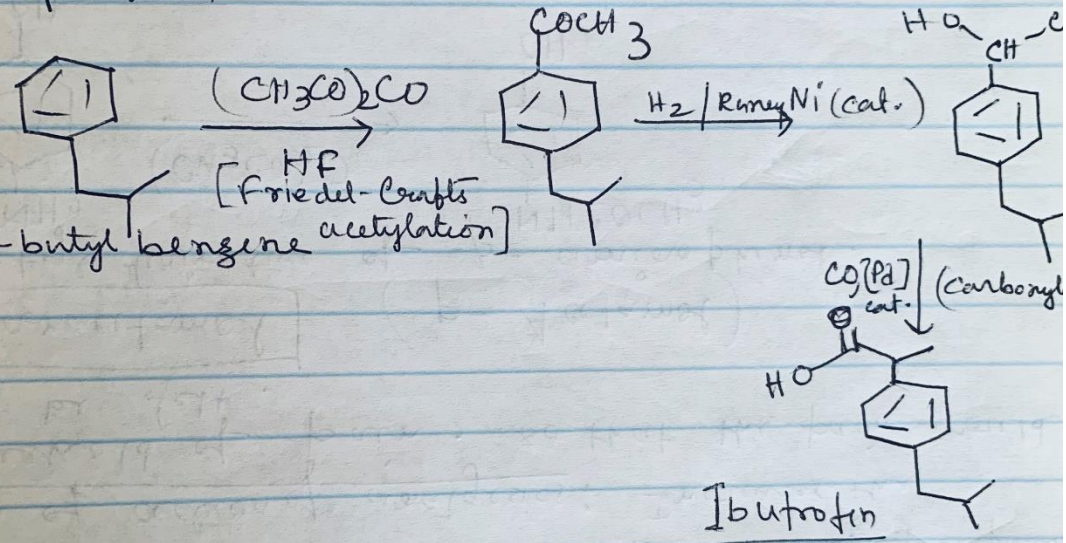
Aspirin

(iii) **Anti inflammatory drugs :**

Reduces inflammation or swelling.

[NSAID → Nonsteroidal anti-inflammatory drug
e.g – aspirin, ibufrofen, naproxen etc.
Analgetics also have anti-inflammatory propert

(iii) **Ibuprofen**



Ibutrofin

Antibiotics

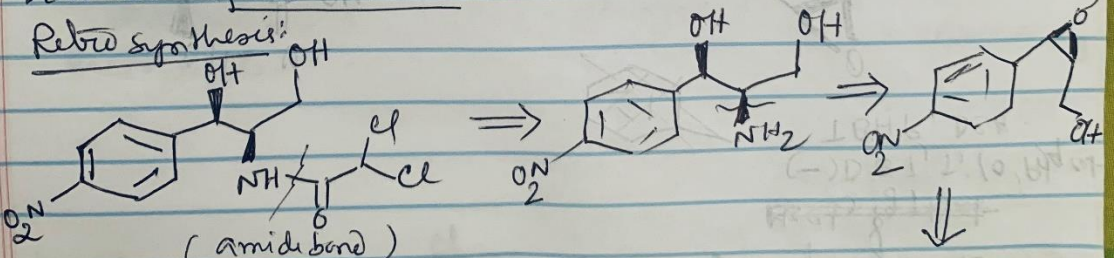
Antibiotic comes from "antibiosis" that means a process in which one organism may destroy another to preserve itself.

Antibiotic is a chemical substance produced by or derived from living cells which is capable, in a small concentration, to destroy microorganisms.

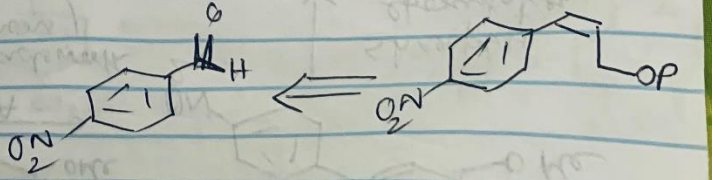
An effective antibiotic must work against pathogen in very low concentration, must not cause significant side effect, must be stable under physiological conditions and should have longer shelf-life without loss of activity.

(i) **Chloramphenicol**: Broad-spectrum antibiotic Isolated from *Streptomyces venezuelae*. First commercially synthesized in industrial scale by natural fermentation (to be read under Fermentation)

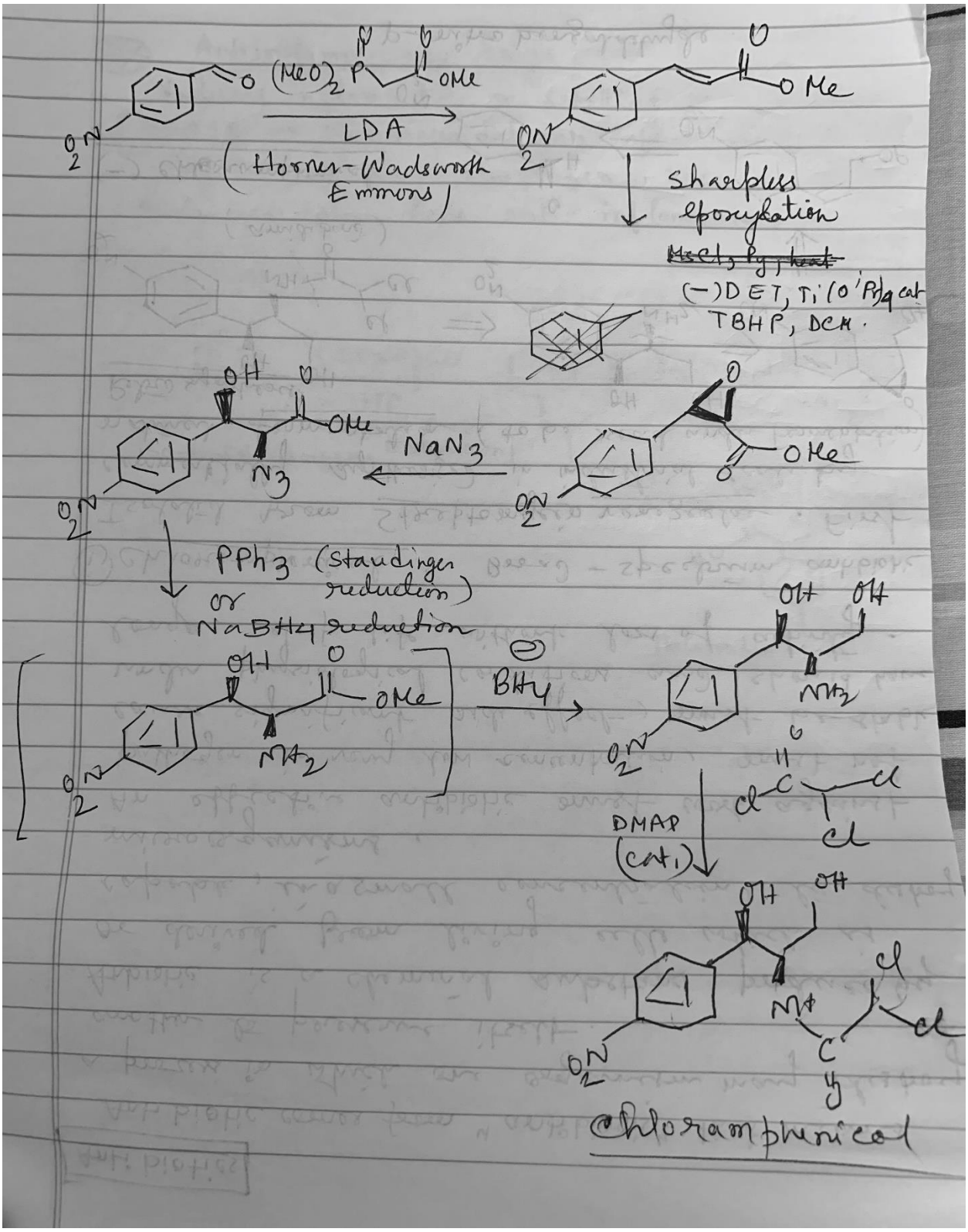
Retrosynthesis:



(−) chloramphenicol



p-nitrobenzaldehyde.

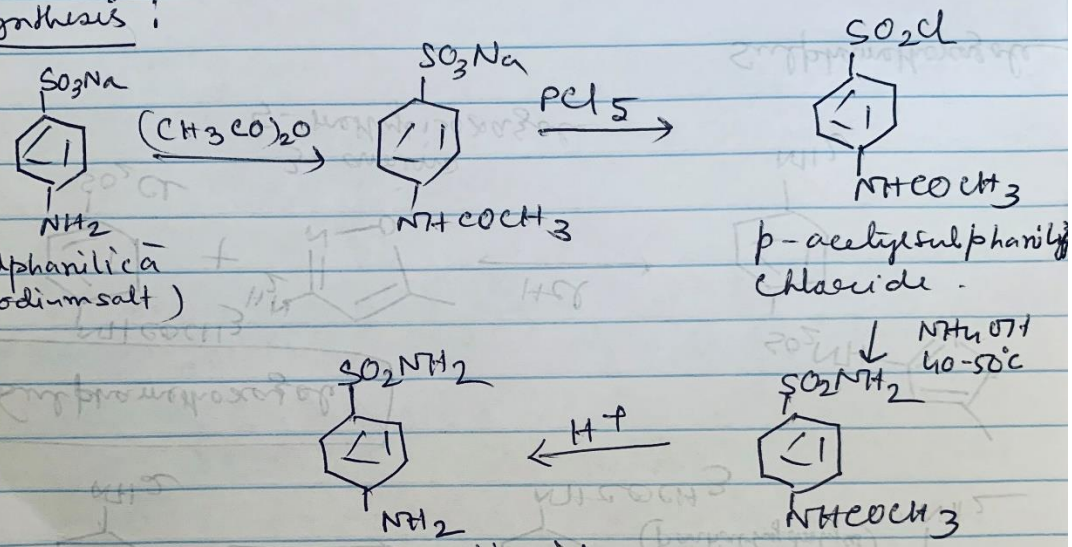

LDA
(Horner-Wadsworth Emmons)
Sharpless epoxydation
(−)DET, Ti(O'Pr)4 cat
TBHP, DCM.
NaN3
PPh3 (Staudinger reduction)
or
NaBH4 reduction
BH4
DMAP
(cat.)
Chloramphenicol

Sulphanilamides or Sulpha Drugs

These are synthetic chemotherapeutic agents which contain sulphonamide SO_2NH_2 group in their structure. They have antibacterial and antifungal properties.

Synthesis:

(1)

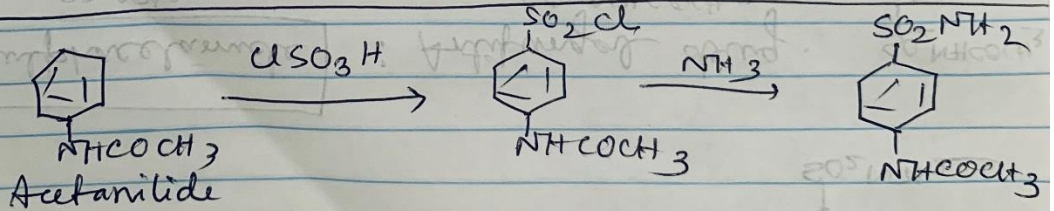


(Sulphanilica Sodiumsalt)

p-acetylsulphanilyl Chloride.

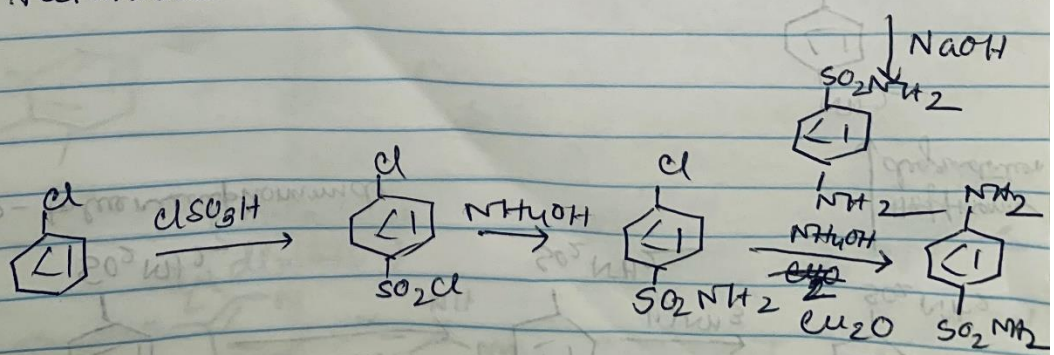
Sulphanilamide.

(2)

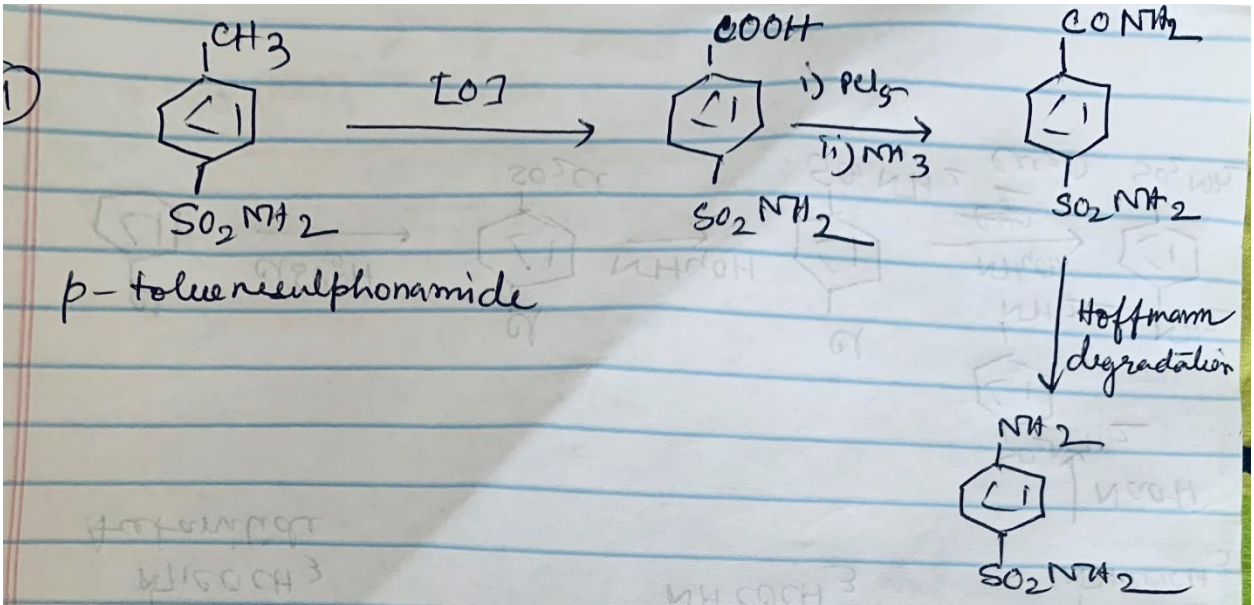


Acetanilide

(3)

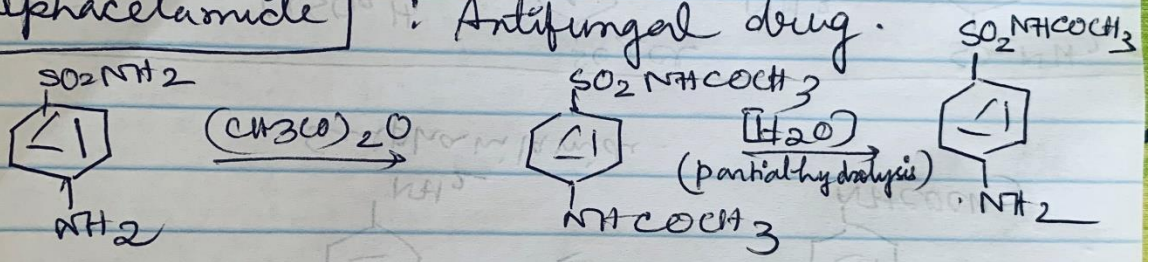


1)

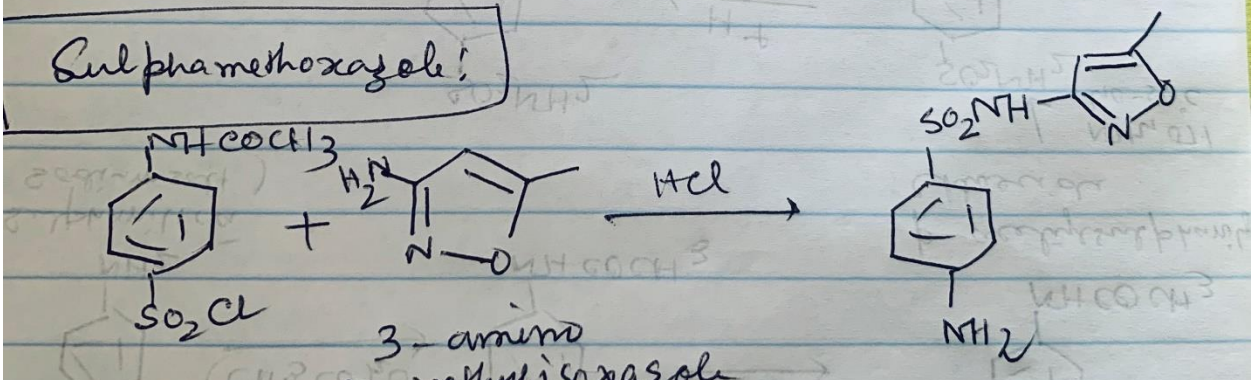


p-toluenesulphonamide

Sulphacetamide : Antifungal drug.



Sulphamethoxazole:

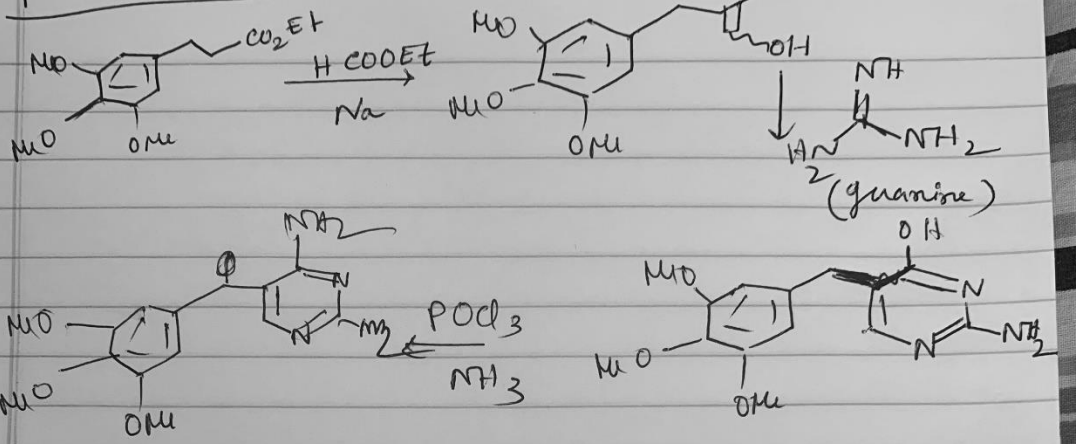


3-amino 5-methylisoxazole

Sulphamethoxazole

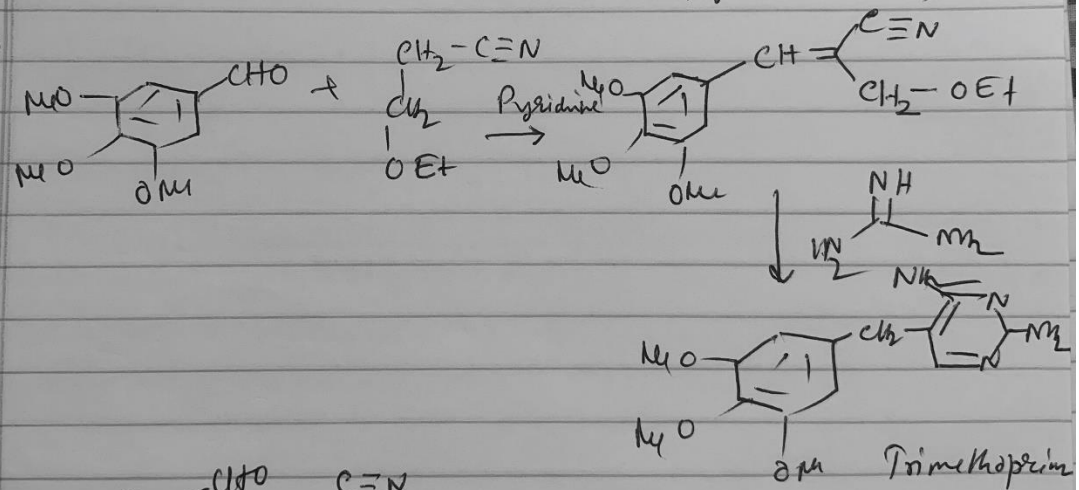
Trimethoprim :

Synthesis ①



Trimethoprim (2,4- diamino-5[3',4',5'- trimethoxy benzyl] pyrimidine)

Synthesis ②



Synthesis ③